(19) World Intellectual Property Organization

International Bureau



I CREIR BHINION IN BHEIRE HIBH BRIN BORN CHAI EIN HI BHIN BHIRE HIBH BHINE HERBE HIN BHEIRIN HINE HAR FREIR

(43) International Publication Date 18 March 2004 (18.03.2004)

PCT

(10) International Publication Number WO 2004/022523 A2

(51) International Patent Classification7:

C07C 215/00

(21) International Application Number:

PCT/US2003/028116

(22) International Filing Date:

8 September 2003 (08.09.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/408,783

6 September 2002 (06.09.2002) US

(71) Applicants (for all designated States except US): ELAN PHARMACEUTICALS, INC. [US/US]: 800 Gateway Blvd., South San Francisco, CA 94080 (US). PHARMACIA & UPJOHN [US/US]; 301 Henrietta Street, Kalamazoo, MI 49007 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): FOBIAN, Yvette, M. [US/US]; 580 Eagles Nest Court, Wildwood, MO 63011 (US). FRESKOS, John, N. [US/US]; 7572 York Drive, Clayton, MO 63105 (US). JAGODZINSKA, Barbara [US/US]; 1485 Kentfield Avenue, Redwood City, CA 94061 (US). (74) Agent: SHALTOUT, Raafat, M.; McDonnell Boehnen Hulbert & Berghoff, 300 South Wacker Drive, Suite 3200. Chicago, IL 60606 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

 without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 1, 3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES

$$H_2N$$
 R_1
 R_2
 R_3
 R_C
 R_A

R_C (I)

$$R_N \longrightarrow H_2N \longrightarrow R_1 \longrightarrow R_2 \longrightarrow R_3 \longrightarrow R_C (X)$$

(57) Abstract: The present invention relates to compounds of formula (AA), (I) and (X), useful in treating Alzheimer's disease and other similar diseases. These compounds include inhibitors of the beta-secretase enzyme that are useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal. The compounds of the invention are useful in pharmaceutical compositions and methods of treatment to reduce A beta peptide formation.

 H_2N

1,3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES

CROSS REFERENCE TO RELATED APPLICATIONS

This application claims priority from U.S. Provisional Application Serial No. 60/408,783, filed September 6, 2002, which is incorporated herein by reference in its entirety.

BACKGROUND OF THE INVENTION

Field of the Invention

The invention relates to 1,3-diamino-2-hydroxypropane prodrug derivatives and to such compounds that are useful in the treatment of Alzheimer's disease and related diseases. More specifically, it relates to such compounds that are capable of yielding or generating, either in vitro or in vivo, compounds that inhibit beta-secretase, an enzyme that cleaves amyloid precursor protein to produce amyloid beta peptide (A beta), a major component of the amyloid plaques found in the brains of Alzheimer's sufferers.

Background of the Invention

Alzheimer's disease (AD) is a progressive degenerative disease of the brain primarily associated with aging. Clinical presentation of AD is characterized by loss of memory, cognition, reasoning, judgment, and orientation. As the disease progresses, motor, sensory, and linguistic abilities are also affected until there is global impairment of multiple cognitive functions. These cognitive losses occur gradually, but typically lead to severe impairment and eventual death in the range of four to twelve years.

Alzheimer's disease is characterized by two major pathologic observations in the brain: neurofibrillary

predominantly of an aggregate of a peptide fragment know as A beta. Individuals with AD exhibit characteristic beta-amyloid deposits in the brain (beta amyloid plaques) and in cerebral blood vessels (beta amyloid angiopathy) as well as neurofibrillary tangles. Neurofibrillary tangles occur not only in Alzheimer's disease but also in other dementia-inducing disorders. On autopsy, large numbers of these lesions are generally found in areas of the human brain important for memory and cognition.

lesions in more numbers of these Smaller restricted anatomical distribution are found in brains of most aged humans who do not have clinical AD. Amyloidogenic plaques and vascular amyloid angiopathy also characterize the brains of individuals with Trisomy 21 (Down's Syndrome), Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type (HCHWA-D), and other Beta-amyloid is a defining neurodegenerative disorders. feature of AD, now believed to be a causative precursor or factor in the development of disease. Deposition of A beta in areas of the brain responsible for cognitive activities is a major factor in the development of AD. are predominantly composed of Beta-amyloid plaques amyloid beta peptide (A beta, also sometimes designated A beta peptide is derived by proteolysis of the amyloid precursor protein (APP) and is comprised of 39-42 Several proteases called secretases are amino acids. involved in the processing of APP.

Cleavage of APP at the N-terminus of the A beta peptide by beta-secretase and at the C-terminus by one or more gamma-secretases constitutes the beta-amyloidogenic pathway, i.e. the pathway by which A beta is formed. Cleavage of APP by alpha-secretase produces alpha-sAPP, a

secreted form of APP that does not result in beta-amyloid plaque formation. This alternate pathway precludes the formation of A beta peptide. A description of the proteolytic processing fragments of APP is found, for example, in U.S. Patent Nos. 5,441,870; 5,721,130; and 5,942,400.

An aspartyl protease has been identified as the enzyme responsible for processing of APP at the beta-secretase cleavage site. The beta-secretase enzyme has been disclosed using varied nomenclature, including BACE, Asp, and Memapsin. See, for example, Sinha et al., 1999, Nature 402:537-554 (p501) and published PCT application WO00/17369.

Several lines of evidence indicate that progressive cerebral deposition of beta-amyloid peptide (A beta) plays a seminal role in the pathogenesis of AD and can precede cognitive symptoms by years or decades. See, for example, Selkoe, 1991, Neuron 6:487. Release of A beta from neuronal cells grown in culture and the presence of A beta in cerebrospinal fluid (CSF) of both normal individuals and AD patients has been demonstrated. See, for example, Seubert et al., 1992, Nature 359:325-327.

It has been proposed that A beta peptide accumulates as a result of APP processing by beta-secretase, thus inhibition of this enzyme's activity is desirable for the treatment of AD. In vivo processing of APP at the beta-secretase cleavage site is thought to be a rate-limiting step in A beta production, and is thus a therapeutic target for the treatment of AD. See for example, Sabbagh, M., et al., 1997, Alz. Dis. Rev. 3, 1-19.

BACE1 knockout mice fail to produce A beta, and present a normal phenotype. When crossed with transgenic mice that over express APP, the progeny show reduced

amounts of A beta in brain extracts as compared with control animals (Luo et al., 2001 Nature Neuroscience 4:231-232). This evidence further supports the proposal that inhibition of beta-secretase activity and reduction of A beta in the brain provides a therapeutic method for the treatment of AD and other beta amyloid disorders.

At present there are no effective treatments for halting, preventing, or reversing the progression of Alzheimer's disease. Therefore, there is an urgent need for pharmaceutical agents capable of slowing the progression of Alzheimer's disease and/or preventing it in the first place.

Compounds that are effective inhibitors of betasecretase, that inhibit beta-secretase-mediated cleavage of APP, that are effective inhibitors of A beta production, and/or are effective to reduce amyloid beta deposits or plaques, are needed for the treatment and prevention of disease characterized by amyloid beta deposits or plaques, such as AD.

SUMMARY OF THE INVENTION

The invention encompasses the compounds of formula below, pharmaceutical shown (X) and (I) (AA), methods and compositions containing the compounds employing such compounds or compositions in the treatment of Alzheimer's disease and more specifically compounds that are capable of inhibiting beta-secretase, an enzyme that cleaves amyloid precursor protein to produce A-beta peptide, a major component of the amyloid plaques found in the brains of Alzheimer's sufferers.

In one aspect, the invention provides compounds of the formula AA:

$$H_2N$$
 R_1
 R_2
 R_3
 R_3
 R_2

the other is
$$-C(=O) - (CRR')_{0-6}R_{100}$$
, $-C(=O) - (CRR')_{1-6} - O - R'_{100}$, $-C(=O) - (CRR')_{1-6} - S - R'_{100}$, $-C(=O) - (CRR')_{1-6} - C(=O) - R_{100}$, $-C(=O) - (CRR')_{1-6} - SO_2 - R_{100}$, $-C(=O) - (CRR')_{1-6} - NR_{100} - R'_{100}$, or $-C(=O) - (CRR')_{1-6} - C(=O) - (CRR')_{1-6} - C(=O)$

wherein

 n_6 is 0, 1, 2, or 3; n_7 is 0, 1, 2, or 3;

 R_{4-2} and R_{4-3} are independently H, $C_1 - C_3$ alkyl, or $C_3 - C_6$ cycloalkyl;

 R_{4-4} is alkyl, arylalkyl, alkanoyl, or arylalkanoyl;

 R_{4-6} is-H or C_1-C_6 alkyl;

 R_{5} is selected from the group consisting of C_{3} - C_{7} cycloalkyl; C1-C6 alkyl optionally substituted with 1, 2, or 3 groups that are independently $-NR_6R_7$, C1-C4 alkoxy, halogen, heterocycloalkyl, C_5 - C_6 heteroaryl, C_6 - C_{10} aryl, C_3-C_7 cycloalkyl. C_1-C_4 alkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, C_6 - C_{10} aryloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, OH; heterocycloalkyl haloalkyl, or C1 - C4 optionally substituted with 1, 2, or 3 groups that are independently $C_1\text{-}C_4$ alkyl, $C_1\text{-}C_4$ alkoxy, halogen, or C2-C4 alkanoyl; aryl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkyl; and -NR₆R₇; wherein R_6 and R_7 are independently selected from the group consisting of H, C1-C6 alkyl, C2-C6 alkanoyl, phenyl, -SO2-C1-C4 alkyl, phenyl C_1-C_4 alkyl;

 R_8 is selected from the group consisting of $-SO_2$ -heteroaryl, $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, $-SO_2$ - C_1 - C_{10} alkyl, $-C(O)\,NHR_9$, heterocycloalkyl, -S- C_1 - C_6 alkyl, -S- C_2 - C_4 alkanoyl, wherein R_9 is aryl C_1 - C_4 alkyl, C_1 - C_6 alkyl, or H;

 R_9 is aryl C_1 - C_4 alkyl, C_1 - C_6 alkyl, or H; R_{50} is H or C_1 - C_6 alkyl;

 R_{51} is selected from the group consisting of aryl C_1 - C_4 alkyl; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, heteroaryl, $-NR_6R_7$, $-C(0)NR_6R_7$, C_3 - C_7 cycloalkyl, or $-C_1$ - C_4 alkoxy;

heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, aryl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1 - C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2 , $NH(C_1-C_6$ alkyl) or $N(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl});$ heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2 , $NH(C_1-C_6$ alkyl) or $N(C_1-C_6)$ alkyl)(C₁-C₆ alkyl); aryl; heterocycloalkyl; C₃-C₈ cycloalkyl; and cycloalkylalkyl; wherein the aryl; heterocycloalkyl, C3-C8 cycloalkyl, and optionally groups are cycloalkylalkyl substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO2, C1-C6 alkyl, C_1 - C_6 alkoxy, C_2 - C_6 alkanoyl, C_1 - C_6 haloalkyl, C_1 - C_6 haloalkoxy, hydroxy, C_1 - C_6 hydroxyalkyl, C_1 - C_6 alkoxy C_1 - C_6 alkyl, C_1 - C_6 thioalkoxy, C_1 - C_6 thioalkoxy C_1 - C_6 alkyl, or C_1 - C_6 alkoxy C_1 - C_6 alkoxy;

is heterocycloalkyl, heteroaryl, aryl, cycloalkyl, $-S(O)_{0-2}-C_1-C_6$ alkyl, CO_2H , $-C(O)NH_2$, -C(O)NH(alkyl), -C(O)N(alkyl) (alkyl), $-CO_2-C_1-C_6$ alkyl, $-N(alkyl)S(O)_{0-2}-C_1-C_6$ alkyl, $-S(O)_{0-2}-heteroaryl$, $-S(O)_{0-2}-aryl$, -N(alkyl), -N(alkyl), (arylalkyl), thioalkoxy, or alkoxy, each of which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, thioalkoxy, halogen, haloalkyl, haloalkoxy,

- alkanoyl, NO_2 , CN, alkoxycarbonyl, or aminocarbonyl;
- $R_{53} \text{ is absent, -O-, -C(O)-, -NH-, -N(alkyl)-, -NH-} \\ S(O)_{0-2}\text{-, -N(alkyl)-S(O)}_{0-2}\text{-, -S(O)}_{0-2}\text{-NH-, -S(O)}_{0-2}$ $_{2}\text{- N(alkyl)-, -NH-C(S)-, or -N(alkyl)-C(S)-;}$
- R₅₄ is heteroaryl, aryl, arylalkyl, heterocycloalkyl, CO₂H, -CO₂-alkyl, -C(O)NH(alkyl), -C(O)N(alkyl) (alkyl), -C(O)NH₂, C₁-C₈ alkyl, OH, aryloxy, alkoxy, arylalkoxy, NH₂, NH(alkyl), N(alkyl) (alkyl), or -C₁-C₆ alkyl-CO₂-C₁-C₆ alkyl, each of which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, CO₂H, -CO₂-alkyl, thioalkoxy, halogen, haloalkyl, haloalkoxy, hydroxyalkyl, alkanoyl, NO₂, CN, alkoxycarbonyl, or aminocarbonyl;
- X is selected from the group consisting of $-C_1-C_6$ alkylidenyl optionally optionally substituted with 1, 2, or 3 methyl groups; and $-NR_{4-6}-$; or R_4 and R_{4-6} combine to form $-(CH_2)_{n10}-$, wherein n_{10} is 1, 2, 3, or 4;
- Z is selected from the group consisting of a bond; SO_2 ; SO_2 ; SO_3 ; and C(O);
- Y is selected from the group consisting of H; C₁-C₄ haloalkyl; C₅-C₆ heterocycloalkyl; C₆-C₁₀ aryl; OH; -N(Y₁)(Y₂); C₁-C₁₀ alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C₃-C₈ cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C₁-C₃ alkyl, and halogen; alkoxy; aryl optionally substituted with halogen, alkyl, alkoxy, CN or NO₂;

arylalkyl optionally substituted with halogen, alkyl, alkoxy, CN or NO2; wherein

- Y_1 and Y_2 are the same or different and are H; C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1 - C_4 alkoxy, C_3 - C_8 cycloalkyl, and OH; C_2 - C_6 alkenyl; C_2 - C_6 alkanoyl; phenyl; - SO_2 - C_1 - C_4 alkyl; phenyl C_1 - C_4 alkyl; or C_3 - C_8 cycloalkyl C_1 - C_4 alkyl; or
- Y_1 , Y_2 and the nitrogen to which they are attached form a ring selected from the group consisting of piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, or halogen;
- R_1 is $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6 \text{ alkyl})$, or
 - C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, OH, =0, -SH, -C \equiv N, -CF $_3$, -C $_1$ -C $_3$ alkoxy, amino, monoor dialkylamino, -N(R)C(O)R'-, -OC(\equiv O)-amino and -OC(\equiv O)-mono- or dialkylamino, or
 - C_2 - C_6 alkenyl or C_2 - C_6 alkynyl, each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C=N, -CF₃, C_1 - C_3 alkoxy, amino, and mono- or dialkylamino, or
 - aryl, heteroaryl, heterocyclyl, $-C_1-C_6$ alkyl-aryl, $-C_1-C_6$ alkyl-heteroaryl, or $-C_1-C_6$ alkylheterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, $-C\equiv N$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', or

-N(R)SO₂R', -C(=O)-(C₁-C₄) alkyl, -SO₂-amino, -SO₂-mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, -SO₂-(C₁-C₄) alkyl, or -C₁-C₆ alkoxy optionally substituted with 1, 2, or 3 groups which are independently a halogen, or

- C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1-C_3 alkoxy, amino, - C_1-C_6 alkyl and mono- or dialkylamino, or
- C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, - C_1 - C_3 alkoxy, amino, mono- or dialkylamino and - C_1 - C_3 alkyl, or
- C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, C_1 - C_6 alkyl and mono- or dialkylamino; and
- the heterocyclyl group is optionally further substituted with oxo;

R and R' independently are hydrogen or C_1-C_{10} alkyl; R_2 is selected from the group consisting of H; C_1-C_6 alkyl, optionally substituted with 1, 2, or 3 substituents that are independently selected from the group consisting of C_1-C_3 alkyl, halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1-C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$; wherein R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl;

-(CH₂)₀₋₄-aryl; -(CH₂)₀₋₄-heteroaryl; C₂-C₆ alkenyl; C₂-C₆ alkynyl; -CONR_{N-2}R_{N-3}; -SO₂NR_{N-2}R_{N-3}; -CO₂H; and -CO₂-(C₁-C₄ alkyl);

- R₃ is selected from the group consisting of H; C₁-C₆ alkyl, optionally substituted with 1, 2, or 3 substituents independently selected from the group consisting of C₁-C₃ alkyl, halogen, -OH, -SH, -C \equiv N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b}; -(CH₂)₀₋₄-aryl; -(CH₂)₀₋₄-heteroaryl; C₂-C₆ alkenyl; C₂-C₆ alkynyl; -CO-NR_{N-2}R_{N-3}; -SO₂-NR_{N-2}R_{N-3}; -CO₂H; and CO-O-(C₁-C₄ alkyl); or
- R_2 , R_3 and the carbon to which they are attached form a carbocycle of three thru seven carbon atoms, wherein one carbon atom is optionally replaced by a group selected from-O-, -S-, -SO₂-, or -NR_{N-2}-;
- R_{C} is selected from the group consisting of $\text{C}_{\text{1}}\text{-}\text{C}_{\text{10}}$ alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205} , $-OC=ONR_{235}R_{240}$, $-S(=O)_{0-2}(C_1-C_6 \text{ alkyl})$, -SH, $-{\rm NR_{235}C=ONR_{235}R_{240}}\,,\quad -{\rm C=ONR_{235}R_{240}}\,,\quad {\rm and}\quad -{\rm S}\;(={\rm O})\;_2{\rm NR_{235}R_{240}}\,;$ -(CH $_2$) $_{0\text{--}3\text{--}}$ (C $_3\text{--}C_8$) cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205} , $-CO_2H$, and $-CO_2-(C_1-C_4 \text{ alkyl})$; $-(CR_{245}R_{250})_{0-4}-\text{aryl}$; - $(CR_{245}R_{250})_{0-4}$ -heteroaryl; - $(CR_{245}R_{250})_{0-4}$ heterocycloalkyl; -(CR₂₄₅R₂₅₀)₀₋₄-aryl-heteroaryl; - $(CR_{245}R_{250})_{0-4}$ -aryl-heterocycloalkyl; $-(CR_{245}R_{250})_{0-4}$ aryl-aryl; $-(CR_{245}R_{250})_{0-4}$ -heteroaryl-aryl; $-(CR_{245}R_{250})_{0-4}$ $_4$ -heteroaryl-heterocycloalkyl; -(CR $_{245}$ R $_{250}$) $_{0-4}$ heteroaryl-heteroaryl; -(CR₂₄₅R₂₅₀)₀₋₄heterocycloalkyl-heteroaryl; -(CR₂₄₅R₂₅₀)₀₋₄heterocycloalkyl-heterocycloalkyl; -(CR245R250)0-4-

heterocycloalkyl-aryl; -[C(R_{255})(R_{260})]₁₋₃-CO-N-(R_{255})₂;

-CH(aryl)₂; -CH(heteroaryl)₂; -CH(heterocycloalkyl)₂; -CH(aryl) (heteroaryl); cyclopentyl, cyclohexyl, or cycloheptyl ring fused to aryl, heteroaryl, or heterocycloalkyl wherein one carbon of the cyclopentyl, cyclohexyl, or cycloheptyl is optionally replaced with NH, NR_{215} , O, or $S(=0)_{0-2}$, and wherein the cyclopentyl, cyclohexyl, or cycloheptyl group can be optionally substituted with 1 or 2 groups that are independently R205 or =0; -CO- $NR_{235}R_{240}$; $-SO_2$ -(C_1 - C_4 alkyl); C_2 - C_{10} alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2 - C_{10} alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; $-(CH_2)_{0-1}-CH((CH_2)_{0-6}-OH)-(CH_2)_{0-1}-aryl; -(CH_2)_{0-1}$ $_1$ -CHR $_{C-6}$ -(CH $_2$) $_{0-1}$ -heteroaryl; -CH(-aryl or -heteroaryl) -CO-O(C_1 - C_4 alkyl); -CH(-CH₂-OH) -CH(OH) phenyl-NO₂; $(C_1-C_6 \text{ alkyl})$ -O- $(C_1-C_6 \text{ alkyl})$ -OH; -CH₂-NH- $CH_2-CH(-O-CH_2-CH_3)_2$; -H; and -(CH₂)₀₋₆- $C(=NR_{235})(NR_{235}R_{240});$ wherein each aryl is optionally substituted with 1, 2, or 3 R₂₀₀; each heteroaryl is optionally substituted with 1, 2, 3, or $4 R_{200}$; each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} ; at each occurrence is independently selected R_{200} group consisting of C₁-C₆ alkyl from the

R₂₀₀ at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; OH; -NO₂; halogen; -CO₂H; C \equiv N; -(CH₂)₀₋₄-CO-NR₂₂₀R₂₂₅; -(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl); -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkenyl); -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkynyl); -(CH₂)₀₋₄-CO-(C₃-C₇ cycloalkyl); -(CH₂)₀₋₄-CO-aryl; -(CH₂)₀₋₄-CO-heteroaryl; -(CH₂)₀₋₄-CO-

heterocycloalkyl; - $(CH_2)_{0-4}$ - CO_2R_{215} ; -(CH₂)₀₋₄-SO₂- $NR_{220}R_{225}$; -(CH₂)₀₋₄-SO-(C₁-C₈ alkyl); -(CH₂)₀₋₄-SO₂- $(C_1-C_{12} \text{ alkyl}); -(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl}); (CH_2)_{0-4}-N$ (H or R_{215}) $-CO_2R_{215}$; $-(CH_2)_{0-4}-N$ (H or R_{215}) -CO-N(R_{215})₂; -(CH₂)₀₋₄-N-CS-N(R_{215})₂; -(CH₂)₀₋₄-N(-H or R_{215})-CO- R_{220} ; -(CH₂)₀₋₄-NR₂₂₀R₂₂₅; -(CH₂)₀₋₄--(CH₂)₀₋₄-O-P(O)-(OR₂₄₀)₂;alkyl); O-CO- (C1-C6 $-(CH_2)_{0-4}-O-CO-N(R_{215})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{215})_2$; - $(CH_2)_{0-4}-O-(R_{215})_2$; $-(CH_2)_{0-4}-O-(R_{215})_2-COOH$; $-(CH_2)_{0-4}-O-(R_{215})_2$ $_{4}$ -S- $(R_{215})_{2}$; - $(CH_{2})_{0-4}$ -O- $(C_{1}$ - C_{6} alkyl optionally substituted with 1, 2, 3, or 5 -F); C_3-C_7 cycloalkyl; C_2 - C_6 alkenyl optionally substituted with 1 or 2 R_{205} groups; C_2 - C_6 alkynyl optionally substituted with 1 or 2 R_{205} groups; -(CH2) $_{0\text{--}4}\text{-N}\,(H$ or R_{215}) -SO₂- R_{220} ; and -(CH₂)₀₋₄- C₃-C₇ cycloalkyl; wherein each aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently $R_{205},\ R_{210}$ or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ; wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R₂₁₀;

wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} , R_{210} , or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ;

 R_{205} at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C=N, -CF₃, C_1 - C_6

alkoxy, NH_2 , $NH(C_1-C_6$ alkyl), and $N-(C_1-C_6$ alkyl);

R₂₁₀ at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; C_2 - C_6 alkenyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; C_2 - C_6 alkynyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; -NR₂₂₀R₂₂₅; OH; $C \equiv N$; C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; -CO-(C_1 - C_4 alkyl); SO_2 - $NR_{235}R_{240}$; -CO- $NR_{235}R_{240}$; -SO₂- $(C_1$ - C_4 alkyl); and =0; wherein

at each occurrence is independently selected R₂₁₅ from the group consisting of $C_1\text{-}C_6$ alkyl, - $(CH_2)_{0-2}$ -(aryl), C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 and $-(CH_2)_{0-2}$ -(heteroaryl), cycloalkyľ, - $(CH_2)_{0-2}$ - (heterocycloalkyl); wherein the aryl at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R₂₀₅ or R₂₁₀; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210} ; heteroaryl group at wherein each occurrence is optionally substituted with 1, 2, or 3 R₂₁₀;

 R_{220} and R_{225} at each occurrence are independently selected from the group consisting of -H, -C₁-C₆ alkyl, hydroxy C₁-C₆ alkyl, amino C₁-C₆ alkyl; halo C₁-C₆ alkyl; -C₃-C₇ cycloalkyl, -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl), -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl), -C₂-C₆ alkenyl, -C₂-C₆ alkynyl, -C₁-C₆ alkyl chain with one double bond and one triple

bond, -aryl, -heteroaryl, and -heterocycloalkyl; wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 $R_{\rm 270}$ groups, wherein

at each occurrence is independently $R_{205},\ C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, or 3 R_{205} groups; $C_2\text{-}C_6$ alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2 - C_6 alkynyl optionally substituted with 1, 2, or 3 $R_{\rm 205}$ groups; halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; $NR_{235}R_{240}$; OH; C=N; C₃-C₇ cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -CO-(C_1 - C_4 alkyl); $_{-}SO_2.NR_{235}R_{240};$ $_{-}CO-NR_{235}R_{240};$ $_{-}SO_2-(C_1-C_4)$ alkyl); and =0; wherein the heterocycloalkyl optionally at each occurrence is group substituted with 1, 2, or 3 $R_{\rm 205}$ groups; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 $R_{\rm 205}$ groups;

 R_{235} and R_{240} at each occurrence are independently H, or $C_1\text{--}C_6$ alkyl;

 R_{245} and R_{250} at each occurrence are independently selected from the group consisting of H, C_1 - C_4 alkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, $-(CH_2)_{0-4}$ - C_3 - C_7 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, aryl C_1 - C_4 alkyl, heteroaryl C_1 - C_4 alkyl, and phenyl; or

 R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2$ -, and $-NR_{220}$ -;

 R_{255} and R_{260} at each occurrence are independently selected from the group consisting of H; $C_1 - C_6$ alkyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2 - C_6 alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2 - C_6 alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6 \text{ alkyl}); -(CH_2)_{0-4} C_3$ - C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -(C_1 - C_4 alkyl)-aryl; -(C_1 - C_4 alkyl)-- (C₁-C₄ alkyl)-heteroaryl; -aryl; -heteroaryl; heterocycloalkyl; $_{-}(CH_{2})_{1-4}-R_{265}-(CH_{2})_{0-4}-aryl;$ -heterocycloalkyl; - $(CH_2)_{1-4}$ - R_{265} - $(CH_2)_{0-4}$ -heteroaryl; and; - $(CH_2)_{1-4}$ - R_{265} -(CH₂)₀₋₄-heterocycloalkyl; wherein R_{265} at each occurrence is independently -O-, -S- or $-N(C_1-C_6 \text{ alkyl})$ -; each aryl or phenyl is optionally substituted

each aryl or phenyl is optionally substituted with 1, 2, or 3 groups that are independently R_{205} , R_{210} , or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ;

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200} , each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} ;

 R_{100} and R'_{100} independently represent aryl, heteroaryl, -aryl-W-heteroaryl, -aryl-W-aryl, heterocyclyl, -heteroaryl-W-aryl, -aryl-W-heterocyclyl, -heteroaryl-Wheteroaryl-W-heteroaryl, heterocyclyl, -heterocyclyl-W-aryl, -heterocyclyl-Wheteroaryl, -heterocyclyl-W-heterocyclyl, -CH[(CH_2)0--CH [(CH₂) $_{0-2}$ -O-R₁₅₀] - (CH₂) $_{0-2}$ - $_{2}-O-R_{150}$] - (CH₂) $_{0-2}$ -aryl, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$ or heterocyclyl heteroaryl, where the ring portions of each are

optionally substituted with 1, 2, or 3 groups independently selected from

-OR, -NO₂, C_1 -C₆ alkyl, halogen, -C \equiv N, -OCF₃, -CF₃, - $(CH_2)_{0-4}-O-P (=O) (OR) (OR'), -(CH_2)_{0-4}-CO-NR_{105}R'_{105},$ - $(CH_2)_{0-4}$ -O- $(CH_2)_{0-4}$ -CONR₁₀₂R₁₀₂', - $(CH_2)_{0-4}$ -CO- $(C_1$ -C₁₂ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl}), -(CH_2)_{0-4} CO-(C_2-C_{12} \quad alkynyl), \quad -(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7)$ cycloalkyl), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, R_{150} , $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_8)$ alkyl), $-(CH_2)_{0-4}-SO_{2-}(C_1-C_{12} \text{ alkyl})$, $-(CH_2)_{0-4}-SO_{2-}$ $(CH_2)_{0-4}$ - $(C_3$ - C_7 cycloalkyl), - $(CH_2)_{0-4}$ - $N(R_{150})$ - CO - O - $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2,$ $-(CH_2)_{0-4}-$ R₁₅₀, $N(R_{150}) - CS - N(R_{150})_2$, $-(CH_2)_{0-4} - N(R_{150}) - CO - R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO (C_1-C_6 \text{ alkyl})$, $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}$ $_{4}$ -O-CO-N(R₁₅₀) $_{2}$, -(CH $_{2}$) $_{0-4}$ -O-CS-N(R₁₅₀) $_{2}$, -(CH $_{2}$) $_{0-4}$ -O-(R₁₅₀), -(CH₂)₀₋₄-O-R₁₅₀'-COOH, -(CH₂)₀₋₄-S-(R₁₅₀), - (CH₂)₀₋₄- $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $C_3 - C_7$ cycloalkyl, (C_2-C_{10}) alkenyl, and (C₂- C_{10}) alkynyl, or

 R_{100} is $C_1\text{--}C_{10}$ alkyl optionally substituted with 1, 2, or 3 $$R_{115}$$ groups, or

 R_{100} is $-(C_1-C_6$ alkyl)-O- C_1-C_6 alkyl) or $-(C_1-C_6$ alkyl)-S- $(C_1-C_6$ alkyl), each of which is optionally substituted with 1, 2, or 3 R_{115} groups, or

 R_{100} is $C_3\text{-}C_8$ cycloalkyl optionally substituted with 1, 2, or 3 R_{115} groups;

W is $-(CH_2)_{0-4}$, -O-, $-S(O)_{0-2}$ -, $-N(R_{135})$ -, -CR(OH)- or -C(O)-;

 R_{102} and $R_{102}{}^{\prime}$ independently are hydrogen, or

 C_{1} - C_{10} alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, aryl or - R_{110} ;

- R_{105} and $R^\prime{}_{105}$ independently represent -H, -R $_{110}$, -R $_{120}$, C_3 -C $_7$ cycloalkyl, -(C $_1$ -C $_2$ alkyl)-(C $_3$ -C $_7$ cycloalkyl), -(C $_1$ -C $_6$ alkyl)-O-(C $_1$ -C $_3$ alkyl), C $_2$ -C $_6$ alkenyl, C $_2$ -C $_6$ alkynyl, or C $_1$ -C $_6$ alkyl chain with one double bond and one triple bond, or
 - $C_1\text{-}C_6$ alkyl optionally substituted with -OH or -NH $_2$; or,
 - $C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, or
- R_{105} and R'_{105} together with the atom to which they are attached form a 3 to 7 membered carbocylic ring, where one member is optionally a heteratom selected from -O-, $-S(O)_{O-2}-$, $-N(R_{135})-$, the ring being optionally substituted with 1, 2 or 3 independently selected R_{140} groups;
- R_{135} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, $-\left(CH_2\right)_{0-2}-\left(aryl\right)$, $-\left(CH_2\right)_{0-2}-\left(heteroaryl\right)$, or $-\left(CH_2\right)_{0-2}-\left(heterocyclyl\right)$;
- R_{140} is heterocyclyl optionally substituted with 1, 2, 3, or 4 groups independently selected from C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino,

mono (C_1-C_6) alkylamino, di (C_1-C_6) alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino (C_1-C_6) alkyl, mono (C_1-C_6) alkylamino (C_1-C_6) alkyl, di (C_1-C_6) alkylamino (C_1-C_6) alkyl, and =0;

 R_{145} is C_1 - C_6 alkyl or CF_3 ;

- R_{150} is hydrogen, C_3 - C_7 cycloalkyl, $-(C_1$ - C_2 alkyl)- $(C_3$ - C_7 cycloalkyl), C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkyl with one double bond and one triple bond, $-R_{110}$, $-R_{120}$, or
 - $C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH₂, $C_1\text{-}C_3$ alkoxy, R_{110} , and halogen;
- R_{150} ' is C_3-C_7 cycloalkyl, $-(C_1-C_3$ alkyl)- $(C_3-C_7$ cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl with one double bond and one triple bond, $-R_{110}$, $-R_{120}$, or
 - C_1 - C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH₂, C_1 - C_3 alkoxy, R_{110} , and halogen;
- R_{155} is C_3 - C_7 cycloalkyl, $-(C_1$ - C_2 alkyl)- $(C_3$ - C_7 cycloalkyl), C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkyl with one double bond and one triple bond, $-R_{110}$, $-R_{120}$, or C_1 - C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH₂, C_1 - C_3 alkoxy, and halogen;
- morpholinyl, thiomorpholinyl, selected from is R₁₈₀ homomorpholinyl, piperidinyl, piperazinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, pyrrolinyl homothiomorpholinyl S,S-dioxide, optionally is which of pyrrolidinyl, each substituted with 1, 2, 3, or 4 groups independently selected from C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen,

hydroxy, cyano, nitro, amino, mono (C_1-C_6) alkylamino, di (C_1-C_6) alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino (C_1-C_6) alkyl, mono (C_1-C_6) alkylamino (C_1-C_6) alkyl, di (C_1-C_6) alkylamino (C_1-C_6) alkyl, and =0;

 R_{110} is aryl optionally substituted with 1 or 2 R_{125} groups;

 R_{125} at each occurrence is independently halogen, amino, mono- or dialkylamino, -OH, -C=N, -SO_2-NH_2, -SO_2-NH- $C_1-C_6 \text{ alkyl}, -SO_2-N(C_1-C_6 \text{ alkyl})_2, -SO_2-(C_1-C_4 \text{ alkyl}), \\ -CO-NH_2, -CO-NH-C_1-C_6 \text{ alkyl}, \text{ or } -CO-N(C_1-C_6 \text{ alkyl})_2, \\ \text{or}$

C₁-C₆ alkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl, each of
 which is optionally substituted with 1, 2, or 3
 groups that are independently selected from C₁ C₃ alkyl, halogen, -OH, -SH, -C≡N, -CF₃, C₁-C₃
 alkoxy, amino, and mono- and dialkylamino, or

 $C_1\text{-}C_6$ alkoxy optionally substituted with one, two or three of halogen;

 R_{120} is heteroaryl, which is optionally substituted with 1 or 2 R_{125} groups; and

 $R_{\rm 130}$ is heterocyclyl optionally substituted with 1 or 2 $$R_{\rm 125}$$ groups.

The invention also provides compounds of the formula I:

and pharmaceutically acceptable salts thereof, wherein R_1 , R_2 , R_3 , and R_C are as defined for formula (AA), and

$$\begin{array}{c} \text{R}_N \text{ is } -\text{C}(=\text{O}) - (\text{CRR'})_{0-6} R_{100}, \ -\text{C}(=\text{O}) - (\text{CRR'})_{1-6} - \text{O} - \text{R'}_{100}, \ -\text{C}(=\text{O}) - (\text{CRR'})_{1-6} - \text{C}(=\text{O}) - \text{R'}_{100}, \\ \text{(CRR'})_{1-6} - \text{S} - \text{R'}_{100}, \ -\text{C}(=\text{O}) - (\text{CRR'})_{1-6} - \text{C}(=\text{O}) - \text{R}_{100}, \\ -\text{C}(=\text{O}) - (\text{CRR'})_{1-6} - \text{SO}_2 - \text{R}_{100}, \ -\text{C}(=\text{O}) - (\text{CRR'})_{1-6} - \text{NR}_{100} - \text{R'}_{100}, \\ \text{or} \\ \text{R}_N \text{ is } \\ \text{Y} \stackrel{\text{Z}}{\times} \\ \begin{array}{c} \text{(CH}_2)_{n7} & \text{CHC}(\text{O}) - \text{R'}_4 \\ \text{R}_4 \end{array}$$

wherein

> n₆ is 0, 1, 2, or 3; n₇ is 0, 1, 2, or 3;

 R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_8 \text{ alkyl})$, $-SO_-(C_1-C_8 \text{ alkyl})$, $-S-(C_1-C_8 \text{ alkyl})$, $-S-(C_1-C_8 \text{ alkyl})$, $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2 \text{ alkyl}$; $-CO-NR_{4-3}R_{4-4}$;

 R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

 R_{4-4} is alkyl, arylalkyl, alkanoyl, or arylalkanoyl; R_{4-6} is-H or C_1 - C_6 alkyl;

 R_5 is selected from the group consisting of C_3 - C_7 cycloalkyl; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1 - C_4 alkoxy, C_5 - C_6

heterocycloalkyl, C5-C6 heteroaryl, C6-C10 aryl, C_3-C_7 cycloalkyl C_1-C_4 alkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, C_6-C_{10} aryloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, haloalkyl, or OH; heterocycloalkyl C1-C4 optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, or C_2 - C_4 alkanoyl; aryl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1 - C_4 haloalkyl; and -NR₆R₇; wherein $\ensuremath{\text{R}_{\text{6}}}$ and $\ensuremath{\text{R}_{\text{7}}}$ are independently selected from the group consisting of H, C1-C6 alkyl, C2-C6 alkanoyl, phenyl, $-SO_2-C_1-C_4$ alkyl, phenyl C_1-C_4 alkyl;

R₈ is selected from the group consisting of $-SO_2$ -heteroaryl, $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, $-SO_2-C_1-C_{10} \text{ alkyl, } -C(0)\,\text{NHR}_9, \text{ heterocycloalkyl,}$ $-S-C_1-C_6 \text{ alkyl, } -S-C_2-C_4 \text{ alkanoyl, wherein}$ $R_9 \text{ is aryl } C_1-C_4 \text{ alkyl, } C_1-C_6 \text{ alkyl, or H;}$ $R_{50} \text{ is H or } C_1-C_6 \text{ alkyl;}$

 R_{51} is selected from the group consisting of aryl C_1 - C_4 alkyl; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, heteroaryl, $-NR_6R_7$, $-C(0)NR_6R_7$, C_3 - C_7 cycloalkyl, or $-C_1$ - C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_2 - C_4 alkanoyl, aryl C_1 - C_4 alkyl, and $-SO_2$ C_1 - C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or

3 groups that are independently OH, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, NH_2 , $NH(C_1$ - C_6 alkyl) or $N(C_1-C_6$ alkyl)(C_1-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, NH_{2} , $NH(C_1-C_6$ alkyl) or $N(C_1-C_6)$ halogen, alkyl)(C_1 - C_6 alkyl); aryl; heterocycloalkyl; C_3 - C_8 cycloalkyl; and cycloalkylalkyl; wherein the aryl; heterocycloalkyl, C_3 - C_8 cycloalkyl, and optionally groups are cycloalkylalkyl substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO2, C1-C6 alkyl, C_1 - C_6 alkoxy, C_2 - C_6 alkanoyl, C_1 - C_6 haloalkyl, C_1 - C_6 haloalkoxy, hydroxy, C_1 - C_6 hydroxyalkyl, C_1 - C_6 alkoxy C_1 - C_6 alkyl, C_1 - C_6 thioalkoxy, C_1 - C_6 thioalkoxy $C_1\text{-}C_6$ alkyl, or $C_1\text{-}C_6$ alkoxy $C_1\text{-}C_6$ alkoxy;

heteroaryl, aryl, is heterocycloalkyl, R_{52} cycloalkyl, $-S(0)_{0-2}-C_1-C_6$ alkyl, CO_2H , $-C(0)NH_2$, -C(0)NH(alkyl), -C(0)N(alkyl)(alkyl), $-CO_2$ alkyl, -NHS(0) $_{0-2}$ -C $_1$ -C $_6$ alkyl, -N(alkyl)S(0) $_{0-2}$ - C_1-C_6 alkyl, $-S(0)_{0-2}$ -heteroaryl, $-S(0)_{0-2}$ -aryl, -N(alkyl)(arylalkyl), -NH(arylalkyl), thioalkoxy, or alkoxy, each of which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, thioalkoxy, halogen, haloalkyl, haloalkoxy, alkoxycarbonyl, CN, alkanoyl, NO2, aminocarbonyl;

R₅₄ is heteroaryl, aryl, arylalkyl, heterocycloalkyl, CO_2H , $-CO_2$ -alkyl, -C(O)NH(alkyl), -C(O)N(alkyl) (alkyl), $-C(O)NH_2$, C_1 -C₈ alkyl, OH, aryloxy, alkoxy, arylalkoxy, NH₂, NH(alkyl), N(alkyl) (alkyl), or $-C_1$ -C₆ alkyl- $-CO_2$ -C₁-C₆ alkyl, each of which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, $-CO_2$ -alkyl, thioalkoxy, halogen, haloalkyl, haloalkoxy, hydroxyalkyl, alkanoyl, $-CO_2$ -alkoyl, or aminocarbonyl;

- X is selected from the group consisting of $-C_1-C_6$ alkylidenyl optionally optionally substituted with 1, 2, or 3 methyl groups; and $-NR_{4-6}-$; or R_4 and R_{4-6} combine to form $-(CH_2)_{n10}-$, wherein n_{10} is 1, 2, 3, or 4;
- Z is selected from the group consisting of a bond; SO_2 ; SO_2 ; SO_3 ; and C(O);
- Y is selected from the group consisting of H; C_1 - C_4 haloalkyl; C_5 - C_6 heterocycloalkyl; C_6 - C_{10} aryl; OH; $-N(Y_1)(Y_2)$; C_1 - C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3 - C_6 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1 - C_3 alkyl, and halogen; alkoxy; aryl optionally substituted with halogen, alkyl, alkoxy, CN or NO_2 ; arylalkyl optionally substituted with halogen, alkyl, alkoxy, CN or NO_2 ; alkyl, alkoxy, CN or NO_2 ; wherein
 - Y_1 and Y_2 are the same or different and are H; C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1 - C_4 alkoxy, C_3 - C_8 cycloalkyl, and

OH; C_2 - C_6 alkenyl; C_2 - C_6 alkanoyl; phenyl; -SO₂- C_1 - C_4 alkyl; phenyl C_1 - C_4 alkyl; or C_3 - C_8 cycloalkyl C_1 - C_4 alkyl; or

- Y_1 , Y_2 and the nitrogen to which they are attached form a ring selected from the group consisting of piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy, or halogen;
- R_{100} and R'_{100} independently represent aryl, heteroaryl, -aryl-W-aryl, -aryl-W-heteroaryl, heterocyclyl, -heteroaryl-W-aryl, -aryl-W-heterocyclyl, -heteroaryl-Wheteroaryl-W-heteroaryl, heterocyclyl, -heterocyclyl-W-aryl, -heterocyclyl-Wheteroaryl, -heterocyclyl-W-heterocyclyl, -CH[(CH_2) $_{0-}$ -CH [(CH₂) $_{0-2}$ -O-R₁₅₀] - (CH₂) $_{0-2}$ - $_{2}$ -O-R₁₅₀]-(CH₂) $_{0-2}$ -aryl, -CH [(CH₂) $_{0-2}$ -O-R₁₅₀] - (CH₂) $_{0-2}$ or heterocyclyl heteroaryl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from
 - -OR, -NO₂, C₁-C₆ alkyl, halogen, -C \equiv N, -OCF₃, -CF₃, (CH₂)₀₋₄-O-P(\equiv O) (OR) (OR'), (CH₂)₀₋₄-CO-NR₁₀₅R'₁₀₅, (CH₂)₀₋₄-O-(CH₂)₀₋₄-CONR₁₀₂R₁₀₂', (CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl), (CH₂)₀₋₄-CO-(C₂-C₁₂ alkenyl), (CH₂)₀₋₄-CO-(CH₂)₀₋₄-CO-(CH₂)₀₋₄-CO-(CH₂)₀₋₄-CO-(CH₂)₀₋₄-CO-(CH₂)₀₋₄-CO-(CH₂)₀₋₄-CO-(CH₂)₀₋₄-CO-R₁₂₀, (CH₂)₀₋₄-R₁₃₀, (CH₂)₀₋₄-CO-R₁₁₀, (CH₂)₀₋₄-CO-R₁₂₀, (CH₂)₀₋₄-CO-R₁₃₀, (CH₂)₀₋₄-CO-R₁₄₀, (CH₂)₀₋₄-CO-O-CR₁₅₀, (CH₂)₀₋₄-SO₂-NR₁₀₅R'₁₀₅, (CH₂)₀₋₄-SO-(C₁-C₈ alkyl), (CH₂)₀₋₄-SO₂-(C₁-C₁₂ alkyl), (CH₂)₀₋₄-SO₂-(CH₂)₀₋₄-CO-O-CR₁₅₀, (CH₂)₀₋₄-CO-O-CR₁₅₀, (CH₂)₀₋₄-CO-O-CO-CR₁₅₀, (CH₂)₀₋₄-CO-CO-CR₁₅₀, (CH₂)₀₋₄-CO-CC-CR₁₅₀, (CH₂)₀₋₄-CO-CC-CR₁₅₀, (CH₂)₀₋₄-CO-CC-CR₁₅₀, (CH₂)₀₋₄-CO-CC-CR

$$\begin{split} &N\left(R_{150}\right) - CS - N\left(R_{150}\right)_{2}, &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - CO - R_{105}, \\ &-\left(CH_{2}\right)_{0-4} - NR_{105}R'_{105}, &-\left(CH_{2}\right)_{0-4} - R_{140}, &-\left(CH_{2}\right)_{0-4} - O - CO - \\ &\left(C_{1} - C_{6} \text{ alkyl}\right), &-\left(CH_{2}\right)_{0-4} - O - P\left(O\right) - \left(O - R_{110}\right)_{2}, &-\left(CH_{2}\right)_{0-4} - O - CO - N\left(R_{150}\right)_{2}, &-\left(CH_{2}\right)_{0-4} - O - CS - N\left(R_{150}\right)_{2}, &-\left(CH_{2}\right)_{0-4} - O - \left(R_{150}\right), &-\left(CH_{2}\right)_{0-4} - O - R_{150}' - COOH, &-\left(CH_{2}\right)_{0-4} - S - \left(R_{150}\right), \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - C_{7} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - C_{7} - C_{7}$$

- R_{100} is $C_1\text{--}C_{10}$ alkyl optionally substituted with 1, 2, or 3 $$R_{115}$$ groups, or
- $R_{100} \mbox{ is } -(C_1-C_6 \mbox{ alkyl})-O-C_1-C_6 \mbox{ alkyl}) \mbox{ or } -(C_1-C_6 \mbox{ alkyl})-S (C_1-C_6 \mbox{ alkyl}) \mbox{ , each of which is optionally}$ substituted with 1, 2, or 3 R_{115} groups, or
- R_{100} is C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 R_{115} groups;
- W is $-(CH_2)_{0-4}$, -O, $-S(O)_{0-2}$, $-N(R_{135})$ -, -CR(OH)- or -C(O)-;
- R_{102} and R_{102} ' independently are hydrogen, or $C_1\text{-}C_{10} \text{ alkyl optionally substituted with 1, 2, or 3}$ groups that are independently halogen, aryl or $-R_{110}$;
- R_{105} and R'_{105} independently represent -H, $-R_{110}$, $-R_{120}$, C_3 - C_7 cycloalkyl, $-(C_1$ - C_2 alkyl)- $(C_3$ - C_7 cycloalkyl), $-(C_1$ - C_6 alkyl)-O- $(C_1$ - C_3 alkyl), C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, or C_1 - C_6 alkyl chain with one double bond and one triple bond, or
 - $C_1\text{-}C_6$ alkyl optionally substituted with -OH or -NH $_2$; or,
 - $C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, or
- R_{105} and R'_{105} together with the atom to which they are attached form a 3 to 7 membered carbocylic ring, where one member is optionally a heteratom selected

from -O-, -S(O) $_{0-2}$ -, -N(R $_{135}$)-, the ring being optionally substituted with 1, 2 or 3 independently selected R $_{140}$ groups;

- R₁₄₀ is heterocyclyl optionally substituted with 1, 2, 3, or 4 groups independently selected from C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino, mono(C_1 - C_6) alkylamino, di(C_1 - C_6) alkylamino, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 haloalkyl, C_1 - C_6 haloalkoxy, amino(C_1 - C_6) alkyl, mono(C_1 - C_6) alkylamino(C_1 - C_6) alkyl, and =0;
- R_{145} is C_1 - C_6 alkyl or CF_3 ;
- R_{150} is hydrogen, C_3-C_7 cycloalkyl, $-(C_1-C_2\ alkyl)-(C_3-C_7\ cycloalkyl), <math display="inline">C_2-C_6\ alkenyl,$ $C_2-C_6\ alkynyl,$ $C_1-C_6\ alkyl\ with one double bond and one triple bond, <math display="inline">-R_{110}$, $-R_{120}$, or
 - C_1 - C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH₂, C_1 - C_3 alkoxy, R_{110} , and halogen;
- R_{150} ' is C_3-C_7 cycloalkyl, $-(C_1-C_3$ alkyl)- $(C_3-C_7$ cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl

with one double bond and one triple bond, $-R_{110}$, $-R_{120}$, or

- C_1 - C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH₂, C_1 - C_3 alkoxy, R_{110} , and halogen;
- R₁₅₅ is C_3 - C_7 cycloalkyl, $-(C_1$ - C_2 alkyl)- $(C_3$ - C_7 cycloalkyl), C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkyl with one double bond and one triple bond, $-R_{110}$, $-R_{120}$, or C_1 - C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH₂, C_1 - C_3 alkoxy, and halogen;
- selected from morpholinyl, thiomorpholinyl, R₁₈₀ is homomorpholinyl, piperidinyl, piperazinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl optionally each of which is pyrrolidinyl, substituted with 1, 2, 3, or 4 groups independently selected from C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino, mono(C_1 - C_6) alkylamino, $di(C_1-C_6)$ alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, $C_1 C_6$ haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono (C_1-C_6) alkylamino (C_1-C_6) alkyl, $di(C_1 C_6$) alkylamino (C_1-C_6) alkyl, and =0;
- R_{110} is aryl optionally substituted with 1 or 2 R_{125} groups;
- R_{125} at each occurrence is independently halogen, amino, mono- or dialkylamino, -OH, -C \equiv N, -SO₂-NH₂, -SO₂-NH-C₁-C₆ alkyl, -SO₂-N(C₁-C₆ alkyl)₂, -SO₂-(C₁-C₄ alkyl), -CO-NH₂, -CO-NH-C₁-C₆ alkyl, or -CO-N(C₁-C₆ alkyl)₂, or
 - $C_1\text{-}C_6$ alkyl, $C_2\text{-}C_6$ alkenyl or $C_2\text{-}C_6$ alkynyl, each of which is optionally substituted with 1, 2, or 3 groups that are independently selected from $C_1\text{-}$

 C_3 alkyl, halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 -C $_3$ alkoxy, amino, and mono- and dialkylamino, or C_1 -C $_6$ alkoxy optionally substituted with one, two or three of halogen;

 R_{120} is heteroaryl, which is optionally substituted with 1 or 2 R_{125} groups; and

 R_{130} is heterocyclyl optionally substituted with 1 or 2 $$R_{125}$$ groups.

The invention also provides compounds of the formula \boldsymbol{X} :

$$R_N \longrightarrow H$$
 $R_1 \longrightarrow R_2 \longrightarrow R_3$
 (X)

and pharmaceutically acceptable salts thereof, wherein $R_1, \ R_2, \ R_3, \ R_N$ and R_C are as defined for formula (I).

The invention also provides methods of generating compounds of formula (Y) from the compounds of formulae (AA), (I) or (X):

$$R_N$$
 H
 R_1
 R_2
 R_3
 R_3

(Y)

wherein R_1 , R_2 , R_3 , R_N and R_C are as defined for formula (I). The generation of compounds of formula (Y) from compounds of formulae (AA), (I) or (X) can occur in vivo or in vitro. Compounds of formula Y are useful for treating and/or preventing Alzheimer's disease.

The invention also provides processes for converting compounds of formula AA, I or X to the compounds of

formula Y. The conversion and/or generation of compounds of formula Y involves contacting the compounds of formula I and/or X with an aqueous medium. The conversion can occur in vitro or in vivo.

methods for the invention also provides The treatment or prevention of Alzheimer's disease, cognitive impairment Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral degenerative other angiopathy, amyloid dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy Alzheimer's compriseing disease type of body administration of a therapeutically effective amount of a compound or salt of formula AA, I or X, to a patient in need thereof.

Preferably, the patient is a human.

More preferably, the disease is Alzheimer's disease.

More preferably, the disease is dementia.

The invention also provides pharmaceutical compositions comprising a compound or salt of formula AA, I or X and at least one pharmaceutically acceptable carrier, solvent, adjuvant or diluent.

The invention also provides the use of a compound or salt according to formula AA, I or X for the manufacture of a medicament.

The invention also provides the use of a compound or salt of formula (AA), formula (I) or formula (X) for the treatment or prevention of Alzheimer's disease, mild cognitive impairment Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, other degenerative dementias,

dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease.

provides compounds, also invention The pharmaceutical compositions, kits, and methods inhibiting beta-secretase-mediated cleavage of amyloid particularly, More (APP). precursor protein compounds, compositions, and methods of the invention are effective to inhibit the production of A-beta peptide and to treat or prevent any human or veterinary disease or condition associated with a pathological form of A-beta peptide.

The invention also provides methods of preparing the compounds of the invention and the intermediates used in those methods.

The compounds, compositions, and methods of the invention are useful for treating humans who Alzheimer's Disease (AD), for helping prevent or delay for treating patients with AD, the onset of cognitive impairment (MCI), and preventing or delaying the onset of AD in those patients who would otherwise be expected to progress from MCI to AD, for treating Down's for treating Hereditary Cerebral Hemorrhage syndrome, with Amyloidosis of the Dutch Type, for treating cerebral beta-amyloid angiopathy and preventing its potential recurrent single and as consequences such hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, for treating dementia associated with Parkinson's with progressive associated dementia supranuclear palsy, dementia associated with cortical

basal degeneration, and diffuse Lewy body type AD, and for treating frontotemporal dementias with parkinsonism (FTDP).

The compounds of formula Y possess beta-secretase inhibitory activity. The inhibitory activities of the compounds of the invention is readily demonstrated, for example, using one or more of the assays described herein or known in the art.

Unless the substituents for a particular formula are expressly defined for that formula, they are understood to carry the definitions set forth in connection with the preceding formula to which the particular formula makes reference.

DETAILED DESCRIPTION OF THE INVENTION

As noted above, the invention provides compounds of formulae (AA), (I) and (X) that are useful in the treatment and prevention of Alzheimer's disease. These compounds can be viewed as prodrugs of the active compounds of Formula Y since they generate the active compound both in vivo and in vitro.

The compounds of formula AA, I and X undergo acyl group migration of the R_N group when in contact with water, as depicted in Scheme I. The migration associated with compounds of formula (I) is referred to herein as "N-acyl migration." The migration associated with compounds of formula (X) is referred to herein as "O-acyl migration."

The migrations depicted in SCHEME 1 can occur either in vitro or in vivo and occur when the compounds are contacted with aqueous media, including water itself. The aqueous medium can be neutral, acidic or basic. It is preferred that the media have a pH of about 2 to about 10, more preferably, about 3 to about 7. The amount of water required for the migration is not critical. A catalytic amount of aqueous media will suffice to cause the migration. Aqueous buffer solutions as well as gastric fluid are satisfactory media for the migration to occur.

The products of the rearrangements of the compounds of formula AA, formula I and/or formula X are the compounds of formula (Y). The substituents R_1 , R_2 , R_3 , R_N and R_C in the compounds (Y) are as defined above for compounds of formula (I).

SCHEME 1

Preferred compounds of formula AA include those of formula AA-1, i.e., compounds of formula AA wherein R_1 is aryl, heteroaryl, heterocyclyl, $-C_1$ - C_6 alkyl-aryl, $-C_1$ - C_6 alkyl-heteroaryl, or $-C_1$ - C_6 alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, $-C\equiv N$, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, -C(=O)- $(C_1$ - $C_4)$ alkyl, $-SO_2$ -amino, $-SO_2$ -mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, $-SO_2$ - $(C_1$ - $C_4)$ alkyl, or

 $C_1\text{-}C_6$ alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

 C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, - C_1 - C_6 alkyl and mono- or dialkylamino, or

 C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, -C $_1$ -C $_3$ alkoxy, amino, mono- or dialkylamino and -C $_1$ -C $_3$ alkyl, or

 C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, C_1 - C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula AA-1 also include those wherein

- R₁ is $-C_1-C_6$ alkyl-aryl, $-C_1-C_6$ alkyl-heteroaryl, or $-C_1-C_6$ alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, $-C\equiv N$, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, $-C(=O)-(C_1-C_4)$ alkyl, $-SO_2$ -amino, $-SO_2$ -mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, $-SO_2-(C_1-C_4)$ alkyl, or
 - C_1 - C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or
 - C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, - C_1 - C_6 alkyl and mono- or dialkylamino, or

 C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, -C $_1$ -C $_3$ alkoxy, amino, mono- or dialkylamino and -C $_1$ -C $_3$ alkyl, or

 C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, C_1 - C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula AA-1 further include those wherein

- R₁ is $-(CH_2)$ -aryl, $-(CH_2)$ -heteroaryl, or $-(CH_2)$ -heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, $-CH_1$, $-CH_2$, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, $-NC_1$, or -N(R)COR', or $-N(R)SO_2R'$, $-C(-CH_1)CC_1$ alkyl, $-SO_2$ -amino, $-SO_2$ -mono or dialkylamino, $-C(-CH_1)$ alkyl, or
 - $C_1\text{-}C_6$ alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or
 - C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, - C_1 - C_6 alkyl and mono- or dialkylamino, or

 C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, -C $_1$ -C $_3$ alkoxy, amino, mono- or dialkylamino and -C $_1$ -C $_3$ alkyl, or

 C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula AA-1 also include those wherein

R₁ is -CH₂-phenyl or -CH₂-pyridinyl where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C₁-C₄ alkoxy, hydroxy, -NO₂, and

 C_1-C_4 alkyl optionally substituted with 1, 2, or 3 substituents independently selected from halogen, OH, SH, NH₂, NH(C_1-C_6 alkyl), N-(C_1-C_6 alkyl), $C\equiv N$, CF_3 .

Preferred compounds of formula AA-1 further include those wherein

 R_1 is -CH₂-phenyl or -CH₂-pyridinyl where the phenyl or pyridinyl rings are each optionally substituted with 1 or 2 groups independently selected from halogen, C_1 - C_2 alkyl, C_1 - C_2 alkoxy, hydroxy, -CF₃, and -NO₂.

Preferred compounds of formula AA-1 include those wherein

 R_1 is $-CH_2$ -phenyl where the phenyl ring is optionally substituted with 2 groups independently selected

from halogen, C_1 - C_2 alkyl, C_1 - C_2 alkoxy, hydroxy, and $-NO_2$.

Preferred compounds of formula AA-1 also include those wherein R_1 is benzyl, or 3,5-difluorobenzyl.

Preferred compounds of formula AA and AA-1 include those of formula AA-2, i.e., compounds of formula AA or AA-1 wherein

 R_2 and R_3 are independently selected from H or C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of C_1 - C_3 alkyl, halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_1$ - $_a$ R $_1$ - $_b$.

Preferred compounds of formula AA-2 include those wherein

 R_{C} is selected from the group consisting of $\text{C}_{\text{1}}\text{-}\text{C}_{\text{10}}$ alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of $-OC=ONR_{235}R_{240}$, $-S(=O)_{0-2}(C_1-C_6$ alkyl), $-NR_{235}C = ONR_{235}R_{240}, \qquad -C = ONR_{235}R_{240}, \qquad \text{and} \qquad -S \; (=O) \; _2NR_{235}R_{240};$ $\text{-}\left(\text{CH}_{2}\right)_{\text{0-3}}\text{-}\left(\text{C}_{3}\text{-}\text{C}_{8}\right)$ cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205} , $-CO_2H$, and $-CO_2-(C_1-C_4 \text{ alkyl})$; $-(CR_{245}R_{250})_{0-4}-\text{aryl}$; $-(CR_{245}R_{250})_{0-4}$ - $(CR_{245}R_{250})_{0-4}$ -heteroaryl; $-[C(R_{255})(R_{260})]_{1-3}-CO-N-(R_{255})_2;$ heterocycloalkyl; CH(aryl)₂; -CH(heteroaryl)₂; -CH(heterocycloalkyl)₂; -CH(aryl) (heteroaryl); -CO-NR₂₃₅R₂₄₀; $-(CH_2)_{0-1}-$ -(CH₂)₀₋₁-CHR_{C-6}-(CH₂)₀₋₁- $CH((CH_2)_{0-6}-OH)-(CH_2)_{0-1}-aryl;$ heteroaryl; -CH(-aryl or -heteroaryl)-CO-O(C₁-C₄ alkyl); $-CH(-CH_2-OH)-CH(OH)-phenyl-NO_2$; $(C_1-C_6 alkyl)-$ O-(C₁-C₆ alkyl)-OH; -CH₂-NH-CH₂-CH(-O-CH₂-CH₃)₂; -H; and $-(CH_2)_{0-6}-C(=NR_{235})(NR_{235}R_{240})$; wherein

each aryl is optionally substituted with 1, 2, or 3 R_{200} ;

- each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200} ;
- each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} ;
- R₂₀₀ at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; OH; -NO₂; halogen; -CO₂H; C=N; -(CH₂)₀₋₄-CO-NR₂₂₀R₂₂₅; -(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl); -(CH₂)₀₋₄-CO₂R₂₁₅; and -(CH₂)₀₋₄-O-(C₁-C₆ alkyl optionally substituted with 1, 2, 3, or 5 -F);
 - wherein each aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} , R_{210} or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ;
 - wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{210} ;
 - wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} , R_{210} , or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ;
- R₂₀₅ at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C \equiv N, -CF₃, C_1 - C_6 alkoxy, NH₂, NH(C_1 - C_6 alkyl), and N-(C_1 - C_6 alkyl);

R₂₁₀ at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; -NR₂₂₀R₂₂₅; OH; C \equiv N; C₃-C₇ cycloalkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; -CO-(C₁-C₄ alkyl); $_{\cdot}$ SO₂-NR₂₃₅R₂₄₀; -CO-NR₂₃₅R₂₄₀; -SO₂-(C₁-C₄ alkyl); and =O; wherein

at each occurrence is independently selected R₂₁₅ from the group consisting of $C_1\text{-}C_6$ alkyl, -(CH₂) $_{0-2}$ -(aryl), C $_{3-}$ C $_{7}$ cycloalkyl, and -(CH₂) $_{0-2}$ --(CH₂)₀₋₂-(heterocycloalkyl);(heteroaryl), wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently $R_{205}\ or\ R_{210};$ wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210} ; each heteroaryl at group wherein occurrence is optionally substituted with 1, 2, or 3 R₂₁₀;

 R_{220} and R_{225} at each occurrence are independently selected from the group consisting of -H, -C₁-C₆ alkyl, hydroxy C₁-C₆ alkyl, amino C₁-C₆ alkyl; halo C₁-C₆ alkyl; -C₃-C₇ cycloalkyl, -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl), -aryl, -heteroaryl, and -heterocycloalkyl; wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{270} groups, each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200} , each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{200} , each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} wherein

 R_{270} at each occurrence is independently $R_{205},\ C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, or 3

 R_{205} groups; halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; $NR_{235}R_{240}$; OH; $C\equiv N$; -CO-(C_1 - C_4 alkyl); and =O; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups;

- R_{235} and R_{240} at each occurrence are independently H, or $C_1\text{--}C_6$ alkyl;
- R_{245} and R_{250} at each occurrence are independently selected from the group consisting of H, C_1 - C_4 alkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, or
- R₂₄₅ and R₂₅₀ are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms, wherein the carbocycle is optionally substituted with 1 or 2 groups that are independently OH, methyl, Cl, F, OCH₃, CF₃, NO₂, or CN;
- and R_{255} at each occurrence are independently selected from the group consisting of H; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; $-(CH_2)_{0-4}$ - C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; $-(C_1$ - C_4 alkyl)-aryl; $-(C_1$ - C_4 alkyl)-heteroaryl; $-(C_1$ - C_4 alkyl)-heterocycloalkyl; aryl; heteroaryl; heterocycloalkyl; $-(CH_2)_{1-4}$ - R_{265} - $(CH_2)_{0-4}$ -heteroaryl; and; $-(CH_2)_{1-4}$ - R_{265} - $(CH_2)_{0-4}$ -heterocycloalkyl; wherein
 - R_{265} at each occurrence is independently -0-, -S- or -N(C_1 - C_6 alkyl)-;
 - each aryl or phenyl is optionally substituted with 1, 2, or 3 groups that are

independently R_{205} , R_{210} , or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} .

Preferred compounds of formula AA-2 include those wherein:

 R_{C} is $-\left(CR_{245}R_{250}\right)_{0-4}\text{-aryl},$ or $-\left(CR_{245}R_{250}\right)_{0-4}\text{-heteroaryl},$ wherein aryl and heteroaryl are optionally substituted with 1, 2, or 3 R_{200} groups.

Preferred compounds of formula AA-2 also include compounds wherein

 R_C is $-(CR_{245}R_{250})\,-\text{aryl}\,,$ or $-(CR_{245}R_{250})\,-\text{heteroaryl}$ wherein each aryl and heteroaryl is optionally substituted with 1, 2, or 3 R_{200} groups.

Preferred compounds of formula AA-2 also include compounds wherein

 R_{C} is $-(CH_{2})$ -aryl, or $-(CH_{2})$ -heteroaryl, wherein each aryl and heteroaryl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_{2}$, halogen, $-CO_{2}H$, $C\equiv N$, $-(CH_{2})_{0-4}-CO-NR_{220}R_{225}$, $-(CH_{2})_{0-4}-CO-(C_{1}-C_{12})$ alkyl), and $-(CH_{2})_{0-4}-SO_{2}-NR_{220}R_{225}$.

Preferred compounds of formula AA-2 also include compounds wherein

 R_C is $-(CH_2)$ -aryl, wherein aryl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, and $C\equiv N$.

Preferred compounds of formula AA-2 also include compounds wherein

 R_C is -(CH₂)-phenyl, wherein phenyl is optionally substituted with 1, 2, or 3 groups selected from OH, -NO₂, halogen, -CO₂H, and C=N.

Preferred compounds of formula AA-2 also include compounds wherein $R_{\text{\scriptsize C}}$ is benzyl.

Other preferred compounds of formulas AA, AA-1 and AA-2 include compounds of formula AA-3, i.e., those of formulas AA, AA-1 or AA-2 wherein

one of $R_N \, \text{and} \, R_N \, ^{\text{!}}$ is hydrogen and the other is:

$$Y^{Z}X^{(CH_2)_{n7}-CHC(O)-}$$

wherein

 R_4 is NH_2 ; $-NH-(CH_2)_{16}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$;

wherein

 n_6 is 0, 1, 2, or 3; n_7 is 0, 1, 2, or 3;

 $R_{4\text{--}2}$ and $R_{4\text{--}3}$ are independently H, $C_1\text{--}C_3$ alkyl, or $C_3\text{--}C_6$ cycloalkyl;

 R_{4-4} is alkyl, phenylalkyl, $C_2 - C_4$ alkanoyl, or phenylalkanoyl;

cyclopropyl; cyclobutyl; cyclopentyl; and is R_5 cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1 - C_6 alkyl, more preferably C_1 - C_2 alkyl, C_1 - C_6 alkoxy, more preferably $C_1\text{-}C_2$ alkoxy, CF_3 , OH, NH_2 , $NH(C_1-C_6 \text{ alkyl})$, $N(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl})$, halogen, ${
m CN}$, or ${
m NO}_2$; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF_3 , Cl, F, methyl, ethyl or cyano; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1 - C_4 alkoxy, C_5 - C_6 heterocycloalkyl, C_5-C_6 heteroaryl, phenyl, C_3-C_7 cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and $-NR_6R_7$; wherein

- R_6 and R_7 are independently selected from the group consisting of H, C_1 - C_6 alkyl, C_2 - C_6 alkanoyl, phenyl, $-SO_2$ - C_1 - C_4 alkyl, and phenyl C_1 - C_4 alkyl;
- R_8 is selected from the group consisting of $-SO_2$ -heteroaryl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl or halogen;, $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, $-C(O)\,NHR_9$, heterocycloalkyl, $-S-C_2-C_4$ alkanoyl, wherein

 $$R_9$$ is phenyl $C_1\!-\!C_4$ alkyl, $C_1\!-\!C_6$ alkyl, or H; $$R_{50}$$ is H or $C_1\!-\!C_6$ alkyl;

 R_{51} is selected from the group consisting of phenyl C_1 - C_4 alkyl; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(0)NR_6R_7$, C_3 - C_7 or $-C_1$ - C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and $-SO_2$ C_1 - C_4 alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen,

 C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and -SO₂ C_1 - C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, NH_2 , $NH(C_1-C_6$ alkyl) or $N(C_1-C_6)$ halogen, alkyl)(C_1 - C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, $NH(C_1-C_6 \text{ alkyl})$ or $N(C_1-C_6 \text{ alkyl})(C_1-C_6)$ cycloalkyl, and $C_3 - C_8$ phenyl; alkyl); cycloalkylalkyl, wherein the phenyl; $C_3 - C_8$ cycloalkyl, and cycloalkylalkyl groups optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2 , C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1 - C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1 - C_6 alkoxy C_1 - C_6 alkyl, C_1 - C_6 thioalkoxy, C_1 - C_6 thioalkoxy C_1 - C_6 alkyl, or C_1 - C_6 alkoxy C_1 - C_6 alkoxy.

Preferred compounds of formula AA-3 include compounds wherein

one of $R_N \, \text{and} \, R_N \, ^{\iota}$ is hydrogen and the other is

wherein

X is C_1-C_4 alkylidenyl optionally substituted with 1, 2, or 3 methyl groups; or $-NR_{4-6}-$; or

 R_4 and R_{4-6} combine to form $-(CH_2)_{n10}-$, wherein

 n_{10} is 1, 2, 3, or 4;

Z is selected from a bond; SO_2 ; SO; S; and C(O);

Y is selected from H; C_1-C_4 haloalkyl; C_5-C_6 heterocycloalkyl containing at least one N, O, or S; phenyl; OH; $-N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally

substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3 - C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1 - C_3 alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, CN or NO_2 ; phenyl C_1 - C_4 alkyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, CN or NO_2 ; wherein

- Y_1 and Y_2 are the same or different and are H; C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1 - C_4 alkoxy, C_3 - C_8 cycloalkyl, and OH; C_2 - C_6 alkenyl; C_2 - C_6 alkanoyl; phenyl; - SO_2 - C_1 - C_4 alkyl; phenyl C_1 - C_4 alkyl; and C_3 - C_8 cycloalkyl C_1 - C_4 alkyl; or
- $-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, or halogen.

Preferred compounds of formula AA-3 include compounds wherein

- X is C_1 - C_4 alkylidenyl optionally optionally substituted with 1, 2, or 3 methyl groups;
- Z is selected from SO₂; SO; S; and C(O);
- y is selected from H; C_1 - C_4 haloalkyl; C_5 - C_6 heterocycloalkyl containing at least one N, O, or S; phenyl; OH; -N(Y₁)(Y₂); C_1 - C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, alkoxy,

thioalkoxy, and haloalkoxy; C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1-C_3 alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2 ; phenyl C_1-C_4 alkyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2 ; wherein

 Y_1 and Y_2 are the same or different and are H; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1 - C_4 alkoxy, C_3 - C_8 cycloalkyl, and OH; C_2 - C_6 alkenyl; C_2 - C_6 alkanoyl; phenyl; - SO_2 - C_1 - C_4 alkyl; phenyl C_1 - C_4 alkyl; or C_3 - C_8 cycloalkyl C_1 - C_4 alkyl; or

 $-N\left(Y_1
ight)\left(Y_2
ight)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, or halogen.

Preferred compounds of formula AA-3 include compounds one of $R_N \ \text{and} \ R_N\,'$ is hydrogen and the other is:

wherein R_4 is NH_2 ; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$ wherein

 n_6 is 0, 1, 2, or 3;

 n_7 is 0, 1, 2, or 3;

 $R_{4\text{--}1}$ is selected from the group consisting of -SO2- $$(C_1\text{--}C_8$ alkyl)$, -SO-(C_1\text{--}C_8$ alkyl)$, -S-(C_1\text{--}C_8$$

alkyl), $-S-CO-(C_1-C_6 \text{ alkyl})$, $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2 \text{ alkyl}$; $-CO-NR_{4-3}R_{4-4}$;

- R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;
- R_{4-4} is alkyl, phenylalkyl, C_2-C_4 alkanoyl, or phenylalkanoyl;
- cyclopropyl; cyclobutyl; cyclopentyl; R_5 cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1 - C_6 alkyl, more preferably C_1 - C_2 alkyl, C_1 - C_6 alkoxy, more preferably C_1 - C_2 alkoxy, CF_3 , OH, NH_2 , $NH(C_1-C_6$ alkyl), $N(C_1-C_6)$ alkyl)(C_1 - C_6 alkyl), halogen, CN, or NO_2 ; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF3, Cl, methyl, ethyl or cyano; C1-C6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_5- C₆ heterocycloalkyl, C₅-C₆ heteroaryl, phenyl, C_3-C_7 cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, or C2-C4 alkanoyl; phenyl optionally substituted 3, or 4 groups that are 1, 2, with independently halogen, OH, C1-C4 alkyl, alkoxy, or C_1 - C_4 haloalkyl; and -NR₆R₇; wherein

 R_6 and R_7 are independently selected from the group consisting of H, C_1 - C_6 alkyl, C_2 - C_6 alkanoyl, phenyl, $-SO_2$ - C_1 - C_4 alkyl, and phenyl C_1 - C_4 alkyl;

 R_8 is selected from the group consisting of $-SO_2$ -heteroaryl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl or halogen; $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, $-C(O)NHR_9$, heterocycloalkyl, $-S-C_2-C_4$ alkanoyl, wherein

 $$R_9$$ is phenyl $C_1\!-\!C_4$ alkyl, $C_1\!-\!C_6$ alkyl, or H; R_{50} is H or $C_1\!-\!C_6$ alkyl; and

 R_{51} is selected from the group consisting of phenyl $C_1\text{-}C_4$ alkyl; $C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(O)NR_6R_7$, C_3-C_7 or $-C_1$ heterocycloalkyl optionally alkoxy; C_4 substituted with 1 or 2 groups that are independently $C_1\text{-}C_4$ alkyl, $C_1\text{-}C_4$ alkoxy, halogen, $\text{C}_2\text{-}\text{C}_4$ alkanoyl, phenyl $\text{C}_1\text{-}\text{C}_4$ alkyl, and $-\text{SO}_2$ $\text{C}_1\text{-}\text{C}_4$ alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and -SO₂ C_1 - C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2 , $NH(C_1-C_6$ alkyl) or $N(C_1-C_6)$ alkyl) $(C_1-C_6$ alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, NH_2 , $NH(C_1-C_6$ alkyl) or $N(C_1-C_6$ alkyl)(C_1-C_6 cycloalkyl, and phenyl; C₃-C₈ alkyl); cycloalkylalkyl, wherein the phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2 , C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_2 - C_6 alkanoyl, C_1 - C_6 haloalkyl, C_1 - C_6 haloalkoxy, hydroxy, C_1 - C_6 hydroxyalkyl, C_1 - C_6 alkoxy C_1 - C_6 alkyl, C_1 - C_6 thioalkoxy, C_1 - C_6 alkyl, or C_1 - C_6 alkoxy C_1 - C_6 alkoxy; and

Y is C_1 - C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy.

Preferred compounds of formula AA-3 further include compounds wherein

 R_C is C_1-C_8 alkyl optionally substituted with 1, 2, or 3 independently selected from the group groups R_{205} , $-OC=ONR_{235}R_{240}$, $-S(=O)_{0-2}(C_1-C_6)$ of consisting alkyl), -SH, -C=ONR $_{235}$ R $_{240}$, and -S(=O) $_2$ NR $_{235}$ R $_{240}$; -(CH $_2$) $_0$ - $_{3}$ -(C_{3} - C_{8}) cycloalkyl wherein the cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205} , $-CO_2H$, and $-CO_2-(C_1-C_4 \text{ alkyl})$; $-(CR_{245}R_{250})_{0-4}-$ - $(CR_{245}R_{250})_{0-4}$ -heteroaryl; - (CR₂₄₅R₂₅₀)₀₋₄phenyl; - $(CH_2)_{0-1}$ -CH $((CH_2)_{0-4}$ -OH) - $(CH_2)_{0-1}$ heterocycloalkyl; phenyl; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-heteroaryl; -CH(-CH_2-CH_2)_{0-1}-heteroaryl;$ OH) -CH(OH) -phenyl-NO₂; $(C_1-C_6 \text{ alkyl})$ -O- $(C_1-C_6 \text{ alkyl})$ -OH; or -(CH₂) $_{0-6}$ -C(=NR $_{235}$)(NR $_{235}$ R $_{240}$); wherein

each aryl is optionally substituted with 1, 2, or 3 R_{200} ;

each heteroarýl is optionally substituted with 1, 2, 3, or 4 R_{200} ;

each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} ;

- R_{205} at each occurrence is independently C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C \equiv N, -CF $_3$, C_1 - C_6 alkoxy, NH $_2$, NH(C_1 - C_6 alkyl), or N-(C_1 - C_6 alkyl);
- R₂₁₀ at each occurrence is independently C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; $-NR_{220}R_{225}$; OH; $C\equiv N$; C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -CO- $(C_1$ - C_4 alkyl); $-SO_2$ - $NR_{235}R_{240}$; -CO- $NR_{235}R_{240}$; $-SO_2$ - $(C_1$ - C_4 alkyl); and =O; wherein
- R_{215} at each occurrence is independently $C_1\text{-}C_6$ alkyl, -(CH₂) $_{0-2}$ -(phenyl), C₃₋C₇ cycloalkyl, and -(CH₂) $_{0-}$ $_{2}$ -(heteroaryl), - (CH $_{2}$) $_{0-2}$ -(heterocycloalkyl); wherein the phenyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210} ; each heteroaryl group at each wherein occurrence is optionally substituted with 1, 2, or 3 R₂₁₀;
- R_{220} and R_{225} at each occurrence are independently -H, $-C_1-C_6 \ \ \text{alkyl} \,, \ \ \text{hydroxy} \ \ C_1-C_6 \ \ \text{alkyl} \,, \ \ \text{halo} \ \ C_1-C_6$

alkyl; $-C_3-C_7$ cycloalkyl, and $-(C_1-C_6$ alkyl)-O- $(C_1-C_3$ alkyl);

- R_{235} and R_{240} at each occurrence are independently H, or $C_1\text{--}C_6$ alkyl;
- R_{245} and R_{250} at each occurrence are independently H, $C_1\text{-}C_4 \text{ alkyl}, \ C_1\text{-}C_4 \text{ hydroxyalkyl}, \ C_1\text{-}C_4 \text{ alkoxy}, \ C_1\text{-}C_4 \text{ haloalkoxy}, \ or$
- R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms.

Preferred compounds of formula AA-3 include compounds wherein

- R_1 is benzyl which is optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C_1 C_4 alkoxy, hydroxy, and C_1 - C_4 alkyl optionally substituted with 1, 2, or 3 substituents halogen, OH, SH, NH_2 , $NH(C_1$ - C_6 alkyl), N- $(C_1$ - C_6 alkyl) (C_1 - C_6 alkyl), $C\equiv N$, CF_3 ;
- R_2 and R_3 are independently selected from H or C_1 - C_4 alkyl optionally substituted with 1 substituent selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, NH $_2$, NH(C_1 - C_6 alkyl), and NH(C_1 - C_6 alkyl);
- R_C is C_1 - C_8 alkyl optionally substituted with 1, 2, or 3 groups independently selected from R_{205} , -SH, -C=ONR₂₃₅R₂₄₀, and -S(=O)₂NR₂₃₅R₂₄₀; -(CH₂)₀₋₃-(C₃-C₆) cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from R_{205} , -CO₂H, and -CO₂-(C₁-C₄ alkyl); -(CR₂₄₅R₂₅₀)₀₋₄-phenyl optionally substituted with 1, 2, or 3 R₂₀₀; -(CR₂₄₅R₂₅₀)₀₋₃-pyridyl; -(CR₂₄₅R₂₅₀)₀₋₃-pyridazinyl; -(CR₂₄₅R₂₅₀)₀₋₃-pyrimidinyl; -(CR₂₄₅R₂₅₀)₀₋₃-pyrazinyl; -(CR₂₄₅R₂₅₀)₀₋₃-furyl; -(CR₂₄₅R₂₅₀)₀₋₃-indolyl; -(CR₂₄₅R₂₅₀)₀₋₃-thienyl; -(CR₂₄₅R₂₅₀)₀₋₃-pyrrolyl;

 $(CR_{245}R_{250})_{0-3}$ -benzoxazolyl; - $(CR_{245}R_{250})_{0-3}$ -pyrazolyl; - $(CR_{245}R_{250})_{0-3}$ -imidazolyl; each above of the heteroaryl groups is optionally substituted with 1, 4 R_{200} ; - $(CR_{245}R_{250})_{0-3}$ -imidazolidinyl; $(CR_{245}R_{250})_{0-3}$ $(CR_{245}R_{250})_{0-3}$ -tetrahydrofuryl; $(CR_{245}R_{250})_{0-3}$ -piperazinyl; tetrahydropyranyl; $(CR_{245}R_{250})_{0-3}$ -piperidinyl; $(CR_{245}R_{250})_{0-3}$ -pyrrolidinyl; the of $(CR_{245}R_{250})_{0-3}$ -indolinyl; each is optionally substituted heterocycloalkyl groups with 1, 2, 3, or 4 R_{210} ; $(CH_2)_{0-1}$ -CH((CH_2) $_{0-4}$ -OH)-(CH_2) $_{0-4}$ ₁-phenyl; -(CH₂)₀₋₁-CH(C₁-C₄ hydroxyalkyl)-(CH₂)₀₋₁pyridyl;

- R_{205} at each occurrence is independently C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C \equiv N, -CF $_3$, C_1 - C_6 alkoxy, NH $_2$, NH(C_1 - C_6 alkyl), and N-(C_1 - C_6 alkyl);
- R₂₁₀ at each occurrence is independently C_1 - C_6 alkyl optionally substituted with 1 or 2 R₂₀₅ groups; halogen; C_1 - C_4 alkoxy; C_1 - C_4 haloalkoxy; -NR₂₂₀R₂₂₅; OH; C \equiv N; C₃-C₇ cycloalkyl optionally substituted with 1 or 2 R₂₀₅ groups; -CO-(C₁-C₄ alkyl); $_1$ SO₂₋NR₂₃₅R₂₄₀; -CO-NR₂₃₅R₂₄₀; -SO₂-(C₁-C₄ alkyl); and \equiv O; wherein

 $-(CH_2)_{0-2}$ -(imidazolidinyl) (pyrrolidinyl), -(CH₂)₀₋₂-(piperazinyl), -(CH₂)₀₋₂-(piperidinyl), and $-(CH_2)_{0-2}-(morpholinyl);$ wherein the phenyl is optionally group at each occurrence substituted with 1 or 2 groups that wherein each R₂₁₀; independently R₂₀₅ or heterocycloalkyl group at each occurrence is optionally substituted with 1 or 2 R_{210} ; heteroaryl group wherein each occurrence is optionally substituted with 1 or 2 R₂₁₀;

- R_{220} and R_{225} at each occurrence are independently -H, $-C_1-C_4$ alkyl, hydroxy C_1-C_4 alkyl, halo C_1-C_4 alkyl; $-C_3-C_6$ cycloalkyl, and $-(C_1-C_4$ alkyl)-O- $(C_1-C_2$ alkyl);
- R_{235} and R_{240} at each occurrence are independently H, or $C_1\text{--}C_6$ alkyl;
- R_{245} and R_{250} at each occurrence are independently H, $C_1\text{-}C_4 \text{ alkyl}, \ C_1\text{-}C_4 \text{ hydroxyalkyl}, \ C_1\text{-}C_4 \text{ alkoxy}, \ C_1\text{-}C_4 \text{ haloalkoxy}, \ or$
- R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, or 6 carbon atoms.

Other preferred compounds of formula AA-3 include compounds wherein

- X is- C_1 - C_3 alkylidenyl optionally optionally substituted with 1 or 2 methyl groups;
- Z is SO_2 ; SO; S; or C(O);
- y is C₁-C₄ haloalkyl; OH; -N(Y₁)(Y₂); C₁-C₁₀ alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected from halogen, hydroxy, C₁-C₄ alkoxy, C₁-C₄ thioalkoxy, and C₁-C₄ haloalkoxy; C₁-C₄ alkoxy; phenyl optionally

substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 or NO_2 ; and benzyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 or NO_2 ; wherein Y_1 and Y_2 are the same or different and are H; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from halogen, C_1 - C_2 alkoxy, C_3 - C_6 cycloalkyl, and OH; C_2 - C_6 alkanoyl; phenyl; $-SO_2$ - C_1 - C_4 alkyl; benzyl; and C_3 - C_6 cycloalkyl C_1 - C_2 alkyl; or

 $-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy, C_1-C_6 alkyl, or halogen.

Preferred compounds of formula AA-3 also include those of formula AA-4, i.e., compounds of formula AA-3 wherein

- X is- C_1 - C_3 alkylidenyl optionally optionally substituted with 1 methyl group;
- Z is SO_2 ; SO; S; or C(O);
- Y is OH; $-N(Y_1)(Y_2)$; phenyl; benzyl; or C_1-C_{10} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected from halogen, hydroxy, methoxy, ethoxy, thiomethoxy, thioethoxy, and CF_3 ; wherein
 - Y_1 and Y_2 are the same or different and are H; C_1 - C_4 alkyl optionally substituted with 1 or 2 substituents selected from halogen, methoxy, ethoxy, cyclopropyl, and OH; or
 - $-N\left(Y_1
 ight)\left(Y_2
 ight)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted

with 1 or 2 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, or halogen;

R₁ is benzyl which is optionally substituted with 1, 2, or 3 groups independently selected from methyl, ethyl, n-propyl, isopropyl, hydroxymethyl, monohalomethyl, dihalomethyl, trihalomethyl, -CH₂CF₃, methoxymethyl, halogen, methoxy, ethoxy, n-propyloxy, isopropyloxy, and OH;

 R_2 and R_3 are independently H or C_1 - C_4 alkyl,

- R_C is C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 cyclopropyl, cyclopropylmethyl, groups; R₂₀₅ cyclopentylmethyl, cyclohexyl, cyclopentyl, cyclohexylmethyl; $-(CR_{245}R_{250})_{0-3}$ -phenyl optionally substituted with 1 or 2 R_{200} groups; -($CR_{245}R_{250}$)₀₋₃pyridyl optionally substituted with 1 or 2 R_{200} ; - $(CR_{245}R_{250})_{0-3}$ -piperazinyl; orpyrrolidinyl; -(CR₂₄₅R₂₅₀)₀₋₃-piperidinyl; each of the optionally heterocycloalkyl groups is substituted with 1 or 2 R210 groups;
 - R_{200} at each occurrence is independently selected from $C_1\text{-}C_4$ alkyl optionally substituted with 1 or 2 R_{205} groups; OH; and halogen;
 - R_{205} at each occurrence is independently selected from $C_1\text{-}C_4$ alkyl, halogen, -OH, -SH, -C=N, -CF₃, and $C_1\text{-}C_4$ alkoxy;
 - R_{210} at each occurrence is independently selected from C_1 - C_4 alkyl optionally substituted with 1 or 2 R_{205} groups; halogen; C_1 - C_4 alkoxy; OCF₃; NH₂, NH(C_1 - C_6 alkyl); N(C_1 - C_6 alkyl); OH; and -CO-(C_1 - C_4 alkyl); wherein
 - R_{245} and R_{250} at each occurrence are independently selected from H, $C_1\text{-}C_4$ hydroxyalkyl, $C_1\text{-}C_4$ alkoxy, or

 R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 5,or 6 carbon atoms.

Preferred compounds of formulas AA, AA-1 and AA-2 include compounds of formula AA-5, i.e., those of formulae AA, AA-1 or AA-2 wherein

one of R_N and $R_N{}^{\prime}$ is hydrogen and the other is -C(=O)- $(CRR^{\prime})_{\,0-6}R_{100}\,;$ and

 R_{100} represents aryl, heteroaryl, or heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, -NO₂, C_1 - C_6 alkyl, halogen, -C \equiv N, -OCF₃, -CF₃, - $(CH_2)_{0-4}-O-P (=O) (OR) (OR'), -(CH_2)_{0-4}-CO-NR_{105}R'_{105},$ - $(CH_2)_{0-4}$ -O- $(CH_2)_{0-4}$ -CONR₁₀₂R₁₀₂', - $(CH_2)_{0-4}$ -CO- $(C_1$ - C_{12} alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl})$, $-(CH_2)_{0-4} CO-(C_2-C_{12} \quad alkynyl), \quad -(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7)$ $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{110}$, cycloalkyl), $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, R_{150} , $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_8)$ alkyl), $-(CH_2)_{0-4}-SO_{2-}(C_1-C_{12} \text{ alkyl})$, $-(CH_2)_{0-4}-SO_{2-}$ $(CH_2)_{0-4}$ - $(C_3$ - C_7 cycloalkyl), - $(CH_2)_{0-4}$ - $N(R_{150})$ - CO - O -- $(CH_2)_{0-4}$ - $N(R_{150})$ -CO- $N(R_{150})_2$, - $(CH_2)_{0-4}$ -N (R_{150}) -CO- R_{105} , $N(R_{150}) - CS - N(R_{150})_2$, - $(CH_2)_{0-4}$ - $NR_{105}R'_{105}$, - $(CH_2)_{0-4}$ - R_{140} , - $(CH_2)_{0-4}$ -O-CO- $(C_1-C_6 \text{ alkyl})$, $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-1}$ $_{4}$ -O-CO-N(R₁₅₀)₂, -(CH₂)₀₋₄-O-CS-N(R₁₅₀)₂, -(CH₂)₀₋₄-O-(R_{150}), -(CH_2)₀₋₄-O- R_{150} '-COOH, -(CH_2)₀₋₄-S-(R_{150}), - (CH₂)₀₋₄- $C_3 - C_7$ -(CH₂)₀₋₄-N(R₁₅₀)-SO₂-R₁₀₅,cycloalkyl, (C_2-C_{10}) alkenyl, or (C_2-C_{10}) alkynyl.

Preferred compounds of formula AA-5 include compounds wherein

one of R_N and $R_N{}^{{}_{\! 1}}$ is hydrogen and the other is -C(=0)-R_{100}; and

 R_{100} represents aryl, or heteroaryl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, -NO₂, C_1 - C_6 alkyl, halogen, -C=N, -OCF₃, -CF₃, - $(CH_2)_{0-4}-O-P(=O)$ (OR) (OR'), $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12})_{0-4}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl})$, $-(CH_2)_{0-4}-$ CO- $(C_2-C_{12}$ alkynyl), - $(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7)$ $-(CH_2)_{0-4}-R_{120}$ -(CH₂)₀₋₄-R₁₁₀,cycloalkyl), $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, R_{150} , $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO_-(C_1-C_8)$ alkyl), $-(CH_2)_{0-4}-SO_{2-}(C_1-C_{12} \text{ alkyl})$, $-(CH_2)_{0-4}-SO_{2-}$ $(CH_2)_{0-4} - (C_3 - C_7 \text{ cycloalkyl}), - (CH_2)_{0-4} - N(R_{150}) - CO - O -(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, -(CH₂)₀₋₄-N(R₁₅₀)-CO-R₁₀₅, $N(R_{150}) - CS - N(R_{150})_2$, - $(CH_2)_{0-4}$ - $NR_{105}\dot{R}'_{105}$, - $(CH_2)_{0-4}$ - R_{140} , - $(CH_2)_{0-4}$ - CO - $(C_1-C_6 \text{ alkyl})$, $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}$ $_{4}$ -O-CO-N(R_{150})₂, -(CH₂)₀₋₄-O-CS-N(R_{150})₂, -(CH₂)₀₋₄-O- (R_{150}) , $-(CH_2)_{0-4}$ -O- R_{150} '-COOH, $-(CH_2)_{0-4}$ -S- (R_{150}) , -(CH₂)₀₋₄-N(R₁₅₀)-SO₂-R₁₀₅, $-(CH_2)_{0-4}$ cycloalkyl, (C_2-C_{10}) alkenyl, or (C_2-C_{10}) alkynyl.

Preferred compounds of formula AA-5 also include compounds wherein

one of R_N and R_N ' is hydrogen and the other is -C(=0)-aryl or -C(=0)-heteroaryl where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, -NO₂, C_1 - C_6 alkyl, halogen, -C \equiv N, -OCF₃, -CF₃, - $(CH_2)_{0-4}$ -CO-NR₁₀₅R'₁₀₅, - $(CH_2)_{0-4}$ -CO-(C_1 - C_{12} alkyl), - $(CH_2)_{0-4}$ -

 $CO-(C_2-C_{12} \text{ alkenyl}), -(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl}),$ $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, -(CH₂)₀₋₄-R₁₁₀, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{120}$ R_{130} , $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}$ $-(CH_2)_{0-4}-SO-(C_1-C_8)$ alkyl), $_{4}-SO_{2}-NR_{105}R'_{105}$ $-(CH_2)_{0-4}-SO_{2-}(C_1-C_{12} \text{ alkyl}), -(CH_2)_{0-4}-N(R_{150})-CO-O -(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2,$ $-(CH_2)_{0-4}-$ R₁₅₀, $N(R_{150}) - CO - R_{105}$, $-(CH_2)_{0-4} - NR_{105}R'_{105}$, $-(CH_2)_{0-4} - R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6)$ alkyl), $-(CH_2)_{0-4}-O-CO N(R_{150})_2$, $-(CH_2)_{0-4}$ -O- (R_{150}) , $-(CH_2)_{0-4}$ - $N(R_{150})$ -SO₂-- $(CH_2)_{0-4}$ - C_3 - C_7 cycloalkyl, $(C_2$ - C_{10}) alkenyl, or (C_2-C_{10}) alkynyl.

Other preferred compounds of formula AA-5 include compounds wherein

one of R_N and $R_{N^{'}}$ is hydrogen and the other is $-C\,(=0)\,\text{-aryl}$ or $-C\,(=0)\,\text{-heteroaryl}$ where the ring portions of each are optionally substituted with 1 or 2 groups independently selected from

Other preferred compounds of formula AA-5 include compounds wherein $% \left(\frac{1}{2}\right) =0$

one of R_N and $R_N{}^{{}_1}$ is hydrogen and the other is -C(=0)-phenyl, where the phenyl ring is optionally substituted with 1 or 2 groups independently selected from

 $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, or (C_2-C_{10}) alkynyl.

wherein sub is hydrogen or is C_1-C_6 alkyl, halogen, - $(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, - $(CH_2)_{0-4}-O-CO-N(R_{150})_2$, - $(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, - $(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, C_3-C_7 cycloalkyl, - (C_2-C_{10}) alkenyl, - $(CH_2)_{0-4}-R_{110}$, - $(CH_2)_{0-4}-R_{120}$, or (C_2-C_{10}) alkynyl.

A preferred stereochemistry for compounds of formula AA is as follows:

$$\begin{array}{c|c} & & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

Preferred compounds of formula I include those of formula I-1, i.e., compounds of formula I wherein R_1 is aryl, heteroaryl, heterocyclyl, $-C_1$ - C_6 alkyl-aryl, $-C_1$ - C_6 alkyl-heteroaryl, or $-C_1$ - C_6 alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, $-C\equiv N$, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, -C(=O)- $(C_1$ - $C_4)$ alkyl, $-SO_2$ -amino, $-SO_2$ -mono or dialkylamino, -C(=O)-

amino, -C(=0)-mono or dialkylamino, $-SO_2-(C_1-C_4)$ alkyl, or

- $C_1\text{-}C_6$ alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or
- C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, - C_1 - C_6 alkyl and mono- or dialkylamino, or
- C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, -C $_1$ -C $_3$ alkoxy, amino, mono- or dialkylamino and -C $_1$ -C $_3$ alkyl, or
- C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, C_1 - C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula I-1 also include those wherein

R₁ is $-C_1-C_6$ alkyl-aryl, $-C_1-C_6$ alkyl-heteroaryl, or $-C_1-C_6$ alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, $-C\equiv N$, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, $-C(=O)-(C_1-C_4)$ alkyl, $-SO_2$ -amino, $-SO_2$ -mono or dialkylamino, -C(=O)-

amino, -C(=0)-mono or dialkylamino, $-SO_2-(C_1-C_4)$ alkyl, or

- C_1 - C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or
- C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, - C_1 - C_6 alkyl and mono- or dialkylamino, or
- C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF₃, -C₁-C₃ alkoxy, amino, mono- or dialkylamino and -C₁-C₃ alkyl, or
- C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, C_1 - C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula I-1 further include those wherein

R₁ is $-(CH_2)$ -aryl, $-(CH_2)$ -heteroaryl, or $-(CH_2)$ -heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, $-C\equiv N$, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, -C(=O)- $(C_1$ - $C_4)$ alkyl, $-SO_2$ -amino, $-SO_2$ -mono or dialkylamino, -C(=O)-

amino, -C(=0)-mono or dialkylamino, $-SO_2-(C_1-C_4)$ alkyl, or

- $C_1\text{-}C_6$ alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or
- C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, - C_1 - C_6 alkyl and mono- or dialkylamino, or
- C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF₃, -C₁-C₃ alkoxy, amino, mono- or dialkylamino and -C₁-C₃ alkyl, or
- C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula I-1 also include those wherein

R₁ is -CH₂-phenyl or -CH₂-pyridinyl where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C₁-C₄ alkoxy, hydroxy, -NO₂, and

 C_1-C_4 alkyl optionally substituted with 1, 2, or 3 substituents independently selected from halogen, OH, SH, NH₂, NH(C_1-C_6 alkyl), N-(C_1-C_6 alkyl) (C_1-C_6 alkyl), C=N, CF₃.

Preferred compounds of formula I-1 further include those wherein

 R_1 is $-CH_2$ -phenyl or $-CH_2$ -pyridinyl where the phenyl or pyridinyl rings are each optionally substituted with 1 or 2 groups independently selected from halogen, C_1 - C_2 alkyl, C_1 - C_2 alkoxy, hydroxy, $-CF_3$, and $-NO_2$.

Preferred compounds of formula I-1 include those wherein

 R_1 is $-CH_2$ -phenyl where the phenyl ring is optionally substituted with 2 groups independently selected from halogen, C_1 - C_2 alkyl, C_1 - C_2 alkoxy, hydroxy, and $-NO_2$.

Preferred compounds of formula I-1 also include those wherein R_1 is benzyl, or 3,5-difluorobenzyl.

Preferred compounds of formula I and I-1 include those of formula I-2, i.e., compounds of formula I or I-1 wherein

 R_2 and R_3 are independently selected from H or C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of C_1 - C_3 alkyl, halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_1$ - $_a$ R $_1$ - $_b$.

Preferred compounds of formula I-2 include those wherein

 R_{C} is selected from the group consisting of $C_{1}\text{-}C_{10}$ alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of $R_{205}, -OC=ONR_{235}R_{240}, -S(=O)_{0-2}(C_{1}\text{-}C_{6} \text{ alkyl}), -SH, -NR_{235}C=ONR_{235}R_{240}, -C=ONR_{235}R_{240}, \text{ and } -S(=O)_{2}NR_{235}R_{240}; -(CH_{2})_{0-3}\text{-}(C_{3}\text{-}C_{8})$ cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of

PCT/US2003/028116 WO 2004/022523

> R_{205} , $-CO_2H$, and $-CO_2-(C_1-C_4 \text{ alkyl})$; $-(CR_{245}R_{250})_{0-4}-\text{aryl}$; $-(CR_{245}R_{250})_{0-4}$ - $(CR_{245}R_{250})_{0-4}$ -heteroaryl; heterocycloalkyl; $-[C(R_{255})(R_{260})]_{1-3}-CO-N-(R_{255})_2;$ CH(aryl)₂; -CH(heteroaryl)₂; -CH(heterocycloalkyl)₂; -CH(aryl)(heteroaryl); -CO-NR₂₃₅R₂₄₀; $-(CH_2)_{0-1} CH((CH_2)_{0-6}-OH)-(CH_2)_{0-1}-aryl;$ $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}$ heteroaryl; -CH(-aryl or -heteroaryl)-CO-O(C_1 - C_4 alkyl); -CH(-CH₂-OH)-CH(OH)-phenyl-NO₂; (C₁-C₆ alkyl)-O-(C_1 - C_6 alkyl)-OH; -CH₂-NH-CH₂-CH(-O-CH₂-CH₃)₂; -H; and $-(CH_2)_{0-6}-C(=NR_{235})(NR_{235}R_{240})$; wherein each aryl is optionally substituted with 1, 2, or 3 R₂₀₀;

- each heteroaryl is optionally substituted with 1, 2, 3, or 4 R₂₀₀;
- each heterocycloalkyl is optionally substituted with 1, 2, 3, or $4 R_{210}$;
- at each occurrence is independently selected from the group consisting of $C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, or 3 $R_{\rm 205}$ groups; OH; -NO₂; halogen; -CO₂H; C \equiv N; -(CH₂)₀₋₄- $CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$; $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ CO_2R_{215} ; and $-(CH_2)_{0-4}-O-(C_1-C_6)$ alkyl optionally substituted with 1, 2, 3, or 5 -F);
 - wherein each aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently $R_{205},\ R_{210}$ or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ;
 - wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R₂₁₀;

wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} , R_{210} , or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ;

- R₂₀₅ at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C \equiv N, -CF₃, C_1 - C_6 alkoxy, NH₂, NH(C_1 - C_6 alkyl), and N-(C_1 - C_6 alkyl);
- at each occurrence is independently selected R₂₁₅ from the group consisting of C1-C6 alkyl, -(CH₂) $_{0-2}$ -(aryl), C $_{3-}$ C $_{7}$ cycloalkyl, and -(CH $_{2}$) $_{0-2}$ -- (CH₂)₀₋₂- (heterocycloalkyl); (heteroaryl), wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R₂₀₅ or R₂₁₀; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210} ; heteroaryl group at each wherein each occurrence is optionally substituted with 1, 2, or 3 R_{210} ;
- R_{220} and R_{225} at each occurrence are independently selected from the group consisting of -H, $-C_1-C_6$

alkyl, hydroxy C_1 - C_6 alkyl, amino C_1 - C_6 alkyl; halo C_1 - C_6 alkyl; $-C_3$ - C_7 cycloalkyl, $-(C_1$ - C_6 alkyl)-O- $(C_1$ - C_3 alkyl), -aryl, -heteroaryl, and -heterocycloalkyl; wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{270} groups, each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200} , each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} wherein

- R₂₇₀ at each occurrence is independently R₂₀₅, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; NR₂₃₅R₂₄₀; OH; C \equiv N; -CO-(C₁-C₄ alkyl); and =0; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R₂₀₅ groups; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R₂₀₅ groups;
- R_{235} and R_{240} at each occurrence are independently H, or $C_1\text{--}C_6$ alkyl;
- R_{245} and R_{250} at each occurrence are independently selected from the group consisting of H, C_1 - C_4 alkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, or
- R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms, wherein the carbocycle is optionally substituted with 1 or 2 groups that are independently OH, methyl, Cl, F, OCH₃, CF₃, NO₂, or CN;
- R_{255} and R_{260} at each occurrence are independently selected from the group consisting of H; $C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, or 3

R₂₀₅ groups; $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; $-(C_1-C_4$ alkyl)-aryl; $-(C_1-C_4$ alkyl)-heteroaryl; $-(C_1-C_4$ alkyl)-heterocycloalkyl; aryl; heteroaryl; heterocycloalkyl; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$ -aryl; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$ -heteroaryl; and; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$ -heterocycloalkyl; wherein R₂₆₅ at each occurrence is independently -O-, -S- or -N(C₁-C₆ alkyl)-; each aryl or phenyl is optionally substituted

each aryl or phenyl is optionally substituted with 1, 2, or 3 groups that are independently $R_{205},\ R_{210},\ or\ C_1\text{-}C_6$ alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} .

Preferred compounds of formula I-2 include those wherein:

 R_{C} is $-(CR_{245}R_{250})_{0-4}$ -aryl, or $-(CR_{245}R_{250})_{0-4}$ -heteroaryl, wherein aryl and heteroaryl are optionally substituted with 1, 2, or 3 R_{200} groups.

Preferred compounds of formula I-2 also include compounds wherein

 R_C is -(CR245R250)-aryl, or -(CR245R250)-heteroaryl wherein each aryl and heteroaryl is optionally substituted with 1, 2, or 3 R200 groups.

Preferred compounds of formula I-2 also include compounds wherein

 R_{C} is $-(CH_{2})$ -aryl, or $-(CH_{2})$ -heteroaryl, wherein each aryl and heteroaryl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_{2}$, halogen, $-CO_{2}H$, $C\equiv N$, $-(CH_{2})_{0-4}-CO-NR_{220}R_{225}$, $-(CH_{2})_{0-4}-CO-(C_{1}-C_{12})$ alkyl), and $-(CH_{2})_{0-4}-SO_{2}-NR_{220}R_{225}$.

Preferred compounds of formula I-2 also include compounds wherein

 R_C is -(CH₂)-aryl, wherein aryl is optionally substituted with 1, 2, or 3 groups selected from OH, -NO₂, halogen, -CO₂H, and C=N.

Preferred compounds of formula I-2 also include compounds wherein

 R_C is -(CH₂)-phenyl, wherein phenyl is optionally substituted with 1, 2, or 3 groups selected from OH, -NO₂, halogen, -CO₂H, and C \equiv N.

Preferred compounds of formula I-2 also include compounds wherein $R_{\text{\scriptsize C}}$ is benzyl.

Other preferred compounds of formulas I, I-1 and I-2 include compounds of formula I-3, i.e., those of formulas I, I-1 or I-2 wherein

R_N is:

$$Y^Z X^{(CH_2)_{n7}-CHC(O)}$$

wherein

 R_4 is NH_2 ; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$;

wherein

 n_6 is 0, 1, 2, or 3; n_7 is 0, 1, 2, or 3;

 $R_{4\text{--}2}$ and $R_{4\text{--}3}$ are independently H, $C_1\text{--}C_3$ alkyl, or $C_3\text{--}C_6$ cycloalkyl;

 R_{4-4} is alkyl, phenylalkyl, $C_2 - C_4$ alkanoyl, or phenylalkanoyl;

cyclopropyl; cyclobutyl; cyclopentyl; and is each cycloalkyl group cyclohexyl; wherein optionally substituted with one or two groups that are C_1 - C_6 alkyl, more preferably C_1 - C_2 alkyl, C_1 - C_6 alkoxy, more preferably C1-C2 alkoxy, CF3, OH, NH2, $NH(C_1-C_6 \text{ alkyl})$, $N(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl})$, halogen, CN, or NO_2 ; or the cycloalkyl group is substituted . with 1 or 2 groups that are independently CF3, Cl, F, methyl, ethyl or cyano; C_1 - C_6 alkyl optionally 2, or 3 groups that substituted with 1, independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_5-C_6 heterocycloalkyl, C₅-C₆ heteroaryl, phenyl, cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, -CONR₆R₇, -CO₂-C₁-C₄ alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_1 - C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, or C_2 - C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkyl; and -NR₆R₇; wherein

 R_6 and R_7 are independently selected from the group consisting of H, C_1 - C_6 alkyl, C_2 - C_6 alkanoyl, phenyl, $-SO_2$ - C_1 - C_4 alkyl, and phenyl C_1 - C_4 alkyl;

 R_8 is selected from the group consisting of $-SO_2$ -heteroaryl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl or halogen; $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, $-C(0)\,NHR_9$, heterocycloalkyl, $-S-C_2-C_4$ alkanoyl, wherein

 R_9 is phenyl $C_1\text{-}C_4$ alkyl, $C_1\text{-}C_6$ alkyl, or H;

 R_5

 R_{50} is H or C_1 - C_6 alkyl;

 R_{51} is selected from the group consisting of phenyl C_1 - C_4 alkyl; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(O)NR_6R_7$, C_3-C_7 or $-C_1$ optionally heterocycloalkyl alkoxy; C_4 substituted with 1 or 2 groups that independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, $\text{C}_2\text{-}\text{C}_4$ alkanoyl, phenyl $\text{C}_1\text{-}\text{C}_4$ alkyl, and $-\text{SO}_2$ $\text{C}_1\text{-}\text{C}_4$ optionally heterocycloalkylalkyl alkyl; substituted with 1 or 2 groups that independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, $\text{C}_2\text{-}\text{C}_4$ alkanoyl, phenyl $\text{C}_1\text{-}\text{C}_4$ alkyl, and $-\text{SO}_2$ $\text{C}_1\text{-}\text{C}_4$ alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, alkyl) or NH (C_1-C_6) NH_2 , halogen, alkyl)(C_1 - C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, NH_2 , $\mathrm{NH}\left(\mathrm{C}_1\mathrm{-C}_6 \quad \mathrm{alkyl}\right)$ or $\mathrm{N}\left(\mathrm{C}_1\mathrm{-C}_6 \quad \mathrm{alkyl}\right)\left(\mathrm{C}_1\mathrm{-C}_6\right)$ cycloalkyl, and $C_3 - C_8$ phenyl; alkyl); the phenyl; $C_3 - C_8$ cycloalkylalkyl, wherein and cycloalkylalkyl groups cycloalkyl, optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2 , C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_2 - C_6 alkanoyl, C_1 - C_6 haloalkyl, C_1 - C_6 haloalkoxy, hydroxy, $C_1 - C_6$ hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, thioalkoxy, C_1 - C_6 thioalkoxy C_1 - C_6 alkyl, or C_1 - C_6 alkoxy C_1 - C_6 alkoxy.

Preferred compounds of formula I-3 include compounds wherein

 R_N is

wherein

X is C_1-C_4 alkylidenyl optionally substituted with 1, 2, or 3 methyl groups; or $-NR_{4-6}-$; or R_4 and R_{4-6} combine to form $-(CH_2)_{n10}-$, wherein n_{10} is 1, 2, 3, or 4;

Z is selected from a bond; SO2; SO; S; and C(O);

- y is selected from H; C₁-C₄ haloalkyl; C₅-C₆ heterocycloalkyl containing at least one N, O, or S; phenyl; OH; -N(Y₁)(Y₂); C₁-C₁₀ alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C₃-C₈ cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C₁-C₃ alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, CN or NO₂; phenyl C₁-C₄ alkyl optionally substituted with halogen, C₁-C₄ alkoxy, CN or NO₂; wherein
 - Y_1 and Y_2 are the same or different and are H; C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1 - C_4 alkoxy, C_3 - C_8 cycloalkyl, and OH; C_2 - C_6 alkenyl; C_2 - C_6 alkanoyl; phenyl; - SO_2 - C_1 - C_4 alkyl; phenyl C_1 - C_4 alkyl; and C_3 - C_8 cycloalkyl C_1 - C_4 alkyl; or
 - $-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are

independently C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy C_1 - C_6 alkyl, or halogen.

Preferred compounds of formula I-3 include compounds wherein

- X is C_1 - C_4 alkylidenyl optionally optionally substituted with 1, 2, or 3 methyl groups;
- Z is selected from SO₂; SO; S; and C(O);
- selected from H; C_1-C_4 haloalkyl; C_5-C_6 Y heterocycloalkyl containing at least one N, O, or S; phenyl; OH; -N(Y_1)(Y_2); C_1 - C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, haloalkoxy; C_3-C_8 cycloalkyl thioalkoxy, and optionally substituted with 1, 2, or 3 independently selected from C_1 - C_3 alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2 ; phenyl C_1-C_4 alkyl optionally substituted with halogen, C1-C4 alkyl, C₁-C₄ alkoxy, CN or NO₂; wherein
 - Y_1 and Y_2 are the same or different and are H; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1 - C_4 alkoxy, C_3 - C_8 cycloalkyl, and OH; C_2 - C_6 alkenyl; C_2 - C_6 alkanoyl; phenyl; -SO₂- C_1 - C_4 alkyl; phenyl C_1 - C_4 alkyl; or C_3 - C_8 cycloalkyl C_1 - C_4 alkyl; or
 - $-N\left(Y_1\right)\left(Y_2\right)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently $C_1\text{-}C_6$ alkyl, $C_1\text{-}C_6$ alkoxy, $C_1\text{-}C_6$ alkoxy, $C_1\text{-}C_6$ alkyl, or halogen.

PCT/US2003/028116 WO 2004/022523

Preferred compounds of formula I-3 include compounds wherein R_N is

and wherein R_4 is NH_2 ; $-NH-(CH_2)_{n6}-R_{4-1}$; $NR_{50}C(0)R_5$; or $-NR_{50}CO_2R_{51}$ wherein n_6 is 0, 1, 2, or 3;

 n_7 is 0, 1, 2, or 3;

 R_{4-1} is selected from the group consisting of $-SO_2 (C_1-C_8 \quad alkyl)$, $-SO-(C_1-C_8 \quad alkyl)$, $-S-(C_1-C_8$ alkyl), $-S-CO-(C_1-C_6 \text{ alkyl})$, $-SO_2-NR_{4-2}R_{4-3}$; -CO- C_1-C_2 alkyl; $-CO-NR_{4-3}R_{4-4}$;

 R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

is alkyl, phenylalkyl, C2-C4 alkanoyl, R_{4-4} phenylalkanoyl;

is cyclopropyl; cyclobutyl; cyclopentyl; R_5 cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1 - C_6 alkyl, more preferably C_1 - C_2 alkyl, C_1-C_6 alkoxy, more preferably C_1-C_2 alkoxy, CF_3 , OH, NH_2 , $NH(C_1-C_6$ alkyl), $N(C_1-C_6$ alkyl) $(C_1-C_6 \text{ alkyl})$, halogen, CN, or NO_2 ; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF3, Cl, F, methyl, ethyl or cyano; C1-C6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, $C_5 C_6$ heterocycloalkyl, C_5 - C_6 heteroaryl, phenyl, C_3-C_7 cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, or phenyloxy; heteroaryl optionally substituted

with 1, 2, or 3 groups that are independently halogen, alkoxy, C1-C4 alkyl, haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, or C_2 - C_4 alkanoyl; phenyl optionally substituted that groups are 3, or4 1, 2, with independently halogen, OH, C1-C4 alkyl, C1-C4 alkoxy, or C_1 - C_4 haloalkyl; and -NR₆R₇; wherein

- R_6 and R_7 are independently selected from the group consisting of H, C_1 - C_6 alkyl, C_2 - C_6 alkanoyl, phenyl, $-SO_2$ - C_1 - C_4 alkyl, and phenyl C_1 - C_4 alkyl;
- R_8 is selected from the group consisting of $-SO_2$ -heteroaryl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl or halogen; $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, $-C(O)NHR_9$, heterocycloalkyl, $-S-C_2-C_4$ alkanoyl, wherein

 $$R_9$$ is phenyl $C_1\text{-}C_4$ alkyl, $C_1\text{-}C_6$ alkyl, or H; $$R_{50}$$ is H or $C_1\text{-}C_6$ alkyl; and

 R_{51} is selected from the group consisting of phenyl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(O)NR_6R_7$, C_3-C_7 or $-C_1$ heterocycloalkyl optionally alkoxy; substituted with 1 or 2 groups that independently $C_1\text{-}C_4$ alkyl, $C_1\text{-}C_4$ alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and -SO₂ C_1 - C_4 optionally heterocycloalkylalkyl alkyl; substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and -SO₂ C_1 - C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C₁-C₄ alkyl, C₁-C₄ halogen, NH₂, NH(C₁-C₆ alkyl) $N(C_1-C_6)$ alkyl) $(C_1-C_6$ alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, $NH(C_1-C_6 \text{ alkyl})$ or $N(C_1-C_6 \text{ alkyl})(C_1-C_6)$ cycloalkyl, C3-C8 phenyl; alkyl); cycloalkylalkyl, wherein the phenyl; C_3-C_8 and cycloalkylalkyl groups cycloalkyl, optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1 - C_6 haloalkoxy, hydroxy, C_1 - C_6 hydroxyalkyl, C₁-C₆ alkoxy C₁-C₆ alkyl, C₁-C₆ thioalkoxy, C_1 - C_6 thioalkoxy C_1 - C_6 alkyl, or C_1 -C₆ alkoxy C₁-C₆ alkoxy; and

Y is C_1 - C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy.

Preferred compounds of formula I-3 further include compounds wherein

 R_{C} is $C_1\text{-}C_8$ alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205} , $-\text{OC=ONR}_{235}R_{240}$, $-\text{S}(=\text{O})_{0\text{-}2}(C_1\text{-}C_6$ alkyl), -SH, -C=ONR_{235}R_{240}, and -S(=O)_2NR_235R_240; -(CH_2)_0-3-(C_3\text{-}C_8) cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205} , -CO₂H, and -CO₂-(C₁-C₄ alkyl); -(CR_245R_250)_0-4-phenyl; -(CR_245R_250)_0-4-heteroaryl; -(CR_245R_250)_0-4-

heterocycloalkyl; $-(CH_2)_{0-1}-CH((CH_2)_{0-4}-OH)-(CH_2)_{0-1}-CH(CH_2)_{0-1}$

- each aryl is optionally substituted with 1, 2, or 3 R_{200} ;
- each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200} ;
- each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 $R_{210};$
- R₂₀₀ at each occurrence is independently C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; OH; -NO₂; halogen; -CO₂H; C=N; -(CH₂)₀₋₄-CO-NR₂₂₀R₂₂₅; -(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl); -(CH₂)₀₋₄-CO₂R₂₁₅; or -(CH₂)₀₋₄-O-(C₁-C₆ alkyl optionally substituted with 1, 2, 3, or 5 -F);
- R_{205} at each occurrence is independently C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C \equiv N, -CF $_3$, C_1 - C_6 alkoxy, NH $_2$, NH(C_1 - C_6 alkyl), or N-(C_1 - C_6 alkyl);
- R₂₁₀ at each occurrence is independently C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; -NR₂₂₀R₂₂₅; OH; C \equiv N; C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; -CO-(C_1 - C_4 alkyl); $_1$ SO₂-NR₂₃₅R₂₄₀; -CO-NR₂₃₅R₂₄₀; -SO₂-(C_1 - C_4 alkyl); and =O; wherein
- R₂₁₅ at each occurrence is independently C_1 - C_6 alkyl, $-(CH_2)_{0-2}$ -(phenyl), C_3 - C_7 cycloalkyl, and $-(CH_2)_{0-2}$ -(heteroaryl), $-(CH_2)_{0-2}$ -(heterocycloalkyl); wherein the phenyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ; wherein the

WO 2004/022523

- heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210} ; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210} ;
- R_{220} and R_{225} at each occurrence are independently -H, $-C_1-C_6$ alkyl, hydroxy C_1-C_6 alkyl, halo C_1-C_6 alkyl; $-C_3-C_7$ cycloalkyl, and $-(C_1-C_6$ alkyl)-O- $(C_1-C_3$ alkyl);
- R_{235} and R_{240} at each occurrence are independently H, or $C_1\text{--}C_6$ alkyl;
- R_{245} and R_{250} at each occurrence are independently H, $C_1\text{-}C_4 \text{ alkyl}, \ C_1\text{-}C_4 \text{ hydroxyalkyl}, \ C_1\text{-}C_4 \text{ alkoxy}, \ C_1\text{-}C_4 \text{ haloalkoxy}, \ or$
- R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms.

Preferred compounds of formula I-3 include compounds wherein

- R_1 is benzyl which is optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C_1 C_4 alkoxy, hydroxy, and C_1 - C_4 alkyl optionally substituted with 1, 2, or 3 substituents halogen, OH, SH, NH_2 , $NH(C_1$ - C_6 alkyl), N- $(C_1$ - C_6 alkyl), C=N, CF_3 ;
- R_2 and R_3 are independently selected from H or C_1 - C_4 alkyl optionally substituted with 1 substituent selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, NH $_2$, NH(C_1 - C_6 alkyl), and NH(C_1 - C_6 alkyl) (C_1 - C_6 alkyl);
- R_{C} is $C_{1}-C_{8}$ alkyl optionally substituted with 1, 2, or 3 groups independently selected from $R_{205},$ -SH, $-C=ONR_{235}R_{240}, \quad \text{and} \quad -S\left(=O\right){}_{2}NR_{235}R_{240}; \quad -\left(CH_{2}\right){}_{0-3}-\left(C_{3}-C_{6}\right)$ cycloalkyl wherein the cycloalkyl is optionally

substituted with 1, 2, or 3 groups independently selected from R_{205} , $-CO_2H$, and $-CO_2-(C_1-C_4$ alkyl); -($CR_{245}R_{250}$)₀₋₄-phenyl optionally substituted with 1, 2, or 3 R_{200} ; - $(CR_{245}R_{250})_{0-3}$ -pyridyl; - $(CR_{245}R_{250})_{0-3}$ pyridazinyl; $-(CR_{245}R_{250})_{0-3}$ -pyrimidinyl; $-(CR_{245}R_{250})_{0-3}$ pyrazinyl; $-(CR_{245}R_{250})_{0-3}$ -furyl; $-(CR_{245}R_{250})_{0-3}$ -indolyl; - $(CR_{245}R_{250})_{0-3}$ -thienyl; $-(CR_{245}R_{250})_{0-3}$ -pyrrolyl; $(CR_{245}R_{250})_{0-3}$ -benzoxazolyl; - $(CR_{245}R_{250})_{0-3}$ -pyrazolyl; -(CR₂₄₅R₂₅₀)₀₋₃-imidazolyl;each of the heteroaryl groups is optionally substituted with 1, 3, or 4 R_{200} ; - $(CR_{245}R_{250})_{0-3}$ -imidazolidinyl; 2, $(CR_{245}R_{250})_{0-3}$ -tetrahydrofuryl; $(CR_{245}R_{250})_{0-3}$ $(CR_{245}R_{250})_{0-3}$ -piperazinyl; tetrahydropyranyl; $(CR_{245}R_{250})_{0-3}$ -piperidinyl; $(CR_{245}R_{250})_{0-3}$ -pyrrolidinyl; $(CR_{245}R_{250})_{0-3}$ -indolinyl; each of the heterocycloalkyl groups is optionally substituted with 1, 2, 3, or 4 R_{210} ; $(CH_2)_{0-1}$ -CH((CH_2) $_{0-4}$ -OH)-(CH_2) $_{0-1}$ ₁-phenyl; - $(CH_2)_{0-1}$ -CH $(C_1$ -C₄ hydroxyalkyl) - $(CH_2)_{0-1}$ pyridyl;

- R_{205} at each occurrence is independently C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C \equiv N, -CF $_3$, C_1 - C_6 alkoxy, NH $_2$, NH(C_1 - C_6 alkyl), and N-(C_1 - C_6 alkyl);
- R_{210} at each occurrence is independently C_1 - C_6 alkyl optionally substituted with 1 or 2 R_{205} groups; halogen; C_1 - C_4 alkoxy; C_1 - C_4 haloalkoxy; $-NR_{220}R_{225}$; OH; $C\equiv N$; C_3 - C_7 cycloalkyl optionally

- substituted with 1 or 2 R_{205} groups; -CO-(C_1 - C_4 alkyl); $_{-}SO_2$ - $NR_{235}R_{240}$; -CO- $NR_{235}R_{240}$; -SO₂-(C_1 - C_4 alkyl); and =O; wherein
- R_{215} at each occurrence is independently C_1 - C_6 alkyl, -(CH₂)₀₋₂-(phenyl), $C_{3-}C_{6}$ cycloalkyl, -(CH₂)₀₋₂- $-(CH_2)_{0-2}-(pyrrolyl), -(CH_2)_{0-2}-$ (pyridyl), (imidazolyl), -(CH₂)₀₋₂-(pyrimidyl), $-(CH_2)_{0-2}-(imidazolidinyl)$ (pyrrolidinyl), -(CH₂)₀₋₂-(piperazinyl), -(CH₂)₀₋₂-(piperidinyl), and $-(CH_2)_{0-2}-(morpholinyl)$; wherein the phenyl is optionally at each occurrence group substituted with 1 or 2 groups that are independently R₂₀₅ or R₂₁₀; wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1 or R_{210} ; at each wherein each heteroaryl group occurrence is optionally substituted with 1 or 2 R₂₁₀;
- R_{220} and R_{225} at each occurrence are independently -H, $-C_1-C_4 \quad \text{alkyl}, \quad \text{hydroxy} \quad C_1-C_4 \quad \text{alkyl}, \quad \text{halo} \quad C_1-C_4$ $\quad \text{alkyl}; \quad -C_3-C_6 \quad \text{cycloalkyl}, \quad \text{and} \quad -\left(C_1-C_4 \quad \text{alkyl}\right)-O-\left(C_1-C_2 \quad \text{alkyl}\right);$
- R_{235} and R_{240} at each occurrence are independently H, or $C_1\text{--}C_6$ alkyl;
- R_{245} and R_{250} at each occurrence are independently H, $C_1\text{-}C_4 \text{ alkyl}, \ C_1\text{-}C_4 \text{ hydroxyalkyl}, \ C_1\text{-}C_4 \text{ alkoxy}, \ C_1\text{-}C_4 \text{ haloalkoxy}, \text{ or}$
- R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, or 6 carbon atoms.

Other preferred compounds of formula I-3 include compounds wherein

X is- C_1 - C_3 alkylidenyl optionally optionally substituted with 1 or 2 methyl groups;

- Z is SO_2 ; SO; S; or C(O);
- is C_1-C_4 haloalkyl; OH; -N(Y₁)(Y₂); C_1-C_{10} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected from halogen, hydroxy, C₁-C₄ alkoxy, C₁-C₄ thioalkoxy, and C_1 - C_4 haloalkoxy; C_1 - C_4 alkoxy; phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, CN or NO2; and benzyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, CN or NO₂; wherein Y_1 and Y_2 are the same or different and are H; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from halogen, alkoxy, C₃-C₆ cycloalkyl, and OH; C₂-C₆ alkanoyl; phenyl; $-SO_2-C_1-C_4$ alkyl; benzyl; and C_3-C_6 cycloalkyl C1-C2 alkyl; or
 - $-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy, C_1-C_6 alkoxy, C_1-C_6 alkyl, or halogen.

Preferred compounds of formula I-3 also include those of formula I-4, i.e., compounds of formula I-3 wherein

- X is- C_1 - C_3 alkylidenyl optionally optionally substituted with 1 methyl group;
- Z is SO_2 ; SO; S; or C(O);
- Y is OH; $-N(Y_1)(Y_2)$; phenyl; benzyl; or C_1-C_{10} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected

from halogen, hydroxy, methoxy, ethoxy, thiomethoxy, thioethoxy, and CF_3 ; wherein

- Y_1 and Y_2 are the same or different and are H; C_1 - C_4 alkyl optionally substituted with 1 or 2 substituents selected from halogen, methoxy, ethoxy, cyclopropyl, and OH; or
- $-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, or halogen;
- R₁ is benzyl which is optionally substituted with 1, 2, or 3 groups independently selected from methyl, ethyl, n-propyl, isopropyl, hydroxymethyl, monohalomethyl, dihalomethyl, trihalomethyl, -CH₂CF₃, methoxymethyl, halogen, methoxy, ethoxy, n-propyloxy, isopropyloxy, and OH;

 R_2 and R_3 are independently H or C_1 - C_4 alkyl,

- R_C is C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 cyclopropyl, cyclopropylmethyl, groups; R_{205} cyclopentylmethyl, cyclohexyl, cyclopentyl, - $(CR_{245}R_{250})_{0-3}$ -phenyl optionally cyclohexylmethyl; substituted with 1 or 2 R_{200} groups; -($CR_{245}R_{250}$)₀₋₃pyridyl optionally substituted with 1 or 2 R_{200} ; - $(CR_{245}R_{250})_{0-3}$ -piperazinyl; or $(CR_{245}R_{250})_{0-3}$ pyrrolidinyl; -($CR_{245}R_{250}$)₀₋₃-piperidinyl; each of the is optionally heterocycloalkyl groups above substituted with 1 or 2 R_{210} groups;
 - R_{200} at each occurrence is independently selected from $C_1\text{-}C_4$ alkyl optionally substituted with 1 or 2 R_{205} groups; OH; and halogen;

 R_{205} at each occurrence is independently selected from $C_1\text{-}C_4$ alkyl, halogen, -OH, -SH, -C \equiv N, -CF₃, and $C_1\text{-}C_4$ alkoxy;

- R₂₁₀ at each occurrence is independently selected from C₁-C₄ alkyl optionally substituted with 1 or 2 R₂₀₅ groups; halogen; C₁-C₄ alkoxy; OCF₃; NH₂, NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)(C₁-C₆ alkyl); OH; and -CO-(C₁-C₄ alkyl); wherein
- R_{245} and R_{250} at each occurrence are independently selected from H, $C_1\text{-}C_4$ hydroxyalkyl, $C_1\text{-}C_4$ alkoxy, or
- R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 5,or 6 carbon atoms.

Preferred compounds of formulas I, I-1 and I-2 include compounds of formula I-5, i.e., those of formulae I, I-1 or I-2 wherein

 R_N is $-C(=O) - (CRR')_{0-6}R_{100}$; and

- R_{100} represents aryl, heteroaryl, or heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

$$\begin{split} &N\left(R_{150}\right) - CS - N\left(R_{150}\right)_{2}, &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - CO - R_{105}, \\ &-\left(CH_{2}\right)_{0-4} - NR_{105}R'_{105}, &-\left(CH_{2}\right)_{0-4} - R_{140}, &-\left(CH_{2}\right)_{0-4} - O - CO - \\ &\left(C_{1} - C_{6} \text{ alkyl}\right), &-\left(CH_{2}\right)_{0-4} - O - P\left(O\right) - \left(O - R_{110}\right)_{2}, &-\left(CH_{2}\right)_{0-4} - O - CO - N\left(R_{150}\right)_{2}, &-\left(CH_{2}\right)_{0-4} - O - CS - N\left(R_{150}\right)_{2}, &-\left(CH_{2}\right)_{0-4} - O - \left(R_{150}\right), &-\left(CH_{2}\right)_{0-4} - O - R_{150}' - COOH, &-\left(CH_{2}\right)_{0-4} - S - \left(R_{150}\right), \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - & C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{3} - C_{7} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{10} - C_{10} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{10} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{10} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{10} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{10} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{10} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{10} \\ &-\left(CH_{2}\right)_{0-4} - N\left(R_{150}\right) - SO_{2} - R_{105}, &-\left(CH_{2}\right)_{0-4} - C_{10} \\ &-\left(CH_{2}\right)_{0-4} - C_{$$

Preferred compounds of formula I-5 include compounds wherein

 R_N is $-C(=O)-R_{100}$; and

 R_{100} represents aryl, or heteroaryl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, -NO₂, C_1 - C_6 alkyl, halogen, -C \equiv N, -OCF₃, -CF₃, - $(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12})_{0-4}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl})$, $-(CH_2)_{0-4} CO-(C_2-C_{12} \quad alkynyl), \quad -(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7)$ $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, cycloalkyl), $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, R_{150} , $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_8)$ alkyl), $-(CH_2)_{0-4}-SO_{2-}(C_1-C_{12} \text{ alkyl})$, $-(CH_2)_{0-4}-SO_2 (CH_2)_{0-4}$ - $(C_3$ - C_7 cycloalkyl), - $(CH_2)_{0-4}$ - $N(R_{150})$ - CO - O - $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2,$ $-(CH_2)_{0-4}-$ -(CH₂)₀₋₄-N(R₁₅₀)-CO-R₁₀₅, $N(R_{150}) - CS - N(R_{150})_2$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO (C_1-C_6 \text{ alkyl})$, $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-1}$ $_{4}$ -O-CO-N(R_{150})₂, -(CH_{2})₀₋₄-O-CS-N(R_{150})₂, -(CH_{2})₀₋₄- $O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, - (CH₂)₀₋₄--(CH₂)₀₋₄-N(R₁₅₀)-SO₂-R₁₀₅,cycloalkyl, (C_2-C_{10}) alkenyl, or (C_2-C_{10}) alkynyl.

Preferred compounds of formula I-5 also include compounds wherein.

 R_N is -C(=0)-aryl or -C(=0)-heteroaryl where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, -NO₂, C_1 - C_6 alkyl, halogen, -C=N, -OCF₃, -CF₃, - $-(CH_2)_{0-4}-O-(CH_2)_{0-4} (CH_2)_{0-4}$ -CO-NR₁₀₅R'₁₀₅, $CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12} \ alkyl)$, $-(CH_2)_{0-4} CO-(C_2-C_{12} \text{ alkenyl}), -(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl}),$ -(CH₂)₀₋₄-R₁₂₀, -(CH₂)₀₋₄-R₁₃₀, $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{120}$ R_{130} , - (CH₂)₀₋₄-CO- R_{140} , - (CH₂)₀₋₄-CO-O- R_{150} , - (CH₂)₀₋ $_{4}-SO_{2}-NR_{105}R^{\prime}_{105}$, $-(CH_{2})_{0-4}-SO-(C_{1}-C_{8})$ alkyl), - $(CH_2)_{0-4}$ -SO₂₋ $(C_1$ -C₁₂ alkyl), - $(CH_2)_{0-4}$ -N (R_{150}) -CO-O- $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2,$ $-(CH_2)_{0-4} N(R_{150}) - CO - R_{105}$, $-(CH_2)_{0-4} - NR_{105}R'_{105}$, $-(CH_2)_{0-4} - R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6)$ alkyl), $-(CH_2)_{0-4}-O-CO N(R_{150})_2$, $-(CH_2)_{0-4}$ -O- (R_{150}) , $-(CH_2)_{0-4}$ - $N(R_{150})$ -SO₂--(CH₂)₀₋₄-C₃-C₇ cycloalkyl, (C₂- C_{10}) alkenyl, or (C_2-C_{10}) alkynyl.

Other preferred compounds of formula I-5 include compounds wherein

 R_{N} is -C(=0)-aryl or -C(=0)-heteroaryl where the ring portions of each are optionally substituted with 1 or 2 groups independently selected from

Other preferred compounds of formula I-5 also include compounds wherein $R_{\text{\scriptsize N}}$ is:

wherein sub is hydrogen or is C_1 - C_6 alkyl, halogen, - $(CH_2)_{0-4}$ -CO- $NR_{105}R'_{105}$, - $(CH_2)_{0-4}$ -O-CO- $N(R_{150})_2$, - $(CH_2)_{0-4}$ - $N(R_{150})$ - SO_2 - R_{105} , - $(CH_2)_{0-4}$ - SO_2 - $NR_{105}R'_{105}$, C_3 - C_7 cycloalkyl, - $(C_2$ - $C_{10})$ alkenyl, - $(CH_2)_{0-4}$ - R_{110} , - $(CH_2)_{0-4}$ - R_{120} , - $(CH_2)_{0-4}$ - R_{130} , or $(C_2$ - $C_{10})$ alkynyl.

A preferred stereochemistry for compounds of formula I is as follows:

$$\begin{array}{c|c}
OH & R_N \\
\vdots & R_1 & R_2 & R_3
\end{array}$$
(I)

Preferred compounds of formula X include those of formula X-1, i.e., compounds of formula X wherein R_1 is aryl, heteroaryl, heterocyclyl, $-C_1$ - C_6 alkyl-aryl, - C_1 - C_6 alkyl-heteroaryl, or $-C_1$ - C_6 alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, $-C\equiv N$, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, -C(=O)- $(C_1$ - $C_4)$ alkyl, $-SO_2$ -amino, $-SO_2$ -mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, $-SO_2$ - $(C_1$ - $C_4)$ alkyl, or

 C_3 - $\dot{C_7}$ cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, - C_1 - C_6 alkyl and mono- or dialkylamino, or

- C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF₃, -C₁-C₃ alkoxy, amino, mono- or dialkylamino and -C₁-C₃ alkyl, or
- C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, C_1 - C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula X-1 also include those wherein

- R₁ is $-C_1-C_6$ alkyl-aryl, $-C_1-C_6$ alkyl-heteroaryl, or $-C_1-C_6$ alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, $-C\equiv N$, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, $-C(=O)-(C_1-C_4)$ alkyl, $-SO_2$ -amino, $-SO_2$ -mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, $-SO_2-(C_1-C_4)$ alkyl, or
 - $C_1\text{-}C_6$ alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

 C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, - C_1 - C_6 alkyl and mono- or dialkylamino, or

- C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, -C $_1$ -C $_3$ alkoxy, amino, mono- or dialkylamino and -C $_1$ -C $_3$ alkyl, or
- C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, C_1 - C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula X-1 further include those wherein

- R₁ is $-(CH_2)$ -aryl, $-(CH_2)$ -heteroaryl, or $-(CH_2)$ -heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, $-(CH_2)$ -OH, $-(CH_2)$ -N(R) $-(CH_$
 - $C_1\text{-}C_6$ alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

C₃-C₇ cycloalkyl optionally substituted with 1,
2, or 3 groups independently selected from
halogen, -OH, -SH, -C≡N, -CF₃, C₁-C₃
alkoxy, amino, -C₁-C₆ alkyl and mono- or
dialkylamino, or

- C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, -C $_1$ -C $_3$ alkoxy, amino, mono- or dialkylamino and -C $_1$ -C $_3$ alkyl, or
- C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, C_1 - C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula X-1 also include those wherein

R₁ is -CH₂-phenyl or -CH₂-pyridinyl where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C₁-C₄ alkoxy, hydroxy, -NO₂, and

 C_1-C_4 alkyl optionally substituted with 1, 2, or 3 substituents independently selected from halogen, OH, SH, NH₂, NH(C_1-C_6 alkyl), N-(C_1-C_6 alkyl), $C\equiv N$, CF_3 .

Preferred compounds of formula X-1 further include those wherein

 R_1 is $-CH_2$ -phenyl or $-CH_2$ -pyridinyl where the phenyl or pyridinyl rings are each optionally substituted with

1 or 2 groups independently selected from halogen, C_1 - C_2 alkyl, C_1 - C_2 alkoxy, hydroxy, -CF₃, and -NO₂.

Preferred compounds of formula X-1 include those wherein

 R_1 is $-CH_2$ -phenyl where the phenyl ring is optionally substituted with 2 groups independently selected from halogen, C_1 - C_2 alkyl, C_1 - C_2 alkoxy, hydroxy, and $-NO_2$.

Preferred compounds of formula X-1 also include those wherein R_1 is benzyl, or 3,5-difluorobenzyl.

Preferred compounds of formula X or X-1 include those of formula X-2, i.e., compounds of formula X or X-1 wherein

 R_2 and R_3 are independently selected from H or C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of C_1 - C_3 alkyl, halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_1$ - $_3$ R $_1$ - $_5$

Preferred compounds of formula X-2 include those wherein

 R_C is selected from the group consisting of C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of alkyl), $-S(=0)_{0-2}(C_1-C_6)$ $-OC = ONR_{235}R_{240}$, $-NR_{235}C = ONR_{235}R_{240}$, $-C = ONR_{235}R_{240}$, and $-S(=0)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}-(C_3-C_8)$ cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205} , $-CO_2H$, and $-CO_2-(C_1-C_4 \text{ alkyl})$; $-(CR_{245}R_{250})_{0-4}-\text{aryl}$; - $(CR_{245}R_{250})_{0-4}$ -heteroaryl; $-(CR_{245}R_{250})_{0-4}$ heterocycloalkyl; $-[C(R_{255})(R_{260})]_{1-3}-CO-N-(R_{255})_2;$ CH(aryl)₂; -CH(heteroaryl)₂; -CH(heterocycloalkyl)₂; -CH(aryl)(heteroaryl); $-(CH_2)_{0-1} -CO-NR_{235}R_{240}$;

- each aryl is optionally substituted with 1, 2, or 3 R_{200} ;
- each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200} ;
- each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} ;
- R₂₀₀ at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; OH; -NO₂; halogen; -CO₂H; C=N; -(CH₂)₀₋₄-CO-NR₂₂₀R₂₂₅; -(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl); -(CH₂)₀₋₄-CO₂R₂₁₅; and -(CH₂)₀₋₄-O-(C₁-C₆ alkyl optionally substituted with 1, 2, 3, or 5 -F);
 - wherein each aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} , R_{210} or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ;
 - wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently $R_{210};$
 - wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} , R_{210} , or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ;

R₂₀₅ at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C=N, -CF₃, C_1 - C_6 alkoxy, NH₂, NH(C_1 - C_6 alkyl), and N-(C_1 - C_6 alkyl);

R₂₁₀ at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; -NR₂₂₀R₂₂₅; OH; C \equiv N; C₃-C₇ cycloalkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; -CO-(C₁-C₄ alkyl); $_{-}$ SO₂-NR₂₃₅R₂₄₀; -CO-NR₂₃₅R₂₄₀; -SO₂-(C₁-C₄ alkyl); and =O; wherein

at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl, -(CH₂) $_{0-2}$ -(aryl), C $_{3-}$ C $_{7}$ cycloalkyl, and -(CH $_{2}$) $_{0-2}$ -(heteroaryl), - (CH₂)₀₋₂-(heterocycloalkyl); wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R₂₁₀; at heteroaryl group each occurrence is optionally substituted with 1, 2, or 3 R₂₁₀;

 R_{220} and R_{225} at each occurrence are independently selected from the group consisting of -H, -C₁-C₆ alkyl, hydroxy C₁-C₆ alkyl, amino C₁-C₆ alkyl; halo C₁-C₆ alkyl; -C₃-C₇ cycloalkyl, -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl), -aryl, -heteroaryl, and -heterocycloalkyl; wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{270} groups, each heteroaryl is

optionally substituted with 1, 2, 3, or 4 R_{200} , each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} wherein

- R_{270} at each occurrence is independently R_{205} , C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; $NR_{235}R_{240}$; OH; $C\equiv N$; -CO- $(C_1$ - C_4 alkyl); and =O; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups;
- R_{235} and R_{240} at each occurrence are independently H, or $C_1\text{--}C_6$ alkyl;
- R_{245} and R_{250} at each occurrence are independently selected from the group consisting of H, C_1 - C_4 alkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, or
- R₂₄₅ and R₂₅₀ are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms, wherein the carbocycle is optionally substituted with 1 or 2 groups that are independently OH, methyl, Cl, F, OCH₃, CF₃, NO₂, or CN;
- R₂₅₅ and R₂₆₀ at each occurrence are independently selected from the group consisting of H; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; $-(CH_2)_{0-4}$ - C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; $-(C_1$ - C_4 alkyl)-aryl; $-(C_1$ - C_4 alkyl)-heteroaryl; $-(C_1$ - C_4 alkyl)-heterocycloalkyl; aryl; heteroaryl; heterocycloalkyl; $-(CH_2)_{1-4}$ - $-(CH_2)_{0-4}$ -aryl;

-(CH₂)₁₋₄-R₂₆₅-(CH₂)₀₋₄-heteroaryl; and; -(CH₂)₁₋₄-R₂₆₅-(CH₂)₀₋₄-heterocycloalkyl; wherein

 R_{265} at each occurrence is independently -O-, -S- or -N(C_1 - C_6 alkyl)-;

each aryl or phenyl is optionally substituted with 1, 2, or 3 groups that are independently R_{205} , R_{210} , or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} .

Preferred compounds of formula X-2 include those wherein:

 R_C is $-(CR_{245}R_{250})_{0-4}$ -aryl, or $-(CR_{245}R_{250})_{0-4}$ -heteroaryl, wherein aryl and heteroaryl are optionally substituted with 1, 2, or 3 R_{200} groups.

Preferred compounds of formula X-2 also include compounds wherein

 R_C is $-(CR_{245}R_{250})$ -aryl, or $-(CR_{245}R_{250})$ -heteroaryl wherein each aryl and heteroaryl is optionally substituted with 1, 2, or 3 R_{200} groups.

Preferred compounds of formula X-2 also include compounds wherein

 R_C is $-(CH_2)$ -aryl, or $-(CH_2)$ -heteroaryl, wherein each aryl and heteroaryl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, $C\equiv N$, $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$, $-(CH_2)_{0-4}-CO-(C_1-C_{12})$ alkyl), and $-(CH_2)_{0-4}-SO_2-NR_{220}R_{225}$.

Preferred compounds of formula X-2 also include compounds wherein

 R_C is -(CH2)-aryl, wherein aryl is optionally substituted with 1, 2, or 3 groups selected from OH, -NO2, halogen, -CO2H, and C=N.

Preferred compounds of formula X-2 also include compounds wherein

 R_C is -(CH₂)-phenyl, wherein phenyl is optionally substituted with 1, 2, or 3 groups selected from OH, -NO₂, halogen, -CO₂H, and C=N.

Preferred compounds of formula X-2 also include compounds wherein R_{C} is benzyl.

Other preferred compounds of formulas X, X-1 or X-2 include compounds of formula X-3, i.e., those of formulas X, X-1 or X-2 wherein $R_{\rm N}$ is:

$$Y^Z_X^C(CH_2)_{n7}$$
-CHC(O)-R₄

wherein

 R_4 is NH_2 ; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$;

wherein

 n_6 is 0, 1, 2, or 3; n_7 is 0, 1, 2, or 3;

 $R_{4\text{-}1}$ is selected from the group consisting of $-SO_2-(C_1-C_8\ alkyl)$, $-SO-(C_1-C_8\ alkyl)$, $-S-(C_1-C_8\ alkyl)$, $-S-CO-(C_1-C_6\ alkyl)$, $-SO_2-NR_{4\text{-}2}R_{4\text{-}3}$; $-CO-C_1-C_2\ alkyl$; $-CO-NR_{4\text{-}3}R_{4\text{-}4}$;

 R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

 R_{4-4} is alkyl, phenylalkyl, C_2-C_4 alkanoyl, or phenylalkanoyl;

 R_5 is cyclopropyl; cyclobutyl; cyclopentyl; or cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1 - C_6 alkyl, more preferably C_1 - C_2 alkyl, C_1 - C_6 alkoxy, more preferably C_1 - C_2 alkoxy, C_3 , C_4 , C_5 , C_6 alkyl), C_1 - C_6 alkyl), C_1 - C_6 alkyl), C_1 - C_6 alkyl), halogen, C_1 , or

NO2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF3, Cl, F, methyl, ethyl or cyano; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_5-C_6 heterocycloalkyl, C_5-C_6 heteroaryl, phenyl, C_3-C_7 cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ heteroaryl optionally phenyloxy; oralkyl, substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_1 -C4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, or C_2 - C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkyl; and -NR₆R₇; wherein

- R_6 and R_7 are independently selected from the group consisting of H, C_1 - C_6 alkyl, C_2 - C_6 alkanoyl, phenyl, $-SO_2$ - C_1 - C_4 alkyl, and phenyl C_1 - C_4 alkyl;
- R_8 is selected from the group consisting of $-SO_2$ -heteroaryl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl or halogen; $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, $-C(O)NHR_9$, heterocycloalkyl, $-S-C_2-C_4$ alkanoyl, wherein

 $$R_9$$ is phenyl $C_1\!-\!C_4$ alkyl, $C_1\!-\!C_6$ alkyl, or H; $$R_{50}$$ is H or $C_1\!-\!C_6$ alkyl;

 R_{51} is selected from the group consisting of phenyl C_1 - C_4 alkyl; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(O)NR_6R_7$, C_3 - C_7 or $-C_1$ - C_4 alkoxy; heterocycloalkyl optionally

substituted with 1 or 2 groups that independently C1-C4 alkyl, C1-C4 alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and -SO₂ C_1 - C_4 heterocycloalkylalkyl optionally substituted with 1 or 2 groups that independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and -SO₂ C_1 - C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2 , $NH(C_1-C_6 \quad alkyl)$ oralkyl)(C₁-C₆ alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, halogen, $NH(C_1-C_6 \text{ alkyl})$ or $N(C_1-C_6 \text{ alkyl})(C_1-C_6)$ alkyl); phenyl; $C_3 - C_8$ cycloalkyl, and cycloalkylalkyl, wherein the phenyl; $C_3 - C_8$ and cycloalkylalkyl cycloalkyl, groups optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO2, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_2 - C_6 alkanoyl, C_1 - C_6 haloalkyl, C_1 - C_6 haloalkoxy, hydroxy, hydroxyalkyl, C₁-C₆ alkoxy C₁-C₆ alkyl, thioalkoxy, C_1 - C_6 thioalkoxy C_1 - C_6 alkyl, or C_1 - C_6 alkoxy C_1 - C_6 alkoxy.

Preferred compounds of formula X-3 include compounds wherein

 R_N is

wherein

X is C_1-C_4 alkylidenyl optionally substituted with 1, 2, or 3 methyl groups; or $-NR_{4-6}-$; or

 R_4 and R_{4-6} combine to form $-\left(CH_2\right)_{n10}-$, wherein n_{10} is 1, 2, 3, or 4;

Z is selected from a bond; SO_2 ; SO_3 ; and C(O);

- y is selected from H; C₁-C₄ haloalkyl; C₅-C₆ heterocycloalkyl containing at least one N, O, or S; phenyl; OH; -N(Y₁)(Y₂); C₁-C₁₀ alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C₃-C₈ cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C₁-C₃ alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, CN or NO₂; phenyl C₁-C₄ alkyl optionally substituted with halogen, C₁-C₄ alkoxy, CN or NO₂; wherein
 - Y₁ and Y₂ are the same or different and are H; C₁-C₁₀ alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C₁-C₄ alkoxy, C₃-C₈ cycloalkyl, and OH; C₂-C₆ alkenyl; C₂-C₆ alkanoyl; phenyl; -SO₂-C₁-C₄ alkyl; phenyl C₁-C₄ alkyl; and C₃-C₈ cycloalkyl C₁-C₄ alkyl; or
 - $-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy, or halogen.

Preferred compounds of formula X-3 include compounds wherein

- X is C_1 - C_4 alkylidenyl optionally substituted with 1, 2, or 3 methyl groups;
- Z is selected from SO_2 ; SO; S; and C(O);

.....

 C_1-C_4 haloalkyl; C_5-C_6 from H; selected Υ is heterocycloalkyl containing at least one N, O, or S; phenyl; OH; $-N(Y_1)(Y_2)$; C_1-C_{10} alkyl substituted with 1 thru 3 substituents which can be the same or different and are selected from the hydroxy, alkoxy, group consisting of halogen, C₃-C₈ cycloalkyl haloalkoxy; thioalkoxy, and optionally substituted with 1, 2, or 3 groups independently selected from C_1-C_3 alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2 ; phenyl C_1-C_4 alkyl optionally substituted with halogen, C_1 - C_4 alkyl, C₁-C₄ alkoxy, CN or NO₂; wherein

 Y_1 and Y_2 are the same or different and are H; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1 - C_4 alkoxy, C_3 - C_8 cycloalkyl, and OH; C_2 - C_6 alkenyl; C_2 - C_6 alkanoyl; phenyl; - SO_2 - C_1 - C_4 alkyl; phenyl C_1 - C_4 alkyl; or C_3 - C_8 cycloalkyl C_1 - C_4 alkyl; or

 $-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy, C_1-C_6 alkyl, or halogen.

Preferred compounds of formula X-3 include compounds

wherein
$$R_N$$
 is O
 S
 S
 Y

and wherein R_4 is NH_2 ; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(0)R_5$; or $-NR_{50}CO_2R_{51}$ wherein

 n_6 is 0, 1, 2, or 3; n_7 is 0, 1, 2, or 3;

- R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;
- R_{4-4} is alkyl, phenylalkyl, C_2 - C_4 alkanoyl, or phenylalkanoyl;
- is cyclopropyl; cyclobutyl; cyclopentyl; or R_5 cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are $C_1\text{-}C_6$ alkyl, more preferably $C_1\text{-}C_2$ alkyl, C_1 - C_6 alkoxy, more preferably C_1 - C_2 alkoxy, CF_3 , OH, NH_2 , $NH(C_1-C_6$ alkyl), $N(C_1-C_6)$ alkyl) (C_1 - C_6 alkyl), halogen, CN, or NO_2 ; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF3, Cl, F, methyl, ethyl or cyano; C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_5- C₆ heterocycloalkyl, C₅-C₆ heteroaryl, phenyl, cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-\dot{C}_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently alkyl, C₁-C₄ alkoxy, halogen, C1-C4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, halogen, or C2-C4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that

independently halogen, OH, $C_1\text{-}C_4$ alkyl, $C_1\text{-}C_4$ alkoxy, or $C_1\text{-}C_4$ haloalkyl; and $\text{-}NR_6R_7$; wherein

- R_6 and R_7 are independently selected from the group consisting of H, C_1 - C_6 alkyl, C_2 - C_6 alkanoyl, phenyl, $-SO_2$ - C_1 - C_4 alkyl, and phenyl C_1 - C_4 alkyl;
- R_8 is selected from the group consisting of $-SO_2$ -heteroaryl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl or halogen; $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, $-C(O)NHR_9$, heterocycloalkyl, -S- C_2 - C_4 alkanoyl, wherein

 R_9 is phenyl $C_1\!-\!C_4$ alkyl, $C_1\!-\!C_6$ alkyl, or H; R_{50} is H or $C_1\!-\!C_6$ alkyl; and

 R_{51} is selected from the group consisting of phenyl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, -NR₆R₇, -C(0)NR₆R₇, C₃-C₇ or -C₁- C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, $\text{C}_2\text{-}\text{C}_4$ alkanoyl, phenyl $\text{C}_1\text{-}\text{C}_4$ alkyl, and $-\text{SO}_2$ $\text{C}_1\text{-}\text{C}_4$ alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and $-SO_2$ C_1 - C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, NH_2 , $NH(C_1-C_6)$ halogen, alkyl) or $N(C_1-C_6)$ alkyl)(C_1 - C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, $NH(C_1-C_6 \text{ alkyl})$ or $N(C_1-C_6 \text{ alkyl})(C_1-C_6)$ NH_2 ,

alkyl); phenyl; C_3 - C_8 cycloalkyl, and cycloalkylalkyl, wherein the phenyl; C_3 - C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2 , C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_2 - C_6 alkanoyl, C_1 - C_6 haloalkyl, C_1 - C_6 haloalkoxy, hydroxy, C_1 - C_6 hydroxyalkyl, C_1 - C_6 alkoxy C_1 - C_6 alkyl, C_1 - C_6 thioalkoxy, C_1 - C_6 alkyl, or C_1 - C_6 alkoxy C_1 - C_6 alkoxy; and

Y is C_1 - C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy.

Preferred compounds of formula X-3 further include compounds wherein

 R_C is C_1 - C_8 alkyl optionally substituted with 1, 2, or 3 group groups independently selected from the R_{205} , $-OC=ONR_{235}R_{240}$, $-S(=O)_{0-2}(C_1-C_6)$ consisting of alkyl), -SH, -C=ONR₂₃₅R₂₄₀, and -S(=0)₂NR₂₃₅R₂₄₀; -(CH₂)₀-3-(C3-C8) cycloalkyl wherein the cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205} , $-CO_2H$, and $-CO_2-(C_1-C_4 \text{ alkyl})$; $-(CR_{245}R_{250})_{0-4}$ phenyl; $-(CR_{245}R_{250})_{0-4}$ -heteroaryl; $-(CR_{245}R_{250})_{0-4}$ heterocycloalkyl; $-(CH_2)_{0-1}-CH((CH_2)_{0-4}-OH)-(CH_2)_{0-1}$ phenyl; $-(CH_2)_{0-1}$ -CHR_{C-6}- $(CH_2)_{0-1}$ -heteroaryl; $-CH(-CH_2-CH_2)_{0-1}$ OH)-CH(OH)-phenyl-NO₂; $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-O$ OH; or $-(CH_2)_{0-6}-C(=NR_{235})(NR_{235}R_{240})$; wherein each aryl is optionally substituted with 1, 2, or 3 R₂₀₀;

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200} ;

- each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} ;
- R₂₀₀ at each occurrence is independently C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; OH; -NO₂; halogen; -CO₂H; C \equiv N; -(CH₂)₀₋₄-CO-NR₂₂₀R₂₂₅; -(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl); -(CH₂)₀₋₄-CO₂R₂₁₅; or -(CH₂)₀₋₄-O-(C₁-C₆ alkyl optionally substituted with 1, 2, 3, or 5 -F);
- R_{205} at each occurrence is independently C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C \equiv N, -CF $_3$, C_1 - C_6 alkoxy, NH $_2$, NH(C_1 - C_6 alkyl), or N-(C_1 - C_6 alkyl)(C_1 - C_6 alkyl);
- R₂₁₀ at each occurrence is independently C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; -NR₂₂₀R₂₂₅; OH; C=N; C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; -CO-(C_1 - C_4 alkyl); $_2$ SO₂-NR₂₃₅R₂₄₀; -CO-NR₂₃₅R₂₄₀; -SO₂-(C_1 - C_4 alkyl); and =O; wherein
- R_{215} at each occurrence is independently C_1 - C_6 alkyl, -(CH₂)₀₋₂-(phenyl), $C_{3-}C_{7}$ cycloalkyl, and -(CH₂)₀₋ -(CH₂)₀₋₂-(heterocycloalkyl); 2-(heteroaryl), wherein the phenyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210} ; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R₂₁₀;

 R_{220} and R_{225} at each occurrence are independently -H, $-C_1-C_6$ alkyl, hydroxy C_1-C_6 alkyl, halo C_1-C_6 alkyl; $-C_3-C_7$ cycloalkyl, and $-(C_1-C_6$ alkyl)-O-(C_1-C_3 alkyl);

- R_{235} and R_{240} at each occurrence are independently H, or $C_1\!-\!C_6$ alkyl;
- R_{245} and R_{250} at each occurrence are independently H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, or
- R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms.

Preferred compounds of formula X-3 include compounds wherein

- R_1 is benzyl which is optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C_1 - C_4 alkoxy, hydroxy, and C_1 - C_4 alkyl optionally substituted with 1, 2, or 3 substituents halogen, OH, SH, NH_2 , $NH(C_1$ - C_6 alkyl), N- $(C_1$ - C_6 alkyl) (C_1 - C_6 alkyl), $C\equiv N$, CF_3 ;
- R_2 and R_3 are independently selected from H or C_1 - C_4 alkyl optionally substituted with 1 substituent selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, NH $_2$, NH(C_1 - C_6 alkyl), and NH(C_1 - C_6 alkyl);

pyrazinyl; $-(CR_{245}R_{250})_{0-3}$ -furyl; $-(CR_{245}R_{250})_{0-3}$ -indolyl; - $(CR_{245}R_{250})_{0-3}$ -thienyl; - $(CR_{245}R_{250})_{0-3}$ -pyrrolyl; - $(CR_{245}R_{250})_{0-3}$ -pyrazolyl; $(CR_{245}R_{250})_{0-3}$ -benzoxazolyl; - $(CR_{245}R_{250})_{0-3}$ -imidazolyl; each of the heteroaryl groups is optionally substituted with 1, 2, 3, 4 R_{200} ; - $(CR_{245}R_{250})_{0-3}$ -imidazolidinyl; $(CR_{245}R_{250})_{0-3}$ -tetrahydrofuryl; $(CR_{245}R_{250})_{0-3}$ tetrahydropyranyl; $(CR_{245}R_{250})_{0-3}$ -piperazinyl; $(CR_{245}R_{250})_{0-3}$ -pyrrolidinyl; $(CR_{245}R_{250})_{0-3}$ -piperidinyl; $(CR_{245}R_{250})_{0-3}$ -indolinyl; each of the heterocycloalkyl groups is optionally substituted with 1, 2, 3, or 4 R_{210} ; $(CH_2)_{0-1}$ -CH $((CH_2)_{0-4}$ -OH)- $(CH_2)_{0-1}$ 1-phenyl; - $(CH_2)_{0-1}$ -CH $(C_1$ -C₄ hydroxyalkyl) - $(CH_2)_{0-1}$ pyridyl;

- R_{205} at each occurrence is independently C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C \equiv N, -CF $_3$, C_1 - C_6 alkoxy, NH $_2$, NH(C_1 - C_6 alkyl), and N-(C_1 - C_6 alkyl);
- R₂₁₀ at each occurrence is independently C_1 - C_6 alkyl optionally substituted with 1 or 2 R₂₀₅ groups; halogen; C_1 - C_4 alkoxy; C_1 - C_4 haloalkoxy; -NR₂₂₀R₂₂₅; OH; C \equiv N; C_3 - C_7 cycloalkyl optionally substituted with 1 or 2 R₂₀₅ groups; -CO-(C_1 - C_4 alkyl); $_2$ SO₂₋NR₂₃₅R₂₄₀; -CO-NR₂₃₅R₂₄₀; -SO₂-(C_1 - C_4 alkyl); and \equiv O; wherein
- R_{215} at each occurrence is independently C_1 - C_6 alkyl, $-(CH_2)_{0-2}$ -(phenyl), C_3 - C_6 cycloalkyl, $-(CH_2)_{0-2}$ -

(pyridyl), -(CH₂)₀₋₂-(pyrrolyl), $-(CH_2)_{0-2} -(CH_2)_{0-2}-$ (imidazolyl), -(CH₂)₀₋₂-(pyrimidyl), -(CH₂)₀₋₂-(imidazolidinyl)(pyrrolidinyl), - $(CH_2)_{0-2}$ - (piperazinyl), - $(CH_2)_{0-2}$ - (piperidinyl), and $-(CH_2)_{0-2}-(morpholinyl)$; wherein the phenyl optionally at each occurrence is group substituted with 1 or 2 groups that are R_{210} ; wherein independently R₂₀₅ each or heterocycloalkyl group at each occurrence is optionally substituted with 1 or at each heteroaryl group wherein each occurrence is optionally substituted with 1 or 2 R₂₁₀;

- R_{220} and R_{225} at each occurrence are independently -H, $-C_1-C_4 \quad \text{alkyl}, \quad \text{hydroxy} \quad C_1-C_4 \quad \text{alkyl}, \quad \text{halo} \quad C_1-C_4$ $\quad \text{alkyl}; \quad -C_3-C_6 \quad \text{cycloalkyl}, \quad \text{and} \quad -\left(C_1-C_4 \quad \text{alkyl}\right)-O-\left(C_1-C_2 \quad \text{alkyl}\right);$
- R_{235} and R_{240} at each occurrence are independently H, or $C_1\text{--}C_6$ alkyl;
- R_{245} and R_{250} at each occurrence are independently H, $C_1-C_4 \text{ alkyl}, \ C_1-C_4 \text{ hydroxyalkyl}, \ C_1-C_4 \text{ alkoxy}, \ C_1-C_4 \text{ haloalkoxy}, \text{ or }$
- R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, or 6 carbon atoms.

Other preferred compounds of formula X-3 include compounds wherein

- X is- C_1 - C_3 alkylidenyl optionally optionally substituted with 1 or 2 methyl groups;
- Z is SO_2 ; SO; S; or C(O);
- Y is C_1-C_4 haloalkyl; OH; $-N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected

from halogen, hydroxy, C₁-C₄ alkoxy, C₁-C₄ thioalkoxy, and C₁-C₄ haloalkoxy; C₁-C₄ alkoxy; phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, CN or NO₂; and benzyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, CN or NO₂; wherein Y₁ and Y₂ are the same or different and are H; C₁-C₆ alkyl optionally substituted with 1, 2, or 3 substituents selected from halogen, C₁-C₂ alkoxy, C₃-C₆ cycloalkyl, and OH; C₂-C₆ alkanoyl; phenyl; -SO₂-C₁-C₄ alkyl; benzyl; and C₃-C₆ cycloalkyl C₁-C₂ alkyl; or

-N(Y_1)(Y_2) forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy C_1 - C_6 alkyl, or halogen.

Preferred compounds of formula X-3 also include those of formula X-4, i.e., compounds of formula X-3 wherein

- X is- C_1 - C_3 alkylidenyl optionally optionally substituted with 1 methyl group;
- Z is SO_2 ; SO; S; or C(O);
- Y is OH; $-N(Y_1)(Y_2)$; phenyl; benzyl; or C_1-C_{10} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected from halogen, hydroxy, methoxy, ethoxy, thiomethoxy, thioethoxy, and CF3; wherein
 - Y_1 and Y_2 are the same or different and are H; C_1 - C_4 alkyl optionally substituted with 1 or 2 substituents selected from halogen, methoxy, ethoxy, cyclopropyl, and OH; or

 $-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, or halogen;

R₁ is benzyl which is optionally substituted with 1, 2, or 3 groups independently selected from methyl, ethyl, n-propyl, isopropyl, hydroxymethyl, monohalomethyl, dihalomethyl, trihalomethyl, -CH₂CF₃, methoxymethyl, halogen, methoxy, ethoxy, n-propyloxy, isopropyloxy, and OH;

 R_2 and R_3 are independently H or C_1 - C_4 alkyl;

- R_{C} is $C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, or 3 cyclopropylmethyl, cyclopropyl, groups; R_{205} cyclopentylmethyl, cyclohexyl, cyclopentyl, $-(CR_{245}R_{250})_{0-3}$ -phenyl optionally cyclohexylmethyl; substituted with 1 or 2 R_{200} groups; -($CR_{245}R_{250}$)₀₋₃pyridyl optionally substituted with 1 or 2 R_{200} ; - $(CR_{245}R_{250})_{0-3}$ (CR₂₄₅R₂₅₀)₀₋₃-piperazinyl;orpyrrolidinyl; $-(CR_{245}R_{250})_{0-3}$ -piperidinyl; each of the optionally heterocycloalkyl is groups above substituted with 1 or 2 R210 groups;
 - R_{200} at each occurrence is independently selected from $C_1\text{-}C_4$ alkyl optionally substituted with 1 or 2 R_{205} groups; OH; and halogen;
 - R_{205} at each occurrence is independently selected from $C_1\!-\!C_4$ alkyl, halogen, -OH, -SH, -C=N, -CF_3, and $C_1\!-\!C_4$ alkoxy;
 - R₂₁₀ at each occurrence is independently selected from C_1 - C_4 alkyl optionally substituted with 1 or 2 R₂₀₅ groups; halogen; C_1 - C_4 alkoxy; OCF₃; NH₂, NH(C_1 - C_6 alkyl); N(C_1 - C_6 alkyl)(C_1 - C_6 alkyl); OH; and -CO-(C_1 - C_4 alkyl); wherein

 R_{245} and R_{250} at each occurrence are independently selected from H, $C_1\text{-}C_4$ hydroxyalkyl, $C_1\text{-}C_4$ alkoxy, or

 R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 5,or 6 carbon atoms.

Preferred compounds of formulas X, X-1 and X-2 include compounds of formula X-5, i.e., those of formulae X, X-1 or X-2 wherein

 R_{N} is $-C\,(=\!O)\,-\,(CRR^{\,\prime}\,)_{\,0-6}R_{100}\,;$ and

R₁₀₀ represents aryl, heteroaryl, or heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, -NO $_2$, C $_1$ -C $_6$ alkyl, halogen, -C \equiv N, -OCF $_3$, -CF $_3$, - $(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12})_{0-4}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl})$, $-(CH_2)_{0-4} CO-(C_2-C_{12} \quad alkynyl),$ -(CH₂)₀₋₄-CO-(CH₂)₀₋₄(C₃-C₇cycloalkyl), $-(CH_2)_{0-4}-R_{110}$ $-(CH_2)_{0-4}-R_{120}$ $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO_-(C_1-C_8)$ alkyl), $-(CH_2)_{0-4}-SO_{2-}(C_1-C_{12} \text{ alkyl})$, $-(CH_2)_{0-4}-SO_{2-}$ $(CH_2)_{0-4}$ - $(C_3$ - C_7 cycloalkyl), - $(CH_2)_{0-4}$ - $N(R_{150})$ - CO - O - $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$ $N(R_{150}) - CS - N(R_{150})_{2}$ -(CH₂)₀₋₄-N(R₁₅₀)-CO-R₁₀₅, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO (C_1-C_6 \text{ alkyl})$, $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-1}$ $_{4}$ -O-CO-N(R_{150})₂, -(CH_{2})₀₋₄-O-CS-N(R_{150})₂, -(CH_{2})₀₋₄- $O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$ $-(CH_2)_{0-4}$ cycloalkyl, (C_2-C_{10}) alkenyl, or (C_2-C_{10}) alkynyl.

Preferred compounds of formula X-5 include compounds wherein

 R_N is $-C(=0)-R_{100}$; and

 R_{100} represents aryl, or heteroaryl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, -NO₂, C_1 - C_6 alkyl, halogen, -C \equiv N, -OCF₃, -CF₃, - $(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12})_{0-4}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl), $-(CH_2)_{0-4}-$ CO- $(C_2-C_{12}$ alkynyl), - $(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7)$ $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, cycloalkyl), $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, R_{150} , - (CH₂)₀₋₄-SO₂-NR₁₀₅R'₁₀₅, - (CH₂)₀₋₄-SO-(C₁-C₈ alkyl), $-(CH_2)_{0-4}-SO_{2-}(C_1-C_{12} \text{ alkyl})$, $-(CH_2)_{0-4}-SO_{2-}$ $(CH_2)_{0-4}$ - $(C_3$ - C_7 cycloalkyl), - $(CH_2)_{0-4}$ - $N(R_{150})$ - CO - O - O R_{150} , $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-$ -(CH₂)₀₋₄-N(R₁₅₀)-CO-R₁₀₅, $N(R_{150}) - CS - N(R_{150})_2$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO (C_1-C_6 \text{ alkyl})$, $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-1}$ $_{4}$ -O-CO-N(R₁₅₀)₂, -(CH₂)₀₋₄-O-CS-N(R₁₅₀)₂, -(CH₂)₀₋₄-O- (R_{150}) , - $(CH_2)_{0-4}$ -O- R_{150} '-COOH, - $(CH_2)_{0-4}$ -S- (R_{150}) , $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105},$ - (CH₂)₀₋₄cycloalkyl, (C_2-C_{10}) alkenyl, or (C_2-C_{10}) alkynyl.

Preferred compounds of formula X-5 also include compounds wherein

 R_N is -C(=0)-aryl or -C(=0)-heteroaryl where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, -NO₂, C₁-C₆ alkyl, halogen, -C \equiv N, -OCF₃, -CF₃, - (CH₂)₀₋₄-CO-NR₁₀₅R'₁₀₅, - (CH₂)₀₋₄-O-(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl), - (CH₂)₀₋₄-

 $CO-(C_2-C_{12} \text{ alkenyl}), -(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl}),$ -(CH₂)₀₋₄-R₁₁₀, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{120}$ R_{130} , - $(CH_2)_{0-4}$ - $CO-R_{140}$, - $(CH_2)_{0-4}$ - $CO-O-R_{150}$, - $(CH_2)_{0-4}$ 4-SO₂-NR₁₀₅R'₁₀₅, - (CH₂)₀₋₄-SO- (C₁-C₈ - $(CH_2)_{0-4}$ - SO_{2-} $(C_1$ - C_{12} alkyl), - $(CH_2)_{0-4}$ - $N(R_{150})$ - CO - O - R_{150} , $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4} N(R_{150}) - CO - R_{105}$, $-(CH_2)_{0-4} - NR_{105}R'_{105}$, $-(CH_2)_{0-4} - R_{140}$, -(CH₂)₀₋₄-O-CO-(C₁-C₆alkyl), $-(CH_2)_{0-4}-O-CO N(R_{150})_2$, $-(CH_2)_{0-4}$ -O- (R_{150}) , $-(CH_2)_{0-4}$ - $N(R_{150})$ - SO_2 --(CH₂)₀₋₄-C₃-C₇ cycloalkyl, C_{10}) alkenyl, or (C_2-C_{10}) alkynyl.

Other preferred compounds of formula X-5 include compounds wherein

 R_N is -C(=0)-aryl or -C(=0)-heteroaryl where the ring portions of each are optionally substituted with 1 or 2 groups independently selected from

Other preferred compounds of formula X-5 also include compounds wherein R_{N} is:

wherein sub is hydrogen or is C_1-C_6 alkyl, halogen, - $(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, - $(CH_2)_{0-4}-O-CO-N(R_{150})_2$, - $(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, - $(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$,

 C_3-C_7 cycloalkyl, $-(C_2-C_{10})$ alkenyl, $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, or (C_2-C_{10}) alkynyl.

A preferred stereochemistry for compounds of formula ${\tt X}$ is as follows:

$$R_N$$
 Q
 H_2N
 R_1
 R_2
 R_3
 R_3
 R_3

In another aspect, the invention provides intermediates of the formula (IA):

PROT
$$R_1$$
 R_2 R_3 (IA)

wherein R_1 , R_2 , R_3 , R_N , and R_C are as defined above for compounds of formula I, and PROT is an amine protecting group as defined below.

In another aspect, the invention provides intermediates of the formula (XA):

PROT
$$\stackrel{H}{\underset{R_1}{\overset{\circ}{\bigvee}}} \stackrel{Q}{\underset{R_2}{\overset{\circ}{\bigvee}}} \stackrel{PROT}{\underset{R_2}{\overset{\circ}{\bigvee}}} \stackrel{R_C}{\underset{R_3}{\overset{\circ}{\bigvee}}}$$

wherein R_1 , R_2 , R_3 , R_N , and R_C are as defined above for compounds of formula I, and PROT is an amine protecting group as defined below

The invention also provides methods of generating compounds of formula (Y) from the compounds of formula (AA), formula (I) or formula (X), which are useful for treating and/or preventing Alzheimer's disease. The generation of compounds of formula (Y) from compounds of formulae (AA), (I) or (X) can occur in vivo or in vitro.

The invention also provides processes for converting compounds of formula AA, I or X to the compounds of formula Y by exposing compounds of formula AA, I or X to aqueous media. The conversion can occur in vitro or in vivo.

The invention also provides methods for treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical degeneration, ordiffuse Lewy body Alzheimer's disease and who is in need of such treatment

which includes administration of a therapeutically effective amount of a compound of formula (AA), (I) or (X) or a pharmaceutically acceptable salts thereof.

In an embodiment, this method of treatment can be used where the disease is Alzheimer's disease.

In an embodiment, this method of treatment can help prevent or delay the onset of Alzheimer's disease.

In an embodiment, this method of treatment can be used where the disease is mild cognitive impairment.

In an embodiment, this method of treatment can be used where the disease is Down's syndrome.

In an embodiment, this method of treatment can be used where the disease is Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

In an embodiment, this method of treatment can be used where the disease is cerebral amyloid angiopathy.

In an embodiment, this method of treatment can be used where the disease is degenerative dementias.

In an embodiment, this method of treatment can be used where the disease is diffuse Lewy body type of Alzheimer's disease.

In an embodiment, this method of treatment can treat an existing disease.

In an embodiment, this method of treatment can prevent a disease from developing.

In an embodiment, this method of treatment can employ therapeutically effective amounts: for oral administration from about 0.1 mg/day to about 1,000 mg/day; for parenteral, sublingual, intranasal, intrathecal administration from about 0.5 to about 100 mg/day; for depo administration and implants from about 0.5 mg/day to about 50 mg/day; for topical administration

from about 0.5 mg/day to about 200 mg/day; for rectal administration from about 0.5 mg to about 500 mg.

In an embodiment, this method of treatment can employ therapeutically effective amounts: for oral administration from about 1 mg/day to about 100 mg/day; and for parenteral administration from about 5 to about 50 mg daily.

In an embodiment, this method of treatment can employ therapeutically effective amounts for oral administration from about 5 mg/day to about 50 mg/day.

The invention also includes pharmaceutical compositions which include a compound of formula (AA), (I) or (X) or a pharmaceutically acceptable salts thereof.

The invention also includes the use of a compound of formula (AA), (I) or (X) or pharmaceutically acceptable salts thereof for the manufacture of a medicament.

The invention also includes methods for inhibiting beta-secretase activity, for inhibiting cleavage amyloid precursor protein (APP), in a reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695 amino acid isotype, or at a corresponding site of an isotype or mutant thereof; for inhibiting production amyloid beta peptide (A beta) in a cell; inhibiting the production of beta-amyloid plaque in an animal; for treating or and preventing a characterized by beta-amyloid deposits in the brain. These methods each include administration of a therapeutically effective amount of a compound of formula (AA), (I) or (X) or a pharmaceutically acceptable salts thereof.

The invention also includes a method for inhibiting beta-secretase activity, including exposing said beta-

secretase to a compound of formula (AA), (I) or (X), under conditions whereby an effective inhibitory amount of a compound of formula (Y), or a pharmaceutically acceptable salt thereof, is formed.

In an embodiment, this method employs a compound that inhibits 50% of the enzyme's activity at a concentration of less than 50 micromolar.

In an embodiment, this method employs a compound that inhibits 50% of the enzyme's activity at a concentration of 10 micromolar or less.

In an embodiment, this method employs a compound that inhibits 50% of the enzyme's activity at a concentration of 1 micromolar or less.

In an embodiment, this method employs a compound that inhibits 50% of the enzyme's activity at a concentration of 10 nanomolar or less.

In an embodiment, this method includes exposing said beta-secretase to said compound in vitro.

In an embodiment, this method includes exposing said beta-secretase to said compound in a cell.

In an embodiment, this method includes exposing said beta-secretase to said compound in a cell in an animal.

In an embodiment, this method includes exposing said beta-secretase to said compound in a human.

The invention also includes a method for inhibiting cleavage of amyloid precursor protein (APP), in a reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695 amino acid isotype; or at a corresponding site of an isotype or mutant thereof, including exposing said reaction mixture to an effective inhibitory amount of a compound of formula (AA), (I) or (X), or a pharmaceutically acceptable salt thereof.

In an embodiment, this method employs a cleavage site: between Met652 and Asp653, numbered for the APP-751 isotype; between Met 671 and Asp 672, numbered for the APP-770 isotype; between Leu596 and Asp597 of the APP-695 Swedish Mutation; between Leu652 and Asp653 of the APP-751 Swedish Mutation; or between Leu671 and Asp672 of the APP-770 Swedish Mutation.

In an embodiment, this method exposes said reaction mixture in vitro.

In an embodiment, this method exposes said reaction mixture in a cell.

In an embodiment, this method exposes said reaction mixture in an animal cell.

In an embodiment, this method exposes said reaction mixture in a human cell.

The invention also includes a method for inhibiting production of amyloid beta peptide (A beta) in a cell, including administering to said cell a compound of formula (AA), (I) or (X), under conditions whereby an effective inhibitory amount of a compound of formula (Y), or a pharmaceutically acceptable salt thereof, is formed.

In an embodiment, this method includes administering to an animal.

In an embodiment, this method includes administering to a human.

The invention also includes a method for inhibiting the production of beta-amyloid plaque in an animal, including administering to said animal a compound of formula (AA), (I) or (X), under conditions whereby an effective inhibitory amount of a compound of formula (Y), or a pharmaceutically acceptable salt thereof, is formed.

In an embodiment, this method includes administering to a human.

The invention also includes a method for treating or preventing a disease characterized by beta-amyloid deposits in the brain including administering to a patient an effective therapeutic amount of a compound of formula (AA), (I) or (X), under conditions whereby an effective inhibitory amount of a compound of formula (Y), or a pharmaceutically acceptable salt thereof, is formed.

In an embodiment, this method results in a compound of formula (Y) that inhibits 50% of the enzyme's activity at a concentration of less than 50 micromolar.

In an embodiment, this method results in a compound of formula (Y) that inhibits 50% of the enzyme's activity at a concentration of 10 micromolar or less.

In an embodiment, this method results in a compound of formula (Y) that inhibits 50% of the enzyme's activity at a concentration of 1 micromolar or less.

In an embodiment, this method results in a compound of formula (Y) that inhibits 50% of the enzyme's activity at a concentration of 10 nanomolar or less.

In an embodiment, this method employs a compound at a therapeutic amount in the range of from about 0.1 to about 1500 mg/day.

In an embodiment, this method employs a compound at a therapeutic amount in the range of from about 15 to about 1000 mg/day.

In an embodiment, this method employs a compound at a therapeutic amount in the range of from about 1 to about 100 mg/day.

In an embodiment, this method employs a compound at a therapeutic amount in the range of from about 5 to about 50 mg/day.

In an embodiment, this method can be used where said disease is Alzheimer's disease.

In an embodiment, this method can be used where said disease is Mild Cognitive Impairment, Down's Syndrome, or Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type.

The invention also includes a component kit including component parts capable of being assembled, in which at least one component part includes a compound of formula AA, I or X enclosed in a container.

In an embodiment, this component kit includes lyophilized compound, and at least one further component part includes a diluent.

The invention also includes a container kit including a plurality of containers, each container including one or more unit dose of a compound of formula (AA), (I) or (X):, or a pharmaceutically acceptable salt thereof.

In an embodiment, this container kit includes each container adapted for oral delivery and includes a tablet, gel, or capsule.

In an embodiment, this container kit includes each container adapted for parenteral delivery and includes a depot product, syringe, ampoule, or vial.

In an embodiment, this container kit includes each container adapted for topical delivery and includes a patch, medipad, ointment, or cream.

The invention also includes an agent kit including a compound of formula (AA), (I) or (X), or a pharmaceutically acceptable salt thereof; and one or more therapeutic agent selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetyl cholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta antibody.

The invention also includes a composition including a compound of formula (AA), (I) or (X), or a pharmaceutically acceptable salt thereof; and an inert diluent or edible carrier.

In an embodiment, this composition includes a carrier that is an oil.

The invention also includes a composition including: a compound of formula (AA), (I) or (X), or a pharmaceutically acceptable salt thereof; and a binder, excipient, disintegrating agent, lubricant, or gildant.

The invention also includes a composition including a compound of formula (AA), (I) or (X), or a pharmaceutically acceptable salt thereof; disposed in a cream, ointment, or patch.

The invention provides compounds of formula (AA), (X) that can be used to generate formula (I)and compounds of formula (Y), that are useful in treating and preventing Alzheimer's disease. The compounds of the invention can be prepared by one skilled in the art based only on knowledge of the compound's chemical structure. The chemistry for the preparation of the compounds of this invention is known to those skilled in the art. In fact, there is more than one process to prepare the compounds of Specific examples of methods the invention. preparation can be found in the art. For examples, see J. Org. Chem. 1998, 63, 4898-4906; J. Org. Chem. 1997, 62, 9348-9353; J. Org. Chem. 1996, 61, 5528-5531; J. Med. Chem. 1993, 36, 320-330; J. Am. Chem. Soc. 1999, 121, 1145-1155; and references cited therein. See also U.S. Nos. 6,150,530, 5,892,052, 5,696,270, and Patent

5,362,912, which are incorporated herein by reference, and references cited therein.

Examples of various processes that can be used to prepare the compounds of the invention are set forth below.

general process to prepare the compounds formula I and X is set forth in SCHEME A. The chemistry . is straight forward and in summary involves the steps of N-protecting the amino acid (A) starting material to produce the corresponding protected amino acid (II), ο£ protected the amino acid diazomethane followed by work-up to add a carbon atom to produce corresponding protected the compound reduction of the protected halide to the corresponding alcohol (IV), formation of the corresponding epoxide (V), opening of the epoxide (V) with a C-terminal amine, $R_{ extsf{C}}\text{-NH}_2$ (VI) to produce the corresponding protected alcohol (VII).

Compounds of formula (I) can be prepared by reacting protected alcohol (VII) with an amide forming agent such as, for example, $(R_{N^{-}})_{2}O$ or $R_{N^{-}}X$ or $R_{N^{-}}OH$ (IX) to produce alcohol (IA). Alcohol (IA) then has the nitrogen protecting group removed to produce the corresponding compounds of formula (I).

Compounds of formula (X) can be prepared by further N-protecting alcohol (VII) to form the diprotected alcohol (XB). Diprotected alcohol (XB) is reacted with an amide forming agent such as, for example, $(R_N-)_2O$ or R_N-X or R_N-OH (IX) to produce compound (XA). Compound (XA) then has the nitrogen protecting groups removed to produce the corresponding compounds of formula (X).

One skilled in the art will appreciate that these are all known reactions in organic chemistry. A chemist

skilled in the art, knowing the chemical structure of the compounds (AA), (I) and (X) of the invention would be able to prepare them by known methods from known starting materials without any additional information. The explanation below therefore is not necessary but is deemed helpful to those skilled in the art who desire to make the compounds of the invention.

The backbone of the intermediate (VII), from which the compounds of formula (AA), (I) and (X) can be readily prepared, can be considered a hydroxyethylamine moiety, -NH-CH(R)-CH(OH)-. Such backbones can be prepared by methods disclosed in the literature and known to those skilled in the art. For example, J. Med. Chem., 36, 288-291 (1993), Tetrahedron Letters, 28, 5569-5572 (1987), J. Med. Chem., 38, 581-584 (1995) and Tetrahedron Letters, 38, 619-620 (1997) and WO 02/02506 all disclose processes to prepare hydroxyethylamine type compounds and/or their intermediates.

SCHEME A sets forth a general method used in the invention to prepare the appropriately substituted amines I and X. The compounds of the invention are prepared by starting with the corresponding amino acid (A). amino acids (A) are known to those skilled in the art or can be readily prepared by methods known to those skilled in the art. The compounds of the invention have least two chiral centers, which give 2 sets of diastereomers, each of which is racemic for a total of at least four stereoisomers. While biologically active end products result from all stereoisomers, the The first of these chiral configuration is preferred. centers (the carbon carrying R_1) derives from the amino acid starting material (A). It is preferred commercially obtain or produce the desired enantiomer

rather than produce an enantiomerically impure mixture and then have to separate out the desired enantiomer. Thus it is preferred to start the process with enantiomerically pure (S)-amino acid (A) of the same configuration as that of the desired X product.

In Scheme A, the protection of free amine (A) produce the (S)-protected amino acid (II) is depicted. Amino protecting groups are known to those skilled in the art, as discussed below. See for example, "Protecting Groups in Organic Synthesis", John Wiley and sons, New N.Y., 1981, Chapter 7; "Protecting Groups Organic Chemistry", Plenum Press, New York, N.Y., 1973, Chapter 2. The function of the amino protecting group is to protect the free amino functionality $(-NH_2)$ during subsequent reactions on the (S)-amino acid (A) which would not proceed either because the amino group would react and be functionalized in a way that is inconsistent with its need to be free for subsequent reactions or the free amino group would interfere in the reaction. the amino protecting group is no longer needed, it is removed by methods known to those skilled in the art. definition the amino protecting group must be readily removable as is known to those skilled in the art by methods known to those skilled in the art. Suitable amino PROTECTING GROUPs are discussed below.

The (S)-protected amino acid (II) is transformed to the corresponding (S)-protected compound (III) by two different methods depending on nature of R_2 and R_3 .

 R_2 and R_3 can be the same or different. It is preferred that R_2 and R_3 both be -H. If R_2 and R_3 are not the same, an additional chiral or stereogenic center is added to the molecule. To produce compounds of formula (III) where R_2 and R_3 are both -H, the (S)-protected amino

acid (II) is reacted with diazomethane, as is those skilled in the art, followed by reaction with a compound of the formula $H-X_1$ to produce the (S)-protected compound (III). X₁ includes -Cl, -Br, -I, -O-tosylate, -O-mesylate, -O-nosylate and -O-brosylate. is preferred that $-X_1$ be -Br or -C1. Suitable reaction running the reaction include in inert conditions limited to as but not such solvents, tetrahydrofuran and the like. The reactions from the the (S) -protected (S)-protected amino acid (II)to compound (III) are carried out for a period of time between 10 minutes and 1 day and at temperatures ranging from about -780 to about 20-25°. It is preferred to conduct the reactions for a period of time between 1-4 hours and at temperatures between -30° to -10° . process adds one methylene group.

(S)-protected compounds Alternatively, the formula (III) can be prepared by first converting the (S)-protected amino acid (II) to a corresponding methyl or ethyl ester, according to methods established in the art, followed by treatment with a reagent of formula X_1 - $C(R_2)(R_3)-X_1$ and a strong metal base. The base serves to affect a halogen-metal exchange, where the $-X_1$ undergoing exchange is a halogen selected from chlorine, bromine or nucleophilic addition to the The iodine. gives directly the (S)-protected compound derivative Suitable bases include, but are not limited to including, for example, secthe alkyllithiums butyllithium, *n*-butyllithium, and *t*-butyllithium. reactions are preferably conducted at low temperature, Suitable reaction conditions include such as -78°. running the reaction in inert solvents, such as but not limited to, ether, tetrahydrofuran and the like. Where R_2

and R_3 are both hydrogen, then examples of X_1 -C(R_2)(R_3)- X_1 include dibromomethane, diiodomethane, chloroiodomethane, bromoiodomethane and bromochloromethane. One skilled in the art knows the preferred conditions required to conduct this reaction. Furthermore, if R_2 and/or R_3 are not -H, then by the addition of -C(R_2)(R_3)- X_1 to esters of the (S)-protected amino acid (II) to produce the (S)-protected compound (III), an additional chiral center will be incorporated into the product, provided that R_2 and R_3 are not the same.

The (S)-protected compound (III) is then reduced by means known to those skilled in the art for reduction of a ketone to the corresponding secondary alcohol affording the corresponding alcohol (IV). The means and reaction conditions for reducing the (S)-protected compound (III) to the corresponding alcohol (IV) include, for example, sodium borohydride, lithium borohydride, borane, diisobutylaluminum hydride, and lithium aluminium Sodium borohydride is the preferred reducing The reductions are carried out for a period of time between 1 hour and 3 days at temperatures ranging from -78° to elevated temperature up to the reflux point of the solvent employed. It is preferred to conduct the reduction between -78° and 0° . If borane is used, it may employed as a complex, for example, borane-methyl sulfide complex, borane-piperidine complex, or boranetetrahydrofuran complex. The preferred combination of reducing agents and reaction conditions needed are known to those skilled in the art, see for example, Larock, R.C. Comprehensive in Organic Transformations, Publishers, 1989. The reduction of the (S)-protected compound (III) to the corresponding alcohol (IV) produces the second chiral center (third chiral center if R_2 and R_3

are not the same). The reduction of the (S)-protected compound (III) produces a mixture of enantiomers at the second center, (S, R/S)-alcohol (IV). This enantiomeric mixture is then separated by means known to those skilled in the art such as selective low-temperature chromatographic separation, recrystallization or example by HPLC, employing commercially available chiral columns. The enantiomer that is used in the remainder of the process of SCHEME A is the (S,S)-alcohol (IV) since this enantiomer will give the desired (S,R)-substituted compound I or X.

(S, S)-alcohol (IV) is transformed to the The corresponding epoxide (V) by means known to those skilled The stereochemistry of the (S)-(IV) center in the art. is maintained in forming the epoxide (V). A preferred means is by reaction with base, for example, but not from hydroxide ion generated limited to, hydroxide, potassium hydroxide, lithium hydroxide and the Reaction conditions include the use of C_1 - C_6 like. alcohol solvents; ethanol is preferred. A common cosolvent, such as for example, ethyl acetate may also be Reactions are conducted at temperatures employed. ranging from -450 up to the reflux temperature of the alcohol employed; preferred temperature are between -200 and 40°.

An alternative, and preferable process for preparing the epoxide (V) when R_1 is 3,5-difluorobenzyl, is set forth in SCHEME D. The first step of the process is to protect the free amino group of the (S)-amino acid (A) with an amino protecting group, PROTECTING GROUP, as previously discussed to produce the (S)-protected amino acid (II).

In the alternative process, the (S)-protected amino (A) transformed to the is corresponding protected ester (XVII) in one of a number of ways. One method involves the use of lithium hydroxide. lithium hydroxide, the (S)-protected amino acid (A) and the lithium hydroxide are mixed and cooled to from about -20° to about 10°. Next a methylating agent, selected from the group consisting of dimethylsulfate, iodide and methyl triflate, is added. Ιt is preferred that the methylating agent is dimethylsulfate. This is followed by heating to from about 20° to about 50°.

Alternatively, the (S)-protected amino acid (A) contacted with a weak base such as bicarbonate preferably carbonate. This is followed by addition of the methylating agent. Heat is not necessary but can be used to facilitate the reaction. The carbonate method is known to those skilled in the art. For those (S)protected esters (XVII) where Z_1 is not methyl, skilled in the art knowing the chemical structure would know how to prepare the desired compounds from known starting materials. one known In method the protected amino acid (A) is contacted with an activating DCC, followed by such as addition of appropriate alcohol, Z_1 -OH. This method is operable when Z_1 is $C_1\text{-}C_4$ alkyl (optionally substituted), $-CH_2\text{-}CH=CH_2$ or phenyl (optionally substituted).

SCHEME E sets forth an alternative process for the preparation of the ester (II). In the process of SCHEME E, the aldehyde (XX), which is known to those skilled in the art, is reacted with the phosphorous compound (XXI), where X_3 is a good leaving group, to produce the olefin (XXII). The phosphorous compounds (XXI) are known to

those skilled in the art. It is preferred that X_3 is C_{1} - C_3 alkyl; it is more preferred that X_3 is C_1 alkyl. The aldehyde (XX) and the phosphate (XXI) are combined in an organic solvent then cooled to about 0°. A base such as DBU or TMG is added and the contents of the reaction mixture are warmed to about 20-25° and stirred until the reaction is complete. Once the reaction is complete, it is preferred to separate the E- and Z-olefin isomers (XXII). The separation is done by methods known to those skilled in the art, such as by silica gel chromatography. Next the olefin (XXII) is hydrogenated with a suitable hydrogenation catalyst to obtain the desired ester (II). Some hydrogenation reactions will give racemic ester (II). The desired stereochemistry of the ester (II) is (S)-, and therefore it is preferable to use the Z-olefin (XXII) with a hydrogenation catalyst. It is preferred that the hydrogenation catalyst is a compound of the formula [Rh(diene)L] *X

where Rh is rhodium;

where diene is cyclootediene and nonbornadiene;

where L is DIPMAP, MeDuPhos, EtDuPhos, Binaphane, f-Binaphane, Me-KetalPhos, Me-f-KetalPhos, BINAP, DIOP, BPPFA, BPPM, CHIRAPHOS, PROPHOS, NORPHOS, CYCLOPHOS, BDPP, DEGPHOS, PNNP and where X is ClO₄, BF₄, CF₃-SO₃, It is preferred that the Cl⁻, Br⁻, PF₆ and SbF₆. hydrogenation catalyst be either DIPMAP or include polar solvents such Suitable solvents THF, alcohols, preferably C_1-C_5 and more alcohols preferably methanol, ethanol, isopropanol and THF. chiral hydrogenation is performed in a temperature range of from about -20° to about reflux. It is preferred that the reaction be performed in the temperature range from about 0° to about room temperature (25°). The chiral

hydrogenation is performed under a pressure of from about one atmosphere to about 100 psig; it is more preferred that the chiral hydrogenation be performed under a pressure of from about 10 psig to about 40 psig.

The (S)-protected ester (II) is then transformed to the corresponding (S)-protected ketone (III) by reaction with a slight excess of a compound of the formula CH_2ClX^2 where X² is -Br and -I in one of two different ways. one process, no exogenous nucleophile is used. process requires (1) the presence of three or more equivalents of strong base which has a pKb of greater than about 30 followed by (2) adding acid. The other process requires (1) the presence of about 2 to about 2.5 equivalents of strong base which has a pKb of greater than about 30, (2) contacting the mixture of step (1) with about 1 to about 1.5 equivalents of an exogenous nucleophile and (3) adding acid. Suitable strong bases are those which has a pK_b of greater than about 30. preferred that the strong base be selected from the group consisting of LDA, LiHMDS and KHMDS; it is more preferred that the strong base be LDA. Suitable acids are those, which have a pka of less than about 10. It is preferred the acid be selected from the group consisting of acetic, sulfuric, hydrochloric, citric, phosphoric and benzoic acids; it is more preferred that the acid be acetic acid. The preferred solvent for the process is THF. reaction can be performed in the temperature range from about -80° to about -50°; it is preferred to perform the reaction in the temperature range of from about -75° to about -65°. Suitable nucleophiles include alkyl lithium, aryl lithium, alkyl-Grignard and aryl-Grignard reagents. It is preferred that the nucleophile be selected from the group consisting of phenyl lithium, n-butyl lithium,

methyl magnesium bromide, methyl magnesium chloride, phenyl magnesium bromide, phenyl magnesium chloride; it is more preferred that the nucleophile be n-butyl lithium.

The (S)-protected ketone (III) is then reduced to the corresponding (S)-alcohol (IV) by means known to those skilled in the art for reduction of a ketone to the corresponding secondary alcohol. The means and reaction conditions for reducing the (S)-protected compound (III) to the corresponding alcohol (IV) include, for example, borohydride, lithium borohydride, diisobutylaluminum hydride, zinc borohydride and lithium Sodium borohydride is the preferred aluminium hydride. The reductions are carried out for a reducing agent. period of time between about 1 hour and about 3 days at about -780 elevated from ranging temperatures reflux point of the to the up temperature is preferred to conduct the reduction Ιt employed. between about -78° and about 0° . If borane is used, it may be employed as a complex, for example, borane-methyl sulfide complex, borane-piperidine complex, or boranetetrahydrofuran complex. The preferred combination of reducing agents and reaction conditions needed are known to those skilled in the art, see for example, Larock, Organic Transformations, Comprehensive in The reduction of the (S)-protected Publishers, 1989. compound (III) to the corresponding alcohol (IV) produces The reduction of the (S)a second chiral center. mixture (III) produces a compound diastereomers at the second center, (S, R/S)-alcohol This diastereomeric mixture is then separated by means known to those skilled in the art such as selective chromatographic low-temperature recrystallization or

separation, most preferably by recrystallization or by employing commercially available chiral columns. The diastereomer that is used in the remainder of the process of SCHEME A is the (S,S)-alcohol (IV) since this stereochemistry will give the desired epoxide (V).

The alcohol (IV) is transformed to the corresponding epoxide (V) by means known to those skilled in the art. The stereochemistry of the (S)-(IV) center is maintained in forming the epoxide (V). A preferred means is by reaction with base, for example, but not limited to, hydroxide ion generated from sodium hydroxide, potassium hydroxide, lithium hydroxide and the like. conditions include the use of C_1 - C_6 alcohol solvents; ethanol is preferred. A common co-solvent, such as for example, ethyl acetate may also be employed. Reactions are conducted at temperatures ranging from about -45° up to the reflux temperature of the alcohol employed; preferred temperature ranges are between about -200 and about 40°.

epoxide The (V) is then reacted with appropriately substituted C-terminal amine, R_{C} -NH $_{2}$ (VI) by means known to those skilled in the art which opens the epoxide to produce the desired corresponding enantiomerically pure (S,R)-protected alcohol (VII). The substituted C-terminal amines, $R_C - NH_2$ (VI) of invention are commercially available or are known to those skilled in the art and can be readily prepared from known compounds. It is preferred that when R_c is phenyl, it is substituted in the 3-position or 3,5-positions.

Suitable reaction conditions for opening the epoxide (V) include running the reaction in a wide range of common and inert solvents. $C_1\text{-}C_6$ alcohol solvents are

preferred and isopropyl alcohol most preferred. reactions can be run at temperatures ranging from 20-25° up to the reflux temperature of the alcohol employed. The preferred temperature range for conducting reaction is between 50° up to the reflux temperature of When the substituted C-terminal the alcohol employed. 1-amino-3,5-cis-dimethyl a (VI) is amine cyclohexyldicarboxylate it is preferably prepared To dimethyl-5-isophthalate in acetic acid and follows. methanol, is added rhodium in alumina in a high-pressure bottle. The bottle is saturated with hydrogen at 55 psi and shaken for one week of time. The mixture is then filtered through a thick layer of celite cake and rinsed with methanol three times, the solvents are removed under reduced pressure (with heat) to give a concentrate. concentrate is triturated with ether and filtered again to give the desired C-terminal amine (VI). substituted C-terminal amine (VI) is 1-amino-3,5-cisdimethoxy cyclohexane it is preferably following the making non-critical and above general procedure variations but starting with 3,5-dimethoxyaniline.

When the substituted C-terminal amine (VI) is aminomethyl group where the substituent on the methyl group is an aryl group, for example NH2-CH2-aryl, is not commercially available it is preferably prepared material is the starting suitable Α follows. (appropriately substituted) aralkyl compound. The first step is bromination of the alkyl substituent via methods known to those skilled in the art, see for example R.C. Larock in Comprehensive Organic Transformations, VCH Publishers, 1989, p. 313. Next the alkyl halide is reacted with azide to produce the aryl-(alkyl)-azide. Last the azide is reduced to the corresponding amine by

hydrogen/catalyst to give the C-terminal amine (VI) of formula $\rm NH_2\text{-}CH_2\text{-}R_{C\text{-}aryl}\,.$

SCHEME B discloses an alternative process production of the enantiomerically pure (S,R)-protected alcohol (VII) from the (S)-protected compound (III). the alternative process, the (S)-protected compound (III) is first reacted with the appropriately substituted Cterminal amine $R_{\text{C}}\text{-NH}_2$ (VI) using the preferred conditions described above to produce the corresponding protected ketone (XI) which is then reduced using the preferred conditions described above to produce corresponding (S,R)-protected alcohol (VII).

SCHEME C discloses another alternative process for production of enantiomerically pure (S,R)-protected alcohol (VII) but this time from the epoxide (V). process of SCHEME C, the epoxide (V) is reacted with azide to produce the corresponding enantiomerically pure (S,R)-protected azide (XII). Conditions to conduct the azide mediated epoxide opening are known to those skilled in the art, see for example, J. March, Advanced Organic Chemistry, 3rd Edition, John Wiley & Sons Publishers, 1985, p. 380. Next, the (S,R)-protected azide (XII) is reduced to the corresponding protected amine (XIII) by methods known to those skilled in the art. Preferred reducing conditions to reduce the (S,R)-protected azide (XII) in the presence of a t-butoxycarbonyl N-protecting group include catalytic hydrogenation, the conditions for which are known to those skilled in the art. Alternative reducing conditions which may be used to avoid deprotection with protecting groups other butoxycarbonyl are known to those skilled in the art, see example, R.C. Larock in Comprehensive Transformations, VCH Publishers, 1989, p. 409. Last, the

(S,R)-amine (XIII) is transformed to the corresponding protected alcohol (VII) by nitrogen alkylation with a compound of the formula R_C-X_3 . X_3 is an appropriate leaving group, such as but not limited to, -Cl, -Br, -I, -O-mesylate, -O-tosylate, O-triflate, etc. X_3 may also be an aldehyde; the corresponding coupling with (XIII) via the known reductive amination procedure gives the protected (S,R)-alcohol (VII).

In the formation of compounds of formula (I), the protected alcohol (VII) is reacted with an appropriately substituted amide forming agent (IX) such example, an anhydride, acyl halide, or acid of the formulas $(R_N)_2O$ or R_NX or R_NOH (IX) respectively, by means known to those skilled in the art to produce corresponding (S,R)-substituted amine (IA). acylation conditions for reaction of the alcohol (VII) amide forming agent (IX) to produce the an corresponding compound (IA) are known to those skilled in the art and can be found, for example, in R.C. Larock in Comprehensive Organic Transformations, VCH Publishers, The (S,R)-protected amine 1989, p. 981, 979, and 972. (IA) is deprotected to the corresponding compounds (I) by means known to those skilled in the art for removal of amine protecting group. Suitable means for removal of the amine protecting group depend on the nature of the protecting group. Those skilled in the art, knowing the nature of a specific protecting group, know which reagent is preferable for its removal. For example, it preferred to remove the preferred protecting group, BOC, dissolving the (S,R)-protected amine (IA) trifluoroacetic acid/dichloromethane (1/1) mixture. complete, the solvents are removed under reduced pressure to give the corresponding (S,R)-amine (I) (as

corresponding salt, i.e. trifluoroacetic acid salt) which is used without further purification. However, desired, the (S,R)-amine (I) can be purified further by known to those skilled in the art, such as for example, recrystallization. Further, if the non-salt form is desired that also can be obtained by means known to those skilled in the art, such as for example, preparing the free base amine via treatment of the salt with mild basic conditions. Additional BOC deprotection conditions and deprotection conditions for protecting groups can be found in T.W. Green and P.G.M. Wuts in "Protective Groups in Organic Chemistry, John Wiley and Sons, 1991, p. 309. Suitable chemically suitable salts include trifluoroacetate, and the anion of mineral acids such as chloride, sulfate, phosphate; preferred is trifluoroacetate.

In the formation of compounds of formula (X), alcohol (VII) is further protected as described above to form the diprotectred compound (XB). Compound (XB) is then reacted with an appropriately substituted amide forming agent (IX) to form compound (XA), as described above for compound (IA). Deprotection of (XA) to compunds (X) is conducted as described for the transformation of compound (IA) to compounds (I).

protection of amines is conducted, appropriate, by methods known to those skilled in the art. Amino protecting groups are known to those skilled in the art. See for example, "Protecting Groups in Organic Synthesis", John Wiley and sons, New York, N.Y., Chapter 7; "Protecting Groups in Chemistry", Plenum Press, New York, N.Y., 1973, Chapter When the amino protecting group is no longer needed, it is removed by methods known to those skilled in the

By definition the amino protecting group must be readily removable. A variety of suitable methodologies are known to those skilled in the art; see also T.W. Green and P.G.M. Wuts in "Protective Groups in Organic Chemistry, John Wiley and Sons, 1991. Suitable amino protecting groups include t-butoxycarbonyl, oxycarbonyl, formyl, trityl, phthalimido, trichlorochloroacetyl, bromoacetyl, iodoacetyl, acetyl, phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4 ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4 chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4xenyl) isopropoxycarbonyl, 1,1-diphenyleth-1-1,1-diphenylprop-1-yloxycarbonyl, yloxycarbonyl, phenylprop-2-yloxycarbonyl, 2-(p-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycabonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl, isobrornyloxycarbonyl, 1piperidyloxycarbonyl, 9-fluoroenylmethyl carbonate, -CH- $CH=CH_2$ and phenyl-C(=N-)-H.

It is preferred that the protecting group be t-butoxycarbonyl (BOC) and/or benzyloxycarbonyl (CBZ), it is more preferred that the protecting group be t-

butoxycarbonyl. One skilled in the art will recognize suitable methods of introducing a t-butoxycarbonyl or benzyloxycarbonyl protecting group and may additionally consult T.W. Green and P.G.M. Wuts in "Protective Groups in Organic Chemistry, John Wiley and Sons, 1991 for guidance.

The compounds of the invention may contain geometric or optical isomers as well as tautomers. Thus, invention includes all tautomers and pure geometric isomers, such as the ${\it E}$ and ${\it Z}$ geometric isomers, as as mixtures thereof. Further, the invention includes pure enantiomers and diastereomers as well as mixtures thereof, including racemic mixtures. The individual geometric isomers, enantiomers or diastereomers may be prepared or isolated by methods known to those skilled in including but not limited to· chiral chromatography; preparing diastereomers, separating the diastereomers and converting the diastereomers enantiomers through the use of a chiral resolving agent.

Compounds of the invention with designated stereochemistry can be included in mixtures, including racemic mixtures, with other enantiomers, diastereomers, geometric isomers or tautomers. In a preferred aspect, compounds of the invention with (S, R, R), (S, S, S), or (S, R, S) stereochemistry are typically present in these mixtures in excess of 50 percent. Preferably, compounds of the invention with designated stereochemistry present in these mixtures in excess of 80 percent. preferably, compounds of the invention with designated stereochemistry are present in these mixtures in excess of 90 percent. Even more preferably, compounds of the invention with designated stereochemistry are present in these mixtures in excess of 99 percent.

pharmaceutically encompasses invention The acceptable salts of the compounds of formula (AA), (I) and (X). Pharmaceutically acceptable salts are preferred over the corresponding amines of formula (AA), (I) or (X) since they produce compounds which are more water and/or more crystalline. soluble, stable Pharmaceutically acceptable salts are any salt which retains the activity of the parent compound and does not impart any deleterious or undesirable effect on the subject to whom it is administered and in the context in which it is administered. Pharmaceutically acceptable salts include salts of both inorganic and organic acids. The preferred pharmaceutically acceptable salts include acetic, aspartic, of following acids the salts bicarbonic, bisulfuric, benzenesulfonic, benzoic, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrobromic, hydrochloric, hydroiodic, hydrabamic, lactic, lactobionic, hydroxynaphthoic, isethionic, malonic, mandelic, methanesulfonic, malic, maleic, methylnitric, methylsulfuric, mucic, muconic, napsylic, p-nitromethanesulfonic, pamoic, oxalic, monohydrogen phosphoric, phosphoric, pantothenic, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, succinic, sulfuric, tannic, sulfamic, sulfanilic, sulfonic, tartaric, teoclic and toluenesulfonic. For acceptable salts, see Int. J. Pharm., 33, 201-217 (1986) and J. Pharm. Sci., 66(1), 1, (1977).

The invention provides compounds, compositions, kits, and methods for inhibiting beta-secretase enzyme

activity and A beta peptide production. Inhibition of beta-secretase enzyme activity halts or reduces the production of A beta from APP and reduces or eliminates the formation of beta-amyloid deposits in the brain.

Methods of the Invention

The compounds of the invention, and pharmaceutically acceptable salts thereof, are useful for treating humans or animals suffering from a condition characterized by a pathological form of beta-amyloid peptide, such as beta-amyloid plaques, and for helping to prevent or delay the onset of such a condition.

As used herein, the term "treating" means that the compounds of the invention can be used in humans with at least a tentative diagnosis of disease. The compounds of the invention will delay or slow the progression of the disease thereby giving the individual a more useful life span.

The term "preventing" means that the compounds of the invention are useful when administered to a patient who has not been diagnosed as possibly having the disease at the time of administration, but who would normally be expected to develop the disease or be at increased risk for the disease. The compounds of the invention will slow the development of disease symptoms, delay the onset of the disease, or prevent the individual from developing the disease at all. Preventing also administration of the compounds of the invention to those individuals thought to be predisposed to the disease due age, familial history, genetic orchromosomal abnormalities, and/or due to the presence of one or more biological markers for the disease, such as a known genetic mutation of APP or APP cleavage products in brain tissues or fluids.

In treating or preventing the above diseases, the compounds of the invention are administered in a therapeutically effective amount. The therapeutically effective amount will vary depending on the particular compound used and the route of administration, as is known to those skilled in the art.

treating a patient displaying any diagnosed above conditions a physician may administer a invention immediately and compound of the administration indefinitely, as needed. In treating patients who are not diagnosed as having Alzheimer's disease, but who are believed to be at substantial risk for Alzheimer's disease, the physician should preferably start treatment when the patient first experiences early pre-Alzheimer's symptoms such as, memory or cognitive problems associated with aging. In addition, there are some patients who may be determined to be at risk for developing Alzheimer's through the detection of a genetic marker such as APOE4 or other biological indicators that are predictive for Alzheimer's disease. In these situations, even though the patient does symptoms of the disease, administration of the compounds of the invention may be started before symptoms appear, and treatment may be continued indefinitely to prevent or delay the onset of the disease.

Dosage Forms and Amounts

The compounds of the invention can be administered orally, parenterally, (IV, IM, depo-IM, SQ, and depo SQ), sublingually, intranasally (inhalation), intrathecally, topically, or rectally. Dosage forms known to those of skill in the art are suitable for delivery of the compounds of the invention.

Compositions are provided that contain therapeutically effective amounts of the compounds of the The compounds are preferably formulated into invention. suitable pharmaceutical preparations such as tablets, orelixirs for oral administration sterile solutions orsuspensions for parenteral administration. Typically the compounds described above . are formulated into pharmaceutical compositions using techniques and procedures well known in the art.

About 1 to 500 mg of a compound or mixture of compounds οf the invention or a physiologically acceptable salt orester is compounded physiologically acceptable vehicle, carrier, excipient, binder, preservative, stabilizer, flavor, etc., in a unit dosage form as called for by accepted pharmaceutical practice. The amount of active substance in compositions or preparations is such that a suitable in the range indicated is obtained. compositions are preferably formulated in a unit dosage form, each dosage containing from about 2 to about 100 mg, more preferably about 10 to about 30 mg of the active ingredient. The term "unit dosage from" refers physically discrete units suitable as unitary dosages for human subjects and other mammals, each unit containing a predetermined quantity of active material calculated to produce the desired therapeutic effect, in association with a suitable pharmaceutical excipient.

To prepare compositions, one or more compounds of the invention are mixed with a suitable pharmaceutically acceptable carrier. Upon mixing or addition of the compound(s), the resulting mixture may be a solution, suspension, emulsion, or the like. Liposomal suspensions may also be suitable as pharmaceutically acceptable

carriers. These may be prepared according to methods known to those skilled in the art. The form of the resulting mixture depends upon a number of factors, including the intended mode of administration and the solubility of the compound in the selected carrier or vehicle. The effective concentration is sufficient for lessening or ameliorating at least one symptom of the disease, disorder, or condition treated and may be empirically determined.

Pharmaceutical carriers or vehicles suitable for administration of the compounds provided herein include any such carriers known to those skilled in the art to be suitable for the particular mode of administration. In addition, the active materials can also be mixed with other active materials that do not impair the desired action, or with materials that supplement the desired action, or have another action. The compounds may be formulated as the sole pharmaceutically active ingredient in the composition or may be combined with other active ingredients.

Where the compounds exhibit insufficient solubility, methods for solubilizing may be used. Such methods are known and include, but are not limited to, using cosolvents such as dimethylsulfoxide (DMSO), using surfactants such as Tween®, and dissolution in aqueous sodium bicarbonate. Derivatives of the compounds, such as salts or prodrugs may also be used in formulating effective pharmaceutical compositions.

The concentration of the compound is effective for delivery of an amount upon administration that lessens or ameliorates at least one symptom of the disorder for which the compound is administered. Typically, the

compositions are formulated for single dosage administration.

The compounds of the invention may be prepared with carriers that protect them against rapid elimination from the body, such as time-release formulations or coatings. Such carriers include controlled release formulations, such as, but not limited to, microencapsulated delivery . systems. The active compound is included pharmaceutically acceptable carrier in an amount sufficient to exert a therapeutically useful effect in the absence of undesirable side effects on the patient treated. The therapeutically effective concentration may be determined empirically by testing the compounds in known in vitro and in vivo model systems for the treated disorder.

The compounds and compositions of the invention can be enclosed in multiple or single dose containers. The enclosed compounds and compositions can be provided in kits, for example, including component parts that can be assembled for use. For example, a compound inhibitor in lyophilized form and a suitable diluent may be provided as separated components for combination prior to use. kit may include a compound inhibitor therapeutic agent for co-administration. The inhibitor and second therapeutic agent may be provided as separate component parts. A kit may include a plurality of containers, each container holding one or more unit dose of the compound of the invention. The containers are preferably adapted for the desired mode of administration, including, but not limited to tablets, gel capsules, sustained-release capsules, and the like for administration; depot products, pre-filled syringes, ampoules, vials, and the like for parenteral

administration; and patches, medipads, creams, and the like for topical administration.

The concentration of active compound in the drug composition will depend on absorption, inactivation, and excretion rates of the active compound, the dosage schedule, and amount administered as well as other factors known to those of skill in the art.

The active ingredient may be administered at once, or may be divided into a number of smaller doses to be administered at intervals of time. It is understood that and duration of treatment the precise dosage function of the disease being treated and may be determined empirically using known testing protocols or by extrapolation from in vivo or in vitro test data. is to be noted that concentrations and dosage values may also vary with the severity of the condition to It is to be further understood that for any alleviated. particular subject, specific dosage regimens should be adjusted over time according to the individual need and the professional judgment of the person administering or supervising the administration of the compositions, and the concentration ranges set forth herein exemplary only and are not intended to limit the scope or practice of the claimed compositions.

If oral administration is desired, the compound should be provided in a composition that protects it from the acidic environment of the stomach. For example, the composition can be formulated in an enteric coating that maintains its integrity in the stomach and releases the active compound in the intestine. The composition may also be formulated in combination with an antacid or other such ingredient.

Oral compositions will generally include an inert diluent or an edible carrier and may be compressed into tablets or enclosed in gelatin capsules. For the purpose of oral therapeutic administration, the active compound or compounds can be incorporated with excipients and used in the form of tablets, capsules, or troches. Pharmaceutically compatible binding agents and adjuvant materials can be included as part of the composition.

The tablets, pills, capsules, troches, and the like can contain any of the following ingredients or compounds of a similar nature: a binder such as, but not limited to, gum tragacanth, acacia, corn starch, or gelatin; an excipient such as microcrystalline cellulose, starch, or lactose; a disintegrating agent such as, but not limited to, alginic acid and corn starch; a lubricant such as, but not limited to, magnesium stearate; a gildant, such as, but not limited to, colloidal silicon dioxide; a sweetening agent such as sucrose or saccharin; and a flavoring agent such as peppermint, methyl salicylate, or fruit flavoring.

When the dosage unit form is a capsule, it can contain, in addition to material of the above type, a liquid carrier such as a fatty oil. In addition, dosage unit forms can contain various other materials, which modify the physical form of the dosage unit, for example, coatings of sugar and other enteric agents. The compounds can also be administered as a component of an elixir, suspension, syrup, wafer, chewing gum or the like. A syrup may contain, in addition to the active compounds, sucrose as a sweetening agent and certain preservatives, dyes and colorings, and flavors.

The active materials can also be mixed with other active materials that do not impair the desired action, or with materials that supplement the desired action.

suspensions used for parenteral, Solutions or intradermal, subcutaneous, or topical application can any of the following components: a sterile include diluent such as water for injection, saline solution, fixed oil, a naturally occurring vegetable oil such as sesame oil, coconut oil, peanut oil, cottonseed oil, and the like, or a synthetic fatty vehicle such as ethyl oleate, and the like, polyethylene glycol, glycerine, synthetic solvent; other glycol, or propylene antimicrobial agents such as benzyl alcohol and methyl parabens; antioxidants such as ascorbic acid and sodium agents bisulfite; chelating ethylenediaminetetraacetic acid (EDTA); buffers such as acetates, citrates, and phosphates; and agents for the adjustment of tonicity such as sodium chloride Parenteral preparations can be enclosed in dextrose. ampoules, disposable syringes, or multiple dose vials made of glass, plastic, or other suitable material. Buffers, preservatives, antioxidants, and the like can be incorporated as required.

Where administered intravenously, suitable carriers include physiological saline, phosphate buffered saline (PBS), and solutions containing thickening and solubilizing agents such as glucose, polyethylene glycol, polypropyleneglycol, and mixtures thereof. Liposomal suspensions including tissue-targeted liposomes may also be suitable as pharmaceutically acceptable carriers. These may be prepared according to methods known for example, as described in U.S. Patent No. 4,522,811.

The active compounds may be prepared with carriers that protect the compound against rapid elimination from the body, such as time-release formulations or coatings. Such carriers include controlled release formulations, such as, but not limited to, implants microencapsulated delivery systems, and biodegradable, biocompatible polymers such as collagen, ethylene vinyl polyanhydrides, polyglycolic polyorthoesters, polylactic acid, and the like. for preparation of such formulations are known to those skilled in the art.

The compounds of the invention can be administered orally, parenterally (IV, IM, depo-IM, SQ, and depo-SQ), sublingually, intranasally (inhalation), intrathecally, topically, or rectally. Dosage forms known to those skilled in the art are suitable for delivery of the compounds of the invention.

Compounds of the invention may be administered enterally or parenterally. When administered orally, compounds of the invention can be administered in usual dosage forms for oral administration as is well known to those skilled in the art. These dosage forms include the usual solid unit dosage forms of tablets and capsules as as well liquid dosage forms such as solutions. suspensions, and elixirs. When the solid dosage forms are used, it is preferred that they be of the sustained release type so that the compounds of the invention need to be administered only once or twice daily.

The oral dosage forms are administered to the patient 1, 2, 3, or 4 times daily. It is preferred that the compounds of the invention be administered either three or fewer times, more preferably once or twice daily. Hence, it is preferred that the compounds of the

invention be administered in oral dosage form. It is preferred that whatever oral dosage form is used, that it be designed so as to protect the compounds of the invention from the acidic environment of the stomach. Enteric coated tablets are well known to those skilled in the art. In addition, capsules filled with small spheres each coated to protect from the acidic stomach, are also well known to those skilled in the art.

When administered orally, an administered amount therapeutically effective to inhibit beta-secretase activity, to inhibit A beta production, to inhibit A beta deposition, or to treat or prevent AD is from about 0.1 mg/day to about 1,000 mg/day. It is preferred that the oral dosage is from about 1 mg/day to about 100 mg/day. It is more preferred that the oral dosage is from about 5 mg/day to about 50 mg/day. It is understood that while a patient may be started at one dose, that dose may be varied over time as the patient's condition changes.

the invention may also be of Compounds advantageously delivered in a nano crystal dispersion Preparation of formulations such formulation. described, for example, in U.S. Patent 5,145,684. crystalline dispersions of HIV protease inhibitors and their method of use are described in U.S. Patent No. The nano crystalline formulations typically 6,045,829. afford greater bioavailability of drug compounds.

The compounds of the invention can be administered parenterally, for example, by IV, IM, depo-IM, SC, or depo-SC. When administered parenterally, a therapeutically effective amount of about 0.5 to about 100 mg/day, preferably from about 5 to about 50 mg daily should be delivered. When a depot formulation is used for injection once a month or once every two weeks, the

dose should be about 0.5 mg/day to about 50 mg/day, or a monthly dose of from about 15 mg to about 1,500 mg. In part because of the forgetfulness of the patients with Alzheimer's disease, it is preferred that the parenteral dosage form be a depo formulation.

The compounds of the invention can be administered sublingually. When given sublingually, the compounds of the invention should be given one to four times daily in the amounts described above for IM administration.

The compounds of the invention can be administered intranasally. When given by this route, the appropriate dosage forms are a nasal spray or dry powder, as is known to those skilled in the art. The dosage of the compounds of the invention for intranasal administration is the amount described above for IM administration.

The compounds of the invention can be administered intrathecally. When given by this route the appropriate dosage form can be a parenteral dosage form as is known to those skilled in the art. The dosage of the compounds of the invention for intrathecal administration is the amount described above for IM administration.

The compounds of the invention can be administered topically. When given by this route, the appropriate dosage form is a cream, ointment, or patch. Because of the amount of the compounds of the invention to be administered, the patch is preferred. When administered topically, the dosage is from about 0.5 mg/day to about 200 mg/day. Because the amount that can be delivered by a patch is limited, two or more patches may be used. The number and size of the patch is not important, what is important is that a therapeutically effective amount of the compounds of the invention be delivered as is known to those skilled in the art. The compounds of the

invention can be administered rectally by suppository as is known to those skilled in the art. When administered by suppository, the therapeutically effective amount is from about 0.5 mg to about 500 mg.

The compounds of the invention can be administered by implants as is known to those skilled in the art. When administering a compound of the invention by implant, the therapeutically effective amount is the amount described above for depot administration.

Given a particular compound of the invention and a desired dosage form, one skilled in the art would know how to prepare and administer the appropriate dosage form.

The compounds of the invention are used in the same manner, by the same routes of administration, using the same pharmaceutical dosage forms, and at the same dosing schedule as described above, for preventing disease or treating patients with MCI (mild cognitive impairment) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating or preventing Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage Amyloidosis of the Dutch-Type, for treating cerebral potential its angiopathy preventing and amyloid lobar recurrent single and i.e. consequences, hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, and diffuse Lewy body type of Alzheimer's disease.

The compounds of the invention can be used in combination, with each other or with other therapeutic

agents or approaches used to treat or prevent the conditions listed above. Such agents or approaches acetylcholine esterase inhibitors such include: tacrine (tetrahydroaminoacridine, marketed as COGNEX®), donepezil hydrochloride, (marketed as Aricept® rivastigmine (marketed as Exelon®); gamma-secretase inhibitors; anti-inflammatory agents such cyclooxygenase II inhibitors; anti-oxidants such as Vitamin E and ginkolides; immunological approaches, such for example, immunization with A beta peptide or administration of anti-A beta peptide antibodies: statins; and direct or indirect neurotropic agents such as Cerebrolysin $^{ ext{@}}$, AIT-082 (Emilieu, 2000, Arch. Neurol. 57:454), and other neurotropic agents of the future.

In addition, the compounds of formula (AA), (I) or (X) can also be used with inhibitors of P-glycoprotein (P-gp). P-gp inhibitors and the use of such compounds are known to those skilled in the art. See for example, Cancer Research, 53, 4595-4602 (1993), Clin. Cancer Res., 2, 7-12 (1996), Cancer Research, 56, 4171-4179 (1996), International Publications WO99/64001 and WO01/10387. The important thing is that the blood level of the P-gp inhibitor be such that it exerts its effect in inhibiting P-gp from decreasing brain blood levels of the compounds of formula (A). To that end the P-gp inhibitor and the compounds of formula (A) can be administered at the same time, by the same or different route of administration, or at different times. The important thing is not the time of administration but having an effective blood level of the P-gp inhibitor.

Suitable P-gp inhibitors include cyclosporin A, verapamil, tamoxifen, quinidine, Vitamin E-TGPS, ritonavir, megestrol acetate, progesterone, rapamycin,

10,11-methanodibenzosuberane, phenothiazines, acridine derivatives such as GF120918, FK506, VX-710, LY335979, PSC-833, GF-102,918 and other steroids. It is to be understood that additional agents will be found that have the same function and therefore achieve the same outcome; such compounds are also considered to be useful.

The P-gp inhibitors can be administered orally, parenterally, (IV, IM, IM-depo, SQ, SQ-depo), topically, sublingually, rectally, intranasally, intrathecally and by implant.

The therapeutically effective amount of the P-gp inhibitors is from about 0.1 to about 300 mg/kg/day, preferably about 0.1 to about 150 mg/kg daily. It is understood that while a patient may be started on one dose, that dose may have to be varied over time as the patient's condition changes.

When administered orally, the P-gp inhibitors can be oral administered usual dosage forms for in administration as is known to those skilled in the art. These dosage forms include the usual solid unit dosage forms of tablets and capsules as well as liquid dosage forms such as solutions, suspensions and elixirs. the solid dosage forms are used, it is preferred that they be of the sustained release type so that the P-gp inhibitors need to be administered only once or twice The oral dosage forms are administered to the patient one thru four times daily. It is preferred that the P-gp inhibitors be administered either three or fewer times a day, more preferably once or twice daily. Hence, it is preferred that the P-gp inhibitors be administered in solid dosage form and further it is preferred that the solid dosage form be a sustained release form which permits once or twice daily dosing. It is preferred that

what ever dosage form is used, that it be designed so as to protect the P-gp inhibitors from the acidic environment of the stomach. Enteric coated tablets are well known to those skilled in the art. In addition, capsules filled with small spheres each coated to protect from the acidic stomach, are also well known to those skilled in the art.

In addition, the P-gp inhibitors can be administered parenterally. When administered parenterally they can be administered IV, IM, depo-IM, SQ or depo-SQ.

The P-gp inhibitors can be given sublingually. When given sublingually, the P-gp inhibitors should be given one thru four times daily in the same amount as for IM administration.

The P-gp inhibitors can be given intranasally. When given by this route of administration, the appropriate dosage forms are a nasal spray or dry powder as is known to those skilled in the art. The dosage of the P-gp inhibitors for intranasal administration is the same as for IM administration.

The P-gp inhibitors can be given intrathecally. When given by this route of administration the appropriate dosage form can be a parenteral dosage form as is known to those skilled in the art.

The P-gp inhibitors can be given topically. given by this route of administration, the appropriate dosage form is a cream, ointment or patch. Because of amount of the P-gp inhibitors needed to be administered the patch is preferred. However, the amount that can be delivered by a patch is limited. two or more patches may be required. The number and size of the patch is not important, what is important is that

a therapeutically effective amount of the P-gp inhibitors be delivered as is known to those skilled in the art.

The P-gp inhibitors can be administered rectally by suppository as is known to those skilled in the art.

The P-gp inhibitors can be administered by implants as is known to those skilled in the art.

There is nothing novel about the route of administration nor the dosage forms for administering the P-gp inhibitors. Given a particular P-gp inhibitor, and a desired dosage form, one skilled in the art would know how to prepare the appropriate dosage form for the P-gp inhibitor.

It should be apparent to one skilled in the art that the exact dosage and frequency of administration will depend on the particular compounds of the invention administered, the particular condition being treated, the severity of the condition being treated, the age, weight, general physical condition of the particular patient, and other medication the individual may be taking as is well known to administering physicians who are skilled in this art.

Inhibition of APP Cleavage

The compounds of the invention inhibit cleavage of APP between Met595 and Asp596 numbered for the APP695 isoform, or a mutant thereof, or at a corresponding site of a different isoform, such as APP751 or APP770, or a mutant thereof (sometimes referred to as the "beta secretase site"). While not wishing to be bound by a particular theory, inhibition of beta-secretase activity is thought to inhibit production of beta amyloid peptide (A beta). Inhibitory activity is demonstrated in one of a variety of inhibition assays, whereby cleavage of an

APP substrate in the presence of a beta-secretase enzyme is analyzed in the presence of the inhibitory compound, conditions normally sufficient to cleavage at the beta-secretase cleavage site. APP cleavage at the beta-secretase cleavage site compared with an untreated or inactive control correlated with inhibitory activity. Assay systems that can be used to demonstrate efficacy of the compound inhibitors of the invention are known. Representative assay systems are described, for example, in U.S. Patents 5,942,400, 5,744,346, as well as in the Examples below.

The enzymatic activity of beta-secretase and production of A beta can be analyzed in vitro or in vivo, using natural, mutated, and/or synthetic APP substrates, natural, mutated, and/or synthetic enzyme, and the test The analysis may involve primary or secondary cells expressing native, mutant, and/or synthetic APP and enzyme, animal models expressing native APP and enzyme, or may utilize transgenic animal models expressing the substrate and enzyme. Detection of enzymatic activity can be by analysis of one or more of the cleavage products, for example, by immunoassay, fluorometric or chromogenic assay, HPLC, or other means of detection. Inhibitory compounds are determined as those having the ability to decrease the amount of beta-secretase cleavage product produced in comparison to a control, where betasecretase mediated cleavage in the reaction system is observed and measured in the absence of inhibitory compounds.

Beta-Secretase

Various forms of beta-secretase enzyme are known, and are available and useful for assay of enzyme activity and inhibition of enzyme activity. These include native, recombinant, and synthetic forms of the enzyme. beta-secretase is known as Beta Site APP Cleaving Enzyme (BACE), Asp2, and memapsin 2, and has been characterized, for example, in U.S. Patent No. 5,744,346 and published patent applications W098/22597, W000/03819, PCT WO01/23533, and WO00/17369, as well as in literature publications (Hussain et al., 1999, Mol. Cell. Neurosci. 14:419-427; Vassar et al., 1999, Science 286:735-741; Yan et al., 1999, Nature 402:533-537; Sinha et al., 1999, Nature 40:537-540; and Lin et al., 2000, PNAS USA 97:1456-1460). Synthetic forms of the enzyme have also (WO98/22597 and WO00/17369). been described secretase can be extracted and purified from human brain tissue and can be produced in cells, for example mammalian cells expressing recombinant enzyme.

Preferred rearranged compounds are effective to inhibit about 50% of beta-secretase enzymatic activity at a concentration of less than 50 micromolar, preferably at a concentration of 10 micromolar or less, more preferably 1 micromolar or less, and most preferably 10 nanomolar or less.

APP Substrate

Assays that demonstrate inhibition of secretase-mediated cleavage of APP can utilize any of the known forms of APP, including the 695 amino acid "normal" isotype described by Kang et al., 1987, Nature 325:733-6, the 770 amino acid isotype described by Kitaguchi et. al., 1981, Nature 331:530-532, and variants such as the Swedish Mutation (KM670-1NL) (APP-SW), the Mutation (V7176F), and others. See, for example, U.S. Patent No. 5,766,846 and also Hardy, 1992, Nature Genet. 1:233-234, for a review of known variant mutations. Additional useful substrates include the dibasic amino acid modification, APP-KK disclosed, for example, in WO 00/17369, fragments of APP, and synthetic peptides containing the beta-secretase cleavage site, wild type or mutated form, e.g., SW, as described. example, in U.S. Patent No 5,942,400 and WO00/03819.

The APP substrate contains the beta-secretase cleavage site of APP (KM-DA or NL-DA) for example, a complete APP peptide or variant, an APP fragment, a synthetic APP, or a fusion peptide. recombinant or Preferably, the fusion peptide includes the secretase cleavage site fused to a peptide having a moiety useful for enzymatic assay, for example, having isolation and/or detection properties. A useful moiety may be an antigenic epitope for antibody binding, a label or other detection moiety, a binding substrate, and the like.

Antibodies

Products characteristic of APP cleavage can be measured by immunoassay using various antibodies, as described, for example, in Pirttila et al., 1999, Neuro.

Lett. 249:21-4, and in U.S. Patent No. 5,612,486. Useful antibodies to detect A beta include, for example, the monoclonal antibody 6E10 (Senetek, St. Louis, MO) that specifically recognizes an epitope on amino acids 1-16 of the A beta peptide; antibodies 162 and 164 (New York State Institute for Basic Research, Staten Island, NY) that are specific for human A beta 1-40 and 1-42, respectively; and antibodies that recognize the junction region of beta-amyloid peptide, the site between residues 16 and 17, as described in U.S. Patent No. 5,593,846. Antibodies raised against a synthetic peptide of residues 591 to 596 of APP and SW192 antibody raised against 590-Swedish mutation are also useful 596 of the its cleavage products, immunoassay of APP and described in U.S. Patent Nos. 5,604,102 and 5,721,130.

Assay Systems

Assays for determining APP cleavage at the beta-secretase cleavage site are well known in the art. Exemplary assays, are described, for example, in U.S. Patent Nos. 5,744,346 and 5,942,400, and described in the Examples below.

Cell Free Assays

Exemplary assays that can be used to demonstrate the inhibitory activity of the compounds of the invention are described, for example, in WO00/17369, WO 00/03819, and U.S. Patents No. 5,942,400 and 5,744,346. Such assays can be performed in cell-free incubations or in cellular incubations using cells expressing a beta-secretase and an APP substrate having a beta-secretase cleavage site.

An APP substrate containing the beta-secretase cleavage site of APP, for example, a complete APP or

variant, an APP fragment, or a recombinant or synthetic APP substrate containing the amino acid sequence: or NL-DA, is incubated in the presence of beta-secretase enzyme, a fragment thereof, or a synthetic or recombinant polypeptide variant having beta-secretase activity and effective to cleave the beta-secretase cleavage site of APP, incubation conditions under suitable cleavage activity of the enzyme. Suitable substrates optionally include derivatives that may be proteins or peptides that contain the substrate peptide and a modification useful to facilitate the purification detection of the peptide or its beta-secretase cleavage products. Useful modifications include insertion of a known antigenic epitope for antibody binding; the linking of a label or detectable moiety, the linking of a binding substrate, and the like.

Suitable incubation conditions for a cell-free vitro assay include, for example: approximately 200 nanomolar to 10 micromolar substrate, approximately 10 to 200 picomolar enzyme, and approximately 0.1 nanomolar to 10 micromolar inhibitor compound, in aqueous solution, at an approximate pH of 4 -7, at approximately 37 degrees C, for a time period of approximately 10 minutes to 3 hours. These incubation conditions are exemplary only, and can be varied as required for the particular assay components and/or desired measurement system. Optimization of the incubation conditions for the particular assay components should account for the specific beta-secretase enzyme used and its pH optimum, any additional enzymes and/or markers that might be used in the assay, and the like. Such optimization is routine and will not require undue experimentation.

One useful assay utilizes a fusion peptide having maltose binding protein (MBP) fused to the C-terminal 125 amino acids of APP-SW. The MBP portion is captured on an assay substrate by anti-MBP capture antibody. Incubation of the captured fusion protein in the presence of beta-secretase results in cleavage of the substrate at the beta-secretase cleavage site. Analysis of the cleavage activity can be, for example, by immunoassay of cleavage products. One such immunoassay detects a unique epitope exposed at the carboxy terminus of the cleaved fusion protein, for example, using the antibody SW192. This assay is described, for example, in U.S. Patent No 5,942,400.

Cellular Assay

Numerous cell-based assays can be used to analyze beta-secretase activity and/or processing of APP to release A beta. Contact of an APP substrate with a beta-secretase enzyme within the cell and in the presence or absence of a compound inhibitor of the invention can be used to demonstrate beta-secretase inhibitory activity of the compound. Preferably, assay in the presence of a useful inhibitory compound provides at least about 30%, most preferably at least about 50% inhibition of the enzymatic activity, as compared with a non-inhibited control.

In one embodiment, cells that naturally express beta-secretase are used. Alternatively, cells are modified to express a recombinant beta-secretase or synthetic variant enzyme as discussed above. The APP substrate may be added to the culture medium and is preferably expressed in the cells. Cells that naturally express APP, variant or mutant forms of APP, or cells

transformed to express an isoform of APP, mutant or variant APP, recombinant or synthetic APP, APP fragment, or synthetic APP peptide or fusion protein containing the beta-secretase APP cleavage site can be used, provided that the expressed APP is permitted to contact the enzyme and enzymatic cleavage activity can be analyzed.

Human cell lines that normally process A beta from APP provide a useful means to assay inhibitory activities of the compounds of the invention. Production and release of A beta and/or other cleavage products into the culture medium can be measured, for example immunoassay, such as Western blot enzyme-linked orimmunoassay (EIA) such as by ELISA.

Cells expressing an APP substrate and an active beta-secretase can be incubated in the presence of a compound inhibitor to demonstrate inhibition of enzymatic activity as compared with a control. Activity of beta-secretase can be measured by analysis of one or more cleavage products of the APP substrate. For example, inhibition of beta-secretase activity against the substrate APP would be expected to decrease release of specific beta-secretase induced APP cleavage products such as A beta.

Although both neural and non-neural cells process and release A beta, levels of endogenous beta-secretase activity are low and often difficult to detect by EIA. The use of cell types known to have enhanced beta-secretase activity, enhanced processing of APP to A beta, and/or enhanced production of A beta are therefore preferred. For example, transfection of cells with the Swedish Mutant form of APP (APP-SW); with APP-KK; or with APP-SW-KK provides cells having enhanced beta-secretase

activity and producing amounts of A beta that can be readily measured.

In such assays, for example, the cells expressing APP and beta-secretase are incubated in a culture medium under conditions suitable for beta-secretase enzymatic activity at its cleavage site on the APP substrate. On exposure of the cells to the compound inhibitor, the amount of A beta released into the medium and/or the amount of CTF99 fragments of APP in the cell lysates is reduced as compared with the control. The cleavage products of APP can be analyzed, for example, by immune reactions with specific antibodies, as discussed above.

Preferred cells for analysis of beta-secretase activity include primary human neuronal cells, primary transgenic animal neuronal cells where the transgene is APP, and other cells such as those of a stable 293 cell line expressing APP, for example, APP-SW.

In vivo Assays: Animal Models

Various animal models can be used to analyze betasecretase activity and /or processing of APP to release A For example, transgenic beta, as described above. animals expressing APP substrate and beta-secretase enzyme can be used to demonstrate inhibitory activity of the compounds of the invention. Certain transgenic animal models have been described, for example, in U.S. 5,877,399; 5,612,486; Nos.: Patent 5,720,936; 5,850,003; 5,877,015,, and 5,811,633, and in 1995, Nature 373:523. Preferred are Ganes et al., animals that exhibit characteristics associated with the Administration of the compound pathophysiology of AD. inhibitors of the invention to the transgenic mice described herein provides an alternative method

demonstrating the inhibitory activity of the compounds. Administration of the compounds in a pharmaceutically effective carrier and via an administrative route that reaches the target tissue in an appropriate therapeutic amount is also preferred.

Inhibition of beta-secretase mediated cleavage of APP at the beta-secretase cleavage site and of A beta release can be analyzed in these animals by measure of cleavage fragments in the animal's body fluids such as cerebral fluid or tissues. Analysis of brain tissues for A beta deposits or plaques is preferred.

On contacting an APP substrate with a beta-secretase enzyme in the presence of an inhibitory compound of the invention and under conditions sufficient to enzymatic mediated cleavage of APP and/or release of A beta from the substrate, the compounds of the invention are effective to reduce beta-secretase-mediated cleavage APP at the beta-secretase cleavage site effective to reduce released amounts of A beta. Where such contacting is the administration of the inhibitory the invention to an animal model, compounds of example, as described above, the compounds are effective to reduce A beta deposition in brain tissues of the and to reduce the number and/or size of beta amyloid plaques. Where such administration is to a human subject, the compounds are effective to inhibit or slow the progression of disease characterized by enhanced amounts of A beta, to slow the progression of AD in the, and/or to prevent onset or development of AD in a patient at risk for the disease.

Unless defined otherwise, all scientific and technical terms used herein have the same meaning as commonly understood by one of skill in the art to which this invention belongs. All patents and publications referred to herein are hereby incorporated by reference for all purposes.

Definitions

The definitions and explanations below are for the terms as used throughout this entire document including both the specification and the claims.

It should be noted that, as used in this specification and the appended claims, the singular forms "a," "an," and "the" include plural referents unless the content clearly dictates otherwise. Thus, for example, reference to a composition containing "a compound" includes a mixture of two or more compounds. It should also be noted that the term "or" is generally employed in its sense including "and/or" unless the content clearly dictates otherwise.

The symbol "-" in general represents a bond between two atoms in the chain. Thus $CH_3\text{-O-CH}_2\text{-CH}(R_i)\text{-CH}_3$ represents a 2-substituted-1-methoxypropane compound. In addition, the symbol "-" represents the point of attachment of the substituent to a compound. Thus for example $aryl(C_1\text{-}C_6)alkyl\text{-}$ indicates an alkylaryl group, such as benzyl, attached to the compound at the alkyl moiety.

Where multiple substituents are indicated as being attached to a structure, it is to be understood that the substituents can be the same or different. Thus for example " R_m optionally substituted with 1, 2 or 3 R_q groups" indicates that R_m is substituted with 1, 2, or 3 R_q groups where the R_q groups can be the same or different.

APP, amyloid precursor protein, is defined as any APP polypeptide, including APP variants, mutations, and isoforms, for example, as disclosed in U.S. Patent No. 5,766,846.

A beta, amyloid beta peptide, is defined as any peptide resulting from beta-secretase mediated cleavage of APP, including peptides of 39, 40, 41, 42, and 43 amino acids, and extending from the beta-secretase cleavage site to amino acids 39, 40, 41, 42, or 43.

Beta-secretase (BACE1, Asp2, Memapsin 2) is an aspartyl protease that mediates cleavage of APP at the amino-terminal edge of A beta. Human beta-secretase is described, for example, in WO00/17369.

Pharmaceutically acceptable refers to those properties and/or substances that are acceptable to the patient from a pharmacological/toxicological point of view and to the manufacturing pharmaceutical chemist from a physical/chemical point of view regarding composition, formulation, stability and patient acceptance.

A therapeutically effective amount is defined as an amount effective to reduce or lessen at least one symptom of the disease being treated or to reduce or delay onset of one or more clinical markers or symptoms of the disease.

By "alkyl" and " C_1 - C_6 alkyl" in the present invention is meant straight or branched chain alkyl groups having 1-6 carbon atoms, such as, methyl, ethyl, propyl, isopropyl, n-butyl, sec-butyl, tert-butyl, pentyl, 2-pentyl, isopentyl, neopentyl, hexyl, 2-hexyl, 3-hexyl, and 3-methylpentyl. It is understood that in cases where an alkyl chain of a substituent (e.g. of an alkyl, alkoxy or alkenyl group) is shorter or longer than 6 carbons, it

will be so indicated in the second "C" as, for example, " C_1 - C_{10} " indicates a maximum of 10 carbons.

By "alkoxy" and "C₁-C₆ alkoxy" in the present invention is meant straight or branched chain alkyl groups having 1-6 carbon atoms, attached through at least one divalent oxygen atom, such as, for example, methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, sec-butoxy, tertbutoxy, pentoxy, isopentoxy, neopentoxy, hexoxy, and 3-methylpentoxy.

By the term "halogen" in the present invention is meant fluorine, bromine, chlorine, and iodine.

"Alkenyl" and " C_2 - C_6 alkenyl" means straight and branched hydrocarbon radicals having from 2 to 6 carbon atoms and from one to three double bonds and includes, for example, ethenyl, propenyl, 1-but-3-enyl, 1-pent-3-enyl, 1-hex-5-enyl and the like.

"Alkynyl" and " C_2 - C_6 alkynyl" means straight and branched hydrocarbon radicals having from 2 to 6 carbon atoms and one or two triple bonds and includes ethynyl, propynyl, butynyl, pentyn-2-yl and the like.

As used herein, the term "cycloalkyl" refers to saturated carbocyclic radicals having three to twelve The cycloalkyl can be monocyclic, or a carbon atoms. Examples of such radicals polycyclic fused system. include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl The cycloalkyl groups herein are and cycloheptyl. unsubstituted or, as specified, substituted in one or more substitutable positions with various groups. may be optionally example, such cycloalkyl groups substituted with C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, amino, mono (C_1-C_6) alkylamino, cyano, nitro, hydroxy, $di(C_1-C_6)$ alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, $\label{eq:condition} \texttt{haloalkyl}\,,\quad \texttt{C}_1-\texttt{C}_6 \quad \texttt{haloalkoxy}\,,\quad \texttt{amino}\,(\texttt{C}_1-\texttt{C}_6)\,\texttt{alkyl}\,,\quad \texttt{mono}\,(\texttt{C}_1-\texttt{C}_6)\,\texttt{alkyl}\,,\quad \texttt{mono}\,(\texttt{C}_1-\texttt{C}_6)\,\texttt{alkyl}\,,$

 $C_6)\, alkylamino\, (C_1-C_6)\, alkyl \qquad \text{ or } \qquad di\, (C_1-C_6)\, alkylamino\, (C_1-C_6)\, alkyl \, .$

By "aryl" is meant an aromatic carbocyclic group having a single ring (e.g., phenyl), multiple rings (e.g., biphenyl), or multiple condensed rings in which at least one is aromatic, (e.g., 1,2,3,4-tetrahydronaphthyl, naphthyl), which is optionally mono-, di-, trisubstituted. Preferred aryl groups of the present invention are phenyl, 1-naphthyl, 2-naphthyl, indanyl, indenyl, dihydronaphthyl, tetralinyl or6,7,8,9tetrahydro-5H-benzo[a]cycloheptenyl. The aryl herein are unsubstituted or, as specified, substituted in one or more substitutable positions with various groups. example, aryl groups may be optionally such substituted with, for example, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino, mono (C₁- C_6) alkylamino, $di(C_1-C_6)$ alkylamino, C_2-C_6 alkenyl, C_6 alkynyl, C_1 - C_6 haloalkyl, C_1 - C_6 haloalkoxy, amino(C_1 - C_6) alkyl, $mono(C_1-C_6)$ alkylamino (C_1-C_6) alkyl, C_6) alkylamino (C_1-C_6) alkyl, -COOH, -C(=O) O(C_1-C_6 alkyl), -C (=0) NH_2 , -C (=0) N (mono- or di- C_1 - C_6 alkyl), -S (C_1 - C_6 alkyl), $-SO_2(C_1-C_6)$ alkyl), $-O-C(=0)(C_1-C_6)$ alkyl), $-NH-C_6$ $C(=0) - (C_1 - C_6 \text{ alkyl}), -N(C_1 - C_6 \text{ alkyl}) - C(=0) - (C_1 - C_6 \text{ alkyl}), - C(=0)$ $\label{eq:NH-SO2-(C1-C6)} \text{NH-SO}_2 - \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{alkyl} \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{C}_1 - \text{C}_6 \quad \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{C}_1 - \text{C}_6 \quad \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_6 \quad \text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text{C}_1 - \text{C}_2 \right) \,, \quad - \text{N} \left(\text$ $\label{eq:nh-C} \text{NH-C(=O)NH}_2, \quad -\text{NH-C(=O)N(mono- or di-C}_1-\text{C}_6 \text{ alkyl)} \;, \quad -\text{NH(C}_1-\text{N$ C_6 alkyl)-C(=0)- NH_2 or -NH(C_1 - C_6 alkyl)-C(=0)-N-(mono- or $di-C_1-C_6$ alkyl).

By "heteroaryl" is meant one or more aromatic ring systems of 5-, 6-, or 7-membered rings which includes fused ring systems of 9-11 atoms containing at least one and up to four heteroatoms selected from nitrogen, oxygen, or sulfur. Preferred heteroaryl groups of the present invention include pyridinyl, pyrimidinyl,

indolinyl, indolyl, benzothienyl, quinolinyl, pyrazinyl, isoindolyl, isoquinolyl, pryidazinyl, quinoxalinyl, phthalazinyl, imidazolyl, quinazolinyl, isoxazolyl, pyrazolyl, oxazolyl, thiazolyl, indolizinyl, indazolyl, benzothiazolyl, benzimidazolyl, benzofuranyl, furanyl, thienyl, pyrrolyl, oxadiazolyl, thiadiazolyl, oxazolopyridinyl, tetrazolyl, triazolyl, naphthyridinyl, isothiazolyl, imidazopyridinyl, beta-carbolinyl, isochromanyl, cinnolinyl, carbazolyl, isoindolinyl, tetrahydroisoquinolinyl, chromanyl, isobenzotetrahydrothienyl, isobenzotetrahydrofuranyl, pyridopyridinyl, benzoxazolyl, isobenzothienyl, benzotetrahydrofuranyl, benzotetrahydrothienyl, purinyl, benzodioxolyl, triazinyl, phenoxazinyl, phenothiazinyl, imidazopyridinyl, benzothiazolyl, pteridinyl, imidazothiazolyl, dihydrobenzisoxazinyl, benzisoxazinyl, benzoxazinyl, dihydrobenzisothiazinyl, benzopyranyl, benzothiopyranyl, coumarinyl, isocoumarinyl, chromonyl, chromanonyl, pyridinyl-N-oxide, tetrahydroquinolinyl, dihydroquinolinonyl, dihydroquinolinyl, dihydrocoumarinyl, dihydroisoquinolinonyl, dihydroisocoumarinyl, isoindolinonyl, benzodioxanyl, benzoxazolinonyl, pyrrolyl N-oxide,, pyrimidinyl N-oxide, pyridazinyl N-oxide, pyrazinyl N-oxide, quinolinyl Noxide, indolyl N-oxide, indolinyl N-oxide, isoquinolyl Noxide, quinazolinyl N-oxide, quinoxalinyl phthalazinyl N-oxide, imidazolyl N-oxide, isoxazolyl Noxide, oxazolyl N-oxide, thiazolyl N-oxide, indolizinyl N-N-oxide, benzothiazolyl N-oxide, indazolyl oxide, benzimidazolyl N-oxide, pyrrolyl N-oxide, oxadiazolyl Noxide, thiadiazolyl N-oxide, triazolyl N-oxide, tetrazolyl N-oxide, benzothiopyranyl S-oxide, benzothiopyranyl S,Sdioxide. The heteroaryl groups herein are unsubstituted

specified, substituted or, as in one ormore positions with substitutable various groups. For heteroaryl such groups may be optionally substituted with C_1-C_6 alkyl, C_1-C_6 alkoxy, hydroxy, cyano, nitro, amino, mono (C_1-C_6) alkylamino, $di(C_1-C_6)$ alkylamino, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $C_1 - C_6$ haloalkyl, C_1 - C_6 haloalkoxy, amino $(C_1$ - $C_6)$ alkyl, mono $(C_1$ - C_6) alkylamino (C_1-C_6) alkyl or $di(C_1-C_6)$ alkylamino $(C_1-$ -COOH, $-C (=0) O (C_1 - C_6)$ alkyl), $-C(=0)NH_2$, $C (=0) N (mono- or di-C_1-C_6 alkyl), -S (C_1-C_6 alkyl), -SO_2 (C_1-C_6)$ alky1), $-O-C(=O)(C_1-C_6 alky1)$, $-NH-C(=O)-(C_1-C_6 alky1)$, - $N(C_1-C_6 \text{ alkyl})-C(=0)-(C_1-C_6 \text{ alkyl}), -NH-SO_2-(C_1-C_6 \text{ alkyl}), N(C_1-C_6 \text{ alkyl})-SO_2-(C_1-C_6 \text{ alkyl}), -NH-C(=O)NH_2,$ -NH- $C (=0) N (mono- or di-C_1-C_6 alkyl), -NH(C_1-C_6 alkyl)-C (=0) NH_2$ or $-NH(C_1-C_6 \text{ alkyl})-C(=0)-N-(mono- \text{ or di-}C_1-C_6 \text{ alkyl})$.

"heterocycle", Βv "heterocycloalkyl" "heterocyclyl" is meant one or more carbocyclic ring systems of 3-, 4-, 5-, 6-, or 7-membered rings which includes fused ring systems of 9-11 atoms containing at least one and up to four heteroatoms selected from nitrogen, oxygen, or sulfur. Preferred heterocycles of the include present invention morpholinyl, thiomorpholinyl, thiomorpholinyl S-oxide, thiomorpholinyl S,S-dioxide, piperazinyl, homopiperazinyl, pyrrolidinyl, pyrrolinyl, tetrahydropyranyl, piperidinyl, tetrahydrofuranyl, tetrahydrothienyl, homopiperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S,S-dioxide, oxazolidinonyl, dihydropyrazolyl, dihydropyrrolyl, dihydropyrazinyl, dihydropyridinyl, dihydropyrimidinyl, dihydrofuryl, dihydropyranyl, azepanyl, diazepanyl, tetrahydrothienyl S-oxide. tetrahydrothienyl S,S-dioxide and homothiomorpholinyl Soxide. The heterocycle groups herein maybe unsubstituted

or, as specified, substituted in one or more substitutable positions with various groups. For example, such heterocycle groups may be optionally substituted with C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino, mono(C_1 - C_6) alkylamino, di(C_1 - C_6) alkylamino, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 haloalkoxy, amino(C_1 - C_6) alkylamino(C_1 - C_6) alkylor =0.

All patents and publications referred to herein are hereby incorporated by reference for all purposes.

Structures were named using Name Pro IUPAC Naming Software, version 5.09, available from Advanced Chemical Development, Inc., 90 Adelaide Street West, Toronto, Ontario, M5H 3V9, Canada.

The present invention may be better understood with reference to the following examples. These examples are intended to be representative of specific embodiments of the invention, and are not intended as limiting the scope of the invention.

CHEMISTRY EXAMPLES

The following detailed examples describe how to prepare the various compounds and/or perform the various processes of the invention and are to be construed as merely illustrative, and not limitations of the preceding disclosure in any way whatsoever. Those skilled in the art will promptly recognize appropriate variations from the procedures both as to reactants and as to reaction conditions and techniques.

PREPARATION 1 tert-Butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate (III)

N-methyl-morpholine (5.83 Ml, 53 mmole, 1.05 eq.) is added to (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid (II, 15 g, 50 mmole) in THF (100 mL) and the reaction is cooled to -78° . Isobutyl chloroformate (6.87 mL, 53 mmole, 1.05 eq.) is added rapidly. The cold bath is then removed and the mixture stirred for 1 hr. The reaction was monitored by TLC to insure completion of the reaction and the mixture is then filtered and washed with dry THF (50 ml) and kept cold in the filtered flask at -20° .

In a ice-salt bath is placed a 500 ml graduate cylinder containing ether (200 mL) and aqueous potassium hydroxide (40%, 60 ml). 1-methyl-3-nitro-1nitrosoguanidine (5.6 g, 106 mmole, 2.1 eq.) is added slowly with stirring and temperature kept below zero The mixture turned yellow and the bubbling lasted for 10 minutes. The stirring is stopped and without mixing the layers, the top diazomethane ethereal layer is transferred with non-ground tip pipette into the stirred mixed anhydride mixture at -20°. The reaction is monitored by TLC (ethyl acetate/hexane, 50/50; $R_f = 0.69$). After 1 hour nitrogen is then bubbled into the mixture. The solvent is removed under reduced pressure (with heat) and the mixture is partitioned between ether and water. The phases are separated, the organic phase is washed with bicarbonate, saline, dried over anhydrous sodium sulfate, filtered, and solvent removed under reduced pressure (with heat). The residue is dissolved in ether (100 mL) and hydrobromous acid (48%, 15 mL, 135 mmole, 2.7 eq,) is added at -20° , the cold bath is removed and the mixture is stirred for another half hour. reaction is monitored by TLC (ethyl acetate/hexane, $50/50; R_f = 0.88).$ The mixture is partitioned between

ether and water, washed with bicarbonate, saline, dried over anhydrous sodium sulfate, filtered, and the solvent removed. The residue is recrystallized from ethanol to give the title compound, TLC (ethyl acetate/hexane, 50/50) $R_{\rm f}$ = 0.88; MS (MH⁺) = 379.3

PREPARATION 2 tert-Butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (IV)

Sodium borohydride (1.32 g, 34.9 mmole, 1.1 eq.) is added to tert-Butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate (III, PREPARATION 1, 12 g, 31.75 mmole) dissolved in absolute alcohol (500 mL) -78° . The reaction mixture is stirred for 30 minutes and monitored by TLC (ethyl acetate/hexane, 20/80; $R_f = 0.2$). The mixture is quenched with water (10 mL) and the solvent removed under reduced pressure with heat (not exceeding 30°) to dryness. The solid is partitioned between dichloromethane and water, washed with saline, dried over anhydrous sodium sulfate. The solvent is removed under reduced pressure to give the title compound, TLC (ethyl acetate/hexane, 20/80) $R_f = 0.2$; MS (MH⁺) = 381.2

PREPARATION 3 tert-Butyl (1S)-2-(3,5-difluorophenyl)-1[(2S)-oxiranyl]ethylcarbamate (V)

tert-Butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (IV, PREPARATION 2) is dissolved in absolute alcohol (150 mL) and ethyl acetate (100 mL) and potassium hydroxide (2.3 g, 34.9 mmole, 1.leq.) in ethyl alcohol (85%, 5mL) is added at -20°. The cold bath is then removed and the mixture stirred for 30 minutes. The reaction is monitored by TLC (ethyl acetate/hexane, 20/80). When the reaction is complete, it is diluted with dichloromethane and extracted, washed with water,

saline, dried over anhydrous sodium sulfate and the solvent removed under reduced pressure. The crude material is purified by flash chromatography on silica gel to give the title compound, TLC (ethylacetate/hexane, 20/80) $R_{\rm f}=0.3$; MS (MH $^{+}$) = 300.4.

PREPARATION 4: tert-Butyl (1S,2R)-1-(3,5-difluorobenzyl)2-hydroxy-3-[(3-(triflurormethyl)benzyl)amino]propylcarbamate

tert-Butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)oxiranyl]ethylcarbamate (PREPARATION 3, 8.5 q, mmole) is mixed with isopropanol (145 ml). The reaction flask is charged with 3-(trifluoromethyl)benzylamine. The reaction mixture is heated to reflux for 3 hours, HPLC analysis indicates complete disappearance of the The reaction mixture is concentrated under epoxide. reduced pressure and the residue is partitioned between ethyl acetate and aqueous hydrochloric acid. The organic phase is separated and washed with aqueous hydrochloric acid, bicarbonate, and saline then dried over sodium sulfate. Concentration under reduced pressure and recrystallization from hot hexane gives the title compound, MS (MH+) 475.

PREPARATION 5: tert-Butyl (1S,2R)-1-(3,5difluorobenzyl)-2-hydroxy-3-{(tert-butyloxy)carbonyl-3{(trifluoromethyl)benzyl}amino}propylcarbamate

To a solution of tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(triflurormethyl)benzyl)-amino]propylcarbamate (PREPARATION 4, 6.2 g, 13.1 mmole) in THF (70 ml) at 0° is added di-tert-butyl pyrocarbonate (6.3 g, 28.9 mmole). The reaction mixture is stirred at 20-25° for 18 hours. The reaction mixture is diluted with diethyl ether and washed with bicarbonate, 0.5 M citric acid, and saline then dried over sodium sulfate and concentrated to give the title compound, MS (MNa⁺) 597.

PREPARATION 6: 3-iodo-5-(methoxycarbonyl)benzoic

To an ice-cold, stirred solution of commercially available 3-amino-5-(methoxycarbonyl)benzoic acid (5.19 g, 26.59 mmol) in 2 N hydrochloric acid (156 mL) was added a solution of sodium nitrite (1.84 g, 26.67 mmol) in water (10.8 mL). This mixture was then added dropwise to an ice-cold, stirred solution of potassium iodide (8.84 g, 53.25 mmol) in water (26.2 mL). After stirring for 35 min, the reaction mixture was diluted with water

and extracted with ethyl acetate. The organic layer was washed with 5% aqueous sodium thiosulfate, and saturated sodium chloride, dried (sodium sulfate), and concentrated under reduced pressure. Purification by flash column chromatography (silica, 50:50:2 hexanes/ethyl acetate/acetic acid) afforded the title compound (4.48 g, 55% yield) as an off-white solid. ESI-MS $(m/z): 305 \ [M+H]^+$.

PREPARATION 7: 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoic acid

$$Pr_2N$$
 OH

To a -70 °C solution of oxazole (4.0 g, 58 mmol) in tetrahydrofuran (100 mL) was added n-butyllithium (1.6 M in hexanes, 40 mL, 64 mmol). After 30 min, zinc chloride (1 M in diethyl ether, 166 mL, 166 mmol) was added and the reaction mixture was warmed to 0 °C for 1 h. To this mixture was added 3-iodo-5-(methoxycarbonyl)benzoic acid (PREPARATION 6, 21.4 g, 55 mmol) and palladium(0) tetrakis (triphenylphosphine) (2.7 g, 2.34 mmol). The reaction mixture was heated at reflux for 1 h. reaction mixture was diluted with ethyl acetate (300 mL), washed with water, and saturated sodium chloride. organic layer was dried (sodium sulfate) and concentrated under reduced pressure. Purification by silica gel plug (10-33% ethyl acetate/hexanes) provided an oxazole (17.7 g, 97%) as a light yellow solid: ^{1}H NMR (300 MHz, CDCl₃) δ

8.73 (t, J = 2 Hz, 1H), 8.24 (t, J = 2 Hz, 1H), 8.11 (t, J = 2 Hz, 1H), 7.77 (d, J = 1 Hz, 1H), 7.28 (d, J = 1 Hz, 1H), 3.97 (s, 3H), 3.49 (m, 2H), 3.19 (m, 2H), 1.71 (m, 2H), 1.57 (m, 2H), 1.01 (m, 3H), 0.76 (m, 3H).

To a stirred solution of the ester from step 1 (17.7 g, 53.6 mmol) in tetrahydrofuran (50 mL), methanol (25 mL), and water (25 mL) was added lithium hydroxide monohydrate (6.92 g, 165 mmol). The reaction mixture was room temperature for 2 h, and at stirred The residue was concentrated under reduced pressure. partitioned between water (100 mL) and diethyl ether (100 The aqueous layer was acidified to pH 4-5 with hydrochloric acid and extracted with ethyl acetate (3 \times 200 mL). The combined organic layers were washed with saturated sodium chloride, dried (sodium sulfate), concentrated under reduced pressure to one-half original volume. The resulting precipitate was collected by filtration and washed with hexanes to provide the title compound (15.5 g, 91%) as an off-white solid: mp 131-133 °C; ^{1}H NMR (300 MHz, CD₃OD) δ 8.72 (s, 1H), 8.22 (s, 1H), 8.10 (s, 1H), 8.06 (d, J = 1 Hz, 1H), 7.36 (d, J= 1 Hz, 1H), 3.52 (m, 2H), 3.25 (m, 2H), 1.76 (m, 2H),1.62 (m, 2H), 1.02 (m, 3H), 0.76 (m, 3H); APCI MS m/z 317 $[M + H]^+$.

PREPARATION 8: (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1
({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3
[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
dihydrochloride

tert-butyl (1S, 2R) -1- (3, 5solution of То a difluorobenzyl)-2-hydroxy-3-{(tert-butyloxy)carbonyl-3-{(trifluoromethyl)benzyl}amino}propylcarbamate (PREPARATION 5, 594 mg, 1.0 mmole) in DMF (2 mL) is added 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoic mmole), 1.0 (PREPARATION 7, 316 mg, dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (210 mg, 1.1 mmole), and 4-(dimethylamino)pyridine (146 mg, 1.2 mmole). After ~36 hours, the reaction mixture is diluted with ethyl acetate and washed with bicarbonate and brine (4X) then dried over sodium sulfate, filtered, and concentrated under reduced pressure. silica flash qel by purified on concentrate is of ethyl gradient solvent chromatography using a acetate/hexane (20/80 to 50/50) to give (1R,2S)-2-[(tertbutoxycarbonyl)amino]-1-({(tert-butoxycarbonyl)[3-(trifluoromethyl)benzyl]amino}methyl)-3-(3,5difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3oxazol-2-yl)benzoate, MS (MNa⁺) 895.

(1R,2S)-2-[(tert-butoxycarbonyl)amino]-1-({(tert-butoxycarbonyl)[3-(trifluoromethyl)benzyl]amino}methyl)3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-

5-(1,3-oxazol-2-yl)benzoate (482 mg, 0.55 mmole) is dissolved in hydrochloric acid/dioxane (4N, 3 ml) is stirred for 1 hour at 20-25°. The solvent is then removed under reduced pressure to give the title compound, MS (MH⁺) 673.

PREPARATION 9: N~1~-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N~3~,N~3~-dipropyl-N~1~-[3-(trifluoromethyl)benzyl]-isophthalamide hydrochloride

To a solution of tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

(trifluorormethyl)benzyl)amino]propylcarbamate

(PREPARATION 4, 393 mg, 0.83 mmole) in DMF (2 mL) 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2added yl)benzoic acid (PREPARATION 7, 262 mg, 0.83 mmole), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (175 mg, 0.91 mmole), and 4-(dimethylamino)pyridine (122 mg, 1.0 mmole). After ~18 hours, the reaction mixture is diluted with ethyl acetate and washed with bicarbonate (2X) and brine (4X) then dried over sodium sulfate, filtered, and concentrated under reduced pressure. flash silica gel by purified on concentrate is solvent of ethyl using a gradient chromatography (50/50 to 70/30) to give tert-butyl acetate/hexane (1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-

[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)berizoyl][3-(trifluoromethyl)benzyl]amino}-2-hydroxypropylcarbamate, MS (MH*) 773.

(1S, 2R)-1-(3,5-difluorobenzyl)-3-{[3tert-butyl [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoyl][3-(trifluoromethyl)benzyl]amino}-2-hydroxypropylcarbamate 0.29 mmole) is dissolved in hydrochloric (226 acid/dioxane (4N, 2 ml) is stirred for 20 minutes at 20-The solvent is then removed under reduced pressure and the crude material purified by reverse phase HPLC using a gradient aclvent of acetonitrile/water with 0.5% The trifluoroacetic acid salt trifluoroacetic acid. obtained is converted to the hydrochloric salt by in methanol(1.25 Μ. treatment with HCl Concentration under reduced pressure gives the title compound, MS (MH+) 673.

The following compounds are prepared essentially according to the procedures described in the schemes, charts, examples and preparations set forth herein.

Comp #	Structure	Compound Name(s)	[M+H]+
9	NO O H N F F	N¹-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N¹-(3-ethylbenzyl)-D-alaninamide dihydrochloride	526

			—————
10	F H ₂ N OH HN O	N¹-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N¹-(3-ethylbenzyl)-N²[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninamide trifluoroacetate	702
11	F H ₂ N OH NH ₂ O HCI	N¹-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N¹-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide hydrochloride	568
12	H ₂ N F	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-2-[(methylsulfonyl)amino]-1,3-thiazole-5-carboxamide	539
13	F H ₂ N OH OH OH F ₃ C OH	N¹-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N¹-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-L-alaninamidebis(trifluoroacetate)	568

14	HCI H ₂ N OH OS O	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N-(3-ethylbenzyl)propanamidehydrochloride	511
15	HCI H ₂ N N HCI HCI O O O O O O O O O O O O O O O O O O O	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)propanoatedihydrochloride	511
16	HCI H ₂ N N H HCI	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride	633

17	HCI H_2N $=$ F	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride	659
18	HCI H ₂ N N HCI CF ₃	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoatedihydrochloride	673
19	F H ₂ N N N N N N N N N N N N N N N N N N N	N¹-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N\(u)1\(d)-(3-ethylbenzyl)-5-(1,3-oxazol-2-yl)-N³,N³-dipropylisophthalamidehydrochloride	633

20	HCI OH ON N	N ¹ -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N ³ ,N ³ -dipropyl-N ¹ -[3-(trifluoromethyl)benzyl]isophthalamide hydrochloride	673
21		N ¹ -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N ³ ,N ³ -dipropyl-N ¹ -[3-(trifluoromethyl)benzyl]isophthalamide	673
22	H ₂ N H	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate	655
23	H ₂ N OH HN OO	N ¹ -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N ¹ -(3-ethylbenzyl)-N ² [(methoxy)carbonyl]-D-alaninamide dihydrochloride	584

24	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(2-	
	hydroxyethyl)aminolsulfonyl}benzoate	
26	$(1R.25)$ -2-amino-3-(3.5-difluorophenyl)-1-({[(2-isobutyl-1,3-thiazol-5-	
20	yl)methyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzo	
28	$(1R.2.5)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-$	
	isopropylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-	
	ethynylbenzoate	
30	$(1R.25)$ -2-amino-3-(3.5-difluorophenyl)-1-{[(3-	
	isopropylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-	
	oxazol-2-yl)benzoate	
32	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(2-hydroxy-	
	1,1-dimethylethyl)amino]sulfonyl}benzoate	
34	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-1)^2,(3-1)$	
	ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(4-methyl-1,3-	
	oxazol-2-yl)benzoate	
36	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluorophenyl)-1-(\{[(2-isobutyl-1,3-thiazol-5-12,5-difluoropheny$	
	yl)methyl]amino} methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-	
	yl)benzoate	
38	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(3-	
	hydroxypropyl)amino]sulfonyl}benzoate hydrochloride	
40	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	propylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-	
10	methylbenzoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
42	ethylbenzyl)amino]methyl}propyl 3-{[butyl(methyl)amino]carbonyl}-5-	
44	methylbenzoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
44	ethynylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-	
	ethynylbenzoate	
46	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[(3-isobutylisoxazol-5-	
40	yl)methyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate	
48	$(1R 2.5)-2-amino-3-(3 5-diffuorophenyl)-1-{(3-amino-3-(3 5-diffuorophenyl)-1-{(3-amino-3-(3 5-diffuorophenyl)-1-{(3-amino-3-(3 5-diffuorophenyl)-1-{(3-amino-3-(3 5-diffuorophenyl)-1-{(3-amino-3-(3 5-diffuorophenyl)-1-{(3-amino-3-(3 5-diffuorophenyl)-1-{(3-amino-3-(3 5-diffuorophenyl)-1-{(3-amino-3-(3 5-diffuorophenyl)-1-{(3 5-diffuorophen$	
10	ethylbenzyl)amino]methyl}propyl 3-	
	[(dimethylamino)sulfonyl]-5-	
	[(dipropylamino)carbonyl]benzoate	
	H_2N	
L		

50	H ₂ N F HCI	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate hydrochloride
52	NH ₂ NH ₂ NH O	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-formyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
54	E E E E E E E E E E E E E E E E E E E	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate
56	OH O ₂ S NH O NH ₂ O NH ₂	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]-5-({[(1 <i>R</i>)-2-hydroxy-1-methylethyl]amino}sulfonyl)benzoate
58	H ₂ N H O H	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-isobutylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate

		(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-	
60	N-	(trifluoromethyl)benzyl]amino}methyl)propyl 3-	
	04	[(dipropylamino)carbonyl]-5-ethynylbenzoate	
		[(dipropylamino)caroony1] 5 caryny roomsourc	
	\		
	0=		
	Н		
	H ₂ N N N F		
	F H		
	F ~	·	
	Y		
62	(1R,2S)-2-amino-3-(3,5-difluo	orophenyl)-1-{[(3-	
02	ethylbenzyl)aminolmethyl}pr	opyl $3-\{[(2R)-2-(methoxymethyl)pyrrolidin-1-$	
	yl]carbonyl}-5-methylbenzoa	te hydrochloride	
64	(1R, 2.5)-2-amino-3-(3.5-difluon	prophenyl)-1-{[(3-	
07	ethylhenzyl)aminolmethyl}pr	opyl 3-[(dipropylamino)carbonyl]-5-({[(1S)-2-	
	hydroxy-1-methylethyl]amino	sulfonyl)benzoate	
66	(1R,2S)-2-amino-3-(3,5-difluo	prophenyl)-1-{[(3-	
00	ethylbenzyl)aminolmethyl}pr	opyl 3-{[butyl(propyl)amino]carbonyl}-5-	
	methylbenzoate		
68	(1 R 2 S)-2-amino-3-(3 5-diflu	orophenyl)-1-{[(3-	
00	ethylbenzyl)aminolmethyl}pr	opyl 3-[(dibutylamino)carbonyl]-5-methylbenzoate	
70	(1 P 2 S)-2-amino-3-(3 5-diffue	orophenyl)-1-({ 3-(3-hydroxyprop-1-yn-1-	
/0	yl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenze		
72	(1 R 2 S)-2-amino-3-(3 5-difluorophenyl)-1-{ (3-		
12	ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(2S)-2-		
	(hydroxymethyl)pyrrolidin-1-	-vl]sulfonyl}benzoate	
74	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-diflu	orophenyl)-1-{[(3-	
/ 4	ethylbenzyl)amino]methyl}propyl 3-{[butyl(ethyl)amino]carbonyl}-5-		
	methylbenzoate		
76	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-diflu	orophenyl)-1-{[(3-	
/0	ethynylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-		
	oxazol-2-yl)benzoate		
78	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$		
/ 6	ethylhenzyl)aminolmethyl}p	ropyl 3-{[cyclohexyl(methyl)amino]carbonyl}-5-	
	methylhenzoate		
80	(1R 2S)-2-amino-1-({[3-(cvc	lopropylamino)benzyl]amino}methyl)-3-(3,5-	
00	difluorophenyl)propyl 3-f(di	propylamino)carbonyl]-5-ethynylbenzoate	
82	(1R,2S)-2-amino-3- $(3,5$ -diflu	orophenyl)-1-({[3-(3-	
102	thienyl)henzyllamino\ methy	l)propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate		
84			
0-	(trifluoromethyl)benzyllamin	no}methyl)propyl 3-[(dipropylamino)carbonyl]-5-	
	(1,3-oxazol-2-yl)benzoate	-, -, -, -, -, -, -, -, -, -, -, -, -, -	
86	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-diflu	norophenyl)-1-{[(3-	
00	ethylhenzyl)aminolmethyl)r	mino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(piperazin-1-	
	ylsulfonyl)benzoate dihydro	chloride	
88	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-		
00	(11,20)-2-allillo-5-(5,5-diffdolopholiyi)-1-(\(\(\frac{1}{2}\)		

-	iodophenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5	
	methylbenzoate	
90	$(1R,2S)$ -2-amino-1-{[(3-sec-butylbenzyl)amino]methyl}-3-(3,5-	
	difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
92	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -	
-	ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(3-	
	methylisoxazol-4-yl)benzoate hydrochloride	
94	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-isobutylisoxazol-5-	
•	yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-	
	oxazol-2-yl)benzoate	
96	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-	
70	ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-	
	(1,3-oxazol-2-yl)benzoate	
98	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
90	ethylbenzyl)amino]methyl}propyl 2-[(dipropylamino)carbonyl]-6-	
	methylisonicotinate	
100	$\frac{(1R,2S)-2-\text{amino-3-}(3,5-\text{difluorophenyl})-1-\{[(3-$	
100	ethylbenzyl)aminolmethyl)propyl 3-	
	{[(cyclopropylmethyl)(propyl)amino]carbonyl}-5-methylbenzoate	
100	$\{(1R,2S)-2-\text{amino-3-}(3,5-\text{difluorophenyl})-1-\{(3-$	
102	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-	
104	oxazol-2-yl)benzoate	
104	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-	
106	5-(1,3-oxazol-2-yl)benzoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
106	(1R,2S)-2-amino-3-(3,5-diffuorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 3-(aminosulfonyl)-5-	
100	[(dipropylamino)carbonyl]benzoate	
108	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(1Z)-prop-1-en-1-	
	yl]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
110	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1 <i>H</i> -pyrazol-	
	4-yl)benzoate hydrochloride	
112	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[1-(3-\text{ethylphenyl})-1-(1-(3-\text{ethylphenyl})-1-(1-(3-\text{ethylphenyl})-1-(3-(3-\text{ethylphenyl})-1-(3-(3-\text{ethylphenyl})-1-(3-(3-\text{ethylphenyl})-1-(3-(3-\text{ethylphenyl})-1-(3-(3-\text{ethylphenyl})-1-(3-(3-\text{ethylphenyl})-1-(3-(3-(3-\text{ethylphenyl})-1-(3-(3-(3-(3-(3-(3-(3-(3-(3-(3-(3-(3-(3-$	
	methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-	
	ethynylbenzoate	
114	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[3-$	
	(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate	
116	(1R,2S)-1-{[(3-allylbenzyl)amino]methyl}-2-amino-3-(3,5-	
	difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
118	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-	
	ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate	
120	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[1-(3-\text{ethylphenyl})-1-(((3-\text{ethylphenyl})-1)-((((3-\text{ethylphenyl})-1)-((((3-\text{ethylphenyl})-1)-((((3-\text{ethylphenyl})-1)-((((3-\text{ethylphenyl})-1)-((((3-\text{ethylphenyl})-1)-(((((3-\text{ethylphenyl})-1)-((((((3-\text{ethylphenyl})-1)-(((((((3-\text{ethylphenyl})-1)-(((((((((((((((((((((((((((((((((($	
	methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2	
	yl)benzoate	
122	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	

	ethylbenzyl)amino]methyl}propyl 3-{[ethyl(propyl)amino]carbonyl}-5-methylbenzoate	
124	(1R,2S)-2-amino-1-({[3-(cyclopropylamino)benzyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
126	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino} methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate	
128	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-isobutylisoxazol-5-yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
130	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-formyl-4-methyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
132	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-isopropylbenzyl)amino]methyl}propyl 5-[(dipropylamino)carbonyl]nicotinate	
134	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(methylsulfonyl)amino]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
136	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(butylamino)carbonyl]-5-methylbenzoate	
138	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-methylbutyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
140	(1R,2S)-2-amino-1-{[(biphenyl-3-ylmethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
142	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
144	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-({[2-(methylamino)ethyl]amino}sulfonyl)benzoate hydrochloride	
146	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-isobutylisoxazol-5-yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate	
148	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3- [(diallylamino)carbonyl]-5-methylbenzoate	
150	(1R,2R)-2-amino-3-(3,5-difluorophenyl)-1-({[1 (2-isobutyl-1,3-thiazol-5-yl)cyclopropyl]amino} methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
1		

	•	
152	H ₂ N H N N	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
154	HN OH O ₂ S O O N H ₂ N F	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2-hydroxyethyl)amino]sulfonyl}-5-[(propylamino)carbonyl]benzoate
156	F H ₂ N N O O O O	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(propyl)amino]carbonyl}benzoate
158	F H ₂ N H ₂	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N-(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
160	$\begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array} \\ \begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3- [(diethylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate

	1) 1 (1)	
162	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N- [(benzylamino)carbonyl]-3-[(1-	
	propylbutyl)sulfonyl]alaninate trifluoroacetate	
164	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-pyridin-3-ylbenzyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]-5-methylbenzoate	
166	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(dipropylamino)carbonyl]nicotinate 1-oxide	
168	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-formyl-2-furyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
170	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1-methyl-1H-imidazol-2-yl)benzoate	
172	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diethylamino)carbonyl]-5-methylbenzoate	
174	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(ethylsulfinyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
176	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[butyl(ethyl)amino]sulfonyl}propanoate	
178	(1R,2S)-2-amino-1-{[(3-cyanobenzyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
180	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]propanoate hydrochloride	
182	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[isobutyl(methyl)amino]carbonyl}-5-methylbenzoate	

184	H ₂ N H S	
	F H N	
186	H ₂ N H N N	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-pyridin-2-ylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
188	H ₂ N	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 2- [(methylsulfonyl)amino]-1,3-oxazole-4- carboxylate
190		(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[methyl(methylsulfonyl)amino]benzyl}amino)m ethyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
192	NH O, S, O S O, S, O	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl <i>N</i> -(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninate trifluoroacetate
194	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(ethylsulfonyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
196	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N-[(5-chloro-2-thienyl)sulfonyl]-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride	
198	(1R,2S)-1-({[3-(5-acetyl-2-thienyl)benzyl]amino}methyl)-2-amino-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
200	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3- ethylbenzyl)amino]methyl} propyl 3-[(sec-butylamino)carbonyl]-5-	
1	etnyloenzyl)aminojmetnyl}propyl 5-[(sec-outylamino)carbonyl]-5-	

	methylbenzoate
202	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
202	ethylbenzyl)amino]methyl}propyl 3-(1,3-oxazol-2-yl)benzoate hydrochloride
204	ethyloenzyl)amhojmethyl; $(1,3)$ -amino-3- $(3,5)$ -difluorophenyl)-1- $\{[(3-$
204	ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(2-
	etnyloenzyljaminojmetnyljpropyl 3-metnyl-3-{[metnyl-2-{
	phenylethyl)amino]carbonyl}benzoate
206	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3,5-dimethylisoxazol-4-
	yl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
208	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(prop-2-yn-1-
	yl)amino]carbonyl}benzoate
210	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-{[ethyl(methyl)amino]carbonyl}-5-
	methylbenzoate
212	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-1)^2, (3+1)^2,$
	{[(dimethylamino)carbonyl]oxy}benzyl)amino]methyl}propyl 3-
	[(dipropylamino)carbonyl]-5-methylbenzoate
214	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-{[benzyl(methyl)amino]carbonyl}-5-
	methylbenzoate
216	$(1R.2S)-2-amino-3-(3.5-difluorophenyl)-1-{(3-$
	ethylbenzyl)amino]methyl}propyl 3-{[sec-butyl(propyl)amino]carbonyl}-5-
	methylbenzoate
218	$(1R.2S)$ -2-amino-3-(3,5-difluorophenyl)-1-($\{[3-(4-methyl-2-meth$
	thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
220	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-[({3-
	[(methoxycarbonyl)(methyl)amino]benzyl}amino)methyl]propyl 3-
	[(dipropylamino)carbonyl]-5-methylbenzoate
222	(1R.2S)-2-amino-1-({[3-(trifluoromethyl)benzyl]amino}methyl)-3-(2,3,5-
	trifluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
224	(1 <i>R.2S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-[(diisobutylamino)carbonyl]-5-
İ	methylbenzoate
226	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
220	ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(2-pyridin-2-
	ylethyl)amino]carbonyl}benzoate
228	$(1R,2S)$ -2-amino-3-(3-fluoro-5-hydroxyphenyl)-1-{[(3-
220	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate hydrochloride
230	(1R,2S)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-
230	methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
1	[(dipropylamino)carbonyl]benzoate
232	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$
232	iodobenzyl)amino]methyl}propyl 4-hydroxy-3-(pyrrolidin-1-
	ylcarbonyl)benzoate
224	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
234	ethylbenzyl)amino]methyl}propyl 5-oxo-D-prolyl-3-[(1-
1	curyrochayraninolinemyraphyra-oxo-p-proryra [(r

	propylbutyl)sulfonyl]alaninate hydrochloride		
236	CF ₃ SO ₂		
230	N N		
	HaN N		
	The state of the s		
	F		
238	F	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
		pyridin-4-ylbenzyl)amino]methyl}propyl 3-	
	F N	[(dipropylamino)carbonyl]-5-methylbenzoate	
<u> </u> 	H-N N		
	H O H		
0.46		(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({3-	
240		[(dimethylamino)sulfonyl]benzyl}amino)methyl	
	F H O	propyl 3-[(dipropylamino)carbonyl]-5-	
	H ₂ N N N N	methylbenzoate	
	H N H V O \		
242	(1R.2S)-2-amino-3-(3.5-difluo)	rophenyl)-1-{[(6-methoxy-1,2,3,4-	
2	tetrahydronaphthalen-1-yl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-		
	methylbenzoate		
244	(1R,2S)-2-amino-3-(3,5-difluo	rophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}pro	opyl N-(phenylacetyl)-3-[(1-	
	propylbutyl)sulfonyl]alaninate		
246	(1R 2S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-		
	ethylbenzyl)amino]methyl}propyl 3-(azepan-1-ylcarbonyl)-5-methylbenzoate		
248	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-[({3-		
	[(methoxycarbonyl)amino]benzyl}amino)methyl]propyl 3-		
252	[(dipropylamino)carbonyl]-5-	prophenyl)-1-/[/3-	
250	(1R,2S)-2-amino-3-(3,5-difluce thylbenzyl)amino]methyl}pr	onyl 5-oxo-1 -prolyl-3-[(1-	
	emyloenzyl)aminojmemyl}pi	- hvdrochloride	
252	propylbutyl)sulfonyl]alaninate hydrochloride (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
252	athylhenzyl)aminolmethyl\nr	opyl 3-[(isobutylamino)carbonyl]-5-	
	methylbenzoate	-17	
254	4-[((1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-d	ifluorophenyl)-1-{[(3-	
2.54	ethylbenzyl)amino]methyl}pr	opyl)oxy]-4-oxo-3-{[(1-	
1	propylbutyl)sulfonyllmethyl}	butanoic acid trifluoroacetate	
256	(1R 2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
1230	ethylbenzyl)aminolmethyl)pi	opyl 3-[methyl(methylsulfonyl)amino]benzoate	
25	N-(2R.3S)-3-amino-4-(3,5-di	fluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	5-{[(2-hvdroxyethyl)amino]s	ulfonyl}-N',N'-dipropylisophthalamide	
27	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl- $N-[(2-R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]$		

	isobutyl-1,3-thiazol-5-yl)methyl]-N',N'-dipropylisophthalamide
29	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-N-(3-
	isopropylbenzyl)-N',N'-dipropylisophthalamide
31	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-
	isopropylbenzyl)-5-(1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide
33	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	5-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}-N',N'-
	dipropylisophthalamide
35	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	5-(4-methyl-1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide
37	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2-isobutyl-1,3-
	thiazol-5-yl)methyl]-5-(1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide
39	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	5-{[(3-hydroxypropyl)amino]sulfonyl}-N',N'-dipropylisophthalamide
	hydrochloride
41	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl- N , N -
	dipropyl-N-(3-propylbenzyl)isophthalamide
43	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-butyl-N-(3-but
	ethylbenzyl)-N',5-dimethylisophthalamide
45	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl- $N-(3-2R)$
	ethynylbenzyl)-N',N'-dipropylisophthalamide
47	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-N-[(3-difluorophenyl)-2-hydroxybutyl]
	isobutylisoxazol-5-yl)methyl]-N',N'-dipropylisophthalamide
49	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-
	[(dimethylamino)sulfonyl]-N-(3-ethylbenzyl)-N',N'-dipropylisophthalamide
51	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	5-(1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide hydrochloride
53	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[3-(5-formyl-2-hydroxybutyl]-N-
	thienyl)benzyl]-5-methyl-N',N'-dipropylisophthalamide
55	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-bromo- $N-(3-k)$
	iodobenzyl)-N',N'-dipropylisophthalamide
57	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
	$5-(\{[(1R)-2-hydroxy-1-methylethyl]amino\}$ sulfonyl)- $N',N'-$
	dipropylisophthalamide
59	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
	isobutylbenzyl)-5-methyl-N',N'-dipropylisophthalamide
61	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-N,N-
-60	dipropyl-N-[3-(trifluoromethyl)benzyl]isophthalamide
63	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	$3-\{[(2R)-2-(methoxymethyl)pyrrolidin-1-yl]carbonyl\}-5-methylbenzamide$
	hydrochloride $N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-$
65	$N-[(2K,3S)-3-amino-4-(3,3-diffuorophenyl)-2-nydroxyoutyrj-N^{-1}(3-ethyloelizyr)-$
	5-({[(1S)-2-hydroxy-1-methylethyl]amino} sulfonyl)-N',N'-
	dipropylisophthalamide
67	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-butyl-N-(3-
60	ethylbenzyl)-5-methyl-N'-propylisophthalamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N',N'-dibutyl-N-(3-
69	[V-[(ZK,55)-5-amino-4-(5,5-dilluotophenyi)-Z-nydroxyoutyi]-iv,iv-dioutyi-iv-(5-
	ethylbenzyl)-5-methylisophthalamide

71	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[3-(3-4)-1
	hydroxyprop-1-yn-1-yl)benzyl]-5-methyl-N',N'-dipropylisophthalamide
73	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
, 5	5-{[(2S)-2-(hydroxymethyl)pyrrolidin-1-yl]sulfonyl}-N',N'-
	dipropylisophthalamide
75	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-butyl-N'-ethyl-
15	N-(3-ethylbenzyl)-5-methylisophthalamide
77	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-
,,	ethynylbenzyl)-5-(1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide
79	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-cyclohexyl-N-
1)	(3-ethylbenzyl)-N',5-dimethylisophthalamide
81	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -[3-
01	(cyclopropylamino)benzyl]-5-ethynyl-N',N'-dipropylisophthalamide
83	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N',N'-
65	dipropyl-N-[3-(3-thienyl)benzyl]isophthalamide
85	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-
0.5	yl)-N',N'-dipropyl-N-[3-(trifluoromethyl)benzyl]isophthalamide
87	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
07	5-(piperazin-1-ylsulfonyl)-N',N'-dipropylisophthalamide dihydrochloride
89	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[1-(3-bydroxybutyl]-N-[1-(
0,7	iodophenyl)cyclopropyl]-5-methyl-N',N'-dipropylisophthalamide
91	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-sec-
71	butylbenzyl)-5-methyl-N',N'-dipropylisophthalamide
93	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	5-(3-methylisoxazol-4-yl)-N',N'-dipropylisophthalamide hydrochloride
95	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -[1-(3-
,	isobutylisoxazol-5-yl)cyclopropyl]-5-(1,3-oxazol-2-yl)-N',N'-
	dipropylisophthalamide
97	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[1-(3-bydroxybutyl]-N-[1-(
	ethylphenyl)cyclopropyl]-5-(1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide
99	N^4 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^4 -(3-
	ethylbenzyl)-6-methyl- N^2 , N^2 -dipropylpyridine-2,4-dicarboxamide
101	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-
	(cyclopropylmethyl)-N-(3-ethylbenzyl)-5-methyl-N-propylisophthalamide
103	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-
	methoxybenzyl)-5-(1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide
105	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
	hydroxybutyl]-N-[1-(3-
	ethynylphenyl)cyclopropyl]-5-(1,3-oxazol-2-yl)-
	N', N'-dipropylisophthalamide
	H ₂ N N O N
	ÖH OH
	0, 10
1	

107		N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-
	O _k -N.	hydroxybutyl]-5-(aminosulfonyl)-N-(3-
		ethylbenzyl)-N',N'-dipropylisophthalamide
	OH SO ₂	
	H_2N H_2N	
	F	·
109		N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
	F	hydroxybutyl]-5-methyl- <i>N</i> -{3-[(1 <i>Z</i>)-prop-1-en-1-yl]benzyl}- <i>N</i> ', <i>N</i> '-dipropylisophthalamide
	H ₂ N-N	J J J J J J J J J J J J J J J J J J J
	H _{HO}	
111	О 0	NI(ODOGO
	N-N	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)- N ', N '-dipropyl-
		5-(1 <i>H</i> -pyrazol-4-yl)isophthalamide
	O OH	dihydrochloride
	H ₂ N N	
	F 2 HCI	
112	F	
113	O_N	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -[1-(3-ethylphenyl)-1-
		methylethyl]-5-ethynyl-N',N'-
1	HHO	dipropylisophthalamide
	H ₂ N N	·
	F- H	
	F	
115	F F¥	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
	F-C	hydroxybutyl]-5-methyl-N',N'-dipropyl-N-[3-
		(trifluoromethyl)benzyl]isophthalamide
	H ₂ N N	.
	HO N	
117	,F	N-(3-allylbenzyl)-N-[(2R,3S)-3-amino-4-(3,5-
	FC >	difluorophenyl)-2-hydroxybutyl]-5-methyl-
	H ₁₁₁₁	N',N'-dipropylisophthalamide
	H ₂ N N	
	H OH N	

WO 2004/022523 PCT/US2003/028116

	1 (2.5 diffusion hony) 2
119	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
	hydroxybutyl]-N-[1-(3-
	ethylphenyl)cyclopropyl]-5-methyl-N',N'- dipropylisophthalamide
	dipropylisophthalamide
	H
	HO & J.
121	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[1-(3-
121	1 -4-1-1-1-2-2-1) 1 methylethyle5-(1 3-0x2701-2-V1)-// -// -uipiopynsopiimaianiido
123	$N_{-1}(2R, 3.5)$ -3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl
123	othylbanzyl)_5_methyl-N'-propylisophthalamide
125	$\sqrt{102}$ 2 $\sqrt{3}$ 2 $\sqrt{3}$ 2 $\sqrt{3}$ 3 $\sqrt{3}$ 3 $\sqrt{3}$ 3 $\sqrt{3}$ 4 $\sqrt{3}$ 5 $\sqrt{3}$ 6 $\sqrt{3}$ 6 $\sqrt{3}$ 7 $\sqrt{3}$ 7 $\sqrt{3}$ 8 $\sqrt{3}$ 9
123	(low-onylamino)benzyl 5-methyl-N'-N'-dipropylisophulaiainide
127	$N_{I}(2R,3S)$ -3-amino-4-(3.5-difluorophenyl)-2-hydroxybutyl]-3-eulyllyl- $N_{I}(3-1)$
11	othymylphenyl)cyclopropyll-N.N-dipropyllsophthalamide
129	N I(2P 2 C) 3 amino-4-(3 5-difluorophenyl)-2-hydroxybutyl [1-(5-
129	icebutylicovagol-5-yl)cyclopropyll-5-methyl-N'-N'-dipropylisophiliaiainide
131	$\sqrt{N_{\rm L}(2R,3S)}$ -3-amino-4-(3.5-difluorophenyl)-2-hydroxybutyl]- $N_{\rm L}(3-10)$ my
131	methyl-2-thienyl)benzyl]-5-methyl-N',N'-dipropylisophthalamide
	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
133	N-[(2R,3S)-3-amino-4-(3,5-diffuolopheny)-2-hydroxyoddyl 1 (c) isopropylbenzyl)-N',N'-dipropylpyridine-3,5-dicarboxamide
	isopropylbenzyl)-N-N-dipropylpylldine-3,5-dictareoxidative N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-{3-
135	N-[(2R,3S)-3-amino-4-(3,5-dilluolophenyi)-2-hydroxyoddyi a maddy y (
	[(methylsulfonyl)amino]benzyl}-N',N'-dipropylisophthalamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-butyl-N-(3-
137	N-[(2R,3S)-3-amino-4-(3,5-dilluolophenyi)-2-nydioxyodiyi]
	ethylbenzyl)-5-methylisophthalamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-[3-(3-
139	N-[(2R,3S)-3-amino-4-(3,5-dilluolophenyi)-2-nydroxyodiyij o modiyi i t
	methylbutyl)benzyl]- N , N -dipropylisophthalamide N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(biphenyl-3-
141	N-[(2R,3S)-3-amino-4-(3,3-dimensional phononylic or phon
	ylmethyl)-5-methyl-N',N'-dipropylisophthalamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[1-(3-
143	N-[(2R,3S)-3-amino-4-(3,3-diffuolophenyl)-2-hydroxyddyl 1 [2 (ethynylphenyl)cyclopropyl]-5-methyl-N',N'-dipropylisophthalamide
	ethynylphenyl)cyclopropylj-3-metryl- $V_{i}V_{i}$ dipropyllopyll- N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxylybutyl]- N -(3-ethylbenzyl)- N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxylybutyll- N -[(3 R)- (3 R)- N -[(3 R)- N -[(3 R)- N -[(3 R)- N -[(3 R)-[(3 R)-[(3 R)- N -[(3 R)-[(3 R)-[
145	N-[(2R,3S)-3-amino-4-(3,3-diffuolophenyl)-2-hydroxy-dipropylisophthalamide
	5-({[2-(methylamino)ethylamino}sunonyi)-1, 4, dipropy assignment
	hydrochloride N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-N-[1-(3-
147	N-[(2R,3S)-3-amino-4-(3,3-diffuolophenyl)-2-hydroxyoddyl o calynyl
	isobutylisoxazol-5-yl)cyclopropylj- N , N -diallyl- N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-
149	11 11 5 mothylicanhthalamide
	ethylbenzyl)-3-methylsophthalamide $N-[(2R,3R)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[1-(2-isobutyl-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1$
151	1,3-thiazol-5-yl)cyclopropyl]-5-methyl-N',N'-dipropylisophthalamide
1.50	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[1-(3-bylos)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]
153	ethylphenyl)-1-methylethyl]-5-methyl-N',N'-dipropylisophthalamide
1.55	ethylphenyl)-1-methylethylj-5-methyl-1- N -(3-ethylbenzyl)- N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
155	N-[(2R,3S)-3-amino-4-(3,5-diffuolophicity)-2-hydroxyotalynide 5-{[(2-hydroxyethyl)amino]sulfonyl}-N'-propylisophthalamide
	$S-\{[(2-hydroxyetnyl)amino]sunonyl\}-N-plopynsopndatamics N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-$
157	N-[(LK,50)-5-amino-4-(5,5-dimetrophenyi)-2-nydronyodoy-1 - (5 dimetrophenyi)-2-nydronyodoy-1 - (5 dime
	N',5-dimethyl- N' -propylisophthalamide $N' - [(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N' - (3-N) - [(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N' - [(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutylloophenylloophenylloophenylloophenylloophenylloophenylloophenylloophenylloophenylloophenylloophenylloophenylloophenylloophe$
159	N' -[(2R,3S)-3-amino-4-(3,5-diffuorophenyl)-2-hydroxybutyl] N' -[(2R,3S)-3-amino-4-(3,5-diffuorophenyl)-2-hydroxybutyl] ethylbenzyl)- N^2 -(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
l	etnyloenzyl)-W -(phenylsullonyl)-3-[(1-propyloutyl)sullonyl-3-[(1-propyloutyl-3-[(1-

	hydrochloride	
161	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-hydroxybutyl)-1-hydroxybutyl	
101	ethylbenzyl)-5-(1.3-oxazol-2-yl)isophthalamide	
163	N^{1} -[(2R.3S)-3-amino-4-(3.5-difluorophenyl)-2-hydroxybutyl]- N^{2} -	
105	[(benzylamino)carbonyl]-N ¹ -(3-ethylbenzyl)-3-[(1-	
	propylbutyl)sulfonyllalaninamide trifluoroacetate (salt)	
165	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N',N'-	
105	dipropyl-N-(3-pyridip-3-ylbenzyl)isophthalamide	
167	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
10,	N'-dipropylpyridine-3.5-dicarboxamide 1-oxide	
169	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-ethyl-N-[3-(3-4)-4]-N'-[3-(3-4)-4]-N'-[3-(3-4	
10)	formyl-2-furyl)benzyl]-5-methyl-N'-propylisophthalamide	
171	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
1/1	5-(1-methyl-1 <i>H</i> -imidazol-2-yl)- <i>N</i> ', <i>N</i> '-dipropylisophthalamide	
173	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N',N'-diethyl-N-(3-bydroxybutyl)-1-N',N'-diethyl-N-(3-bydroxybutyl-N-(3-bydroxybutyl-N-(3-bydroxybutyl-N-(3-bydroxybutyl-N-(3-bydroxybutyl-N-(3-bydroxybutyl-N-(3-bydroxybutyl-N-(3-bydroxybutyl-N-(3-bydroxybutyl-N-(3-bydroxybutyl-N-(3-by	
1/3	ethylbenzyl)-5-methylisophthalamide	
175	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -[3-	
173	(ethylsulfinyl)benzyl]-5-methyl-N,N-dipropylisophthalamide	
177	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-	
1//	{[butyl(ethyl)amino]sulfonyl}-N-(3-ethylbenzyl)propanamide	
179	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-cyanobenzyl)-	
1/3	5-methyl-N',N'-dipropylisophthalamide	
181	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
101	3-[(1-propylbutyl)sulfonyl]propanamide hydrochloride	
183	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
103	N-isobutyl-N,5-dimethylisophthalamide	
185	1, 1000003711,0 000000	
103		
	H OH S	
	H ₂ IV IV	
	F (T)	
1	Y	
187	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-	
107	hydroxybutyl]-5-methyl-N',N'-dipropyl-N-(3-	
	pyridin-2-ylbenzyl)isophthalamide	
	H _{1/1,}	
	H_2N	
	H' OH N	
	0	
1	U	

100		N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
189	11-N	hydroxybutyl]-N-(3-iodobenzyl)-2-
	-3)=N	[(methylsulfonyl)amino]-1,3-oxazole-4-
	0 0	carboxamide
	HQ /	
	H ₂ N $\overline{}$ N	
'		
	F-(-)	
191	F \ 0	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
191	F NSO	hydroxybutyl]-5-methyl-N-{3-
		[methyl(methylsulfonyl)amino]benzyl}-N',N'-
	F H	dipropylisophthalamide
	H_2N	
	H	
	HO	
193	0 0	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
	NH F.C OH	hydroxybutyl]- N^1 -(3-ethylbenzyl)- N^2 -(3-
Ì	s s	phenylpropanoyl)-3-[(1-
		propylbutyl)sulfonyl]alaninamide
	QH N	trifluoroacetate (salt)
	H ₂ N F	
105	Ė	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
195	F 0=\$=0	hydroxybutyl]-N-[3-(ethylsulfonyl)benzyl]-5-
		methyl-N',N'-dipropylisophthalamide
	F H	
	H ₂ N N	
}	H OH	
İ		·
	0, ~	10510
197	/ (0:	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
	$\langle \rangle_{,p} \overset{o^2}{s} $	hydroxybutyl]-N ² -[(5-chloro-2-thienyl)sulfonyl]-
	Š-wn Š-	N^1 -(3-ethylbenzyl)-3-[(1-
	0 0 0	propylbutyl)sulfonyl]alaninamide hydrochloride
	HCI H-N N	
	11211	
	F T	
	Ė \	11 N F(O D 2 CD 2 - wins A (2 5 diffuseranhany) 2
199	N-[3-(5-acetyl-2-thienyl)benz	yl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
	hydroxybutyl]-5-methyl-N,N	-dipropylisophilialamue -dipropylisophilialamue -dipropylisophilialamue -dipropylisophilialamue
201	N-[(2R,3S)-3-amino-4-(3,5-di	fluorophenyl)-2-hydroxybutyl]-N'-(sec-butyl)-N-
222	(3-ethylbenzyl)-5-methylisop	fluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
203	N-[(2K,55)-5-amino-4-(5,5-di	hudrochloride
- 1	3-(1,3-oxazol-2-yl)benzamide hydrochloride	

205	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	N',5-dimethyl-N'-(2-phenylethyl)isophthalamide	
207	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -[3-(3,5-	
	dimethylisoxazol-4-yl)benzyl]-5-methyl-N',N'-dipropylisophthalamide	
209	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	N',5-dimethyl-N'-prop-2-yn-1-ylisophthalamide	
211	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-ethyl-N-(3-	
	ethylbenzyl)-N',5-dimethylisophthalamide	
213	3-[((2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl)]	
	[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)methyl]phenyl	
	dimethylcarbamate	
215	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-benzyl-N-(3-	
	ethylbenzyl)-N',5-dimethylisophthalamide	
217	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-(sec-butyl)-N-	
	(3-ethylbenzyl)-5-methyl-N'-propylisophthalamide	
219	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl- $N-[3-(4-2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]$	
	methyl-2-thienyl)benzyl]-N',N'-dipropylisophthalamide	
221	methyl {3-[([(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl] {3-	
	[(dipropylamino)carbonyl]-5-	
	methylbenzoyl}amino)methyl]phenyl}methylcarbamate	
223	N-[(2R,3S)-3-amino-2-hydroxy-4-(2,3,5-trifluorophenyl)butyl]-5-methyl-N',N'-	
	dipropyl-N-[3-(trifluoromethyl)benzyl]isophthalamide	
225	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	N',N'-diisobutyl-5-methylisophthalamide	
227	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	N',5-dimethyl-N'-(2-pyridin-2-ylethyl)isophthalamide	
229	N-[(2R,3S)-3-amino-4-(3-fluoro-5-hydroxyphenyl)-2-hydroxybutyl]-N-(3-	
	methoxybenzyl)-5-methyl-N',N'-dipropylisophthalamide hydrochloride	
231	N^{1} -[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]- N^{1} -(3-	
	methoxybenzyl)- N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide	
233	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-hydroxy-N-(3-	
	iodobenzyl)-3-(pyrrolidin-1-ylcarbonyl)benzamide	
235	5 -oxo-D-prolyl- N^1 -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-	
	N^{1} -(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride	
237	HO ONH F₃C	
	$\begin{array}{c c} HQ & & & \\ F & H_2N & & N & O_2 \end{array}$	
	F FIZH N O2	
	F	
	7 V S (2 D 2 M 2 V 1 / 2 5 1 i G V 1 V 2	
239	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-	
	hydroxybutyl]-5-methyl-N',N'-dipropyl-N-(3-	
	pyridin-4-ylbenzyl)isophthalamide	
	H_2N	
1	H OH	
L		

241	N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -{3-[(dimethylamino)sulfonyl]benzyl}-5-methyl- N , N -dipropylisophthalamide	
	H OH	
243	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-5-methyl-N',N'-dipropylisophthalamide	
	F H	
245	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{1} -(3-ethylbenzyl)- N^{2} -(phenylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninamide	
247	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(azepan-1-	
∠ 4 /	ulcarbonyl)-N-(3-ethylbenzyl)-5-methylbenzamide	
249	methyl {3-[([(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3- [(dipropylamino)carbonyl]-5-methylbenzoyl}amino)methyl]phenyl}carbamate	
251	5-oxo-L-prolyl- N^1 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-nydroxybutyl]- N^1 -(3,-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride	
253	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-N-isobutyl-5-methylisophthalamide	
255	4-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3- ethylbenzyl)amino]-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid	
257	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-3-[methyl(methylsulfonyl)amino]benzamide	
258.	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[ethyl(isopropyl)amino]carbonyl}-5-	
260	methylbenzoate (1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl 3- [(dipropylamino)carbonyl]-5-methylbenzoate	
262	[(dipropylamino)carbonyl]-5-methyrochzoute (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2-hydroxyethyl)(propyl)amino]sulfonyl}propanoate	
264	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[isopropyl(methyl)amino]carbonyl}-5-methylbenzoate	
266	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxylate	
268	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[allyl(cyclopentyl)amino]carbonyl}-5-methylbenzoate	
ــــــــــــــــــــــــــــــــــــــ		

270	NH NH NH NH NH ₂ N N	
	Ē F	
	CF ₃	
272	H-N 0 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(3-methylbutyl)sulfonyl]propanoate
	↓ Soote Soo	
274	H ₂ N N S	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-methyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
	N H	[(dipiopylanimio)caroonyl, 5 montylosimout
276	H ₂ N Q	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-methoxyphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
	NH O NH ₂	
278	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(1-methylhexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
280	(1R,2S)-2-amino-1-({[1-(aminocarbonyl)cyclohexyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
282	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(2 <i>E</i>)-hex-2-en-1-ylamino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
284	(1R,2S)-2-amino-3-(4-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
286	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-hydroxyisoxazole-5-carboxylate	
288	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(1E)-hex-1-en-1-yl]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	

	- 42 5 110	1 1) 1 ([/2
290	(1R,2S)-2-amino-3-(3,5-difluoro	phenyl)-1-{[(3-
Ì	ethylbenzyl)amino]methyl}prop	yl 3-[(isopropylamino)carbonyl]-5-
	methylbenzoate	
292	$(1R,2S)$ -2-amino-1-{[(3-methox)	ybenzyl)amino]methyl}-3-(2-thienyl)propyl 3-
	(aminocarbonyl)-5-[(dipropylan	nino)carbonyl]benzoate
294	(1R 2S)-2-amino-3-(3,5-difluoro	ophenyl)-1-{[(3-
	iodobenzyl)aminolmethyl)prop	yl [3-(2-amino-2-oxoethoxy)phenyl]acetate
296	(1R 2S)-2-amino-3-(3-bromophenyl)-1-{[(3-	
270	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate	
298	(1 P 2 S)-2-amino-3-(3 5-difluore	ophenyl)-1-{[(2-ethylhexyl)amino]methyl}propyl
290	3-[(dipropylamino)carbonyl]-5-	methylbenzoate
200	(1.P.2.S) 2 amino-3-(3.5-diffuore	ophenyl)-1-({[3-(6-methoxypyridin-3-
300	the mail amine a methyl) propyl	3-[(dipropylamino)carbonyl]-5-methylbenzoate
200	(1.17.25) 2 amino 3 (3.5 diffuor	ophenyl)-1-({[3-(2,4-dimethoxypyrimidin-5-
302	(1K,25)-2-animo-5-(5,5-uniuon	3-[(dipropylamino)carbonyl]-5-methylbenzoate
204	(1R,2S)-2-amino-3- $(3,5$ -difluoro	onhenyl)-1-{[(3-
304	(1R,2S)-2-annio-3-(3,3-diffuol)	byl 3-(2-ethylbutanoyl)benzoate
206	(1R,2S)-2-amino-3- $(3,5$ -difluoro	onhenyl)-1-{[(3-
306	(1R,25)-2-anino-3-(3,3-dinuo)	byl 3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-
	etnylbenzyl)ammojmemyl;proj	jyl 5-[(4-ilydloxypipeliam 1 yl/amaey-)
	methylbenzoate (1R,2S)-2-amino-3-(3-bromoph	onv1) 1. [[(3-
308	methoxybenzyl)amino]methyl}	propyl 3 (aminocarbonyl)-5-
	methoxybenzyljalililojlilethyl	propyr 3-(ammocuroonyr) 3
	[(dipropylamino)carbonyl]benz	onhanyl) 1 ([(3-
310	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 4-[2'-(aminocarbonyl)biphenyl-4-yl]-4-	
		by 4-[2-(ammocarbony) bipmony - y 1]
212	oxobutanoate	
312		
	F H	
	l Market l	
	H ₂ N N H	
	l o o	
	N T J -O	
	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	
	H ₂ N	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
314		ethylbenzyl)amino]methyl}propyl 3-[(3-
		hydroxypiperidin-1-yl)carbonyl]-5-
	\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	methylbenzoate
1	H-N-N	methylocizoate
	H" H	
	Q /	
	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	
ı	ÒН ¹	

316	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-hydroxy-1-phenylpropyl)amino]methyl} propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
318	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[[2-(dimethylamino)ethyl](ethyl)amino]carbonyl}-5-methylbenzoate
320	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-methyl-4H,6H-pyrrolo[1,2-a][4,1]benzoxazepine-4-carboxylate
322	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (5-acetyl-2-thienyl)acetate
324	(1R,2S)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5- [(dipropylamino)carbonyl]benzoate
326	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diisopropylamino)carbonyl]-5-methylbenzoate
328	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(methylsulfonyl)amino]benzoate
330	(1R,2S)-2-amino-3-(4-chlorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
332	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl [4-(2-oxopyrrolidin-1-yl)phenyl]acetate
334	(1R,2S)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
336	(1R,2S)-2-amino]methyl}propyl 3-[(dipropylamino)sarionyl]propulation (1R,2S)-2-amino]methyl}propyl 3-(aminocarbonyl)-5- [(dipropylamino)carbonyl]benzoate

WO 2004/022523 PCT/US2003/028116

338	<u> </u>	
	F W	
	N N N	
	H ₂ N N N N N N N N N N N N N N N N N N N	
	0 0	
340	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
3.0	ethylbenzyl)amino]methyl}propyl 3-{[(1-methyl-1 <i>H</i> -imidazol-4-	
	vl)sulfonyllamino} henzoate trihvdrochloride	
342	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[(pentylamino)methyl]propyl 3-	
3-12	[(dipropylamino)carbonyl]-5-methylbenzoate	
344	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(4-fluorophenyl)-1-{[(3-	
344	methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-	
	[(dipropylamino)carbonyl]henzoate	
346	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(3-chloro-5-fluorophenyl)propyl 3-	
]	[(dipropylamino)carbonyl]-5-methylbenzoate	
348	(1R 2S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-	
5 .0	ethylbenzyl)amino]methyl}propyl 3-{[cyclohexyl(ethyl)amino]carbonyl}-5-	
	methylbenzoate	
350	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-({[2-({[(2,4-	
	difluorophenyl)amino]carbonyl}oxy)ethyl]amino}methyl)propyl 3-	
	[(dipropylamino)carbonyl]-5-methylbenzoate	
352	(1, 2, 5)-2-amino-3-(3, 5-difluorophenyl)-1-{[(3-	
·	ethylbenzyl)amino]methyl}propyl 3-{[(2S)-2-(methoxymethyl)pyrrolidin-1-	
	vllcarbonyl}-5-methylbenzoate hydrochloride	
354	$(1R,2S)$ -2-amino-3- $(3$ -fluoro-4-methylphenyl)-1- $\{[(3$ -	
	methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-	
	[(dipropylamino)carbonyl]benzoate	
356	(1R,2S)-2-amino-3-(3-bromophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl	
	3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
358	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 2,8-dimethylquinoline-3-carboxylate	
360	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(6-	
	hydroxyhexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate 10 1 1 (((2 P) 2	
362	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[(2R)$ -2-	
	hydroxypropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate 2 f(1	
364	$\frac{(1R,2S)-2-\text{amino-1-}\{[(3-\text{methoxybenzyl})\text{amino}]\text{methyl}\}-3-\text{phenylpropyl}\ 3-[(1-\text{methoxybenzyl})\text{amino}]\text{methyl}\}-3-\text{phenylpropyl}\ 3-[(1-\text{methoxybenzyl})\text{amino}]\text{methyl}\}-3-\text{phenylpropyl}\ 3-[(1-\text{methoxybenzyl})\text{amino}]\text{methyl}\}-3-\text{phenylpropyl}\ 3-[(1-\text{methoxybenzyl})\text{amino}]\text{methyl}\}$	
	propylbutyl)sulfonyl]propanoate	
366	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl)propyl 3-{[(2-hydroxy-1,1-	
	dimethylethyl)amino]sulfonyl}benzoate	
368	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(4-	
	phenylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate	

370	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	iodobenzyl)amino]methyl}propyl 7-(1H-imidazol-1-yl)-5,6-dihydronaphthalene-
	2-carboxylate
372	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
2=1	ethylbenzyl)amino]methyl}propyl 3-(acetylamino)-4-methylbenzoate
374	(1R,2S)-2-amino-1-({[2-(aminosulfonyl)ethyl]amino}methyl)-3-(3,5-
	difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
376	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[2-
	(ethylthio)ethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
378	(1R,2S)-2-amino-3-cyclohexyl-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-
	[(dipropylamino)carbonyl]-5-methylbenzoate
380	(1R,2S)-2-amino-1-{[benzyl(cyanomethyl)amino]methyl}-3-(3,5-
202	difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
382	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(2-
	hydroxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
204	methylbenzoate
384	(1R,2S)-2-amino-1-{[(3-butoxypropyl)amino]methyl}-3-(3,5-
206	difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
386	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-{[2-(2-hydroxyethyl)piperidin-1-
200	yl]carbonyl}-5-methylbenzoate
388	
	H ₂ N , N , O
	F 0
	F
390	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(1-hydroxy-
	2-propylpentyl)benzoate
	H ₂ N - 11/1

		1.50 - Jamina) mathyll 2 (3)
392	CI $(1R,2S)$	-2-amino-1-[(benzylamino)methyl]-3-(3-
	chloro-	5-fluorophenyl)propyl 3-
	H ₂ N (amino	carbonyl)-5-
	[(diproj	ylamino)carbonyl]benzoate
	,,,	
	/NH O{	
	NH ₂	
	0=	
	N—	
	\rangle	
204	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
394	ethylbenzyl)amino]methyl}propyl 4-[(1	nethylsulfonyl)amino]butanoate
	trifluoroacetate	
206	$(1R,2S)$ -2-amino-1- $(\{[3-(1-benzothien-ben$	2-vl)benzyllamino} methyl)-3-(3,5-
396	difluorophenyl)propyl 3-[(dipropylami	no)carbonyl]-5-methylbenzoate
	(1R,2S)-2-amino-3-(3,5-difluoropheny)-1-![(3-
398	ethylbenzyl)amino]methyl}propyl 3-(b	enzylovy)isoxazole-5-carboxylate
	(1R,2S)-2-amino-1-{[(cyclopropylmeth	avl)aminolmethyl}-3-(3.5-
400	(1R,2S)-2-amino-1-{[(cyclopropylineu	porhonyl]-3-[(1-
i	difluorophenyl)propyl N-[(benzyloxy)	paratote
	propylbutyl)sulfonyl]alaninate trifluore	bacetate
402		
	F-()	
	Hun	
	H ₂ N N	
	H H	
	N	
1		
1	H ₂ N	
404	(1R 2S)-2-amino-3-(3.5-difluoropheny	1)-1-{[(3-
104	ethylbenzyl)amino]methyl}propyl 5-(l <i>H-</i> pyrazol-1-yl)pentanoate
406	(1 P 2 S)-2-amino-3-(3 5-difluoropheny	1)-1-{{(3-
100	ethylbenzyl)amino]methyl}propyl 1-(2-furylmethyl)-5-oxopyrrolidine-3-
	i a de assertado	
408	$(1R,2S)$ -2-amino-1-{[(3-methoxybenz	yl)amino]methyl}-3-phenylpropyl 2-
700	ethylhexanoate hydrochloride	· · · · · · · · · · · · · · · · · · ·
410	(1R 2S)-2-amino-3-(3.5-difluoropheny	/l)-1-{[(5-
410	hydroxypentyl)amino]methyl}propyl	3-[(dipropylamino)carbonyl]-5-
	methylbenzoate	
412	(1 P 25) 2 amino-3-(3 5-diffuorophen	yl)-1-{[(3-
412	methoxybenzyl)aminolmethyl)propy	3-[(dipropylamino)carbonyl]piperidine-1-
	carboxylate	
414	(1 P 25) 2 amino-3-(3 5-difluorophen	vl)-1-{[(3-
414	methoxybenzyl)aminolmethyl)nrony	3-[(diethylamino)carbonyl]piperidine-1-
	carboxylate	
116	(1R,2S)-2-amino-3-(pentafluoropheny	v1)-1-({[3-
416	- annio-5-(pontantaorophon) مناروت (مندر ۱۸)	-/ - \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \

	(trifluoromethyl)benzyl]amino}methyl)propyl 3-bromo-5-	
	[(dipropylamino)carbonyl]benzoate	
410	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
418	ethylbenzyl)amino]methyl}propyl 4-[(methylsulfonyl)amino]benzoate	
420	E E E E E E E E E E E E E E E E E E E	
420		
	F	
	H_2N	
422	(1R,2S)-2-amino-3-(3-bromophenyl)-1-{[(3-	
	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate	
424	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl 3-	
	[(dipropylamino)sulfonyl]propanoate	
426	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethoxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate	
428	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(2-thienyl)propyl 3-	
	[(dipropylamino)carbonyl]-5-methylbenzoate	
430		
	O , S	
	H_2N N	
	· I	
	O NH	
	F—()	
432	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
452	ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-(phenylsulfonyl)butanoate	
	hydrochloride	
434	(1R,2S)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-	
	methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-	
	[(dipropylamino)carbonyl]benzoate	
436	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-	
	(trifluoromethoxy)phenyl]propyl 3-(aminocarbonyl)-5-	
	(dipropylamino)carbonyllbenzoate	
259	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-ethyl-N-(3-bydroxybutyl)	
	ethylbenzyl)-N'-isopropyl-5-methylisophthalamide	
261	N-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-N-(3-methoxybenzyl)-5-	
	methyl-N',N'-dipropylisophthalamide	
263	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	3-{[(2-hydroxyethyl)(propyl)amino]sulfonyl}propanamide	
265	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	N'-isopropyl-N',5-dimethylisophthalamide	

267	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
	2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide
269	N-allyl-N'-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-
	cyclopentyl-N'-(3-ethylbenzyl)-5-methylisophthalamide
271	
	0=s N O
	0'0 _H-\(\)
	HO, I H
	H_2N
	F
	, i o
	\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \
	CF ₃ O
273	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-[(3-methylbutyl)sulfonyl]propanamide
275	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl- $N-[3-(5-2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]$
	methyl-2-thienyl)benzyl]-N',N'-dipropylisophthalamide
277	N^1 -[(2R,3S)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]- N^1 -(3-
	methoxybenzyl)- N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide
279	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl- $N-(1-2R,3S)$
	methylhexyl)-N',N'-dipropylisophthalamide
281	N-[1-(aminocarbonyl)cyclohexyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
	hydroxybutyl]-5-methyl-N',N'-dipropylisophthalamide
283	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -[(2 E)-hex-2-en-
	1-yl]-5-methyl-N',N'-dipropylisophthalamide
285	N-[(2R,3S)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-N-(3-methoxybenzyl)-
	5-methyl-N',N'-dipropylisophthalamide
287	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-hydroxyisoxazole-5-carboxamide
289	$N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-{3-[(1E)-hex-1-1]}$
	en-1-yl]benzyl}-5-methyl-N',N'-dipropylisophthalamide
291	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
000	N'-isopropyl-5-methylisophthalamide
293	N^1 -[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]- N^1 -(3-methoxybenzyl)-
205	N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide 2-(3-{2-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-
295	
007	iodobenzyl)amino]-2-oxoethyl}phenoxy)acetamide
297	N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N-(3-methoxybenzyl)-
200	5-methyl-N',N'-dipropylisophthalamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(2-ethylhexyl)-5-
299	N-[(2R,35)-3-amino-4-(3,3-aninorophenyi)-2-nydroxyoddyi]-N-(2-eddynlexyi)-3-
201	methyl-N',N'-dipropylisophthalamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[3-(6-
301	methoxypyridin-3-yl)benzyl]-5-methyl-N',N'-dipropylisophthalamide
202	
303	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[3-(2,4-
	dimethoxypyrimidin-5-yl)benzyl]-5-methyl-N',N'-dipropylisophthalamide

305	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-(2-ethylbutanoyl)benzamide
307	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide
309	N^{1} -[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]- N^{1} -(3-
	methoxybenzyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide
311	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	iodobenzyl)amino]methyl}propyl 4-[2'-(aminocarbonyl)biphenyl-4-yl]-4-
	oxobutanoate
313	$1-(3-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$
	ethylbenzyl)amino carbonyl}-5-methylbenzoyl)-L-prolinamide
315	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide
317	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-hydroxy-1-
	phenylpropyl)-5-methyl-N',N'-dipropylisophthalamide
319	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[2-
	(dimethylamino)ethyl]-N'-ethyl-N-(3-ethylbenzyl)-5-methylisophthalamide
321	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
321	4-methyl-4 <i>H</i> ,6 <i>H</i> -pyrrolo[1,2- <i>a</i>][4,1]benzoxazepine-4-carboxamide
323	2-(5-acetyl-2-thienyl)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
323	hydroxybutyl]-N-(3-ethylbenzyl)acetamide
325	N^{1} -[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]- N^{1} -(3-
323	methoxybenzyl)- N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide
327	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
132,	N',N'-diisopropyl-5-methylisophthalamide
329	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-[(methylsulfonyl)amino]benzamide
331	N^{1} -[(2R,3S)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]- N^{1} -(3-
	methoxybenzyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide
333	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-iodobenzyl)-
333	2-[4-(2-oxopyrrolidin-1-yl)phenyl]acetamide
335	N-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-3-
333	[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
337	N^{1} -[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]- N^{1} -(3-
337	methylbutyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide
339	F. /
339	HŅ HŅ
-	F
	H_2N
	HO I
1	Ö

	(2.5.1/G. graph and) 2.
341	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-3-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide trihydrochloride
343	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-pentyl-N',N'-dipropylisophthalamide
	HO N N
	F—
	F 12 12 12 12 12 12 12 12 12 12 12 12 12
345	N^{1} -[(2R,3S)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]- N^{1} -(3-methoxybenzyl)- N^{3} , N^{3} -dipropylbenzene-1,3,5-tricarboxamide
347	N-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-N-benzyl-5-methyl-N',N'-dipropylisophthalamide
349	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -cyclonexyl- N -ethyl- N -(3-ethylbenzyl)-5-methylisophthalamide
351	2-([(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl] {3- [(dipropylamino)carbonyl]-5-methylbenzoyl}amino)ethyl (2,4-
353	N -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-3-{[(2S)-2-(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzamide
355	N^1 -[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]- N^3 -(3-
357	N^1 -[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]- N^3 -(3-methylbutyl)- N^3 -dipropylbenzene-1,3,5-tricarboxamide
359	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-2,8-dimethylquinoline-3-carboxamide
361	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(6-hydroxyhexyl)-5-methyl-N',N'-dipropylisophthalamide
363	hydroxynexy1)-3-methy1- N -dipropynsophdalamide $N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R)-2-hydroxypropyl]-5-methyl-N-N-dipropylisophthalamide$
365	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-methoxybenzyl)-3-[(1-
367	propylbutyl)sulfonyl]propanamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)
369	3-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}benzamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-(4-phenylbutyl)-N',N'-dipropylisophthalamide
	phenylutyl)-14,14 -diptopylisophidianide

371	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-7-(1H-imidazol-1-1)
	yl)-N-(3-iodobenzyl)-5,6-dihydronaphthalene-2-carboxamide
373	3-(acetylamino)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-
	(3-ethylbenzyl)-4-methylbenzamide
375	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[2-
	(aminosulfonyl)ethyl]-5-methyl-N',N'-dipropylisophthalamide
377	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[2-
	(ethylthio)ethyl]-5-methyl-N',N'-dipropylisophthalamide
379	N-[(2R,3S)-3-amino-4-cyclohexyl-2-hydroxybutyl]-N-(3-methoxybenzyl)-5-
377	methyl-N',N'-dipropylisophthalamide
381	monyriv av dipropymoophima
301	
	N_O
	HQ O
ļ	H ₂ N N
	F
383	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
	OH hydroxybutyl]-N-(2-hydroxypropyl)-5-methyl-
	N',N'-dipropylisophthalamide
	0 0 NH ₂
	HO)
	F I I I I I I I I I I I I I I I I I I I
385	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-
	butoxypropyl)-5-methyl-N',N'-dipropylisophthalamide
387	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-{[2-(2-hydroxyethyl)piperidin-1-yl]carbonyl}-5-methylbenzamide
389	methyl N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-{3-
	[(dipropylamino)carbonyl]-5-methylbenzoyl}-β-alaninate
391	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-(1-hydroxy-2-propylpentyl)benzamide
393	N^1 -[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]- N^1 -benzyl-
	N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide
395	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	4-[(methylsulfonyl)amino]butanamide trifluoroacetate (salt)
397	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[3-(1-
	benzothien-2-yl)benzyl]-5-methyl-N',N'-dipropylisophthalamide
399	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(benzyloxy)-N-
	(3-ethylbenzyl)isoxazole-5-carboxamide
L	

401	Ī
	F
	H,N HO CF,
	· • •
) NH 0 0
403	1-(3-{[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-
1.00	ethylbenzyl)aminolcarbonyl}-5-methylbenzoyl)-D-prolinamide
105	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
405	[V-[(ZK,55)-5-ammo-q-(5,5 unraciopment)-) = -5 unraciopment
	5-(1 <i>H</i> -pyrazol-1-yl)pentanamide N-[(2 <i>R</i> ,3 <i>S</i>)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- <i>N</i> -(3-ethylbenzyl)-
407	N-[(2R,3S)-3-amino-4-(3,5-aminorphieny)-2-nydroxyoutyi]-iv-(3-amyroombyr)
	1-(2-furylmethyl)-5-oxopyrrolidine-3-carboxamide
409	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-ethyl-N-(3-
	methoxybenzyl)hexanamide hydrochloride
411	N-1(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(5-
1	hydroxymentyl)-5-methyl-N'-N'-dipropylisophthalamide
413	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{1} -(3-
413	methoxybenzyl)- N^3 , N^3 -dipropylpiperidine-1,3-dicarboxamide
	methoxybenzy1)-1 V -(1)-(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^3 , N^3 -diethyl- N^1 -
415	N^2 -[(2R,3S)-3-amino-4-(3,3-dimuolophenyi)-2-nydioxyoutyi] 11 31 diag-hayamida
	(3-methoxybenzyl)piperidine-1,3-dicarboxamide
417	N-[(2R,3S)-3-amino-2-hydroxy-4-(pentafluorophenyl)butyl]-5-bromo-N',N'-
i	dingonal M-[3-(trifluoromethyl)benzyllisophthalamide
419	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
1.25	4-[(methylsulfonyl)amino]benzamide
421	F
421	
	F
	H_2N
1	HO)=0
	N-(')
ļ	
402	N-[(2 R ,3 S)-3-amino-4-(3-bromophenyl)-2-
423	hydroxybutyll 3-[(dipropylamino)sulfonyl]-N-
	hydroxybutyl]-5-[(dipropylamino)surronyl] 11 (3-methoxybenzyl)propanamide
1	
	Br o l
	10 11 11 11 11 11 11 11 11 11 11 11 11 1
425	N-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-3-[(dipropylamino)sulfonyl]-
	N-(3-methoxybenzyl)propanamide
427	N-1(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-
1	ethoxypropyl)-5-methyl-N'-N'-dipropylisophthalamide
429	N-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-N-benzyl-5-methyl-N',N'-
T-27	11 ((21,00))

	dipropylisophthalamide
431	
	N N N N N N N N N N N N N N N N N N N
	Ö OH S
	H_2N
	/ o NH ·
	' 🖳
	F 12 2 1 1 2 1 1 2 2 2 2 2 2 2 2 2 2 2 2
433	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	2-hydroxy-4-(phenylsulfonyl)butanamide hydrochloride
435	N^{1} -[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]- N^{1} -(3-
	methylbutyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide
437	N^{1} -{(2R.3S)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}- N^{1} -(3-
	methoxybenzyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide
438	$(1R.2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3,3-
	dimethylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
	methylhenzoate
440	(1R.2S)-2-amino-1-[(benzylamino)methyl]-3-(3-bromophenyl)propyl 3-
	(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
442	(1R.2S)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-
	methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
444	F _V F
	H_2N
	O O H O
	1 1 1 (1/1 2
446	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(1,3-
	diphenylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
448	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[(1S)-1-($
	(hydroxymethyl)propyl]amino}methyl)propyl 3-
	[(dipropylamino)carbonyl]benzoate
450	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[(3S)$ -2-oxoazepan-3-
	yl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
452	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl 5-(cyclohexylamino)-5-oxopentanoate
454	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-
	methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
456	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(2-propylpentyl)sulfonyl]- β-alaninate
	trifluoroacetate

WO 2004/022523 PCT/US2003/028116

458	(1R,2S)-2-amino-3-(3,5-difluorophenyl)- 1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1,3-thiazol-2-yl)benzoate dihydrochloride
	$0 \qquad 0 \qquad H$ $H_2N \qquad N \qquad F$
	F HCI
460	HCI (1R,2S)-2-amino-3-(2-furyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]-5-
	methylbenzoate methylbenzoate
	0 1 1/(3
462	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[methyl(phenyl)amino]propyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
464	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl]-3-(4-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
466	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-1-(2-thienylmethyl)pyrrolidine-3-carboxylate
468	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
470	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-difluorophenyl)amino]sulfonyl}benzoat
472	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino methyl}propyl N-[3-(trifluoromethyl)benzoyl]glycinate
474	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
476	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylhenzyl)aminolmethyl}propyl 4-(2-oxo-1,3-oxazolidin-3-yl)benzoate
478	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
480	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-4
482	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

	ethylbenzyl)amino]methyl}propyl 1,3,4,5-tetrahydrothiopyrano[4,3-b]indole-8-
	carboxylate
484	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-oxo-4-{[2-
	(trifluoromethyl)phenyl]amino}butanoate
486	(1R,2S)-2-amino-3-(3-bromophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl
	3-[(dipropylamino)carbonyl]-5-methylbenzoate
488	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4,5-dimethyl-2-(1H-pyrrol-1-yl)thiophene-3-
	carboxylate
490	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(2,3-$
	dihydroxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
492	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[(2S)-2-
	hydroxypropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
494	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[(1R)$ -1-
	methylpropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
496	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 2-chloro-4-(methylsulfonyl)benzoate
498	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(2-
	hydroxyethyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
500	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-
	methoxyphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
502	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-
	{methyl[(trifluoromethyl)sulfonyl]amino}benzoate hydrochloride
504	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl 3-hydroxy-6-(1-hydroxy-2,2-
	dimethylpropyl)pyridine-2-carboxylate
506	(1R,2S)-2-amino-1-{[(1,3-dicyclohexylpropyl)amino]methyl}-3-(3,5-
	difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
508	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 2,2'-bithiophene-5-carboxylate
510	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-(1H-imidazol-1-yl)butanoate
512	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 2,3-dihydroxy-4-[(4-methoxyphenyl)amino]-
	4-oxobutanoate
514	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(4-hydroxyphenyl)propyl 3-
,	[(dipropylamino)carbonyl]-5-methylbenzoate
516	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-
0	(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-
	[(dipropylamino)carbonyl]benzoate
518	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(2-thienyl)propyl 3-
	(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
	, ,

WO 2004/022523 PCT/US2003/028116

520	(1R,2S)-2-amino-1-({[2-(aminocarbonyl)-1H-indol-6-yl]amino}methyl)-3-(3,5-
20	1 1\ \tau \tau \tau \tau \tau \tau \tau \ta
522	(1 P 2 S)-2-amino-1-1(benzylamino)memyi]-3-(3-biomophenyi)propy
ئدندا	[(dipropylamino)carbonyl]-5-methylbenzoate
	1
524	ethylbenzyl)amino]methyl}propyl N-[4-(trifluoromethyl)benzoyl]glycinate
	1
526	(1R,2S)-2-amino-3-(3,3-diffuoropheniy) 1 (1C) ethylbenzyl)amino]methyl}propyl 2-(1-oxo-1,3-dihydro-2H-isoindol-2-
	ethylbenzyl)aminojniemylypropyl 2 (1 olio 230
	yl)butanoate
528	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-(3,4-dichlorobenzoyl)glycinate
530	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-chloro-4-(methylsulfonyl)thiophene-2-
	carboxylate
532	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(1-
	ethylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
534	$\frac{1}{2}$ $\frac{1}$
	(1R,2S)-2-amino-3-(3,5-diffuolopheny)-1 [(t(c))-5-amino)carbonyl]-5-oxazolidin-5-yl]methyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-
	mothy/henzoate
536	2 (2 5 diffuoronhenyl)-1-21(3-
550	(1R,2S)-2-amino-3-(3,5-diffuoropherry)-1-{[(3-diffuoromethyl)pyrazolo[1,5-diffuoromethyl)pyrazolo[1,5-diffuoromethyl)pyrazolo[1,5-diffuoromethyl)pyrazolo[1,5-diffuoromethyl)pyrazolo[1,5-diffuoromethyl)pyrazolo[1,5-diffuoromethyl)pyrazolo[1,5-diffuoromethyl)pyrazolo[1,5-diffuoromethyl)pyrazolo[1,5-diffuoromethyl]pyrazolo[1,5-diffuorometh
	- · · · · · · · · · · · · · · · · · · ·
538	
236	[(methylthio)acetyl]-3-[(1-propylbutyl)sulfonyl alainnate nydrochionae
540	-1 is a α α α α α α α α α α
540	dimethylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
-	mothylhenzoate
	1 (2 D 2 C 2) (2 5 diffuorophenyl) - 1 - { 1 (3 -
542	ethylbenzyl)amino]methyl}propyl 4,5-dimethoxy-1-benzothiophene-2-
	110-to
\ <u></u>	carboxylate (1R,2S)-2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-1-{[(3-
544	methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
-	to the second the seco
546	(1R,2S)-2-amino-3-(3,5-dilidolophenyl) 1 [(((cs)) c dilidolophenyl) 2 (dipropylamino)carbonyl]-5-oxazolidin-5-yl]methyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-
	oxazolidin-5-yijilettiyi; ammo)mottiyijpiopy = 20 1 12
	methylbenzoate
548	(1R,2S)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-
	methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
	[(dipropylamino)carbonyl]benzoate
550	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-(3,5-dioxo-1,2,4-triazolidin-4-yl)benzoate
552	1 ([/2 methov/hen/VI)amin() Inclivi(-3-pilon) Piop)
	hydroxy-3-[(3-methoxyphenyl)sulfonyl]propanoate hydrochloride

		
554	H ₂ N H N NH ₂	
556	H ₂ N H N F	(1R,2S)-2-amino-3-(3,5-difluorophenyl)- 1-{[(2- methylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5- methylbenzoate
558	H ₂ N H F- C	(1R,2S)-2-amino-1-{[(2-{4-[(3-chlorobenzyl)oxy]phenyl}ethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
560	(1R,2S)-2-amino-3-(3,5-difluorophenyethylbenzyl)amino]methyl}propyl 2-h	
562	(1R,2S)-2-amino-3-[3-(benzyloxy)-5-methoxybenzyl)amino]methyl}propyl [(dipropylamino)carbonyl]benzoate	fluorophenyl]-1-{[(3- 3-(aminocarbonyl)-5-
564	(1R,2S)-2-amino-3-(3,5-difluoropheny ethylbenzyl)amino]methyl}propyl 2-h (trifluoromethyl)phenyl]butanoate	ydroxy-4-oxo-4-[3-
566	(1R,2S)-2-amino-1-{[(3-methylbutyl)a (trifluoromethoxy)phenyl]propyl 3-(an [(dipropylamino)carbonyl]benzoate	minocarbonyl)-5-
568	(1R,2S)-2-amino-3-(3,5-difluoropheny (methylthio)propyl]amino}methyl)pro methylbenzoate	ppyl 3-[(dipropylamino)carbonyl]-5-
570	(1R,2S)-2-amino-3-(3,5-difluoropheny	yl)-1-{[(3- 1 <i>H</i> -1,2,3-benzotriazol-1-yl)hexanoate
572	(1R,2S)-2-amino-3-(3-fluoro-4-methy methylbutyl)amino]methyl}propyl 3-([(dipropylamino)carbonyl]benzoate	lphenyl)-1-{[(3- (aminocarbonyl)-5-
574	(1R,2S)-2-amino-3-(3,5-difluoropheny ethylbenzyl)amino]methyl}propyl 3-(2-{[(1-propylbutyl)sulfonyl]methyl}p	4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-

576	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-
	{[(trifluoromethyl)sulfonyl]amino}butanoate trifluoroacetate
578	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (5-methyl-1,3-dioxo-1,3-dihydro-2H-isoindol-
	2-yl)acetate
580	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	hydroxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
582	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-
	(hydroxymethyl)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
584	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(3,5-dichlorophenyl)propyl 3-
	(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
586	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-{[(2-
	hydroxyethyl)(propyl)amino]sulfonyl}propanoate hydrochloride
588	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 5-(benzylthio)nicotinate
590	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 1H-pyrazole-5-carboxylate
592	$(1R.2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl 6-chloro-3-methyl-2-oxo-2,3-dihydro-1,3-
	benzoxazole-5-carboxylate
594	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino methyl}propyl 1 <i>H</i> -benzimidazole-2-carboxylate
596	(1R,2S)-2-amino-3-cyclohexyl-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-
	(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
598	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 6-hydroxy-4,7-dimethoxy-1-benzofuran-5-
	carboxylate
600	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(4$ -
	methylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
602	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl [1,2,4]triazolo[4,3-a]pyridine-6-carboxylate
604	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-oxo-4-(2-thienyl)butanoate
606	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(3,5-dichlorophenyl)propyl 3-
ļ	[(dipropylamino)carbonyl]-5-methylbenzoate
608	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl 4-(2-hydroxy-5-methylphenyl)-4-
	oxobutanoate
610	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-phenoxybenzoate
612	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-[(aminocarbonyl)amino]benzoate
614	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[(1S)$ -1- $(hydroxymethyl)$ -3-
	(methylthio)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-

	methylbenzoate	
616	(1R,2S)-2-amino-3-(3,5-difluoropheny	1)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 7-hy	vdroxy-4-oxochromane-2-carboxylate
618	(1R,2S)-2-amino-3- $(3,5$ -difluoropheny	1)-1-({[(1S)-1-(hydroxymethyl)-3-
016	methylbutyl]amino}methyl)propyl 3-[6	(dipropylamino)carbonyl]-5-
	methylbenzoate	(
620	(1R,2S)-2-amino-3- $(3,5$ -difluoropheny	1)-1-({[(1R)-1-
020	(hydroxymethyl)propyl]amino}methyl	propyl 3-
	[(dipropylamino)carbonyl]benzoate	yFF3
622	(1R,2S)-2-amino-3-(3,5-difluoropheny	1)-1-{[(1-methyl-3-
022	phenylpropyl)amino]methyl}propyl 3-	[(dipropylamino)carbonyl]-5-
	methylbenzoate	[(
439	N-[(2R,3S)-3-amino-4-(3,5-difluoroph	enyl)-2-hydroxybutyl]-N-(3,3-
435	dimethylbutyl)-5-methyl-N',N'-dipropy	lisophthalamide
441	N^{l} -[(2R,3S)-3-amino-4-(3-bromophen	yl)-2-hydroxybutyl]- N^1 -benzyl- N^3 , N^3 -
	dipropylbenzene-1,3,5-tricarboxamide	
443	N-[(2R,3S)-3-amino-4-(3-chloro-5-flucture)]	orophenyl)-2-hydroxybutyl]-5-methyl-N-
	(3-methylbutyl)-N',N'-dipropylisophth	alamide
445	F	
	NH ₂	•
	F O	
	H N N	
	l hori i i	
4.457		N-[(2R,3S)-3-amino-4-(3,5-
447	\	difluorophenyl)-2-hydroxybutyl]-N-(1,3-
	N—	diphenylpropyl)-5-methyl-N,N'-
	o=<	dipropylisophthalamide
		-
	HQ N	
	$H_2N-\langle \rangle$	
	F-()	
	F	
449	НО /	N-[(2R,3S)-3-amino-4-(3,5-
	N N	difluorophenyl)-2-hydroxybutyl]-N-[(1S)-
	-он	1-(hydroxymethyl)propyl]-N',N'-
	NH ₂	dipropylisophthalamide
	F—()	
	F	1) 2 1 1 1 m h + 1] 5 m other 1 N [(2 5)
451	N-[(2R,3S)-3-amino-4-(3,5-difluoroph	nenyl)-2-hydroxybutyl]-5-methyl-N-[(3S)-
150	2-oxoazepan-3-yl]-N',N'-dipropylisop	nuiaiainide
453	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-cyclohexyl-N-	
155	(3-ethylbenzyl)pentanediamide	methylphenyl)hutyl] NI (3-
455	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-(3-	ne 1.3 Satricarbovamide
1	methoxybenzyl)-N ³ ,N ³ -dipropylbenze	110-1,5,5-1110a100Xa111100

PCT/US2003/028116 WO 2004/022523

<u> </u>	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorop	henyl)-2-hydroxybutyl]-N¹-(3-
157	1 17 C/O	antille is a samily in the control of the control o
	ethylbelizy)-iv -[(2-propyrpointy)-iv	nenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
159	10 11 - magamide diby/dro	och loti de
	$\frac{3-(1,3-\text{thiazol-}2-yl)\text{benzamine uniyare}}{N-[(2R,3S)-3-amino-4-(2-furyl)-2-hyd)}$	roxybutyl]-N-(3-methoxybenzyl)-5-
461	1:	
	methyl-N',N'-dipropylisophthalamide	nenyl)-2-hydroxybutyl]-5-methyl-N-{3-
463	N-[(2R,3S)-3-amino-4-(3,3-dimeolopil)] [methyl(phenyl)amino]propyl $-N',N'-$	dinronylisophthalamide
	[methyl(phenyl)amino]propyl $^{3-1}$, 3 N^{1} -[(2 R ,3 S)-3-amino-2-hydroxy-4-(4-	methylphenyl)butyl $l-N^{l}$ -(3-
465	1) AD AD dimensilhence	me_I 3 7=IFICAIDOXAIIIUC
	methoxybenzyl)-/v',/v'-dipropyroenzyl	henyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
467	N-[(2R,3S)-3-amino-4-(3,5-diffuolopi	3 carboxamide
	5-oxo-1-(2-thienylmethyl)pyrrolidine	hanvil 2 hydroxybutyll-4-
469	N-[(2R,3S)-3-amino-4-(3,5-difluoropi	\ 5 mothyl.2-furamide
	[(butylthio)methyl]-N-(3-ethylbenzyl	henyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
471	N-[(2R,3S)-3-amino-4-(3,5-diffuorop)]	hengamide
	3-{[(2-hydroxyethyl)amino]sulfonyl}	Delizamide
473	F O	
	F N O	
	ŬH \	
	H_2N	
	F	
	Ī	
475		N-[(2R,3S)-3-amino-4-(3,5-
4/5		difluorophenyl)-2-hydroxybutyl]-5-
		methyl-N-(3-methylcyclohexyl)-N',N'-
		dipropylisophthalamide
	OOH	
	H ₂ N N	
	<i>†</i>	
l		
	F-	
İ	F	
477	N. I (2R 3.5)-3-amino-4-(3.5-difluoro	phenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)
4//	1' 1' - 2 - 1\h on 70	mide
479	N-[(2R 3.5)-3-amino-4-(3.5-difluoro	phenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)
4/9	1 1 - 1\language omide	
101	arrian 200 2 amino 4 (3 5-dithuoro	phenyl)-2-hydroxybutyl]-N-(6-methoxy-
481	1 0 0 4 t-t-obside on on bth alen-1-VI)-	5-methyl-/v-/v-dibiopylisopildialamide
492	1,2,3,4-terranyaronaphatation 2 /-/	phenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl
483	1 0 4 5 4 4 - L-d-athionsmonol 1 3-h	lindole-X-carboxamilde
10.2	N. (2.2.2.2.2.2.2.2.2.4.(2.5-difluore	ophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl
485	an to (4flarenom othyd) phenyd (succi	inamide
}	1 /V:-1 /=(11 (11 (1) ()) () (15 (11 V1)D11 (11 V1)SUCC)	1 17 Γ 1 λ1 (2
10=	N (2 P 2 C) 2 amino 4 (2 hromonh	envl)-2-hydroxybutyll-5-methyl-/y-(5-
487	N-[(2R,3S)-3-amino-4-(3-bromophino)]	enyl)-2-nydroxybutylj-3-mettyl-14-(3- galamide
487	N-[(2R,3S)-3-amino-4-(3-bromophino)]	enyl)-2-nydroxydulylj-3-methyl-14-(3-

491	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(2,3-
	dihydroxypropyl)-5-methyl-N',N'-dipropylisophthalamide
493	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2S)-2-
	hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
495	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl- $N-[(1R)-1]$
	1-methylpropyl]-N',N'-dipropylisophthalamide
497	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-chloro- N -(3-
	ethylbenzyl)-4-(methylsulfonyl)benzamide
499	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(2-
	hydroxyethyl)-5-methyl-N',N'-dipropylisophthalamide
501	N-[(2R,3S)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-3-
	[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
503	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
505	3-{methyl[(trifluoromethyl)sulfonyl]amino} benzamide hydrochloride
505	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-3-hydroxy-6-(1-hydroxy-2,2-dimethylpropyl)pyridine-2-carboxamide
507	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(1,3-
507	N-[(2R,3S)-3-amino-4-(3,3-diffuolophenyi)-2-nydroxyoutyij-77-(1,3-dicyclohexylpropyl)-5-methyl- N , N -dipropylisophthalamide
500	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
509	2,2'-bithiophene-5-carboxamide
511	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
311	4-(1 <i>H</i> -imidazol-1-yl)butanamide
513	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
313	2,3-dihydroxy-N'-(4-methoxyphenyl)succinamide
515	N-[(2R,3S)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-N-benzyl-5-methyl-
	N'.N'-dipropylisophthalamide
517	N^{1} -{(2R,3S)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}- N^{1} -(3-
	methoxybenzyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide
519	N^1 -[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]- N^1 -benzyl- N^3 , N^3 -
	dipropylbenzene-1,3,5-tricarboxamide
521	N-[2-(aminocarbonyl)-1H-indol-6-yl]-N-[(2R,3S)-3-amino-4-(3,5-4)]
<u></u>	difluorophenyl)-2-hydroxybutyl]-5-methyl-N',N'-dipropylisophthalamide
523	N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N-benzyl-5-methyl-
	N',N'-dipropylisophthalamide
525	\
	F
	$\downarrow \qquad \qquad \downarrow \qquad \qquad \qquad \qquad \downarrow \qquad \qquad \qquad \qquad \qquad \qquad \downarrow \qquad \qquad \qquad \qquad \qquad \downarrow \qquad$
	0= ОН
	0—\ On
	ŃH
	<u></u> =0
	+ 1
) f F

527	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-2-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)butanamide
529	
531	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-chloro-N-(3-ethylbenzyl)-4-(methylsulfonyl)thiophene-2-carboxamide
533	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(1-ethylpropyl)-5-methyl-N',N'-dipropylisophthalamide
535	N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -{[(5 R)-3-ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}-5-methyl- N , N -dipropylisophthalamide
537	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-5-methyl-7-(trifluoromethyl)pyrazolo[1,5-a]pyrimidine-2-carboxamide
539	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]- N^{1} -(3-methoxybenzyl)- N^{2} -[(methylthio)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride
541	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(2,3-dimethylcyclohexyl)-5-methyl-N'.N'-dipropylisophthalamide
543	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-4,5-dimethoxy-1-benzothiophene-2-carboxamide
545	N^1 -{ $(2R,3S)$ -3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}- N^1 -(3-methylbutyl)- N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide
547	$N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-{[(5S)-3-ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}-5-methyl-N,N-dipropylisophthalamide$
549	N^{1} -[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]- N^{1} -(3-methoxybenzyl)- N^{3} , N^{3} -dipropylbenzene-1,3,5-tricarboxamide
551	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,5-dioxo-1,2,4-triazolidin-4-yl)-N-(3-ethylbenzyl)benzamide
553	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-N-(3-methoxybenzyl)-3-[(3-methoxyphenyl)sulfonyl]propanamide hydrochloride

555	
	0
	HQ
	H_2N
	NH ₂
	F-(-)
	F A (2.5.1) G and a substitute of the substitute
557	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-(2-
	methylcyclohexyl)-N',N'-dipropylisophthalamide
559	$N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(2-{4-[(3-4)-1]-1}-1)-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1$
	chlorobenzyl)oxy]phenyl}ethyl)-5-methyl-N',N'-dipropylisophthalamide
561	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	2-hydroxy-4-oxo-4-(3-thienyl)butanamide
563	N^1 -{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}- N^1 -(3-
	methoxybenzyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide
565	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	2-hydroxy-4-oxo-4-[3-(trifluoromethyl)phenyl]butanamide
567	N^{1} -{(2R,3S)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}- N^{1} -(3-
	methylbutyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide
569	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[1-
	(hydroxymethyl)-3-(methylthio)propyl]-5-methyl-N',N'-dipropylisophthalamide
571	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(1H-1,2,3-
	benzotriazol-1-yl)-N-(3-ethylbenzyl)hexanamide
573	N^{1} -[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]- N^{1} -(3-
	methylbutyl)- N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide
575	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-
	2,5-dioxoimidazolidin-1-yl)-N-(3-ethylbenzyl)-2-{[(1-
	propylbutyl)sulfonyl]methyl}propanamide
577	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	4-{[(trifluoromethyl)sulfonyl]amino}butanamide trifluoroacetate (salt)
579	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	2-(5-methyl-1,3-dioxo-1,3-dihydro-2 <i>H</i> -isoindol-2-yl)acetamide
581	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
	hydroxypropyl)-5-methyl-N',N'-dipropylisophthalamide
583	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[1-
	(hydroxymethyl)propyl]-5-methyl-N',N'-dipropylisophthalamide
585	N^1 -[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]- N^1 -benzyl- N^3 .
	dipropylbenzene-1,3,5-tricarboxamide
587	$N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(2-$
	hydroxyethyl)(propyl)amino]sulfonyl}-N-(3-methoxybenzyl)propanamide
	hydrochloride
589	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(benzylthio)- N -
	(3-ethylbenzyl)nicotinamide
591	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-

	1H-pyrazole-5-carboxamide
593	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-chloro- $N-(3-amino-4)$
	ethylbenzyl)-3-methyl-2-oxo-2,3-dihydro-1,3-benzoxazole-5-carboxamide
595	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	1 <i>H</i> -benzimidazole-2-carboxamide
597	N^{1} -[(2R,3S)-3-amino-4-cyclohexyl-2-hydroxybutyl]- N^{1} -(3-methoxybenzyl)-
22,	N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide
599	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	6-hydroxy-4,7-dimethoxy-1-benzofuran-5-carboxamide
601	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-(4-
	methylcyclohexyl)-N',N'-dipropylisophthalamide
603	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
	ethylbenzyl)[1,2,4]triazolo[4,3-a]pyridine-6-carboxamide
605	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	2-hydroxy-4-oxo-4-(2-thienyl)butanamide
607	N-[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-N-benzyl-5-
	methyl-N',N'-dipropylisophthalamide
609	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	4-(2-hydroxy-5-methylphenyl)-4-oxobutanamide
611	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-phenoxybenzamide
613	4-[(aminocarbonyl)amino]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
	hydroxybutyl]-N-(3-ethylbenzyl)benzamide
615	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1S)-1-
	(hydroxymethyl)-3-(methylthio)propyl]-5-methyl-N',N'-dipropylisophthalamide
617	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	7-hydroxy-4-oxochromane-2-carboxamide
619	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1S)-1-1-1]
	(hydroxymethyl)-3-methylbutyl]-5-methyl-N',N'-dipropylisophthalamide
621	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -[(1 R)-1-
	(hydroxymethyl)propyl]-N',N'-dipropylisophthalamide
623	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl- $N-(1-2R,3S)$
	methyl-3-phenylpropyl)-N',N'-dipropylisophthalamide
624	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 2-(2,3-dihydro-1-benzofuran-5-yl)-1,3-
(0.6	thiazole-4-carboxylate
626	(1R,2S)-2-amino-3-[3-(benzyloxy)phenyl]-1-{[(3-
	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
600	methylbenzoate (1R,2S)-2-amino-3-(4-chlorophenyl)-1-{[(3-
628	
620	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
630	ethylbenzyl)amino]methyl}propyl 3-oxo-3-(pentylamino)propanoate
632	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
032	ethylbenzyl)amino]methyl}propyl 3-(trifluoromethoxy)benzoate
634	(1R,2S)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-
034	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
636	(1R,2S)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-
ן טבט	(17,20)-2-annino-3-(3-cinoro-3-muorophenyi)-1-{[(3-

	methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
638	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
050	ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-
	2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
640	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
040	ethylbenzyl)amino]methyl}propyl 4-{[4-(acetylamino)phenyl]amino}-4-
	oxobutanoate
<u> </u>	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
642	ethylbenzyl)amino]methyl}propyl 3-(1-cyanoethyl)benzoate
<u> </u>	ethylbenzyl)ammo jmethyl propyr 5-(1-cyanocthyl)cenzoate $(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
644	ethylbenzyl)amino]methyl}propyl 4-oxo-4-[(5-phenyl-1,3,4-thiadiazol-2-
	yl)amino]butanoate
646	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-[3-
	(trifluoromethoxy)phenyl]propyl 3-(aminocarbonyl)-5-
	[(dipropylamino)carbonyl]benzoate
648	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[2-(2-oxo-2-pyrrolidin-1-
	ylethoxy)phenyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
650	(1R,2S)-2-amino-3-(4-chlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl
	3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
652	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (1,1-dioxidotetrahydro-2-thienyl)acetate
654	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(4-chlorophenyl)propyl 3-
	[(dipropylamino)carbonyl]-5-methylbenzoate
656	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 5-hex-1-yn-1-ylnicotinate
658	(1R,2S)-2-amino-3-(3-bromophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl
	3-[(dipropylamino)sulfonyl]propanoate
660	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-methoxyisoxazole-5-carboxylate
662	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 2,3-dimethyl-1H-indole-7-carboxylate
664	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 4-(3-chlorophenyl)-2-hydroxy-4-
	oxobutanoate
666	$(1R,2S)$ -2-amino-3-(3-fluoro-4-methoxyphenyl)-1-{[(3-
	methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
	[(dipropylamino)carbonyl]benzoate
668	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (1-methyl-1H-indol-3-yl)(oxo)acetate
670	$(1R,2S)$ -2-amino-3- $(3$ -fluoro-4-methylphenyl)-1- $\{[(3$ -
	methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
L	methylbenzoate
672	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(4-
	methylphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
674	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(3-fluoro-4-methylphenyl)propyl
1	l and
	3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate

WO 2004/022523 PCT/US2003/028116

	ethylbenzyl)amino]methyl}propyl [5-(4-methylphenyl)-2H-tetrazol-2-yl]acetate
678	$(1R,2S)$ -2-amino-3- $(3,5$ -dichlorophenyl)-1- $\{[(3-$
	methoxybenzyl)amino]methyl}propyl 3-[iipropylamino)sulfonyl]propanoate
680	(1R,2S)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(2-thienyl)propyl 3-
	(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
682	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 5-methyl-3-phenylisoxazole-4-carboxylate
684	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(4-fluorophenyl)propyl 3-
	[(dipropylamino)carbonyl]-5-methylbenzoate
686	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(methylsulfonyl)acetyl]-N-pentylglycinate
688	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-(4-methoxybenzoyl)glycinate
690	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-(2,6-difluorobenzoyl)glycinate
692	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 4-(1H-indol-3-yl)-4-oxobutanoate
694	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-[(5-benzyl-1,3,4-thiadiazol-2-yl)amino]-4-
	oxobutanoate
696	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-(3-fluoro-4-methoxyphenyl)-4-
	oxobutanoate
698	ethyl 4-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-({3-
	[(dipropylamino)carbonyl]-5-methylbenzoyl}oxy)butyl]amino}piperidine-1-
	carboxylate
700	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-(2-fluorobenzoyl)-1H-pyrrole-2-carboxylate
702	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(4-chlorophenyl)propyl 3-
	(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
704	$(1R,2S)$ -2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-
	(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-
	[(dipropylamino)carbonyl]benzoate
706	(1R,2S)-2-amino-3-(4-hydroxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl
700	3-[(dipropylamino)carbonyl]-5-methylbenzoate
708	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
710	ethylbenzyl)amino]methyl}propyl (4-morpholin-4-ylphenyl)acetate
710	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-
510	(trifluoromethoxy)phenyl]propyl 3-[(dipropylamino)sulfonyl]propanoate
712	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-[benzyl(1-cyclopropylethyl)amino]-4-
714	oxobutanoate
714	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	methoxybenzyl)amino]methyl}propyl 3-(2,5-dimethylbenzoyl)-5-
716	methylbenzoate
716	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-[(2-methoxy-5-methylphenyl)amino]-4-
	oxobutanoate

	•
718	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (3-hydroxyphenyl)acetate
720	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	methoxybenzyl)amino]methyl}propyl 3-[hydroxy(2-methylphenyl)methyl]-5-
	methylbenzoate
722	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 5-(ethylthio)nicotinate
724	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 4-[4-(2-furoyl)piperazin-1-yl]-4-oxobutanoate
726	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(3-fluoro-4-methylphenyl)propyl
	3-[(dipropylamino)carbonyl]-5-methylbenzoate
728	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 3-oxoisoindoline-1-carboxylate
730	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(ethylthio)benzoate
732	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl thieno[2,3-b]quinoline-2-carboxylate
734	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(4-methyl-1,3-oxazol-2-yl)benzoate
	hydrochloride
736	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(4-fluorophenyl)propyl 3-
	(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
738	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 2-[2-furoyl(methyl)amino]benzoate
740	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-4)^2]$
	ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-(3-methoxyphenyl)-4-
}	oxobutanoate
742	(1R,2S)-2-amino-1-[(cycloheptylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-
	[(dipropylamino)carbonyl]-5-methylbenzoate
744	(1R,2S)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(4-methylphenyl)propyl
	3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
746	$(1R,2S)$ -2-amino-3- $(3$ -fluoro-5-hydroxyphenyl)-1- $\{[(3$ -
	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
	hydrochloride
748	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 5-hydroxy-1H-indole-2-carboxylate
750	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl 2,2-dimethylchromane-8-carboxylate
752	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl 6-benzylpyrazine-2-carboxylate 4-oxide
754	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	methoxybenzyl)amino]methyl}propyl {2-
	[(dipropylamino)sulfonyl]ethyl}carbamate
756	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(hydroxymethyl)-2-
	methylpropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
758	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(3-chloro-5-fluorophenyl)propyl 3-
	[(dipropylamino)sulfonyl]propanoate

760	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	athythengyl)aminolmethyl)propyl 4-(4	-methoxyphenyl)-4-oxobutanoate
762	(1R 2S)-2-amino-1-[(benzylamino)met	hyl]-3-(4-hydroxypnenyl)propyl 3-
	(aminocarbonyl)-5-[(dipropylamino)ca	rbonyljbenzoate
764	(1 P 2 C) 2 amino-3-(3 5-difluoropheny	()-1-{}(3-
	ethylbenzyl)amino]methyl}propyl 3-m	ethyl-4-oxo-3,4-dihydrophthalazine-1-
	carboxylate	
766	(1 P 2 S) 2-amino-3-(3 5-diffuoropheny	1)-1-{[(3-
/00	ethylbenzyl)amino]methyl}propyl 3,4-	dihydro-2H-1,5-benzodioxepine-7-
	carboxylate	
768	(1 P 2 S) 2 amino-3-(3 5-diffuoropheny	1)-1-{[(3-
700	athythonout)aminolmethyl)propyl [4-(2.5-dioxopyrrolidin-1-yl)phenoxy jacetate
770	(1R,2S)-2-amino-3-(2-furyl)-1-{[(3-me	ethoxybenzyl)amino]methyl}propyl 3-
//0	(aminocarbonyl)-5-[(dipropylamino)ca	arbonyl]benzoate
772	(1 P 2 S)-2-amino-3-(3 5-difluoropheny	1)-1-{ (3-
112	ethylbenzyl)amino]methyl}propyl 5-m	nethyl-4-oxo-3,4-dihydrothieno[2,3-
	d]pyrimidine-6-carboxylate	
774	(1R,2S)-2-amino-3- $(1,3$ -benzodioxol-5	5-yl)-1-{[(3-
' ' -	methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
	[(dipropylamino)carbonyl]benzoate	
776	ONH ₂	
1770	HN	
		·
	H ₂ N 11/2	
	F F	(1R,2S)-2-amino-3-(3-chloro-5-
778		fluorophenyl)-1-{[(3-
		methoxybenzyl)amino]methyl}propyl 5-
	ÖHŅ	(dipropylamino)-5-oxopentanoate
	NH ₂	(dipropylanimo) 5 oxopeximous
	I A ROLL	
1	N O	
	Y.	
700	CI	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-
780		1-{[(3-ethylbenzyl)amino]methyl}propyl
	N "	6-fluoro-2-hydroxyquinoline-4-
		carboxylate
	но	
	N	
	T N T	
	NH ₂	
	F F	

782	HN ONH ₂ F	(1R,2S)-2-amino-3-(3,5-difluorophenyl)- 1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-(2-thienyl)butanoate
	s É	
784	N	
	O D H OME	· .
786	(1R,2R)-2-amino-1-{[(3-methoxybenz (aminocarbonyl)-5-[(dipropylamino)c	ryl)amino]methyl}-3-(phenylthio)propyl 3-arbonyl]benzoate
788	(1R,2S)-2-amino-3-(3,5-difluoropheny methylpropyl]amino}methyl)propyl 3 methylbenzoate	$(1)-1-(\{[(1R)-1-(hydroxymethyl)-2-$
790	(1R,2S)-2-amino-3-(3,5-difluoropheny methylbutyl]amino}methyl)propyl 3-[methylbenzoate	·
792	(1R,2S)-2-amino-3-(3,5-difluorophenyethylbenzyl)amino]methyl}propyl 2-(yl)-1-{[(3- phenoxymethyl)benzoate
794	(1R,2S)-2-amino-3-(3,5-difluoropheny ethylbenzyl)amino]methyl}propyl 5-[oxopentanoate	yl)-1-{[(3- (2,4-difluorophenyl)amino]-5-
796	(1R,2S)-2-amino-3-(3,5-difluoropheny ethylbenzyl)amino]methyl}propyl 5-[oxopentanoate	(4,6-dimethylpyrimidin-2-yl)amıno]-5-
798	(1R.2S)-2-amino-3-(3,5-difluorophen	yl)-1-{[(3- l 3-(3-methoxybenzoyl)-5-methylbenzoate
800	(1R,2S)-2-amino-3-[3-(benzyloxy)pho methoxybenzyl)amino]methyl}propy [(dipropylamino)carbonyl]benzoate	enyl]-1-{[(3- l 3-(aminocarbonyl)-5-
802	(1R,2S)-2-amino-3-(3,5-difluorophen ethylbenzyl)amino]methyl}propyl 4-((3,4-dichlorophenyl)-4-oxobutanoate
804	(1R,2S)-2-amino-1-{[(3-methoxybenz (methoxycarbonyl)phenyl]propyl 3-[(zyl)amino]methyl}-3-[4-

	methylbenzoate
806	(1P.2S) 2 amino-3-(3 5-difluorophenyl)-1-{ (3-
	ethylbenzyl)amino]methyl}propyl 5-[(4-acetylphenyl)amino]-5-oxopentanoate
808	1 (1 P 2 S-2-amino-3-[4-(henzyloxy)phenyl]-1-{ (3-
000	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
	mothylhenzoate
810	(1R,2R)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(phenylthio)propyl 3-
010	[(dipropylamino)carbonyl]-5-methylbenzoate
812	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
012	ethylbenzyl)amino]methyl}propyl 3-({2-
	[mothylamino)carhonyllnhenyl}thio)propanoate
814	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-[(1-
014	propylbutyl)thio]propanoate hydrochloride
816	(1.8.25)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-
810	ethylbenzyl)amino]methyl}propyl 4-[(4-ethoxyphenyl)amino]-4-oxobutanoate
818	$(1R,2S)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-\{[(3-$
010	methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
	[(dipropylamino)carbonyl]benzoate
820	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-(\{[2-(\{[(3-$
820	methoxyphenyl)amino]carbonyl}oxy)ethyl]amino}methyl)propyl 3-
	[(dipropylamino)carbonyl]-5-methylbenzoate
625	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(2,3-dihydro-1-4)
623	benzofuran-5-yl)-N-(3-ethylbenzyl)-1,3-thiazole-4-carboxamide
(27	$N-\{(2R,3S)-3-\text{amino-4-}[3-(\text{benzyloxy})\text{phenyl}]-2-\text{hydroxybutyl}\}-N-\{3-(\text{benzyloxy})\text{phenyl}]-2-\text{hydroxybutyl}]-2-\text{hydroxybutyl}$ -1-\text{phenyloxybutyl}]-2-\text{hydroxybutyl}-1-\text{phenyloxybutyl}-2-\text{hydroxybutyl}-1-\text{phenyloxybutyl}-2-\text{hydroxybutyl}-2-\text{hydroxybutyl}-2-\text{hydroxybutyl}-1-\text{phenyloxybutyl}-2-\text{hydroxybutyl}-2-\text{hydroxybutyl}-2-\text{hydroxybutyl}-2-\text{hydroxybutyl}-2-\text{hydroxybutyl}-2-\text{hydroxybutyl}-2-\text{hydroxybutyl}-2-\text{hydroxybutyl}-2-\text
627	methoxybenzyl)-5-methyl-N',N'-dipropylisophthalamide
629	$\frac{N-[(2R,3S)-3-\text{amino-4-(4-chlorophenyl)-2-hydroxybutyl}]-3-}{N-[(2R,3S)-3-\text{amino-4-(4-chlorophenyl)-2-hydroxybutyl}]-3-}$
629	[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
(21	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
631	N'-pentylmalonamide
633	N-pentylmatonalinde N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
033	3-(trifluoromethoxy)benzamide
635	N-[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-3-
033	[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
637	N-[(2 R ,3 S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-3-
037	(dimension)sulfonyll-N-(3-methylbutyl)propanamide
620	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-
639	$\frac{N-[(2R,55)-3-4lllllo-4-(5,5)]}{2,5-dioxoimidazolidin-1-yl)-N-(3-ethylbenzyl)-2-{[(1-$
	propylbutyl)sulfonyl]methyl}propanamide
641	N-[4-(acetylamino)phenyl]- N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-
641	hard-overhouted N-(3-ethylbenzyl)succinamide
642	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(1-cyanoethyl)-
643	N/2 othylbenzyl)henzamide
645	N-(3-ethylbenzyl)-c12amae N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
645	N-[(2R,3S)-3-amino-4-(3,5-diffuolophenyl)-2-nydroxy daty 1 (6 daty 1 N'-(5-phenyl-1,3,4-thiadiazol-2-yl)succinamide
6.15	N^{-} (5-pnenyl-1,3,4-thlatta201-2-y1)succentaintee N^{1} -{(2R,3S)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}- N^{1} -
647	$N^{-}\{(2R,3S)^{-3}-\text{amino-}2-\text{hydroxy}^{-4}-\{5-(\text{tritudofonicities},y)\text{pheny}\}^{-4}\}$ benzyl- N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide
1	benzyl- N^* -dipropylbenzene-1,3,3-thearooxamide $N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-[2-(2-1)]$
649	oxo-2-pyrrolidin-1-ylethoxy)phenyl]-N',N'-dipropylisophthalamide
1	OXO-2-pytrolidin-1-ylelloxy/phenyij-14 44 -dipropytraophenatamide

651	N^1 -[(2R,3S)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]- N^1 -(3-methylbutyl)-	
	N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide	
653	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(1,1-	
	dioxidotetrahydro-2-thienyl)-N-(3-ethylbenzyl)acetamide	
655	N-[(2R,3S)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-N-benzyl-5-methyl-	
	N',N'-dipropylisophthalamide	
657	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	5-hex-1-yn-1-ylnicotinamide	
659	N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-3-	
	[(dipropylamino)sulfonyl]-N-(3-methylbutyl)propanamide	
661	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	3-methoxyisoxazole-5-carboxamide	
663	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	2.3-dimethyl-1 <i>H</i> -indole-7-carboxamide	
665	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3-chlorophenyl)-	
	N-(3-ethylbenzyl)-2-hydroxy-4-oxobutanamide	
667	N^1 -[(2R,3S)-3-amino-4-(3-fluoro-4-methoxyphenyl)-2-hydroxybutyl]- N^1 -(3-	
	methoxybenzyl)- N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide	
669	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	2-(1-methyl-1 <i>H</i> -indol-3-yl)-2-oxoacetamide	
671	N-[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-5-methyl-N-	
	(3-methylbutyl)-N',N'-dipropylisophthalamide	
673	N-[(2R,3S)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-3-	
	[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide	
675	N^{1} -[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]- N^{1} -benzyl-	
	N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide	
677	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)	
	2-[5-(4-methylphenyl)-2H-tetrazol-2-yl]acetamide	
679	N-[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-3-	
	[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide	
681	N^1 -[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]- N^1 -(3-methylbutyl)- N^3 , N^3 -	
	dipropylbenzene-1,3,5-tricarboxamide	
683	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	5-methyl-3-phenylisoxazole-4-carboxamide	
685	N-[(2R,3S)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-N-benzyl-5-methyl-	
	N.N-dipropylisophthalamide	
687	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{1} -(3-	
	ethylbenzyl)- N^2 -[(methylsulfonyl)acetyl]- N^2 -pentylglycinamide	
689		
	H Ö F	
	HO NH ₂	
L	F L	

691		
	F F	
	FOY	
	N N N	
	F H OHOW	
	NH ₂	
693	F	N-[(2R,3S)-3-amino-4-(3,5-
0,0		difluorophenyl)-2-hydroxybutyl]-N-(3-
	N N	ethylbenzyl)-4-(1H-indol-3-yl)-4-
	O HO NH ₂ F	oxobutanamide
	N N	
695	N-[(2R.3S)-3-amino-4-(3,5-difluorop)]	henyl)-2-hydroxybutyl]-N'-(5-benzyl-1,3,4-
055	$\frac{1}{2}$ $\frac{1}$	cinamide
697	N-[(2R.3S)-3-amino-4-(3,5-difluorop	henyl)-2-hydroxybutylj-W-(3-emyloenzyl)-
'	$4_{-}(3_{-}fluoro-4-methoxyphenyl)-4-oxo$	butanamide
699	$athyl A_{-}(1/2R 3.5) = 3 = amino = 4 = (3.5 = diff)$	uorophenyl)-2-hydroxybutyl]{3-
0,,,	[(dipropylamino)carbonyl]-5-methyl]	penzoyl{amino)piperidine-1-carboxylate
701	N-[(2R,3S)-3-amino-4-(3,5-difluorop)]	henyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
' ' '	4 (2 Cl1	rboxamide
703	N^{1} -[(2R,3S)-3-amino-4-(4-chlorophe	nyl)-2-hydroxybutyl]-N'-benzyl-N',N -
	1 dimmony lhongone 1 3 5-tricarhoxamic	1e
705	N ¹ ((2 R 3 S)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-N'-(3-	
	-1 methylbutyl) $-N^3$ N^3 -dinropylbenzene	-1.3.5-tricarboxamide
707	N-[(2R,3S)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-5-methyl- $N-[(3-x)-1]$	
	methylbutyl)-N' N'-dipropylisophthalamide	
709	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	2-(4-morpholin-4-ylphenyl)acetamid	le
711	$N-\{(2R,3S)-3-amino-2-hydroxy-4-[3]\}$	-(trifluoromethoxy)pnenyijbulyi}-3-
	[(dipropylamino)sulfonyl]-N-(3-met	hoxybenzyl) propanamide
713	N-[(2R,3S)-3-amino-4-(3,5-difluoropy)]	henyl)-2-hydroxybutyl]-N'-benzyl-N'-(1-
	cyclopropylethyl)-N-(3-ethylbenzyl)	succinamide
715	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorop	onenyi)-2-nydroxybutyi]-3-(2,3-
	dimethylbenzoyl)-N-(3-methoxyben	291)-3-Henry local Zamuc
717	N-[(2R,3S)-3-amino-4-(3,5-diffuoro)]	phenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	N'-(2-methoxy-5-methylphenyl)succ	phonyl)_2_hydroxybutyll_N_(3_ethylhenzyl)_
719	N-[(2R,3S)-3-amino-4-(3,3-diffuoro)]	phenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	2-(3-hydroxyphenyl)acetamide	phenyl)-2-hydroxybutyl]-3-[hydroxy(2-
721	N-[(2R,3S)-3-amino-4-(3,5-diffuoro)]	phonys)-2-nyuioxyoutytj-5-fnyuioxy(2-
	methylphenyl)methyl]-N-(3-methox	phenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
723	N-[(2R,3S)-3-amino-4-(3,5-airluoro)]	plicity1)-2-itydioxyouty1j-14-(5-outy100ii2y1)
	5-(ethylthio)nicotinamide	phenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
725	N-[(2R,3S)-3-amino-4-(3,5-diffuoro)]	phonyij-2-nydroxyodryij-14-(3-odryioonbyi) Shutanamide
	4-[4-(2-furoyl)piperazin-1-yl]-4-oxo	nethylphenyl)-2-hydroxybutyl]-N-benzyl-5-
727	N-[(2R,3S)-3-amino-4-(3-fiuoro-4-r)]	nemy ipheny ij-2-ny dioxyod ty ij-14-och 291-3
	methyl-N',N'-dipropylisophthalamic	IC

729	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-oxoisoindoline-1-carboxamide
731	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-3-(ethylthio)benzamide
722	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
733	ethylbenzyl)thieno[2,3-b]quinoline-2-carboxamide
505	ethylbenzyl)thieno $[2,3-b]$ quinoinie-2-carboxaniuc $N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-$
735	N-[(2R,35)-3-ammo-4-(5,5-amm
	3-(4-methyl-1,3-oxazol-2-yl)benzamide hydrochloride
737	N^{1} -[(2R,3S)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]- N^{1} -benzyl- N^{3} , N^{3} -dipropylbenzene-1,3,5-tricarboxamide
720	$N-(2-\{[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$
739	ethylbenzyl)amino]carbonyl}phenyl)-N-methyl-2-furamide
741	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
741	2-hydroxy-4-(3-methoxyphenyl)-4-oxobutanamide
	2-nydroxy-4-(3-methoxyphenyt)-4-oxobutanamide
743	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-cycloheptyl-5-
743	methyl-N',N'-dipropylisophthalamide
745	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]- N^{1} -(3-methylbutyl)-
743	N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide
747	N-[(2R,3S)-3-amino-4-(3-fluoro-5-hydroxyphenyl)-2-hydroxybutyl]-3-
''	[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide hydrochloride
749	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
/ - / /	5-hydroxy-1 <i>H</i> -indole-2-carboxamide
751	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
/31	2,2-dimethylchromane-8-carboxamide
753	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-benzyl- $N-(3-amino-4)$
'33	ethylbenzyl)pyrazine-2-carboxamide 4-oxide
755	$2-(\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$
/33	methoxybenzyl)amino]carbonyl}amino)-N,N-dipropylethanesulfonamide
757	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -[(1 R)-1-
''	(hydroxymethyl)-2-methylpropyl]-5-methyl-N',N'-dipropylisophthalamide
759	N-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-N-benzyl-3-
, , ,	[(dipropylamino)sulfonyl]propanamide
761	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	4-(4-methoxyphenyl)-4-oxobutanamide
763	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]- N^{1} -benzyl- N^{3} , N^{3} -
	dipropylbenzene-1,3,5-tricarboxamide
765	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
	3-methyl-4-oxo-3,4-dihydrophthalazine-1-carboxamide
767	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3,4-dihydro-2 <i>H</i> -1,5-benzodioxepine-7-carboxamide
769	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-[4-(2,5-
	dioxopyrrolidin-1-yl)phenoxyl-N-(3-ethylbenzyl)acetamide
771	N^1 -[(2R,3S)-3-amino-4-(2-furyl)-2-hydroxybutyl]- N^1 -(3-methoxybenzyl)- N^3 , N^3 -
	dipropylbenzene-1,3,5-tricarboxamide
773	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	5-methyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carboxamide
775	N^{1} -[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]- N^{1} -(3-

	methylbutyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide	
777	NH ₂	
777		
	Ö Ö HOV.	
	NH ₂	
	N-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-N-(3-	
779	N-[(2R,3S)-3-amino-4-(3-cnioro-3-nuorophenyi)-2-nyuroxyoutyi] iv (3	
	methoxybenzyl)-N',N'-dipropylpentanediamide	
781	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	6-fluoro-2-hydroxyquinoline-4-carboxamide	
783	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	4-oxo-4-(2-thienyl)butanamide $N^{3}-\{[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$	
785	$N^2 = \{ [(2R,3S) - 3 - amino - 4 - (3,3 - dinuolophenyi) - 2 - iiydioxyoutyi](3 - dinuonyil B alaninamide$	
	methoxybenzyl)amino]carbonyl}-N ¹ ,N ¹ -dipropyl-β-alaninamide	
787	N^{l} -[(2R,3R)-3-amino-2-hydroxy-4-(phenylthio)butyl]- N^{l} -(3-methoxybenzyl)-	
	N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide	
789	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-1-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl-	
	(hydroxymethyl)-2-methylpropyl]-5-methyl- N , N -dipropylisophthalamide N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -[(1 R ,2 S)-1-	
791	N-[(2R,3S)-3-amino-4-(3,5-diffuoropfieny)-2-nydroxybutyi-1v-[(1R,2S)-1]	
=	(hydroxymethyl)-2-methylbutyl]-5-methyl-N',N'-dipropylisophthalamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
793	N=(2R,3S)-3-amino-4-(3,5-diffuolopfienyl)-2-nydroxybutyl-14-(5-diffuolizyl)	
	2-(phenoxymethyl)benzamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(2,4-	
795	N-[(2R,3S)-3-amino-4-(3,5-diffuoropfienyi)-2-inydroxyoutyi]-iv-(2,4-1)	
	difluorophenyl)-N-(3-ethylbenzyl)pentanediamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-(4,6-	
797	N-[(2 R ,3 S)-3-amino-4-(3,3-diffuolophenyl)-2-hydroxybutyl]-1 V -(4,6) dimethylpyrimidin-2-yl)- N -(3-ethylbenzyl)pentanediamide	
700	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(3-	
799	methoxybenzoyl)-N-(3-methoxybenzyl)-5-methylbenzamide	
001	$N^{1}-\{(2R,3S)-3-\text{amino-}4-[3-(\text{benzyloxy})\text{phenyl}]-2-\text{hydroxybutyl}\}-N^{1}-(3-\text{benzyloxy})$	
801	$N = \{(2N,3S) = 3 - 2N + (3N,3S)	
803	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-	
803	dichlorophenyl)-N-(3-ethylbenzyl)-4-oxobutanamide	
805	methyl 4-{(2S,3R)-2-amino-4-[{3-[(dipropylamino)carbonyl]-5-	
803	methylbenzoyl}(3-methoxybenzyl)amino]-3-hydroxybutyl}benzoate	
807	N-(4-acetylphenyl)- N -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-	
807	hydroxybutyl]-N-(3-ethylbenzyl)pentanediamide	
809	$N-\{(2R,3S)-3-amino-4-[4-(benzyloxy)phenyl]-2-hydroxybutyl\}-N-(3-$	
309	methoxybenzyl)-5-methyl-N',N'-dipropylisophthalamide	
811	N-[(2R,3R)-3-amino-2-hydroxy-4-(phenylthio)butyl]-N-(3-methoxybenzyl)-5-	
011	methyl-N',N'-dipropylisophthalamide	
813	$2-(\{3-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$	
015	ethylbenzyl)amino]-3-oxopropyl}thio)-N-methylbenzamide	
815	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-methoxybenzyl)-3-[(1-	
013	propylbutyl)thio]propanamide hydrochloride	
817	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N'-(4-	
317	ethoxyphenyl)-N-(3-ethylbenzyl)succinamide	
819	N^{1} -{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}- N^{1} -(3-	

	methylbutyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide
001	2-([(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-
821	[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)ethyl (3-
	methoxyphenyl)carbamate
000	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
822	ethylbenzyl)amino]methyl}propyl 3-(benzyloxy)benzoate
	ethylbenzyl)amino internyl propyl 3-(delizyloxy)benzeute $(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[(1S)$ -2-hydroxy-1-
824	(1R,2S)-2-amino-3-(3,5-dilluoropiieny)-1-({[(1S)-2-nydroxy-1-
	methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
826	(1R,2S)-2-amino-3-(pentafluorophenyl)-1-({[3-
	(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
828	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-(4-hydroxyphenyl)-4-oxobutanoate
830	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-
	(trifluoromethyl)phenyl]propyl 3-[(dipropylamino)sulfonyl]propanoate
832	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(piperidin-3-ylsulfonyl)benzoate
	dihydrochloride
834	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 6-chloro-4-hydroxyquinoline-2-carboxylate
836	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl 5-
	(dipropylamino)-5-exopentanoate
838	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-4-methylpentyl 3-
1	[(dipropylamino)carbonyl]-5-methylbenzoate
840	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl (6-oxo-3-phenylpyridazin-1(6H)-yl)acetate
842	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-{4-
	[(methylsulfonyl)amino]phenyl}propanoate
844	$(1R,2S)$ -2-amino-3-(4-fluoro-3-methylphenyl)-1-{[(3-
	methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
	[(dipropylamino)carbonyl]benzoate
846	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(4-methylphenyl)propyl 3-
	[(dipropylamino)carbonyl]-5-methylbenzoate
848	$(1R.2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
0.0	iodobenzyl)aminolmethyl}propyl 3-(2-chlorophenoxy)propanoate
850	(1R,2S)-2-amino-3-(4-fluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl
	3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
852	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
002	ethylbenzyl)amino]methyl}propyl N-(4-chlorobenzoyl)-D-alaninate
854	$(1R,2S)-2-\text{amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-$
554	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
	hydrochloride
856	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
0.50	ethylbenzyl)amino]methyl}propyl 4-(4-methylphenyl)-4-oxobutanoate
858	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
038	ethylbenzyl)amino]methyl}propyl 4-oxo-4-{[3-
1	cmynenzyrjammojmemyrypropyr 4-0x0-4- {[5-

	(trifluoromethyl)phenyl]amino}butanoate
860	(1R,2S)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-
800	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
0.60	methylbenzoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
862	(1K,25)-2-amino-5-(5,5-diffuolophenyl)-1-{[(5-
0.51	ethylbenzyl)amino]methyl}propyl (5-pyridin-2-yl-2H-tetrazol-2-yl)acetate
864	H
	HN T
	O O /.,,
	F
866	(1R,2S)-2-amino-1-{[(3-
i	methoxybenzyl)amino]methyl}-3-(3-
	methylphenyl)propyl 3-
	O=S=O H [(dipropylamino)sulfonyl]propanoate
	N
	NILI
	O NH ₂
868	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl isoxazole-5-carboxylate
870	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (3,5-dimethoxyphenoxy)acetate
872	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-1)^2, (3+1)^2,$
	ethylbenzyl)amino]methyl}propyl 4-(2,5-dimethyl-1H-pyrrol-1-yl)-3-
ļ	hydroxybenzoate
874	(1R,2S)-2-amino-3-(3-bromophenyl)-1-{[(3-
	methoxybenzyl)amino]methyl}propyl 5-(dipropylamino)-5-oxopentanoate
876	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-{[5-(cyclopentylmethyl)-1,3,4-thiadiazol-2-
	yl]amino}-4-oxobutanoate
878	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-[3-(trifluoromethyl)phenyl]propyl
	3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
880	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (3-oxo-1,2-benzisothiazol-2(3H)-yl)acetate
882	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-methyl-5-(pyrrolidin-1-ylcarbonyl)-1H-pyrrol-3-yl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-
004	5-methylbenzoate
884	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-4-oxobutanoate
000	emyloenzyl)aminojmemyl) propyl 4-(3,4-diffuorophemyl)-4-oxoodianoate
886	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
000	ethylbenzyl)amino]methyl}propyl 4-(2-naphthyl)-4-oxobutanoate
888	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4,6-diethoxypyridine-2-carboxylate

890	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-(5-methyl-1H-pyrrol-2-yl)-4-oxobutanoate
892	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 3-({[2-
	(methylamino)ethyl]amino}sulfonyl)benzoate hydrochloride
894	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	methoxybenzyl)amino]methyl}propyl 3-methyl-5-(4-methylbenzoyl)benzoate
896	(1R,2S)-2-amino-3-(1,3-benzodioxol-5-yl)-1-[(benzylamino)methyl]propyl 3-
	[(dipropylamino)carbonyl]-5-methylbenzoate
898	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(piperazin-1-ylsulfonyl)benzoate
	hydrochloride
900	$(1R,2S)$ -2-amino-1-[($\{2-[4-(aminosulfonyl)phenyl]ethyl\}$ amino)methyl]-3-(3,5-
	difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
902	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[2-hydroxy-1-
	(hydroxymethyl)ethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-
	methylbenzoate
904	$(1R,2S)$ -2-amino-3- $(4$ -fluoro-3-methylphenyl)-1- $\{[(3$ -
	methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
	[(dipropylamino)carbonyl]benzoate
906	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 3-(3-oxo-2,1-benzisothiazol-1(3H)-
	yl)propanoate
908	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (2,6-dihydroxypyrimidin-4-yl)acetate
910	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-
	(trifluoromethyl)phenyl]propyl 5-(dipropylamino)-5-oxopentanoate
912	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(4-hydroxyphenyl)propyl 3-
	[(dipropylamino)sulfonyl]propanoate
914	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-2-methyl-4-
	oxobutanoate
916	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 5-oxo-5-[(2-pyridin-2-
	ylethyl)amino]pentanoate
918	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl [2-(4-fluorophenyl)-1,3-benzoxazol-5-
	yl]acetate
920	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-1)^2]$
	ethylbenzyl)amino]methyl}propyl N-(anilinocarbonyl)glycinate
922	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-(2,6-dimethoxybenzoyl)glycinate
924	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 2-(1,3-dithian-2-yl)-3-furoate
926	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 2-[2-oxo-2-(propylamino)ethyl]benzoate
928	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(3-bromophenyl)propyl 3-
	[(dipropylamino)sulfonyl]propanoate

(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
iodobenzyl)amino]methyl}propyl 3-(2-fluorophenyl)propanoate
(1.P.25)-2-amino-3-(3.5-difluorophenyl)-1-{ (3-
ethylbenzyl)aminolmethyl\propyl 5-methylthiopnene-2-carboxylate
$(1R^2.5)-2$ -amino-3-(3.5-difluorophenyl)-1-{[(3-
iodobenzyl)amino methyl}propyl [4-(benzyloxy)pnenyl]acetate
$1/10.20$ 2 omino 3-(3.5-diffuorophenyl)-1-{\((3-)
ethylbenzyl)amino]methyl}propyl [(5,7-dimethyl[1,2,4]triazolo[4,3-a]pyrimidin-
3-vl)thiolacetate
(1.P.2.S2-amino-3-(3.5-difluorophenyl)-1-{(3-
ethylbenzyl)amino]methyl}propyl 4-[(1-acetyl-2,3-dihydro-1H-indol-7-
vl)amino1-4-oxobutanoate
(1.8.25) 2.2mino-3-(3.5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 5-[(3-acetylphenyl)amino]-5-oxopentanoate
(1.2.5) 2-amino-3-(3.5-difluorophenyl)-1-{(3-
adviborgyl)aminolmethyl\propyl 3-(4-chlorophenoxy)-2-hydroxypropanoate
N^3 -[(1S,2R)-3-(benzylamino)-1-(3-fluoro-4-methoxybenzyl)-2-nydroxypropyl]-
$N^{1}N^{1}$ -dipropylhenzene-1.3.5-tricarboxamide
(1R 2S)-2-amino-1-[(benzylamino)methyl]-3-(3-methylphenyl)propyl 3-
(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
$(1R 2.5)$ -2-amino-3-(3,5-difluorophenyl)-1-{ $(3$ -
othylbenzyl)aminolmethyl}propyl 1H-indole-7-carboxylate
(1 R 2.5)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(3-methylphenyl)propyl
3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
$1(18.25)-2-amino-3-(3.5-difluorophenyl)-1-{(3-$
ethylbenzyl)aminolmethyl}propyl 4-(1,2,3-thiadiazol-4-yl)benzoate
$1/1$ P 2 S) 2 amino 3-[3-(henzyloxy)-5-fluorophenyl]-1-{ (3-
methoxybenzyl)amino methyl}propyl 3-[(dipropylamino)sulfonyl]propalicate
1 (1 p 2 th 2 amino 3-(3 5-difluoronhenyl)-1-{ (3-
ethylbenzyl)aminolmethyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-
2 ((1 propylbutyl)sulfonyllmethyl\propanoate
$(1R.2S)$ -2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(4-methylphenyl)propyl
3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1 P 2 S) 2 amino-1-[(henzylamino)methyll-3-[3-fluoro-5-
(trifluoromethyl)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
$1/1$ p 2 $2/2$ 2-amino-3-(3 5-diffuorophenyl)-1-{ (3-
ethylbenzyl)amino]methyl}propyl [1-methyl-3-(methylthio)-1 <i>H</i> -indol-2-
vlacetate
(1R 2S)-2-amino-3-(3.5-dichlorophenyl)-1-{[(3-
methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
methylbenzoate
(1 P 2 S) 2-amino-3-(3 5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl [(2-{[4-(1,3-oxazol-5-yl)phenyl]amino}-2-
oxoethyl)thio]acetate

060	<u> </u>	(1 D 2 C) 2 2 (2 5
968		(1R,2S)-2-amino-3-(3,5-
		difluorophenyl)-1-{[(3-
		ethylbenzyl)amino]methyl}propyl 4-(2-
	O HN	furyl)-4-oxobutanoate
	O /···// H ₂ N	
	11214	
	F .	
970		(1R,2S)-2-amino-3-(3,5-
		difluorophenyl)-1-{[(3-
	.)	ethylbenzyl)amino]methyl}propyl 3-(3-
	N-O HN	pyridin-2-yl-1,2,4-oxadiazol-5-
i	N	yl)propanoate
	H ₂ N	
972	F	(1R,2S)-2-amino-3-(3,5-
912		
		difluorophenyl)-1-{[(3-
		ethylbenzyl)amino]methyl}propyl [2-
	HŅ F	(acetylamino)-1,3-thiazol-4-yl]acetate
	0,,	
	N O	
	NH H ₂ N	
07.4	0	1.00
974	(1R,2S)-2-amino-3- $(3,5$ -difluorophenyl)	
	ethylbenzyl)amino]methyl}propyl [(4-n	1ethyl-4H-1,2,4-triazol-3-
	yl)thio](phenyl)acetate	
976	(1R,2S)-2-amino-3-(4-chlorophenyl)-1-	
	3-[(dipropylamino)carbonyl]-5-methylb	
978	(1R,2S)-2-amino-3-(3,5-difluorophenyl)	
	ethylbenzyl)amino]methyl}propyl 4-(1,	
980	(1R,2S)-2-amino-3- $(3,5$ -difluorophenyl)	***
	ethylbenzyl)amino]methyl}propyl 4-[(3	-chloro-4-fluorophenyl)amino]-4-
	oxobutanoate	
982	(1R,2S)-2-amino-3-[3-(benzyloxy)-5-flu	
	methylbutyl)amino]methyl}propyl 3-[(d	lipropylamino)carbonyl]-5-
	methylbenzoate	
984	(1R,2S)-2-amino-3- $(3,5$ -difluorophenyl)	
	ethylbenzyl)amino]methyl}propyl [(2-o	xo-2,3-dihydroquinazolin-4-
	yl)thio]acetate	
823	N-[(2 R ,3 S)-3-amino-4-(3,5-difluoropher	nyl)-2-hydroxybutyl]-3-(benzyloxy)-N-
	(3-ethylbenzyl)benzamide	
825		nyl)-2-hydroxybutyl]- <i>N</i> -[(1 <i>S</i>)-2-hydroxy-
	1-methylethyl]-5-methyl-N',N'-dipropyl	
827	N-[(2 R ,3 S)-3-amino-2-hydroxy-4-(penta	
- ' -	dipropyl-N-[3-(trifluoromethyl)benzyl]i	
		1

	1 (2 5 1) fly	-1) 2 hydroxybutyll N_(3_ethylbenzyl)	
829	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyd-(4-hydroxyphenyl)-4-oxobutanamide		
831	N -{(2 R ,3 S)-3-amino-2-hydroxy-4-[3-(tri	fluoromethyl)phenyl]butyl}-3-	
921	[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide		
833	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-		
033	3-(piperidin-3-ylsulfonyl)benzamide dihydrochloride		
835	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-chloro-N-(3-		
033	ethylbenzyl)-4-hydroxyquinoline-2-carboxamide		
837	N-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-N-(3-methoxybenzyl)-N',N'-		
057	dipropylpentanediamide		
839	dipropylpentanediamide $N-[(2R,3S)-3-amino-2-hydroxy-5-methyll-N-(3-methoxybenzyl)-5-methyl-$		
039	N',N'-dipropylisophthalamide	menting it (e massesses) a seed of a seed of the	
841	N 5(2 P 2 S) 2 omino 4 (3 5 diffuoropher	nyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
841	2-(6-0x0-3-phenylpyridazin-1(6H)-yl)ac	retamide	
0.42	V [(2P 3S) 2 amino 4 (3 5-diffuoropher	nyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
843	3-{4-[(methylsulfonyl)amino]phenyl}pr	onanamide	
0.45	N^{1} -[(2R,3S)-3-amino-4-(4-fluoro-3-meth	$\frac{\text{opanamide}}{\text{avlphenyl}}$ -2-hydroxybutyll- N^1 -(3-	
845	methoxybenzyl)- N^3 , N^3 -dipropylbenzene	-1 3 5-tricarboxamide	
0.47	N-[(2R,3S)-3-amino-2-hydroxy-4-(4-me	thylphenyl)hutyl]-N-benzyl-5-methyl-	
847	N-[(2R,3S)-3-ammo-2-flydfoxy-4-(4-flic N',N'-dipropylisophthalamide	myphenyijoutyij iv benzyi s memyi	
0.40	N-(2 R ,3 S)-3-amino-4-(3,5-difluoropher	avl)-2-hydroxybutyl]-3-(2-	
849	chlorophenoxy)-N-(3-iodobenzyl)propar	namide	
051	N^{1} -[(2R,3S)-3-amino-4-(4-fluorophenyl)	N_2 -hydroxybutyll- N^1 -(3-methylbutyl)-	
851	N^3 - $\{(2R,3S)$ -3-amino-4-(4-intoropheny) N^3,N^3 -dipropylbenzene-1,3,5-tricarboxa	mide	
052	F F	Imac	
853		•	
	F F		
	N NH ₂		
	/ HQ	·	
	→NH	,	
855	CÍ /	$N-\{(2R,3S)-3-amino-4-[3-(benzyloxy)-$	
833	/ \	5-fluorophenyl]-2-hydroxybutyl}-3-	
	_N	[(dipropylamino)sulfonyl]-N-(3-	
	S H—CI	methoxybenzyl)propanamide	
		hydrochloride	
	OH C		
H ₂ N _{//} , OCH ₃			
	F		
i			

		M. F(2 P. 2 C) 2 amino A (3.5
857		N-[(2R,3S)-3-amino-4-(3,5-
		difluorophenyl)-2-hydroxybutyl]-N-(3-
	o <u></u>	ethylbenzyl)-4-(4-methylphenyl)-4-
	N N N	oxobutanamide
	b F	
	HO" NH ₂	
	F	
859	<u> </u>	(1R,2S)-2-amino-3-(3,5-
		difluorophenyl)-1-{[(3-
		ethylbenzyl)amino]methyl}propyl 4-
ļ	HN	oxo-4-{[3-
ļ	\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	(trifluoromethyl)phenyl]amino}butanoa
	0	te
	NH H₂N F	
1	F F	
861	9-	N-[(2R,3S)-3-amino-4-(1,3-
501		benzodioxol-5-yl)-2-hydroxybutyl]-N-
	N OH	(3-methoxybenzyl)-5-methyl-N',N'-
	N I will	dipropylisophthalamide
	NH ₂	
	o o	
863		
1 603	F F	
	HOV.	
0.65	NH ₂	
865	OH HN	
	The state of the s	·
	HO'NH ₂	
	Nri ₂	
867	N-[(2R,3S)-3-amino-2-hydroxy-4-(3-m	ethylphenyl)butyl]-3-
307	[(dipropylamino)sulfonyl]-N-(3-methox	kybenzyl)propanamide
869	N-[(2R,3S)-3-amino-4-(3,5-difluorophe	nyl)-2-hydroxybutyl]-N-(3-
1009	ethylbenzyl)isoxazole-5-carboxamide	
871	N-[(2R,3S)-3-amino-4-(3,5-difluorophe	enyl)-2-hydroxybutyl]-2-(3,5-
0/1	dimethoxymhenoxy)-N-(3-ethylhenzyl)	acetamide
873	N-[(2R,3S)-3-amino-4-(3.5-difluorophe	enyl)-2-hydroxybutyl]-4-(2,5-dimethyl-
0,3	$1 \mu_{\text{numol}} 1_{\text{vl}} N_{\text{vl}} = (3_{\text{ethvlbenzyl}} - 3_{\text{h}})$	vdroxybenzamide
875	N-[(2R 3S)-3-amino-4-(3-bromopheny))-2-hydroxybutyl]-N-(3-methoxybenzyl)-
6/3	N',N'-dipropylpentanediamide	
877	$N_{-}(2R,3S)=3$ -amino-4-(3,5-diffuorophe	enyl)-2-hydroxybutyl]-N'-[5-
0//	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -[5-(cyclopentylmethyl)-1,3,4-thiadiazol-2-yl]- N -(3-ethylbenzyl)succinamide	
970	N^{1} ((2R 3S)-3-amino-2-hydroxy-A-[3-((trifluoromethyl)phenyl]butyl}-N¹-benzyl-
879	$N = \{(2R, 3S) - S - Anniho - 2 - Hydroxy - 4 - [S - N^3, N^3 - dipropylbenzene - 1, 3, 5 - tricarboxy - 4 - [S - N^3, N^3 - dipropylbenzene - 1, 3, 5 - [S - N^3, N^3 - dipropylbenzene - 1, 3, 5 - [S - N^3, N^3 - dipropylbenzene - 1, 3, 5 - [S - N^3, N^3 - dipropylbenzene - 1$	amide
	14 ,14 -dipropyrochizene-1,5,5-dicaroox	

	2276 4 7 1		
881	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-		
	2-(3-oxo-1,2-benzisothiazol-2(3 <i>H</i>)-yl)acetamide N-[(2 <i>R</i> ,3 <i>S</i>)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl- <i>N</i> -[1-		
883	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-[1-3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-[1-3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-[1-3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-[1-3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-[1-3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-[1-3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-[1-3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N-[1-3,5-difluorophenyl]-3-me		
	methyl-5-(pyrrolidin-1-ylcarbonyl)-1 <i>H</i> -pyrrol-3-yl]- <i>N</i> ', <i>N</i> '-		
	dipropylisophthalamide		
885	N-[(2R.3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-		
	diffuorophenyl)-N-(3-ethylhenzyl)-4-oxobutanamide		
887	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-		
	4 (2 nonhthyl)-4-ovohutanamide		
889	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4,6-diethoxy- $N-(3-R)$		
	ethylhenzyl)nyridine-2-carboxamide		
891	N-[(2R.3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-		
	4 (5-methyl-1 H-nyrrol-2-yl)-4-oxobutanamide		
893	N-[(2R.3S)-3-amino-4-(3.5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-		
	3-({[2-(methylamino)ethyl]amino}sulfonyl)benzamide hydrochloride		
895	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-		
	methoxybenzyl)-3-methyl-5-(4-methylbenzoyl)benzamide		
897	N-[(2 R ,3 S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]- N -benzyl-5-		
	methyl-N. Ndipropylisophthalamide		
899	N-[(2R.3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-		
	3-(niperazin-1-ylsulfonyl)benzamide hydrochloride		
901	$N-((2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-{2-[4-$		
	(aminosulfonyl)phenyl]ethyl}-5-methyl-N',N'-dipropylisophthalamide		
903	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[2-hydroxy-1-		
	(hydroxymethyl)ethyll-5-methyl-N',N'-dipropylisophthalamide		
905	N^{1} -[(2R,3S)-3-amino-4-(4-fluoro-3-methylphenyl)-2-hydroxybutyl]- N^{1} -(3-		
	methylbutyl)- N^3 N^3 -dipropylbenzene-1,3,5-tricarboxamide		
907	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-		
	3-(3-0x)-2 1-benzisothiazol-1(3H)-yl)propanamide		
909	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(2,6-		
	dihydroxypyrimidin-4-yl)-N-(3-ethylbenzyl)acetamide		
911	$N-\{(2R,3S)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl\}-N-(3-$		
	methoxybenzyl)-N'.N'-dipropylpentanediamide		
913	N-[(2R,3S)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-N-benzyl-3-		
	[(dipropylamino)sulfonyl]propanamide		
915	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-		
	difluorophenyl)-N-(3-ethylbenzyl)-2-methyl-4-oxobutanamide		
917	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-		
	N-(2-pyridin-2-ylethyl)pentanediamide		
919	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-		
	2-[2-(4-fluorophenyl)-1,3-benzoxazol-5-yl]acetamide		
921	N^{I} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{2} -		
	(anilinocarbonyl)-N ¹ -(3-ethylbenzyl)glycinamide		
L			

		T
923		
	F F	
	N N N N N N N N N N N N N N N N N N N	
	HO'.	
	NH ₂	
925	F	N-[(2R,3S)-3-amino-4-(3,5-
	NH ₂	difluorophenyl)-2-hydroxybutyl]-2-
	s HO	(1,3-dithian-2-yl)-N-(3-ethylbenzyl)-3-
		furamide
	N	
927	NH ₂	N-[(2R,3S)-3-amino-4-(3,5-
921	/m··〈 /=	difluorophenyl)-2-hydroxybutyl]-N-(3-
) — OH	ethylbenzyl)-2-[2-oxo-2-
		(propylamino)ethyl]benzamide
	F N NH	(prop)
<u> </u>		•
	___\	i
929	N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N-benzyl-3-	
923	[(dipropylamino)sulfonyl]propanamide	
931	N-1(2R,3S)-3-amino-4-(3.5-difluorophe	nyl)-2-hydroxybutyl]-3-(2-fluorophenyl)-
	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(2-fluorophenyl) N -(3-iodobenzyl)propanamide	
933	N-(3-fodobenzyl)propartamed: N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-	
	5-methylthiophene-2-carboxamide	
935	N-[(2R,3S)-3-amino-4-(3,5-difluorophe	nyl)-2-hydroxybutyl]-2-[4-
	(benzyloxy)phenyl]-N-(3-iodobenzyl)acetamide	
937	N-[(2R,3S)-3-amino-4-(3,5-difluorophe)]	nyl)-2-hydroxybutyl]-2-[(5,7-
	dimethyl[1,2,4]triazolo[4,3-a]pyrimidir	n-3-yl)thio]-N-(3-ethylbenzyl)acetamide
939	N-(1-acetyl-2,3-dihydro-1H-indol-7-yl)-N-[(2R,3S)-3-amino-4-(3,5-
	difluorophenyl)-2-hydroxybutyl]-N-(3-	ethylbenzyl)succinamide
941	N-(3-acetylphenyl)- N -[(2 R ,3 S)-3-amin	o-4-(3,5-difluorophenyl)-2-
	hydroxybutyl]-N-(3-ethylbenzyl)pentar	nediamide
943	N-[(2R,3S)-3-amino-4-(3,5-difluorophe)]	nyl)-2-hydroxybutyl]-3-(4-
	chlorophenoxy)-N-(3-ethylbenzyl)-2-hydroxypropanamide	
945	N^3 -[(1S,2R)-3-(benzylamino)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxypropyl]-	
	$N^1 N^1$ -dipropylbenzene-1.3.5-tricarboxamide	
947	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-(3-n	nethylphenyl)butyl]- N^3 -benzyl- N^3 , N^3 -
	dipropylbenzene-1,3,5-tricarboxamide	
949	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	1H-indole-7-carboxamide	
951	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]- N^{1} -(3-methylbutyl)-	
	N^3 , N^3 -dipropylbenzene-1,3,5-tricarbox	amide
953	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	

4-(1,2,3-thiadiazol-4-yl)benzamide N-{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-3- [(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
r/dimensional sulfonvill-N-(3-methoxybenzyl) propanamide
N_{-} [(2,R, 3,S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-diffethyl-
2,5-dioxoimidazolidin-1-yl)-N-(3-ethylbenzyl)-2-{[(1-
N-[(2R,3S)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-5-methyl- $N-[(3R,3S)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]$
לא און און און און און און און און און או
N -{(2 R ,3 S)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}- N -
111 ε
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
2.11 mothyl 2 (methylthio)-1 H-indol-2-V (acetamide
N-[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-5-methyl- $N-[(3R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]$
methylbutyl)-N',N'-dipropylisophthalamide
$\frac{2-(\{2-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-4-(3,5-difluorophenyl)-2-hydroxybutyl)}{2-(\{2-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-4-4-4-4-4-4-4-4-4-4-4-4-4-4-4-4-4-4-4$
ethylbenzyl)amino]-2-oxoethyl}thio)-N-[4-(1,3-oxazol-5-yl)phenyl]acetamide
ethylbenzyl)aminoj-2-0xoctnyi, tino) $\frac{1}{1}$ [$\frac{1}{1}$ (3-ethylbenzyl)- $\frac{1}{1}$ $\frac{1}{1}$ [$\frac{1}{1}$ (3-ethylbenzyl)- $\frac{1}{1}$ [$\frac{1}{1}$ (3-ethylbenzyl)- $\frac{1}{1}$
N-[(2R,3S)-3-amino-4-(3,3-dimuolophony) 2 hydrony - 1 h (2 S - 1) 4 assalutonomide
4-(2-furyl)-4-oxobutanamide N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)
N-[(2R,3S)-3-amino-4-(5,3-diffuolophony)-2-nyulokyoutyajin (e constraint)
3-(3-pyridin-2-yl-1,2,4-oxadiazol-5-yl)propanamide 2-[2-(acetylamino)-1,3-thiazol-4-yl]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl
2-[2-(acetylamino)-1,3-tmazol-4-y1]-1/-[(2K,55)-5-ammo-4-(5,5 director)-1,3-tmazol-4-y1]-1/-[(2K,55)-5-ammo-4-(5,5 director)-1,3-tmazol-4-y1]-1/-[(2K,55)-4-ammo-4-(5,5 director)-1,3-tmazol-4-y1]-1/-[(2K,5)-4-ammo-4-(5,5 director)-1,3-tmazol-4-y1]-1/-[(2K,5)-4-ammo-4-(5,5 director)-1,3-tmazol-4-y1]-1/-[(2K,5)-4-ammo-4-(5,5 director)-1,3-tmazol-4-y1]-1/-[(2K,5)-4-ammo-4-(5,5 director)-1,3-tmazol-4-(5,5 director)-1,
2-hydroxybutyl]-N-(3-ethylbenzyl)acetamide
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)
2-[(4-methyl-4 <i>H</i> -1,2,4-triazol-3-yl)thio]-2-phenylacetamide
N-[(2R,3S)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-5-methyl-N-(3-
methylbutyl)-N',N'-dipropylisophthalamide
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(1,3-
benzothiazol-2-yl)-N-(3-ethylbenzyl)butanamide
N-I(2R.3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutylj-7-(5-cinoro-4-
Guarantanyi) M (2 ethylhenzyl)succinamide
$N-\{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl\}-3-$
N-I(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)
2-[(2-oxo-2,3-dihydroquinazolin-4-yl)thio]acetamide
(1 n 2 m 2 cmino 2 (3 5 diffuoronhenyl)-1-{1(3-
methoxybenzyl)amino methyl}propyl 3-methyl-5-(2-methylbenzoyl)benzoate
$(1.0.2 \text{O}_{\odot})^{2}$ -amino-3-(4-hydroxyphenyl)-1-{\((3-) \)
methoxybenzyl)aminolmethyl\propyl 5-(dipropylamino)-5-oxopenianoate
(1R 2.5)-2-amino-1-[(benzylamino)methyl]-3-(4-methylphenyl)propyl 3-
(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$
ethylbenzyl)amino]methyl}propyl 4-propoxybenzoate
$\frac{(1R,2S)-2-\text{amino-3-}(3,5-\text{difluorophenyl})-1-\{[(3-$
ethylbenzyl)amino]methyl}propyl 1-methyl-1 <i>H</i> -indole-2-carboxylate
(1.P.2.5). 2-amino-3-(3.5-diffuorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 5-chloro-2-(3-methyl-4 <i>H</i> -1,2,4-triazol-4-
yl)benzoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

	ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-2-methoxy-4-	
	oxobutanoate	
1000	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl [3-(2-thienyl)-1H-pyrazol-1-yl]acetate	
1002	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethylbenzyl)amino]methyl}propyl 5-anilino-5-oxopentanoate	
1004	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl (2-thioxo-1,3-benzothiazol-3(2H)-yl)acetate	
1006	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-cyclohexylpropyl 3-	
	[(dipropylamino)carbonyl]-5-methylbenzoate	
1008	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(4-	
1000	methoxyphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
1010	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1010	ethylbenzyl)amino]methyl}propyl (3-hydroxy-4-methylphenyl)acetate	
1012	(1R,2S)-2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-1-{[(3-	
1012	methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate	
1014	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1014	ethylbenzyl)amino]methyl}propyl 7-fluoro-4 <i>H</i> -imidazo[5,1- <i>c</i>][1,4]benzoxazine-	
	3-carboxylate	
1016	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1010	ethylbenzyl)amino]methyl}propyl 4-(3,4-dihydro-2 <i>H</i> -1,5-benzodioxepin-7-yl)-	
	4-oxobutanoate	
1018	4-oxobutanoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1010	ethylbenzyl)amino]methyl}propyl 1-benzofuran-3-carboxylate	
1020	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1020	ethylbenzyl)amino]methyl}propyl 3-[(3,4-dichlorophenyl)amino]-3-	
	oxopropanoate	
1022		
1025	(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-	
	[(dipropylamino)carbonyl]benzoate	
1024	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[(1R)$ -2-hydroxy-1-	
1021	methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate	
1026	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(3-methylphenyl)propyl 3-	
	[(dipropylamino)carbonyl]-5-methylbenzoate	
1028	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1020	ethylbenzyl)amino]methyl}propyl 5-oxo-5-(pyridin-3-ylamino)pentanoate	
1030	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -	
1000	ethylbenzyl)amino]methyl}propyl 2-methyl-4-oxo-4H-chromene-6-carboxylate	
1032	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1002	ethylbenzyl)amino]methyl}propyl ({2-[(5-methylisoxazol-3-yl)amino]-2-	
ţ 	oxoethyl}thio)acetate	
1034	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(1H-imidazol-1-	
1054	yl)propyl]amino} methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
1036	(1R,2S)-2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-1-{[(3-	
1000	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate	
1038	(1R,2S)-2-amino-3-(4-hydroxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl	
1000	((() Land of () Indicate broad to a fine and the angle of the angl	

	3-[(dipropylamino)sulfonyl]propanoate	
1040	(1R,2S)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-	
1040	methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-	
	methylhenzoate	
1042	(1R,2S)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(2-thienyl)propyl 3-	
1042	[(dipropylamino)sulfonyl]propanoate	
1044	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1044	ethylbenzyl)amino]methyl}propyl 4-[(2,2-dimethylpropanoyl)amino]-2-	
	hydroxybenzoate	
1046	(1R,2S)-2-amino-3-(3-methoxyphenyl)-1-{[(3-	
1040	methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-	
	methylbenzoate	
1048	(1R,2S)-2-amino-3-(4-fluorophenyl)-1-({[3-	
1048	(trifluoromethyl)benzyl]amino}methyl)propyl 3-{[(3-	
	methoxybenzyl)amino]sulfonyl}benzoate	
1050	(1R,2S)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-	
1030	(trifluoromethyl)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
1052	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
1052	ethylbenzyl)amino]methyl}propyl 6-(2-furoylamino)hexanoate	
1054	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
1054	ethylbenzyl)amino]methyl}propyl [(1-phenyl-4,5-dihydro-1 <i>H</i> -tetrazol-5-	
1056	yl)thio]acetate (1S,2S)-2-amino-3-phenyl-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl	
1056	3-{[(3-methoxybenzyl)amino]sulfonyl}benzoate	
1050	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
1058	ethylbenzyl)amino]methyl}propyl 4-(3,4-dihydro-2 <i>H</i> -chromen-6-yl)-4-	
1000	oxobutanoate (1R,2S)-2-amino-3-(3-methoxyphenyl)-1-{[(3-	
1060	methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-	
	[(dipropylamino)carbonyl]benzoate	
1062	(1R,2S)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-	
1062	methoxybenzyl)amino]methyl}propyl 5-(dipropylamino)-5-oxopentanoate	
1064	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$	
1064	ethylbenzyl)amino]methyl}propyl indolizine-2-carboxylate	
1066	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-[3-	
1000	(trifluoromethoxy)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
1068	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$	
1068	ethylbenzyl)amino]methyl}propyl nicotinate 1-oxide	
1070	$(1R,2S)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-\{[(3-$	
1070	methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate	
1072	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$	
1072	iodobenzyl)amino]methyl}propyl [(aminocarbonyl)oxy]acetate	
1074	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1074	ethylbenzyl)amino]methyl}propyl 2,3-dihydro-1 <i>H</i> -cyclopenta[<i>b</i>]quinoline-9-	
1076	carboxylate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1076	ethylbenzyl)amino]methyl}propyl 3-methyl-1 <i>H</i> -pyrazole-5-carboxylate	
1050	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1078	(1K,Z5)-Z-amino-5-(5,5-unituotophenyl)-1-{[(5-	

	ethylbenzyl)amino]methyl}propyl 5-(benzoylamino)pentanoate		
1080	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
1000	ethylbenzyl)amino]methyl}propyl 4-[(methoxymethyl)thio]benzoate		
1082	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -		
1002	ethylbenzyl)amino]methyl}propyl 3-(1,3-benzothiazol-2-yl)-3-		
	methoxypropanoate		
1084	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$		
100-1	ethylbenzyl)amino]methyl}propyl 3-{[(methylamino)carbonyl]amino}-3-(3-		
	thienyl)propanoate		
1086	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
1000	ethylbenzyl)amino]methyl}propyl 5-pyridin-2-ylthiophene-2-carboxylate		
1088	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-[3-(benzyloxy)-5-		
1000	fluorophenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate		
1090	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -		
1000	ethylbenzyl)amino]methyl}propyl (5,6-dimethyl-2,4-dioxo-1,2,3,4-		
	tetrahydropyridin-3-yl)acetate		
1092	$(1R,2S)$ -2-amino-3- $(3$ -fluoro-4-methoxyphenyl)-1- $\{[(3$ -		
1002	methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-		
	methylbenzoate		
1094	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
100.	ethylbenzyl)amino]methyl}propyl 2-isobutyl-1,3-dioxoisoindoline-5-carboxylate		
1096	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
1000	ethylbenzyl)amino]methyl}propyl 5-(acetylamino)-2-furoate		
1098	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
1000	ethylbenzyl)amino]methyl}propyl N-[(4-methoxyphenyl)acetyl]glycinate		
1100	(1 <i>R.2S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
	ethylbenzyl)amino]methyl}propyl isoquinoline-4-carboxylate		
1102	$(1R,2S)$ -2-amino-3-[3-(benzyloxy)phenyl]-1-{[(3-		
	methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-		
	[(dipropylamino)carbonyl]benzoate		
1104	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
	ethylbenzyl)amino]methyl}propyl (4-hydroxy-3-methoxyphenyl)acetate		
1106	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
	ethylbenzyl)amino]methyl}propyl [(4-phenyl-4H-1,2,4-triazol-3-yl)thio]acetate		
1108	(1R 2S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-		
	ethylbenzyl)aminolmethyl)propyl (3,5-dimethoxyphenyl)acetate		
1110	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(3-methoxyphenyl)propyl 3-		
	[(dipropylamino)carbonyl]-5-methylbenzoate		
1112	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
	ethylbenzyl)amino]methyl}propyl (2-ethyl-4H-[1,2,4]triazolo[1,5-		
	a]benzimidazol-4-yl)acetate		
1114	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(2-furyl)propyl 3-		
	[(dipropylamino)carbonyl]-5-methylbenzoate		
1116	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
	ethylbenzyl)amino]methyl}propyl 7-chloro-1-benzofuran-2-carboxylate		
1118	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
	ethylbenzyl)amino]methyl}propyl 2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-		
1	yl)propanoate		

1120	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 3-(2-oxo-2H-1,3-benzoxazin-3(4H)-	
	yl)propanoate	
1122	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino methyl}propyl (pyrimidin-2-ylthio)acetate	
1124	(1 R 2 S) - 2 - 2 - 2 - 2 - 3 - 3 - 3 - 3 - 4 - 3 - 3 - 4 - 3 - 3	
	ethylbenzyl)amino]methyl}propyl 4-{[3-(aminocarbonyl)-4,5,6,7-tetrahydro-1-	
	benzothien-2-yl]amino}-4-oxobutanoate	
1126	(1R 2S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-	
1120	ethylbenzyl)amino]methyl}propyl [(5-phenyl-1,3,4-oxadiazol-2-yl)thio]acetate	
1128	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1120	ethylbenzyl)aminolmethyl}propyl quinoline-6-carboxylate	
1130	(1R,2S)-2-amino-1-[(benzylamino)methyl]-3-(2-furyl)propyl 3-(aminocarbonyl)-	
1130	5-[(dipropylamino)carbonyl]benzoate	
1122	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1132	ethylbenzyl)amino]methyl}propyl 4-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-	
1104	oxobutanoate $(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
1134	ethylbenzyl)amino]methyl}propyl 3-(1 <i>H</i> -indol-3-yl)-1 <i>H</i> -pyrazole-5-carboxylate	
	ethylbenzyl)amino[methyl]propyl 5-(111-indor-5-yl) 111 pyrazoto 5 earesty att	
1136	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-	
	{[(methylamino)carbonothioyl]amino}benzoate	
1138	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethylbenzyl)amino]methyl}propyl 6-chloronicotinate	
1140	$(1R.2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 4-(3-hydroxyphenyl)-4-oxobutanoate	
1142	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethylbenzyl)amino]methyl}propyl (phthalazin-1-ylthio)acetate	
1144	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl [(1-oxidopyridin-2-yl)thio]acetate	
1146	$(1R.2.S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-$	
	ethylbenzyl)amino]methyl}propyl 3-(acetylamino)-5-fluoro-1 <i>H</i> -indole-2-	
	carboxylate	
1148	(1S,2S)-2-amino-3-phenyl-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl	
	3-{[(3-chlorobenzyl)amino]sulfonyl}benzoate	
1150	$(1R,2S)$ -2-amino-3-[4-(benzyloxy)phenyl]-1-{[(3-	
1130	methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-	
	[(dipropylamino)carbonyl]benzoate	
1152	(1R,2S)-2-amino-3-(1,3-benzodioxol-5-yl)-1-[(benzylamino)methyl]propyl 3-	
1132	(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
1154	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1134	ethylbenzyl)amino]methyl}propyl 4-(3,4-dichlorophenyl)-2-hydroxy-3-methyl-	
	4-oxobutanoate	
1156	$\frac{4-\text{oxobutanoate}}{(1R,2S)-2-\text{amino-}1-\{[(3-\text{methylbutyl})\text{amino}]\text{methyl}\}-3-[3-$	
1156	(1R,2S)-2-amino-1-{[(3-methyloutyl)allino]methyly-5-[3- (trifluoromethoxy)phenyl]propyl 3-[(dipropylamino)sulfonyl]propanoate	
11	(minoromemoxy)phenyrjpropyr 3-[(upropyrammo)surromyrjpropanoute	
1158	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1	ethylbenzyl)amino]methyl}propyl 4-[(5-methyl-1,3,4-thiadiazol-2-yl)amino]-4-	
	oxobutanoate	

		- (1)	
1160	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
	ethylbenzyl)amino]methyl}propyl (2-ethyl-1 <i>H</i> -benzimidazol-1-yl)acetate		
1162	(1R,2S)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-		
	methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate		
1164	(1R 2S-2-amino-3-(3 5-difluorophenyl)-1-{[(3-		
	ethylbenzyl)aminolmethyl}propyl 3-(2-oxo-1,3-benzoxazol-3(2H)-yl)propanoate		
1166	$(1R.2S)-2-amino-3-(3.5-dichlorophenyl)-1-{(3-$		
	methylbutyl)amino methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate		
1168	$(1R.2.5)$ -2-amino-3-(3.5-difluorophenyl)-1-{ (3-		
	ethylbenzyl)amino]methyl}propyl 4-[(6-methylpyridin-2-yl)amino]-4-		
	oxobutanoate		
1170	4-((1R,2S)-2-amino-3-(3,5-difluorophen	yl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl) 3-eth	nyl(4R)-1,3-oxazolidine-3,4-	
	dicarboxylate		
987	N-1(2R,3S)-3-amino-4-(3,5-difluoropher	nyl)-2-hydroxybutyl]-N-(3-	
1	methoxybenzyl)-3-methyl-5-(2-methylbenzoyl)benzamide		
989	OH	N-[(2R,3S)-3-amino-2-hydroxy-4-(4-)]	
		hydroxyphenyl)butyl]-N-(3-	
		methoxybenzyl)-N',N'-	
	H ₂ N	dipropylpentanediamide	
	1		
}	N N	·	
		·	
991	H ₂ N O H ₂ N	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-(4-	
	, oh	methylphenyl)butyl]- N^1 -benzyl- N^3 , N^3 -	
	N N	dipropylbenzene-1,3,5-tricarboxamide	
993	F	N-[(2R,3S)-3-amino-4-(3,5-	
		difluorophenyl)-2-hydroxybutyl]-N-(3-	
	F NH ₂	ethylbenzyl)-4-propoxybenzamide	
	HOm		
	N		

995		N-[(2R,3S)-3-amino-4-(3,5-	
		difluorophenyl)-2-hydroxybutyl]-N-(3-	
		ethylbenzyl)-1-methyl-1 <i>H</i> -indole-2-	
		carboxamide	
	O. N.		
	N Similar		
	HO NH ₂		
997	CI	N-[(2R,3S)-3-amino-4-(3,5-	
		difluorophenyl)-2-hydroxybutyl]-5-chloro- <i>N</i> -(3-ethylbenzyl)-2-(3-methyl-	
	, N N	4H-1,2,4-triazol-4-yl)benzamide	
	N O N	711 1,2,1 111201 . 91/20121111120	
	↓ OH		
	Y 5		
	NH ₂		
	\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \		
	F \\ \\ F		
999	H ₂ N F·	N-[(2R,3S)-3-amino-4-(3,5-	
	HO	difluorophenyl)-2-hydroxybutyl]-4-	
	O I F	(3,4-difluorophenyl)-N-(3-ethylbenzyl)-	
		2-methoxy-4-oxobutanamide	
	ÖÖ		
	F		
	F		
1001		N-[(2R,3S)-3-amino-4-(3,5-	
		difluorophenyl)-2-hydroxybutyl]- <i>N</i> -(3-ethylbenzyl)-2-[3-(2-thienyl)-1 <i>H</i> -	
	N-N-N-N	pyrazol-1-yl]acetamide	
	O Control Control		
	HO NH ₂	1) 0.1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1	
1003		nyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
1005	N-phenylpentanediamide	nyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
1005	N-[(2R,3S)-3-annio-4-(3,3-diffuolophic)] 2-(2-thioxo-1,3-benzothiazol-3(2H)-yl)	acetamide	
1007	N-[(2R,3S)-3-amino-4-cyclohexyl-2-hy	droxybutyl]-N-benzyl-5-methyl-N',N'-	
	dipropylisophthalamide		
1009	N^{1} -[(2R.3S)-3-amino-2-hydroxy-4-(4-methoxyphenyl)butyl]- N^{1} -(3-		
	methoxybenzyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide		
1011	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-		
	2-(3-hydroxy-4-methylphenyl)acetamic	le ·	
1013	$N-\{(2R,3S)-3-\text{amino}-4-[3-\text{Iluoro}-5-(\text{Iri})]\}$	duoromethyl)phenyl]-2-hydroxybutyl}-5-	
	methyl-N-(3-methylbutyl)-N',N'-dipropylisophthalamide		

	2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2
1015	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	7-fluoro-4 <i>H</i> -imidazo[5,1- <i>c</i>][1,4]benzoxazine-3-carboxamide
1017	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-dihydro-2 H -
	1,5-benzodioxepin-7-yl)-N-(3-ethylbenzyl)-4-oxobutanamide
1019	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
_	1-benzofuran-3-carboxamide
1021	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3,4-
	dichlorophenyl)-N-(3-ethylbenzyl)malonamide
1023	N^{1} -{ $(2R,3S)$ -3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}-
ļ	N^1 -benzyl- N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide
1025	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[(1R)-2-hydroxy-1]
	1-methylethyl]-5-methyl-N',N'-dipropylisophthalamide
1027	N-[(2R,3S)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-N-benzyl-5-methyl-
	N N-dipropylisophthalamide
1029	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	N'-pyridin-3-ylpentanediamide
1031	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 2-methyl-4-oxo-4H-chromene-6-carboxylate
1033	$2-({2-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]}(3-$
	ethylbenzyl)amino]-2-oxoethyl}thio)-N-(5-methylisoxazol-3-yl)acetamide
1035	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[3-(1H-imidazol-
	1-yl)propyl]-5-methyl-N',N'-dipropylisophthalamide
1037	$N-\{(2R,3S)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl\}-3-$
	[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
1039	N-[(2R,3S)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-3-
	[(dipropylamino)sulfonyl]-N-(3-methylbutyl)propanamide
1041	N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-5-methyl- $N-(3-yl)$
	methylbutyl)-N',N'-dipropylisophthalamide
1043	N-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-3-[(dipropylamino)sulfonyl]-
	N-(3-methylbutyl)propanamide
1045	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-[(2,2-difluorophenyl)-2-hydroxybutyl]
	dimethylpropanoyl)amino]-N-(3-ethylbenzyl)-2-hydroxybenzamide
1047	N-[(2R,3S)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-5-methyl-N-(3-
	methylbutyl)-N',N'-dipropylisophthalamide
1049	$N-[(2R,3S)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-3-{[(3-fluorophenyl)-2-hydrox$
<u></u> .	methoxybenzyl)amino sulfonyl}-N-[3-(trifluoromethyl)benzyl]benzamide
1051	$N-\{(2R,3S)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl\}-5-methyl-$
	N-(3-methylbutyl)-N',N'-dipropylisophthalamide
1053	$N-\{6-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$
	ethylbenzyl)aminol-6-oxohexyl}-2-furamide
1055	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	2-[(1-phenyl-4,5-dihydro-1 <i>H</i> -tetrazol-5-yl)thio]acetamide
1057	N-[(2S,3S)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(3-
	methoxybenzyl)amino]sulfonyl}-N-[3-(trifluoromethyl)benzyl]benzamide
1059	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-dihydro-2H-1)
	chromen-6-yl)-N-(3-ethylbenzyl)-4-oxobutanamide
1061	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]- N^{1} -(3-methylbutyl)-
1	N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide

1063	N-[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-N-(3-methoxybenzyl)-N',N'-dipropylpentanediamide
1065	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
	ethylbenzyl)indolizine-2-carboxamide
1067	$N-\{(2R,3S)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl\}-N-benzyl-$
	5-methyl-N',N'-dipropylisophthalamide
1069	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
	ethylbenzyl)nicotinamide 1-oxide
1071	$N-\{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl\}-3-$
	[(dipropylamino)sulfonyl]-N-(3-methylbutyl)propanamide
1073	2-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-
	iodobenzyl)amino]-2-oxoethyl carbamate
1075	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	2,3-dihydro-1 <i>H</i> -cyclopenta[<i>b</i>]quinoline-9-carboxamide
1077	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-methyl-1 <i>H</i> -pyrazole-5-carboxamide
1079	N -{5-[[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-
	ethylbenzyl)amino]-5-oxopentyl}benzamide
1081	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	4-[(methoxymethyl)thio]benzamide
1083	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(1,3-
	benzothiazol-2-yl)-N-(3-ethylbenzyl)-3-methoxypropanamide
1085	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-{[(methylamino)carbonyl]amino}-3-(3-thienyl)propanamide
1087	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
5-pyridin-2-ylthiophene-2-carboxamide	
1089	N^{1} -{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}- N^{1} -
	benzyl- N^3 , N^3 -dipropylbenzene-1,3,5-tricarboxamide
1091	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(5,6-dimethyl-
	2,4-dioxo-1,2,3,4-tetrahydropyridin-3-yl)-N-(3-ethylbenzyl)acetamide
1093	N-[(2R,3S)-3-amino-4-(3-fluoro-4-methoxyphenyl)-2-hydroxybutyl]-5-methyl-
	N-(3-methylbutyl)-N',N'-dipropylisophthalamide
1095	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	2-isobutyl-1,3-dioxoisoindoline-5-carboxamide
1097	5-(acetylamino)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-
	(3-ethylbenzyl)-2-furamide
1099	N^1 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^1 -(3-
	ethylbenzyl)- N^2 -[(4-methoxyphenyl)acetyl]glycinamide
1101	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
	ethylbenzyl)isoquinoline-4-carboxamide
1103	N^{1} -{(2R,3S)-3-amino-4-[3-(benzyloxy)phenyl]-2-hydroxybutyl}- N^{1} -(3-
1105	methylbutyl)-N ³ ,N ³ -dipropylbenzene-1,3,5-tricarboxamide
1105	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
1105	2-(4-hydroxy-3-methoxyphenyl)acetamide
1107	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N -(3-ethylbenzyl)-
1100	2-[(4-phenyl-4 <i>H</i> -1,2,4-triazol-3-yl)thio]acetamide
1109	<i>N</i> -[(2 <i>R</i> ,3 <i>S</i>)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(3,5-

	dimethoxyphenyl)-N-(3-ethylbenzyl)ace	tamide
1111	N-[(2R,3S)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-N-benzyl-5-methyl-	
1111	λ ^p λ ^p -dipropylisophthalamide	
1113	N-[(2R,3S)-3-anino-4-(3,5-difluorophen)]	vl)-2-hvdroxybutyl]-N-(3-ethylbenzyl)-
1113	2-(2-ethyl-4H-[1,2,4]triazolo[1,5-a]benz	imidazol-4-vl)acetamide
1115	N-[(2R,3S)-3-amino-4-(2-furyl)-2-hydro-	xybutyll-N-benzyl-5-methyl-N'N'-
1113	dipropylisophthalamide	, 5 4.5, 1, 1, 1 4.5.
1117	N-[(2R,3S)-3-amino-4-(3,5-difluorophen)]	(vl)-2-hydroxybutyl]-7-chloro-N-(3-
1117	ethylbenzyl)-1-benzofuran-2-carboxamic	de
1119	N-[(2R,3S)-3-amino-4-(3,5-difluorophen	(v/)-2-hydroxybutyll-2-(1.3-dioxo-1.3-
1119	dihydro- $2H$ -isoindol- 2 -yl)- N -(3-ethylber	nzyl)propanamide
1121	N-[(2 R ,3 S)-3-amino-4-(3,5-difluorophen	(v))-2-hydroxybutyll-N-(3-ethylbenzyl)-
1121	3-(2-0xo-2H-1,3-benzoxazin-3(4H)-yl)p	ronanamide
1100	N-[(2R,3S)-3-amino-4-(3,5-difluorophen)]	(v/l)_2_hydroxybutyll_N_(3_ethylbenzyl)_
1123	7-[(2K,33)-3-ammo-4-(3,3-umuorophen	iyij-2-nydroxybatyij iv (5 omyroomzyi)
1105	2-(pyrimidin-2-ylthio)acetamide N-[3-(aminocarbonyl)-4,5,6,7-tetrahydro	o 1 henzothien-2-v1]-N-[(2R 3S)-3-
1125	N-[3-(aminocarbonyl)-4,5,0,7-terrallydiv	butyll N-(3-ethylbenzyl)succinamide
	amino-4-(3,5-difluorophenyl)-2-hydroxy	v.1) 2 hydroxybytyll M (2 athylbengyl)
1127	N-[(2R,3S)-3-amino-4-(3,5-difluoropher	lyl)-2-llydroxyddiyl]-14-(3-edlyldelizyl)-
	2-[(5-phenyl-1,3,4-oxadiazol-2-yl)thio]a	1) 2 land and 11 M (2
1129	N-[(2 R ,3 S)-3-amino-4-(3,5-difluoropher	191)-2-nyaroxybuty1]-1v-(3-
	ethylbenzyl)quinoline-6-carboxamide	M (2020) 2 aming 4 (2 family 2
1131		N^{1} -[(2R,3S)-3-amino-4-(2-furyl)-2- hydroxybutyl]- N^{1} -benzyl- N^{3} , N^{3} -
,	$N O N_1 NH_2$	dipropylbenzene-1,3,5-tricarboxamide
	H ₂ N N	
		
1133		N-[(2R,3S)-3-amino-4-(3,5-
1133		difluorophenyl)-2-hydroxybutyl]-4-
	O	(2,3-dihydro-1,4-benzodioxin-6-yl)-N-
	Ö " F	(3-ethylbenzyl)-4-oxobutanamide
	HO NH ₂	
1105	H ₂ N	N-[(2R,3S)-3-amino-4-(3,5-
1135	HO_	difluorophenyl)-2-hydroxybutyl]-N-(3-
	No. 111	ethylbenzyl)-3-(1 <i>H</i> -indol-3-yl)-1 <i>H</i> -
	HN N	pyrazole-5-carboxamide
	F P	pyrazoie-3-carboxamile

	• • • • • • • • • • • • • • • • • • • •	1 /0 5
1137	F F	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-2-hydroxy-4-{[(methylamino)carbonothioyl]amino}
	H H OH	benzamide
	Š N	
1139		N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-
	F F	chloro-N-(3-ethylbenzyl)nicotinamide
	O N OH	
	NH ₂	·
	N	
1141	CI H ₂ N	N-[(2R,3S)-3-amino-4-(3,5-
	HO N F	difluorophenyl)-2-hydroxybutyl]- <i>N</i> -(3-ethylbenzyl)-4-(3-hydroxyphenyl)-4-oxobutanamide
1143		N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
	N N S	ethylbenzyl)-2-(phthalazin-1- ylthio)acetamide
·	HOW NH ₂ F	·
1145	N+-0°	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
	S OH NH2	ethylbenzyl)-2-[(1-oxidopyridin-2-yl)thio]acetamide
	\(\frac{1}{2}\)	

1147		3-(acetylamino)-N-[(2R,3S)-3-amino-4-
1147	9	(3,5-difluorophenyl)-2-hydroxybutyl]-
	NH O	N-(3-ethylbenzyl)-5-fluoro-1H-indole-
		2-carboxamide
	F N F	Z-carboxamide
	NH I	
	но,,,	
	H ₂ N	·
1140	N-[(2S,3S)-3-amino-2-hydroxy-4-pheny]	lhutyl]-3-{[(3-
1149	chlorobenzyl)amino]sulfonyl}-N-[3-(tri	fluoromethyl)henzyllhenzamide
1151	N^{1} -{(2R,3S)-3-amino-4-[4-(benzyloxy)]	phenyll-2-hydroxybutyl}-N¹-(3-
1131	methoxybenzyl)- N^3 , N^3 -dipropylbenzen	e-1 3 5-tricarboxamide
1152	N^{1} -[(2R,3S)-3-amino-4-(1,3-benzodioxe	ol-5-yl)-2-bydroxybutyll-N ¹ -benzyl-
1153	N^{3} , N^{3} -dipropylbenzene-1,3,5-tricarboxa	
1166	N-(2 R ,3 S)-3-amino-4-(3,5-difluorophe	nul) 2 hydroxybutyll-4-(3 4-
1155	N-[(2R,3S)-3-amino-4-(3,5-diffuolophe)]	drovy 3 methyl-4-ovohutanamide
	dichlorophenyl)-N-(3-ethylbenzyl)-2-h	-Green others () phony (] but (1) 2
1157	N-{(2R,3S)-3-amino-2-hydroxy-4-[3-(t)-1]	hmuorometnoxy)phenyijoutyi}-3-
	[(dipropylamino)sulfonyl]-N-(3-methyl	butyl)propanamide
1159	N-[(2 R ,3 S)-3-amino-4-(3,5-diffuorophe	nyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	N-(5-methyl-1,3,4-thiadiazol-2-yl)succ	inamide
1161	N-[(2R,3S)-3-amino-4-(3,5-difluorophe	nyl)-2-hydroxybutyl]-2-(2-ethyl-1H-
	benzimidazol-1-yl)-N-(3-ethylbenzyl)a	cetamide
1163	N-[(2R,3S)-3-amino-4-(1,3-benzodioxo	l-5-yl)-2-hydroxybutyl]-3-
	[(dipropylamino)sulfonyl]-N-(3-methor	kybenzyl)propanamide
1165	N-[(2R,3S)-3-amino-4-(3,5-difluorophe	nyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	3-(2-oxo-1,3-benzoxazol-3(2H)-yl)proj	panamide
1167	N-[(2R,3S)-3-amino-4-(3,5-dichlorophe	enyl)-2-hydroxybutyl]-3-
	[(dipropylamino)sulfonyl]-N-(3-methyl	butyl)propanamide
1169	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-	
	N'-(6-methylpyridin-2-yl)succinamide	
1171	ethyl $(4R)$ -4-{[[(2R,3S)-3-amino-4-(3,5)	i-difluorophenyl)-2-hydroxybutyl](3-
	ethylbenzyl)amino]carbonyl}-1,3-oxaz	olidine-3-carboxylate
1172	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(bi	itylsulfinyl)-N-(methoxycarbonyl)-D-
	alaninate	
1174	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl S-bu	tyl-N-(methoxycarbonyl)-D-cysteinate
1176	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(penzyloxy)carbonyl]-3-[(4,4,4-
	trifluorobutyl)sulfonyl]-D-alaninate	
1178	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-3-[(4,4,4-
	trifluorobutyl)sulfinyl]-D-alaninate	
1180	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-S-(4,4,4-
	trifluorobutyl)-D-cysteinate	
1182	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
1132	ethylbenzyl)amino]methyl}propyl 3-(b	utylsulfonyl)-N-(methoxycarbonyl)-D-
L	Chij 100112 j j janii i i ojinoti j i j propji o (o	

	alaninate
1184	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-[(2,2,2-
	trifluoroethoxy)carbonyl]-D-alaninate
1186	$(1.R.2S)$ -2-amino-3- $(3.5$ -difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-[(2-
	cyanoethoxy)carbonyl]-D-alaninate
1188	(1R 2.S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-{[(3R)-pyrrolidin-3-
	yloxy]carbonyl}-D-alaninate
1190	(1R 2S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-
,	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-{[(3S)-tetrahydrofuran-3-
	yloxy]carbonyl}-D-alaninate
1192	$(1R.2.5)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-$
1172	ethylbenzyl)amino]methyl}propyl N-{[2-(acetylamino)ethoxy]carbonyl}-3-
	(butylsulfonyl)-D-alaninate
1194	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
11)	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-[(pyridin-3-
	ylmethoxy)carbonyl]-D-alaninate
1196	$(1R.2S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-$
1170	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-[(pyridin-4-
	ylmethoxy)carbonyll-D-alaninate
1198	(1R.2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-
	(butyleulfonyl)-N-(methoxycarbonyl)-D-alaninate
1200	(1R.2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-
	(butylsulfonyl)-N-[(2-cyanoethoxy)carbonyl]-D-alaninate
1202	$(1R 2S)$ -2-amino-3-(3.5-difluorophenyl)-1-{[(3-
	methylbutyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-3-(butylsulfonyl)-
	D-alaninate
1204	$(1R.2.9)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-$
	methylbutyl)amino]methyl}propyl 3-(butylsulfonyl)-N-(methoxycarbonyl)-D-
]	alaninate
1206	(1R,2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl N-
	[(2-cyanoethoxy)carbonyll-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1208	(1R.2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl N-
	{[2-(acetylamino)ethoxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1210	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	methylbutyl)amino]methyl}propyl N-(methoxycarbonyl)-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1212	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	methylbutyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1214	(1R 2.S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl)propyl N-{[2-(diethylamino)-2-oxoethoxy]carbonyl}
	3-[(1-propylbutyl)sulfonyl]-D-alaninate
1216	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl N-(methoxycarbonyl)-3-[(1-
i	propylbutyl)sulfonyl]-D-alaninate

	77/0
1218	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-1)^2,(3+1)$
	ethylbenzyl)amino]methyl} propyl N-(isopropoxycarbonyl)-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1220	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-1)^2-(3+1)^2-($
	ethylbenzyl)amino]methyl} propyl N-[(cyclopropylmethoxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1222	$(1R.2S)-2$ -amino-3-(3.5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(allyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1224	$(1R.2S)-2$ -amino-3-(3,5-difluorophenyl)-1-{[(3-
1227	ethylbenzyl)amino]methyl}propyl N-[(2-cyanoethoxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1226	(1R 2S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-
1220	ethylbenzyl)amino]methyl}propyl N-{[2-(acetylamino)ethoxy]carbonyl}-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1228	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
1228	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-[(pyridin-3-
	ylmethoxy)carbonyl]-D-alaninate
1000	yimethoxy)carbonyl]- D -alanmate $(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
1230	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-[(pyridin-4-
	etnylbenzyl)aminojmeniyl) propyl 5-[(1-propyloutyl)sunonylj 14 [(4-y)-1
	ylmethoxy)carbonyl]-D-alaninate
1232	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (2R)-2-{[(benzyloxy)carbonyl]amino}-4-
	(methylsulfonyl)butanoate
1234	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-3-(butylsulfonyl)-D-
	alaninate 1 1 1 1 ((2)
1236	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-3-(butylsulfonyl)-L-
	alaninate 2 1 1 (((17) 2 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1
1238	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[(1R)$ -2-hydroxy-1-
1	phenylethyl]amino}methyl)propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1240	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[(1R)$ -2-methoxy-1-
	phenylethyl]amino}methyl)propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1242	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[(1S)$ -2-methoxy-1-
	phenylethyl]amino}methyl)propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1244	(1R 2S)-2-amino-3-(3.5-difluorophenyl)-1-({[1-(3-
	ethylphenyl)cyclopropyl]amino}methyl)propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1246	$(1.0.2)^{-2}$ -amino-3-(3.5-difluorophenyl)-1-{[(3-
1.2.70	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-[(prop-2-yn-1-
	yloxy)carbonyl]-D-alaninate
1248	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
1270	ethylbenzyl)amino]methyl}propyl N-[(2-methoxyethoxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
	[propyroutyr)surroutyr] = www.

1250	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N -({[(3 R)-1-acetylpyrrolidin-3-
	yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1252	$(1R.2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-{[(3S)-
	tetrahydrofuran-3-yloxy]carbonyl}-D-alaninate
1254	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-{[(3S)-
İ	tetrahydrofuran-3-yloxy]carbonyl}-L-alaninate
1256	$(1R.2S)$ -2-amino-3- $(3.5$ -difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1258	$(1R.2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-L-alaninate
1260	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
	ethylphenyl)cyclopropyl]amino}methyl)propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]alaninate
1262	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]alaninate
1264	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	methylbutyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-3-[(1-
1	propylhutyl)sulfonyllalaninate
1266	(1R.2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl N-
	[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1268	$(1R,2S)$ -2-amino-1-{[(cyclopropylmethyl)amino]methyl}-3-(3,5-
	difluorophenyl)propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]alaninate
1270	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylphenyl)amino]methyl)propyl N-[(benzyloxy)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]alaninate
1272	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-[({2-[3-
}	(trifluoromethyl)phenyl]ethyl}amino)methyl]propyl N-[(benzyloxy)carbonyl]-3-
	[(1-propylbutyl)sulfonyl]alaninate
1274	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-[(pyridin-3-
	ylmethoxy)carbonyl]alaninate
1276	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-{[(3S)-
	tetrahydrofuran-3-yloxy]carbonyl}alaninate
1278	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-{[(3R)-
	tetrahydrofuran-3-yloxy]carbonyl}alaninate
1280	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-[(1-
	propylbutyl)sulfonyl]- N -{[(3S)-tetrahydrofuran-3-yloxy]carbonyl}alanınate
1282	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
1	ethylbenzyl)amino]methyl}propyl N-({[(3R)-1-acetylpyrrolidin-3-

	yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfc	nyllalaninate	
1004	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-	1-{[(3-	
1284	ethylbenzyl)amino]methyl}propyl 3-[(1-	propylbutyl)sulfonyl]- N -{[(3 R)-	
	pyrrolidin-3-yloxy]carbonyl}alaninate	propyrousysysamonysys	
1006			
1286	ethylbenzyl)amino]methyl}propyl N-({[(3R)-1-benzylpyrrolidin-3-		
	yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfo	onvilalaninate	
	(1R,2S)-2-amino-3- $(3,5$ -difluorophenyl)-	1_5[(3_	
1288	ethylbenzyl)amino]methyl}propyl N-({[((3.R)-1 1-dioxidotetrahydro-3-	
	thienyl]oxy}carbonyl)-3-[(1-propylbutyl	Sulfonvilalaninate	
1200	thienyljoxy carbonyl)-3-[(1-propyroutyl	1_/[/3_	
1290	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-ethylbenzyl)amino]methyl\}$ propyl 3- $[(1-propylbutyl)sulfonyl]-N-\{[(3R)-ethylbenzyl)amino]methyl\}$		
	ethylbenzyl)aminojmethyl}propyl 3-[(1-	ate	
	tetrahydro-3-thienyloxy]carbonyl}alanin	1 ([/3	
1292	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-ethylbenzyl)amino]methyl}propyl N-[(c)	vclopentyloxy)carbonyll-3-[(1-	
	ethylbenzyl)aminojmethyl propyl W-[(c)	yelopentyloxy/curbonylj 5 [(1	
1004	propylbutyl)sulfonyl]alaninate	1_{[(3_	
1294	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-ethylbenzyl)amino]methyl}propyl N-[(c)	velohevylovy)carbonyll-3-[(1-	
	ethylbenzyl)aminojmethyl propyl 7-[(c.	yelollexyloxy jourcolly 13 5 (1	
	propylbutyl)sulfonyl]alaninate	methyl]-3-(3,5-difluorophenyl)propyl 3-	
1296	[(1-propylbutyl)sulfonyl]-N-[(tetrahydro	-2 H-pyran-4-yloxy)carbonyl lalaninate	
1000	[(1-propylbuty1)sulfony1]-7v-[(tetranytho	-211-pyran-4-yloxy/outbon/rjanamate	
1298			
	ONH .		
	0=S=0 0HOF		
	NH ₂		
	Ė	(1 P 2 C) 2inc 2 (2 5	
1300		(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-	
	11 70	difluorophenyl)-1-{[(3-	
	N S O S S O	ethylbenzyl)amino]methyl}propyl N-	
		({[1-(methylsulfonyl)piperidin-4-	
	Н	yl]oxy}carbonyl)-3-[(1-	
	H ₂ N N	propylbutyl)sulfonyl]alaninate	
	F		
	ļ _		
1302	1 0, 0	(1R,2S)-2-amino-3-(3,5-	
	ON NH NO	difluorophenyl)-1-{[(3-	
	S NH NH O	ethylbenzyl)amino]methyl}propyl N-	
	000	{[(1-acetylpiperidin-4-	
	H ₂ N N	yl)oxy]carbonyl}-3-[(1-	
		propylbutyl)sulfonyl]alaninate	
	Ţ, F		
		·	
	F		

1204		(1 P 2 S) 2 amino 2 (2 5
1304		(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	SO ₂ H O NH	
	NH NH	ethylbenzyl)amino]methyl}propyl N-
	har II	({[(2R)-5-oxopyrrolidin-2-
		yl]methoxy}carbonyl)-3-[(1-
	H ₂ N N	propylbutyl)sulfonyl]alaninate
	, F	
	TT.	
	F	
1306		(1R,2S)-2-amino-3-(3,5-
		difluorophenyl)-1-{[(3-
	SO ₂ H NH	ethylbenzyl)amino]methyl}propyl N-
	1 %	$(\{[(2S)-5-\text{oxopyrrolidin-2-}$
	O H	yl]methoxy}carbonyl)-3-[(1-
	H_2N	propylbutyl)sulfonyl]alaninate
	iF	
	F	
1308		(1R,2S)-2-amino-3-(3,5-
	SO ₂ H O OCH ₃	difluorophenyl)-1-{[(3-
	Sort N COCH3	ethylbenzyl)amino]methyl}propyl N-
		[(2-methoxyethoxy)carbonyl]-3-[(1-
	О Н	propylbutyl)sulfonyl]alaninate
	H_2N	
	F	
1310	F F	(1R,2S)-2-amino-3-(3,5-
		difluorophenyl)-1-{[(3-
		ethylbenzyl)amino]methyl}propyl N-
	LI NI	[(benzyloxy)carbonyl]-3-
	H ₂ N N N N N N N N N N N N N N N N N N N	(butylsulfonyl)alaninate
		`
1312	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl]	laminolmethyl}-3-phenylpropyl N-
1312	[(benzyloxy)carbonyl]-3-[(1-propylbuty)	
1314	$N-\{(1S,2R)-1-\text{benzyl-}2-\text{hydroxy-}3-[(3-\text{m})]\}$	
	hydroxy-4-(phenylsulfonyl)butanamide	
1316	(1R,2S)-2-amino-3-(3,5-difluorophenyl)	-1-{[(3-
	ethylbenzyl)amino]methyl)propyl N^2 -[(l	penzyloxy)carbonyl]- N^5 , N^5 -dipropyl-L-
	glutaminate	
1318	(1R,2S)-2-amino-3-(3,5-difluorophenyl)	-1-{[(3-
	ethylbenzyl)amino]methyl)propyl N^2 -[(l	penzyloxy)carbonyl]-N ⁵ ,N ⁵ -dipropyl-D-
	glutaminate	

1320	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-(3,3,3-
	trifluoropropanoyl)-D-alaninate
1322	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
1022	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-(trifluoroacetyl)-D-
	alaninate
1324	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
1527	ethylbenzyl)amino]methyl}propyl N-acetyl-3-(butylsulfonyl)-D-alaninate
1326	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
1320	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-isonicotinoyl-D-alaninate
1328	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
1520	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-(cyclopropylcarbonyl)-D-
	alaninate
1330	$\frac{\text{(1}R,2S)-2-amino-3-(3,5-difluorophenyl)-1-}{[(3-$
1330	ethylbenzyl)amino]methyl}propyl β-alanyl-3-(butylsulfonyl)-D-alaninate
1222	
1332	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
1221	ethylbenzyl)amino]methyl}propyl glycyl-3-(butylsulfonyl)-D-alaninate
1334	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N,N-dimethylglycyl-3-(butylsulfonyl)-D-
	alaninate
1336	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
·	ethylbenzyl)amino]methyl}propyl N,N-dimethyl-β-alanyl-3-(butylsulfonyl)-D-
	alaninate
1338	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
1	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-(methoxyacetyl)-D-
	alaninate
1340	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-(pyridin-3-ylcarbonyl)-D-
	alaninate
1342	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-[(2,4-dimethyl-1,3-
	thiazol-5-yl)carbonyl]-D-alaninate
1344	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-{[3-(trifluoromethyl)-1H-
	pyrazol-4-yl]carbonyl}-D-alaninate
1346	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-[(3-methyl-1H-pyrazol-5-
	yl)carbonyl]-D-alaninate
1348	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-(1H-imidazol-4-
	ylcarbonyl)-D-alaninate
1350	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl (2R)-5-hydroxy-2-
	[(methoxycarbonyl)amino]nonanoate
1352	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-[(6-hydroxypyridin-3-
	yl)carbonyl]-D-alaninate
1354	(1R,2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-
	- 15 / /

	(butylsulfonyl)-N-(pyridin-3-ylcarbonyl)-D-alaninate
1356	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$
1330	
1250	methylbutyl)amino]methyl}propyl N-acetyl-3-(butylsulfonyl)-D-alaninate
1358	(1R,2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl N-
	(cyclopropylcarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1360	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-1)^2]$
	methylbutyl)amino]methyl}propyl N-acetyl-3-[(1-propylbutyl)sulfonyl]-D-
	alaninate
1362	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-isonicotinoyl-3-[(1-propylbutyl)sulfonyl]-
	D-alaninate
1364	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl N-[(5-bromopyridin-3-yl)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1366	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
	ethylbenzyl)amino]methyl}propyl N-[(5-chloropyridin-3-yl)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1368	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl N-(3-fluorobenzoyl)-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1370	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl N-[(5-methylpyridin-3-yl)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1372	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl N-phenylglycyl-3-[(1-propylbutyl)sulfonyl]-
	D-alaninate
1374	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-{[3-
	(trifluoromethyl)-1 <i>H</i> -pyrazol-4-yl]carbonyl}-D-alaninate
1376	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl N-[(3-methyl-1H-pyrazol-5-yl)carbonyl]-3-
	[(1-propylbutyl)sulfonyl]-D-alaninate
1378	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-(1,3-thiazol-4-
	ylcarbonyl)-D-alaninate
1380	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[(1-acetylpiperidin-4-yl)carbonyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1382	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-[4-(acetylamino)butanoyl]-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1384	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$
	ethylbenzyl)amino]methyl}propyl N-acetyl-β-alanyl-3-[(1-propylbutyl)sulfonyl]-
	D-alaninate
1386	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
1300	ethylbenzyl)amino[methyl]propyl N-(chloroacetyl)-3-[(1-propylbutyl)sulfonyl]-
	D-alaninate
1388	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
1200	(111,25)-2-4HHO-5-(5,5-4HH0OOPHEHYI)-1-{[(5-

	ethylbenzyl)amino]methyl}propyl N-(methoxyacetyl)-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
390	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-(3-methoxypropanoyl)-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1392	(1R.25)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl N-(2,2-dimethylpropanoyl)-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1394	$(1R.2S)-2$ -amino-3-(3.5-difluorophenyl)-1-{[(3-
100,	ethylbenzyl)amino]methyl}propyl N-isobutyryl-3-[(1-propylbutyl)sulfonyl]-D-
	alaninate
1396	(1R 2S)-2-amino-3-(3.5-difluorophenyl)-1-{[(3-
1370	ethylbenzyl)amino]methyl}propyl N-butyryl-3-[(1-propylbutyl)sulfonyl]-D-
	alaninate
1398	(1R 2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
1570	ethylbenzyl)amino]methyl}propyl N-acetyl-3-[(1-propylbutyl)sulfonyl]-D-
	alaninate
1400	(1R 2S)-2-amino-3-(3.5-difluorophenyl)-1-({[1-(3-
1400	ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(1-propylbutyl)sulfonyl]-N-
	(pyridin-3-ylcarbonyl)-D-alaninate
1402	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
1402	ethylphenyl)cyclopropyl]amino}methyl)propyl N-isonicotinoyl-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1404	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
1404	ethylphenyl)cyclopropyl]amino}methyl)propyl N-(3-hydroxybenzoyl)-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1406	$\frac{(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-1),2],2\}}{(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-1),2],2\}}$
1400	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-(pyridin-3-
	ylcarbonyl)-D-alaninate
1408	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
1400	ethylbenzyl)amino]methyl}propyl N-(3-hydroxybenzoyl)-3-[(1-
	propylbutyl)sulfonyl]-D-alaninate
1410	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
1710	ethylbenzyl)amino]methyl}propyl N-(cyclopropylcarbonyl)-3-[(1-
Ì	propylbutyl)sulfonyl]-D-alaninate
1412	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$
1712	ethylbenzyl)amino]methyl}propyl N-propionyl-3-[(1-propylbutyl)sulfonyl]-D-
	alaninate
1414	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -
1414	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-(pyridin-3-
	ylcarbonyl)alaninate
1416	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
1410	ethylphenyl)cyclopropyl]amino}methyl)propyl N-(3-hydroxybenzoyl)-3-[(1-
	propylbutyl)sulfonyl]alaninate
1410	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
1418	ethylphenyl)cyclopropyl]amino}methyl)propyl N-isonicotinoyl-3-[(1-
	propylbutyl)sulfonyl]alaninate
1.420	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
1420	(1K,23)-2-Millio-3-(3,3-diffuolophonyl)-1-{[(3-

	ethylbenzyl)amino]methyl}propyl N-[(6-oxo-1,4,5,6-tetrahydropyridazin-3-	
1.422	yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate	
1422	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -	
	ethylbenzyl)amino]methyl}propyl 5-oxo-D-prolyl-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride	
1.40.4	propylbutyl)sulfonyl]alaninate hydrochloride	
1424	(= -,) = = ====== (5;5 dillidolopholiyi)=1=\ (5)=	
	ethylbenzyl)amino]methyl}propyl 5-oxo-L-prolyl-3-[(1-	
	propylbutyl)sulfonyl]alaninate	
1426	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethylbenzyl)amino]methyl}propyl <i>N</i> -[3-(4-oxo-2-thioxo-1,3-thiazolidin-3-yl)propanoyl]-3-[(1-propylbutyl)sulfonyl]alaninate (1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	y1)propanoy1]-3-[(1-propylbutyl)sulfonyl]alaninate	
1428	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethylbenzyl)amino]methyl}propyl N-(piperidin-4-ylcarbonyl)-3-[(1-	
	[propylbutyl)sulfonyl]alaninate	
1430	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl N-[(2,4-dimethyl-1,3-thiazol-5-yl)carbonyl]-	
	13-[(1-propylbutyl)sulfonyl]alaninate	
1432	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethylbenzyl)amino]methyl}propyl N-{[2-methyl-4-(trifluoromethyl)-1,3-thiazol-	
1434	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethylbenzyl)amino]methyl}propyl N-[(3,5-dimethylisoxazol-4-yl)carbonyl]-3-	
	[[(1-propylbutyl)sulfonyl]alaninate	
1436	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N-[(3-methyl-1H-pyrazol-5-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate	
	<u>[[(1-propyloutyl)sulfonyl]alaninate</u>	
1438	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-(1H-pyrazol-4-	
1.4.40		
1440	())	
	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N-(1H-imidazol-5-ylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate	
1 4 4 0	[propylbutyl)sulfonyl]alanınate	
1442	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl N-(1H-imidazol-4-ylacetyl)-3-[(1-	
1 4 4 4	[propylbutyl)sulfonyl]alaninate	
1444	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-(pyrazin-2-	
1.4.4.6	[ylcarbonyl)alaninate	
1446	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
	ethylbenzyl)amino]methyl}propyl N-(2,6-dihydroxyisonicotinoyl)-3-[(1-	
1.4.40	[propylburyl)sulfonyl alaninate	
1448	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl N-[(6-hydroxypyridin-3-yl)carbonyl]-3-[(1-	
1450	propyroutyr)surronyr alanmate	
1450	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl N-[(6-chloropyridin-3-yl)carbonyl]-3-[(1-	
1460	[propyroutyr)surionyr alanmate	
1452	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	

	ethylbenzyl)amino]methyl}propyl N-isonicotinoyl-3-[(1-			
	propylbutyl)sulfonyl]alaninate			
1454	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$			
1	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-(pyridin-3-			
	ylcarbonyl)alaninate			
1456	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-			
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-(pyridin-2-			
	ylcarbonyl)alaninate			
1458	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-			
	ethylbenzyl)amino]methyl}propyl N-(1H-indol-6-ylcarbonyl)-3-[(1-			
	propylbutyl)sulfonyl]alaninate hydrochloride			
1460	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$			
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-(3,4,5-			
	trimethoxybenzoyl)alaninate			
1462	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-			
	ethylbenzyl)amino]methyl}propyl N-(2-methylbenzoyl)-3-[(1-			
	propylbutyl)sulfonyl]alaninate			
1464	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-			
	ethylbenzyl)amino]methyl}propyl N-(3-hydroxybenzoyl)-3-[(1-			
	propylbutyl)sulfonyl]alaninate			
1466				
	ethylbenzyl)amino]methyl}propyl N-(3-methylbenzoyl)-3-[(1-			
	propylbutyl)sulfonyl]alaninate			
1468				
	ethylbenzyl)amino]methyl}propyl N-(3-ethylbenzoyl)-3-[(1-			
	propylbutyl)sulfonyl]alaninate			
1470				
	ethylbenzyl)amino]methyl}propyl N-(3-chlorobenzoyl)-3-[(1-			
	propylbutyl)sulfonyl]alaninate			
1472	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-			
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-[4-			
1.5	(trifluoromethyl)benzoyl]alaninate			
1474	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-			
	ethylbenzyl)amino]methyl}propyl N-(4-methoxybenzoyl)-3-[(1-			
1.476	propylbutyl)sulfonyl]alaninate			
1476	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-			
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-N-[4-			
	(trifluoromethyl)benzoyl]alaninate			

1478	O = S O O NH ₂	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N-(cyclohexylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1480	F ONH HN F S=0 O H ₂ N	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl <i>N</i> -benzoyl-3-[(1-propylbutyl)sulfonyl]alaninate
1482	N-H ONH NH ₂ O SO ₂	(1R,2S)-2-amino-1- [(cyclopropylamino)methyl]-3-(3,5- difluorophenyl)propyl N-benzoyl-3-[(1- propylbutyl)sulfonyl]alaninate
1484	NH HN F O,,, S=0 O H ₂ N	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N-(phenylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1486	HN F HN F H ₂ N F	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl <i>N</i> -(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninate

[1.100		(1R,2S)-2-amino-3-(3,5-
1488		difluorophenyl)-1-{[(3-
	0	
	NH (ethylbenzyl)amino]methyl}propyl 3-
		(benzoylamino)-2-{[(1-
1	~~~~H	propylbutyl)sulfonyl]methyl}propanoat
	S HN	le l
1	H ₂ N-	
	F	
1490		$(1R,2S)$ -2-amino-1- $\{[(3-$
1-11-	0	methoxybenzyl)amino]methyl}-3-
İ		phenylpropyl N-(cyclopropylacetyl)-3-
1		[(1-propylbutyl)sulfonyl]alaninate
		[(1 propyrousy)buriony/juramasas
	O NH HŅ	
	\downarrow 0, \downarrow \Diamond	
	0=\$=0 0 \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	
	1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1	
	H ₂ N	
1492	0	(1R,2S)-2-amino-1-{[(3-
1492	o=\$—	methoxybenzyl)amino]methyl}-3-
		phenylpropyl N-
	O _N NH	
		[(methylsulfonyl)acetyl]-3-[(1-
	O O H	propylbutyl)sulfonyl]alaninate
	H_2N	.
		,
1494	ş	$(1R,2S)$ -2-amino-1-{[(3-
		methoxybenzyl)amino]methyl}-3-
1	O, NH	phenylpropyl N-[(methylthio)acetyl]-3-
	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	[(1-propylbutyl)sulfonyl]alaninate
	O P H	[(- p-opy-out-y-y-manny-j-m
	H ₂ N N	,
	±	
1496		
1770		
	SO ₂ H O	
	OH	
	o o o o o	
1	H ₂ N N	

1400	· · · · · · · · · · · · · · · · · · ·	(1R,2S)-2-amino-1-{[(3-	
1498		methoxybenzyl)amino]methyl}-3-	
		phenylpropyl N-[4-(methylamino)-4-	
	SO ₂ H O		
	TrainNH	oxobutanoyl]-3-[(1-	
	O O H	propylbutyl)sulfonyl]alaninate	
	H_2N N N N		
1500			
		·	
	SO _{2 H}		
	N N		
	O D H		
	H ₂ N N		
		·	
1502	$(1R,2S)$ -2-amino-1- $\{[(3-methoxybenzyl)]$)amino]methyl}-3-phenylpropyl N-	
	(methylsulfonyl)glycyl-3-[(1-propylbuty	/l)sulfonyl]alaninate	
1504	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl N-	
	acetyl-3-(phenylsulfonyl)alaninate		
1506	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2S)-2-	
ĺ	[(4-methoxy-4-oxobutanoyl)amino]-5-o		
1508	$(1R.2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2R)-2-	
	{[(benzyloxy)carbonyl]amino}-5-oxo-5-piperidin-1-ylpentanoate		
1510	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2R)-2-		
1	[(3-ethoxy-3-oxopropanoyl)amino]-5-oxo-5-piperidin-1-ylpentanoate		
1512	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl N^2 -(4-		
	methoxy-4-oxobutanoyl)- N^5 , N^5 -dipropyl-D-glutaminate		
1514	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2R)-2-		
	[(4-methoxy-4-oxobutanoyl)amino]-5-o		
1516)amino]methyl}-3-phenylpropyl (2R)-2-	
1310	[(5-methoxy-5-oxopentanoyl)amino]-5-		
1518	(1R,2S)-2-amino-3-(3,5-difluorophenyl)		
	ethylbenzyl)amino]methyl}propyl 2-(ac	etyloxy)-3-(butylsulfonyl)propanoate	
1520	(1R,2S)-2-amino-3- $(3,5$ -difluorophenyl)	-1-{[(3-	
1320	ethylbenzyl)amino]methyl}propyl S-butyl-D-cysteinate		
1522	(1R,2S)-2-amino-3- $(3,5$ -difluorophenyl)		
1322	ethylbenzyl)amino]methyl}propyl 3-(bu		
1524	(1R,2S)-2-amino-3- $(3,5$ -difluorophenyl)		
1324			
1526	ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-D-alaninate 1526 (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-		
1526	ethylbenzyl)amino]methyl}propyl 3-(bu		
1530	(1R,2S)-2-amino-3-(3,5-difluorophenyl)		
1528			
1520	methylbutyl)amino]methyl}propyl 3-(bi		
1530	(1R,2S)-2-amino-3-(3,5-difluorophenyl)		
	ethylphenyl)cyclopropyl]amino}methyl	propyi 3-[(1-propyioutyi)suitonyi]-D-	
	alaninate		

1532	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-L-alaninate	
1534	(1R,2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-	
	[(1-propylbutyl)sulfonyl]-D-alaninate	
1536	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	methylbutyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-D-alaninate	
1538	(1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-D-alaninate	
1540	$(1R.2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]alaninate	
1542	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -	
	ethylbenzyl)amino]methyl}propyl N-(phenoxyacetyl)-3-[(1-	
	propylbutyl)sulfonyl]alaninate	
1544	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
137.	ethylbenzyl)amino]methyl}propyl N-{[(5-chloro-2-thienyl)thio]peroxy}-3-[(1-	
	propylbutyl)sulfonyl]alaninate	
1546	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
13.0	ethylbenzyl)amino]methyl}propyl N-(phenylsulfonyl)-3-[(1-	
	propylbutyl)sulfonyl]alaninate	
1548	$(1R,2S)$ -3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -ethylbenzyl)amino]methyl}-2-	
13.10	(methylamino)propyl N-[(benzylamino)carbonyl]-3-[(1-	
	propylbutyl)sulfonyl]alaninate	
1550	$4-\{[(1R,2S)-3-(3,5-difluorophenyl)-1-\{[(3-ethylbenzyl)amino]methyl\}-2-$	
1330	(methylamino)propyl]oxy}-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic	
	acid	
1552	$4-[((1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-$	
	phenylpropyl)oxy]-3-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoic acid	
1554	1-((1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl) 4-	
100.	methyl 2-{[(3-methylbutyl)sulfonyl]methyl}succinate	
1556	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-	
1000	amino-2-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate	
1558	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-	
1330	(methylamino)-2-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate	
1560	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-	
1300	(dimethylamino)-2-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate	
1562	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1302	ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-	
	2-{[(1-propylbutyl)sulfonyl]methyl}propanoate	
1564	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$	
1304	ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-	
	2-{[(1-propylbutyl)sulfonyl]methyl}propanoate	
1566	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$	
1300	ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-	
	2-{[(1-propylbutyl)sulfonyl]methyl}propanoate	
1568	(1R,2R)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-	
1300	(ethylsulfonyl)-2-{[(isobutylsulfonyl)amino]methyl}propanoate	
1570	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-	
1370	(ethylthio)-2-{[(isobutylsulfonyl)amino]methyl}propanoate	
1	[(Outylitio), Z= [[(1000utylbuttoti)]]	

1572	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2S)-2-	
	{[(3-methylbutyl)sulfonyl]amino}-4-(methylsulfonyl)butanoate	
1574	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl N-[(3-methoxybenzyl)amino]methyl	
	methylbutyl)sulfonyl]-L-methioninate	
1576	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-	
	(acetylthio)-2-{[(3-methylbutyl)sulfonyl]methyl}propanoate	
1578	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-	
	hydroxy-3-[(1-propylbutyl)sulfonyl]propanoate	
1580	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-	
1000	hydroxy-3-[(3-methylbutyl)sulfonyl]propanoate	
1582	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-	
1502	hydroxy-3-[(3-methoxyphenyl)sulfonyl]propanoate	
1584	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -	
1304	ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-(phenylsulfonyl)butanoate	
1586	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-	
1380	hydroxy-4-[(3-methylbutyl)sulfonyl]butanoate	
1500	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-[(3-	
1588		
1.500	methylbutyl)sulfonyl]-2-phenoxybutanoate	
1590	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-(3-	
	methoxyphenoxy)-4-[(3-methylbutyl)sulfonyl]butanoate	
1592	$3-\{1-\{[((1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-independent of the second of the seco$	
	phenylpropyl)oxy]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoic acid	
1594	methyl $3-\{1-\{[((1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-methyl\}-3-methyl 3-\{1-\{[((1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-methyl 3-\{1-\{[((1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-methyl 3-\{1-\{[((1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-methyl 3-\{1-\{[((1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-methyl 3-\{1-\{[((1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-methyl 3-\{(3-methoxybenzyl)amino]methyl 3-$	
	phenylpropyl)oxy]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoate	
1596	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-	
	hydroxy-4-(phenylsulfonyl)butanoate	
1598	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-	
	hydroxy-4-(phenylthio)butanoate	
1600	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-	
	methoxy-4-(phenylsulfonyl)butanoate	
1602	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-	
	methoxy-4-(phenylthio)butanoate	
1604	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-	
	(phenylsulfonyl)-2-propoxybutanoate	
1606	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-	
1000	(benzyloxy)-4-(phenylsulfonyl)butanoate	
1608	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl N-	
1000	[(benzyloxy)carbonyl]methioninate	
1610	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2S)-2-	
1010	amino-5-oxo-5-piperidin-1-ylpentanoate	
1612	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2S)-2-	
1012	[(2-methoxy-2-oxoethyl)amino]-5-oxo-5-piperidin-1-ylpentanoate	
1614	$(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2R)-2-	
1014	amino-5-oxo-5-piperidin-1-ylpentanoate	
1616	amino-3-oxo-3-piperidin-1-yipentanoate $(1R,2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl $(2R)$ -2-	
1616	[(2-ethoxy-2-oxoethyl)amino]-5-oxo-5-piperidin-1-ylpentanoate	
1610		
1618	(1R,2S)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2R)-2-	
	[(4-ethoxy-4-oxobutyl)amino]-5-oxo-5-piperidin-1-ylpentanoate	

1620	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl (2R)-2-[(methoxycarbonyl)amino]-4-	
	oxooctanoate	
1622	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl 4-butyl-N-(methoxycarbonyl)-D-homoserinate	
1624	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
102.	ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxolan-2-yl)-N-	
	(methoxycarbonyl)-D-alaninate	
1626	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1020	ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-N-	
	(methoxycarbonyl)-D-alaninate	
1628	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1028	othylbongul)aminolmethylbpropyl (2P)-4 4-diffuoro-2-	
	ethylbenzyl)amino]methyl}propyl (2R)-4,4-difluoro-2- [(methoxycarbonyl)amino]octanoate	
1.620	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
1630		
	ethylbenzyl)amino]methyl}propyl (2R)-4-fluoro-2-	
	[(methoxycarbonyl)amino]octanoate	
1632	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl (2R)-2-[(methoxycarbonyl)amino]-5-	
	oxononanoate	
1634	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl (2R)-5-hydroxy-2-	
	[(methoxycarbonyl)amino]nonanoate	
1636	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -	
	ethylbenzyl)amino]methyl}propyl (2R)-4-(2-butyl-1,3-dioxolan-2-yl)-2-	
	[(methoxycarbonyl)amino]butanoate	
1638	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl (2R)-4-(2-butyl-1,3-dioxan-2-yl)-2-	
	[(methoxycarbonyl)amino]butanoate	
1640	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl (2R)-5-fluoro-2-	
	[(methoxycarbonyl)amino]nonanoate	
1642	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl (2R)-5,5-difluoro-2-	
	[(methoxycarbonyl)amino]nonanoate	
1644	$(1R,2S)$ -2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-(methoxycarbonyl)-D-	
	alaninate	
1646	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-	
10.0	(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-N-	
	(methoxycarbonyl)-D-alaninate	
1648	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-	
1070	ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-N-	
	(methoxycarbonyl)-D-alaninate	
1650	$\frac{(1R,2S)-2-\text{amino-3-}(3,5-\text{difluorophenyl})-1-(\{[1-(3-$	
1030	ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-N-	
1652	(methoxycarbonyl)-D-alaninate	
1652_	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-	

	(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-N-
1.554	(methoxycarbonyl)-D-alaninate
1654	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-[(3-methyl-1H-pyrazol-
1656	5-yl)carbonyl]-D-alaninate
1656	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-({[3-
	(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-N-[(3-methyl-
	1H-pyrazol-5-yl)carbonyl]-D-alaninate
1658	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
	ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-N-[(3-methyl-
	1H-pyrazol-5-yl)carbonyl]-D-alaninate
1660	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
	ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-N-[(3-
	methyl-1 <i>H</i> -pyrazol-5-yl)carbonyl]-D-alaninate
1662	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-
	(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-N-
	[(3-methyl-1 <i>H</i> -pyrazol-5-yl)carbonyl]-D-alaninate
1664	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (2R)-2-{[(methylamino)carbonyl]amino}-4-
	oxooctanoate
1666	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 4-butyl-N-[(methylamino)carbonyl]-D-
- <u> </u>	homoserinate
1668	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxolan-2-yl)-N-
	[(methylamino)carbonyl]-D-alaninate
1670	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-N-
	[(methylamino)carbonyl]-D-alaninate
1672	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (2R)-4,4-difluoro-2-
	{[(methylamino)carbonyl]amino}octanoate
1674	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (2R)-4-fluoro-2-
	{[(methylamino)carbonyl]amino}octanoate
1676	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (2R)-2-{[(methylamino)carbonyl]amino}-5-
	oxononanoate
1678	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (2R)-5-hydroxy-2-
	{[(methylamino)carbonyl]amino}nonanoate
1680	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3-$
	ethylbenzyl)amino]methyl}propyl (2R)-4-(2-butyl-1,3-dioxolan-2-yl)-2-
	{[(methylamino)carbonyl]amino}butanoate
1682	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	ethylbenzyl)amino]methyl}propyl (2R)-4-(2-butyl-1,3-dioxan-2-yl)-2-
	{[(methylamino)carbonyl]amino}butanoate
1684	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
	1(1-1,20) = ammo 3-(3,3-ammorophomy)-1-{[(3-

	ethylbenzyl)amino]methyl}propyl (2R)-5-fluoro-2-	
	{[(methylamino)carbonyl]amino}nonanoate	
1686	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	
	ethylbenzyl)amino]methyl}propyl (2R)-5,5-difluoro-2-	
	{[(methylamino)carbonyl]amino}nonanoate	
1688	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $\{[(3$ -	
	ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-	
	[(methylamino)carbonyl]-D-alaninate	
1690	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-	
1020	(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-N-	
	[(methylamino)carbonyl]-D-alaninate	
1692	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-	
1002	ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-N-	
	[(methylamino)carbonyl]-D-alaninate	
1694	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-	
1051	ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-N-	
	[(methylamino)carbonyl]-D-alaninate	
1696	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-	
1000	(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-N-	
-	[(methylamino)carbonyl]-D-alaninate	
1698	$(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-\{[(3-$	
1000	ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-N-[(4-methyl-1H-pyrazol-	
ļ	1-yl)carbonyl]-D-alaninate	
1700	$(1R,2S)$ -2-amino-3- $(3,5$ -difluorophenyl)-1- $(\{[3$ -	
1,00	(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-N-[(4-methyl-	
	1 <i>H</i> -pyrazol-1-yl)carbonyl]-D-alaninate	
1702	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-	
* / 3 =	ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-N-[(4-methyl-	
	1H-pyrazol-1-yl)carbonyl]-D-alaninate	
1704	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-	
	ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-N-[(4-	
	methyl-1H-pyrazol-1-yl)carbonyl]-D-alaninate	
1706	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-	
	(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-N-	
ļ	[(4-methyl-1 <i>H</i> -pyrazol-1-yl)carbonyl]-D-alaninate	
1173	F	
	H ² N, OH V	
1		
	0 NIII	
	0=3	
1		

	1···	
1175	F	
	H ₂ N OH O	
	ANIII S	
	5	·
1177	CF ₃	
	S OH O	
	OH H ₂ N N	
	<u></u>	
1179	CF ₃	
	S=O H N	
	HHN OH N	
	= F	
1181	ÇF ₃	
	S H O	
	H ₂ N OH	·
	<u>±</u>	
<u> </u>	[F	

1183	F	
	F	
	H_2N	
	OH OH	
	NIII.	
	H S	
	7	
1185	F	
	↓ ↓↓	
	H ₂ N N	
	OH OH	
	9, 1	
	CF ₃ HO=S	
	j	
1187		
	٥	
	SO ₂ HN ONN	
	. 7	
	한	
	H ₂ N N	
	Ě	
1189	F F	,
1189		
	√ F	
	H ₂ N N	·
	OH J	
) _{1/1} , L	
	S=OH	·
		·
L	1	<u> </u>

[1101	T	
1191		
	0 ₂ s 0	
	HN	
	악 /	
	H ₂ N _ N	
	= F	
	F	·
1193	1	
	NH NH	
	S=O H O	
	→ 1	
	아 ㅎ	
	<i>악</i> \	
	H ₂ N Ž	
	F	
	ļ F	
1195		
	F	
	H ₂ N N	
	OH/	
	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	
	S=OH	
1197	F	
	₽	
]	H ₂ N N	
	ŌH / U	
	S=OH N	
1		i
<u> </u>		·
		·

	•	
1199	H. Z. D. O. D. D. D. D. D. D. D. D. D. D. D. D. D.	
1201	H ₂ N OH O N O O O O O O O O O O O O O O O O	-
1203	H ₂ N	
1205		
1207	H ₂ N OH ON ON ON ON ON ON ON ON ON ON ON ON ON	

1.000		
1209	OH NH	
	H ₂ N = N S	·
1211	O=S O=S OH H	
1013	H ₂ N = N F	
1213		·
1215	F F	
	F NN N N N N N N N N N N N N N N N N N	

1217		,
	H ₂ N OH O	·
	SHO H	
1219		
	F N OH OO OO	
	S=OH	
1221	F	
	H ₂ N OH O	
		_
1223	F	
	H ₂ N OH O	
	H ₂ N OH N OH N OH N OH N OH N OH N OH N OH	

1225		T
1225		
İ	SO ₂ O	
	N N N	
	OH N	
	H ₂ NN	
	=F	
	Ţ	
1227	/	
	H	
İ	N H	
	<u>o</u> H	•
	H ₂ N N	
	± 0 F	
1229	F	
	H ₂ N OH N	•
	10H	
	J., L.	
	S=OH	
1231	F	
	F	
	H ₂ N N	
	H ₂ N OH N	
).,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,	
	H H N	
		·
1222	hannal ((1,0) 1, (((0,0,0,0,0,0))	
1233	benzyl $[(1R)-1-\{[[(2R,3S)-3-amino-4-(3a+1)-2$,5-difluorophenyl)-2-hydroxybutyl](3-
	ethylbenzyl)amino]carbonyl}-3-(methyl	suitonyl)propyl]carbamate

1235	ζ	
	\$0 ₂ 0	
	NH O	
	OH OH	
	H ₂ N $\stackrel{=}{=}$ N	·
] 	F	
1237	F .	
1257		
	SO ₂ O	
	OH OH	
	H ₂ N N	
	= F	
	F	
1239	F	
	- OH	
	H ₂ N OH N	
	O H O	
	O H O	
		·
1241		
	F O	
	NH ON	·.
	Han	
	H ₂ N OH	

1243		
1243		
	F all	
	F S -	
	H ₂ N N	
	OH CONTRACTOR OF THE PARTY OF T	
	_\o'\	
1245		
	о н о	
	N N	
	07	
	OH N	
	H ₂ N	· I
	F	
	F	
1247	F	
		•
	F	
	H ₂ N OH N	•
	0 0	•
	S=OH	
1240	1 ,	· · · · · · · · · · · · · · · · · · ·
1249		
	SO ₂ H	
	N C	
	\ _ OCH3	
	QH N	
	F	
	F	

		
1251		
	SO ₂ H	·
	Z N	
	0= 0 N	
	\ \ <u>\</u> 0	
	OH /	
	H ₂ N N	
	F	
	F	
1253		
	O NH	
	NH \	
	OH O	
	H ₂ N N	
	<u> </u>	
	F	
	F	
1255	/	
	S NH	
	SNH	
	07	
	QH \	
	H ₂ N	
	F	
1	F	

1257		
	H ₂ N OH OO	
1259	F	
	H ₂ N OH N	
	O N N N N N N N N N N N N N N N N N N N	
	, (
1261	O H O O	
	OH N H ₂ N F	
	<u> </u>	

	•	
1263	F H ₂ N OH O N O S=OH	
1265	0 0 0 X H N N H ₂ N F	
1267	H ₂ N OH ON NHOO	

1269	F	
1205		
1	` []	
	F	
	H ₂ N N	
	OH /	
	0./ []	
	\$ 0 H	
	\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	
1271	F	
	H ₂ N N	
	OH / 🖳	
	O H NH	
	S O	
1273		
	So₂ ⟨ H	
	_NO	·
	OF OF	
	QH F	
	F .	

1275	F	
	F	
	H ₂ N N	
	OH O O	
	S=OH	
		•
1277		
	0 0 S NH	·
	OH /	
	H ₂ N N	(
	F	
	F	
1279		·
	0 0	
	O O O O O O O O O O O O O O O O O O O	
	OH O	
	OH \	
	H_2N	
	F	·
	F	
1281	1, 4	
	S NH	
	NH NH	·
	00000	
	QH \	
	H_2N	

1202		
1283	5	
	so₂	
	H O;	
ļ		
	ōн	
	H ₂ N N	
	F	
	F	
1285		
	\$O ₂	
	H	
	O NH	·.
	OH N	
-		
	F	
1287		
	SO ₂ H On.	
	Low W Com	
		·
	OH H ₂ N N	
	F	Formula
1289	\	
	SO ₂ H SO ₂ H SO ₂ SO ₂	
		·
	ODH N	
	H ₂ N	
	F	
	¥	
	1	

1291	5	
	SO ₂ H	
	Junn	
	QH N	
	F	
1293	F.	·
	F	
	N OH O	
) In Not	
	S 0	
	7 0	
1295		
	F	
	H ₂ N OH OS	
) J. J.	
	H O	
1207	> °	
1297	_ >	
	SO ₂	
·	0= >NH 0= >Q	
	$\begin{array}{c c} OH & O \\ \hline \\ H_2N & N \\ \hline \end{array}$	
	F	
	F	
1299	(1R,2S)-2-amino-3-(3,5-difluoropheny	/l)-1-{[(3-
	ethylbenzyl)amino methyl propyl 3-[02 <i>H</i> -pyran-4-yloxy)carbonyl]alaninate	(1-propylbutyl)sulfonyl]-N-[(tetrahydro-

1301		
1301	1, <	
	1 Co 2 co	
	S WH	
	0 -N -0	
	H ₂ N N	
	F	i
	F	
1303	S-(3-[[(2 R ,3 S)-3-amino-2-hydroxy-4-ph	nenylbutyl](3-methoxybenzyl)amino]-2-
1205	{[(3-methylbutyl)sulfonyl]methyl}-3-02	xopropyl) ethanethioate
1305		
	,so ₂	
	NH O	
	OH ON NO	
	H ₂ N H	
		·
	\rightarrow F	
1307	F /	
1307		
		·
	SO ₂ H	
	July O / No	
	OH OH	
	H ₂ N N	
	↓ ✓ F	
		·
	F	
1309	N-[(2R,3S)-3-amino-2-hydroxy-4-phenyl	butyl]-N-(3-methoxybenzyl)-2-(3-
	methoxyphenoxy)-4-[(3-methylbutyl)sul	fonyl]butanamide
1311	F	
		·
	F	
	H_2N	
	OH	1
	1 0	
	S OH	

1313	S NH	
	H ₂ N PN PN PN PN PN PN PN PN PN PN PN PN PN	
1315	H ₂ N N O	
	OH OH OH OH OH OH OH OH OH OH OH OH OH O	
1317	F A A A A	
	H ₂ N OH N OH N OH N OH N OH N OH N OH N OH	
1319	0=10	
	H ₂ N NH O	
1321	F F OH OO OO	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)- N^{1} -(3-ethylbenzyl)- N^{2} -(3,3,3-trifluoropropanoyl)-D-alaninamide
	H CF ₃	

1323	F F	137 S(OD OD O) 1 4 (O S
1323		N^1 -[(2R,3S)-3-amino-4-(3,5-
		difluorophenyl)-2-hydroxybutyl]-3-
	f F	(butylsulfonyl)- N^1 -(3-ethylbenzyl)- N^2 -
	H ₂ N N	(trifluoroacetyl)-D-alaninamide
	OOH	
)N	
	H \	
	CF ₃	
1325		W [(2 D 2 C) 2 2 1
1323	2 0	N-[(2R,3S)-3-amino-2-hydroxy-4-
		phenylbutyl]-2-methoxy-N-(3-
	NH ₂	methoxybenzyl)-4-
		(phenylthio)butanamide
	l l _m , N	
	OH V	
	0'	
	S	
1		
1327		
	F	
	H ₂ N N	
	OH O	
	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	
	S H	
1329	/	N^{1} -[(2R,3S)-3-amino-4-(3,5-
		difluorophenyl)-2-hydroxybutyl]-3-
	\$_0	(butylsulfonyl)- N^2 -
	, H A	(cyclopropylcarbonyl)-N ¹ -(3-
	7.7	ethylbenzyl)-D-alaninamide
	OH OH	omi, roomey 17-12-arammammuc
	H ₂ N N	
1331	R alanyl MI [(2 P 2 M 2	ig 1 Decided
1331	β -alanyl- N^1 -[(2R,3S)-3-amino-4-(3,5-d	ifluorophenyl)-2-hydroxybutyl]-3-
1222	(butylsulfonyl)-N ¹ -(3-ethylbenzyl)-D-a	lanınamide
1333	glycyl- N^1 -[(2 R ,3 S)-3-amino-4-(3,5-difl	uorophenyl)-2-hydroxybutyl]-3-
	(butylsulfonyl)-N'-(3-ethylbenzyl)-D-a	laninamide
1335	$(1R,2S)$ -2-amino-1- $\{[(3-methoxybenzy)]$	l)amino]methyl}-3-phenylpropyl (2R)-2-
-	[[(4-ethoxy-4-oxobutyl)amino]-5-oxo-5	-piperidin-1-vlpentanoate
1337	N,N -dimethyl- β -alanyl- N^1 -[(2 $R,3S$)-3-a	mino-4-(3,5-difluorophenyl)-2-
	hydroxybutyl]-3-(butylsulfonyl)-N ¹ -(3-	ethylbenzyl)-D-alaninamide
1339	N^{l} -[(2R,3S)-3-amino-4-(3.5-difluoroph	enyl)-2-hydroxybutyl]-3-(butylsulfonyl)-
L	1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1	on jr j 2-nyaroxyoutyr j-3-(butyrsurionyl)-

	N^1 -(3-ethylbenzyl)- N^2 -(methoxyacetyl)-D-alaninamide
1341	F H ₂ N OH O N O N O N N H
1343	H ₂ N OH H S N
1345	(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-N-(methoxycarbonyl)-D-alaninate
1347	H ₂ N
1349	F H ₂ N OH NH OH NH ONH

1351	F	
	H ₂ N OH N N	
	O H N	
1353	F	
	H ₂ N OH N	
	O H NH NH OH	
1355	F	
	H ₂ N OH O NO NO NO NO NO NO NO NO NO NO NO NO N	
1357		N^2 -acetyl- N^1 -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)- N^1 -(3-methylbutyl)-D-alaninamide
	OH NH H ₂ N F	
1359	<u> </u>	N^{l} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{l} -cyclopropyl- N^{2} -(cyclopropylcarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
	QH NH	cyclopropyl-N ² -(cyclopropylcarbonyl)-3- [(1-propylbutyl)sulfonyl]-D-alaninamide
	F	·

1361	O O O H OH H ₂ N N F	N^2 -acetyl- N^1 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^1 -(3-methylbutyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1363		
1365	O Br O O NH N O O O O O O O O O O O O O O O O O O O	
1367	O O O O O O O O O O O O O O O O O O O	
1369	0 0 0 F N 1 F H ₂ N F F	

1371	H ₂ N OH H ON ON ON ON ON ON ON ON ON ON ON ON ON	
1373	F P P P P P P P P P P P P P P P P P P P	N -phenylglycyl- N^1 -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^1 -(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1375	F H ₂ N OH O CF ₃	
1377	F H ₂ N OH H N N H N N N N N N N N N N N N N N	

1379		
	0	
	s	
	OH H N	
	H_2N	
	F	
	Ė	
1381		
	H ₂ N OH N	
	N-	
	S=O	
1383	1 1 0 0	
	NH	
	NH NH	
	Ö OH	
	H ₂ N	
1385	A sected R cleryd MI [(2P 3 S) 3 aming	o-4-(3,5-difluorophenyl)-2-hydroxybutyl]-
1363	N-acetyl-p-atanyl- N - $(2R,3S)$ -3-atmix N^{1} - $(3$ -ethylbenzyl)-3- $[(1$ -propylbutyl)s	sulfonvil-D-alaninamide
1387	N^{-1} [(2P 3 Ω_{-3} -amino-4-(3 5-diffuoront	nenyl)-2-hydroxybutyl]- N^2 -(chloroacetyl)-
1307	N^{1} -(3-ethylbenzyl)-3-[(1-propylbutyl)s	sulfonvl]-D-alaninamide
1389	N^{4} -[(2R,3S)-3-amino-4-(3,5-difluoroph	$nenv[)-2-hvdroxvbutv[]-N^1-(3-$
1309	ethylbenzyl)- N^2 -(methoxyacetyl)-3-[(1	-propylbutyl)sulfonyl]-D-alaninamide
1391	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluoroph	nenvl)-2-hydroxybutyl]- N^{1} -(3-
1391	ethylbenzyl)- N^2 -(3-methoxypropanoyl)-3-[(1-propylbutyl)sulfonyl]-D-
	alaninamide	
1393	N^{1} -[(2R.3S)-3-amino-4-(3,5-difluoropl	henyl)-2-hydroxybutyl]- N^2 -(2,2-
1000	dimethylpropanoyl)- N^1 -(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-
	alaninamide	
1395	N^{I} -[(2R,3S)-3-amino-4-(3,5-difluoropl	henyl)-2-hydroxybutyl]-N¹-(3-
	ethylbenzyl)-N ² -isobutyryl-3-[(1-prop	ylbutyl)sulfonyl]-D-alaninamide
<u> </u>		

T	
H ₂ N N N H S O	
·	
F F N O O H ₂ N	N^2 -acetyl- N^1 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^1 -(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
\$ 0	
OH OH	
H ₂ N F	·
O O L Z	
H ₂ N F	

1405	H ₂ N F	
1407	O O O H N H N N H N N H N N N N N N N N	·
1409	O O O O O O O O O O O O O O O O O O O	·
1411	ODH H ₂ N	N^1 -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^2 -(cyclopropylcarbonyl)- N^1 -(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide

1413	O O O N H H N H 2N H 2N H 2N H 2N H 2N H	N^{1} -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{1} -(3-ethylbenzyl)- N^{2} -propionyl-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1415	F H ₂ N HO N N N N N N N N N N N N N N N N N N N	
1417	O HO HO HO HO HO HO HO HO HO HO HO HO HO	
1419	O H N N F F	

1421	O O O O O O O O O O O O O O O O O O O	
1423	F H ₂ N O HCI NH O	5-oxo-D-prolyl- <i>N</i> ¹ -[(2 <i>R</i> ,3 <i>S</i>)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- <i>N</i> ¹ -(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride
1425	H ₂ N OH NH NH O	5-oxo-L-prolyl- N^1 -[(2 R ,3 S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^1 -(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1427	F H ₂ N OH O S O NH S	N^1 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^1 -(3-ethylbenzyl)- N^2 -[3-(4-oxo-2-thioxo-1,3-thiazolidin-3-yl)propanoyl]-3-[(1-propylbutyl)sulfonyl]alaninamide

1429	SO ₂	
	OH N-H	
	F	·
1431	F	
	H ₂ N N N S H N N	
1433	CF ₃ ON N N N H ₂ N N N	
	F	
1435	F	·
	H ₂ N OH	
	O S O O	

1437	F	
	H ₂ N OH HN N	
	O S O	
1439	F	
	H ₂ N OH O	
	S=OH NH	
	1 / 6	
1441	F .	
-	H ₂ N OH N	
	N N N	
1443	F	N^1 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^1 -(3-ethylbenzyl)- N^2 -(1H-imidazol-4-
	H ₂ N OH N N N N N N N N N N N N N N N N N N	ylacetyl)-3-[(1- propylbutyl)sulfonyl]alaninamide
	NH H	
	\$=0	

	•	
1445	2 2	
	HN O OH NH2	
1447		
	N NH ₂	·
	но	
1449	F	
	O F HO S NH ₂	
	O NH	•
1451	ÖH	
1451	NH ₂	,
	OH SO NHO NHO	
	CI CI	

0 F O O OH	
O F-OO OH	
NILL NI NILL	
1455	
1455 NH ₂ N	
HŅ O/	
F N OH	
1457	
ALL VI	
NH ₂	
OH S	
1 L L O. NHO	
F F O NET O	
N	
1459 F -	
NH	
H_2N	
l HCI	
HO HN O	
0 0 = S	
1461 F OMe	
OMe OMe	
NH ₂	
"". (1 ¹ 1 ¹ 2)	
HO HN O/	
l h ù l (
0 0 = S - V	

1463		T
1403		
		·
	HNO	
	\ [OH	
	S=O NH ₂	
	F	
1465	F OH	
1.00	F. NH ₂	
	T T MOH	
	HN O	
	F (N)	
	0 o=s-	
1467	I	
	0 F-	
	SOO OH	
	O → NH N NH ₂	
	NII NII NII NII NII NII NII NII NII NII	
	<u> </u>	
1460	F. & F	
1469	F	
	H ₂ N	
	HO HNO/	
	HO HN O	:
		, i
	0 0=\$\	
1471	LI N CI	
/ -		
	F	·
	HN O	
	HN O OH OH	·
	0 0=S=0	
ļ		

1473	O FOO HONNING	
	F ₃ C	
1475	POCH ₃ HN O O O S O O S O O O O O O O O O O O O	
1477	F ₃ C	
1479	HN O H ₂ N O O = S OH F	

1401	·	
1481		
	NH ₂	
	FOH	
	\rangle	
1483		
	SO ₂	
	\NH	
	N-()-()	
	O 0	
	NH ₂	
	F-(<i>.</i>
	F	·
1485	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophe	nyl)-2-hydroxybutyl]-N¹-(3-
	ethylbenzyl)- N^2 -(phenylacetyl)-3-[(1-pro	opylbutyl)sulfonyl]alaninamide
1487	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{1} -(3-	
}	ethylbenzyl)- N^2 -(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninamide	
1489	N-(3-[(2R,3S)-3-amino-4-(3,5-difluoropy)]	ohenyl)-2-hydroxybutyl](3-
	ethylbenzyl)amino]-3-oxo-2-{[(1-	
	propylbutyl)sulfonyl]methyl}propyl)ber	nzamide
1491	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-phen	ylbutyl]- N^2 -(cyclopropylacetyl)- N^1 -(3-
	methoxybenzyl)-3-[(1-propylbutyl)sulfo	onyl]alaninamide
1493	N^1 -[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]- N^1 -(3-methoxybenzyl)- N^2 -	
	[(methylsulfonyl)acetyl]-3-[(1-propylbu	tyl)sulfonyl]alaninamide
1495	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-phen	ylbutyl]- N^1 -(3-methoxybenzyl)- N^2 -
	[(methylthio)acetyl]-3-[(1-propylbutyl)s	sulfonyl]alaninamide
1497		
	H ₂ N	
	но	•
	0. N.	
		·
	ŅH	•
	0 ₂ s	
	OH OH	

1499	
,	H_2N
	но
	0 \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \
	NH
	Ó₂S ∕
	0' 60
	l HŃ.
1501	
İ	
	H ₂ N
	но
	O N
	\ _\
	NH SO ₂
1502	N -(methylsulfonyl)glycyl- N^1 -[(2 R ,3 S)-3-amino-2-hydroxy-4-phenylbutyl]- N^1 -(3
1503	methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
L	
1505	N^2 -acetyl- N^1 -[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]- N^1 -(3-
	methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide N^2 -acetyl- N^1 -[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]- N^1 -(3-methoxybenzyl)-3-(phenylsulfonyl)alaninamide
1505 1507	N^2 -acetyl- N^1 -[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]- N^1 -(3-methoxybenzyl)-3-(phenylsulfonyl)alaninamide
	methoxybenzyl)-3-(phenylsulfonyl)alaninamide
1507	methoxybenzyl)-3-(phenylsulfonyl)alaninamide
	methoxybenzyl)-3-(phenylsulfonyl)alaninamide
1507	methoxybenzyl)-3-(phenylsulfonyl)alaninamide

1511		
	, o	•
j	N PHN O	
Ì	ООН	
	N NH ₂	
1510	Ĭ	
1513		
	N ₁	•
	O N O	
	QH O	
	H ₂ N N	·
		·
1515		
1313		
	0=\N \ 0	
		·
	NH O	
	OH \	
	H ₂ N N	·
1517		
	$N \rightarrow 0$	-
	O—NH	
	ОН	·
	H ₂ N N	
1510	255(27.25.25.25.25.25.25.25.25.25.25.25.25.25.	
1519	2-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-1-[(butylsulfonyl)methyl]-2-oxoethyl acetate	
1521	N^{I} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-	2-bydrovybutyll S bytyl M ¹ (2)
1321	12. ((223,30) 3-ammo-4-(3,3-dimuorophenyi)-	2-nydroxybutylj-a-butyl-/v-(3-

	ethylbenzyl)-D-cysteinamide
1523	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfinyl)-
1323	λ ¹ (2 ethylbenzyl)-D-alaninamide
1525	N^{-} [(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-
1323	N^{1} (3 ethylbenzyl)-D-alaninamide
1527	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-
1327	N ¹ (3 ethylbenzyl)-I -alaninamide
1529	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-
1329	N^{1} -(3-methylbutyl)-D-alaninamide
1531	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{1} -[1-(3-
1331	ethylphenyl)cyclopropyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1522	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{1} -(3-
1533	other lbongs 1/2 [(1-propylbutyl)sulfonyl]-L-alaninamide
1525	N^1 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^1 -cyclopropyl-3-
1535	[(1-propylbutyl)sulfonyl]-D-alaninamide
1537	N^1 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^1 -(3-
1537	methylbutyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1520	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{1} -(3-
1539	ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1541	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{1} -(3-
1541	ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1542	N^1 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^1 -(3-
1543	ethylbenzyl)- N^2 -(phenoxyacetyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1545	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{2} -{[(5-chloro-2-
1545	thienyl)thio]peroxy}- N^1 -(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1547	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]- N^{1} -(3-
1347	ethylbenzyl)- N^2 -(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1549	N^2 -[(benzylamino)carbonyl]- N^1 -[(2R,3S)-4-(3,5-difluorophenyl)-2-hydroxy-3-
1349	N = [(belizylamino)butyl] + N = ((1-propylbutyl)sulfonyl]alaninamide
1551	4-[[(2R,3S)-4-(3,5-difluorophenyl)-2-hydroxy-3-(methylamino)butyl](3-
1331	ethylbenzyl)amino]-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid
1553	$[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]-3-{[(3-methoxybenzy$
1333	methylbutyl)sulfonyl]methyl}-4-oxobutanoic acid
1555	methyl 4-[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl](3-
1333	methoxybenzyl)amino]-3-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate
1557	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]- N^{1} -(3-methoxybenzyl)-2-{[(3-
1337	methylbutyl)sulfonyllmethyl}succinamide
1559	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]- N^{1} -(3-methoxybenzyl)- N^{4} -
1339	methyl_2_{[(3_methylbutyl)sulfonyl methyl\succinamide
1561	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]- N^{1} -(3-methoxybenzyl)- N^{4} , N^{4} -
1301	dimethyl-2-{[(3-methylbutyl)sulfonyl]methyl}succinamide
1563	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-
1202	2,5-dioxoimidazolidin-1-yl)-N-(3-ethylbenzyl)-2-{[(1-
	propylbutyl)sulfonyllmethyl)propanamide
1565	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-
1303	2,5-dioxoimidazolidin-1-yl)- <i>N</i> -(3-ethylbenzyl)-2-{[(1-
	propylbutyl)sulfonyl]methyl}propanamide
1567	(1 <i>R</i> ,2 <i>S</i>)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
1 + /	1 \

	ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-
	2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
1569	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-3-(ethylsulfonyl)-2-
	{[(isobutylsulfonyl)amino]methyl}-N-(3-methoxybenzyl)propanamide
1571	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-3-(ethylthio)-2-
	{[(isobutylsulfonyl)amino]methyl}-N-(3-methoxybenzyl)propanamide
1573	(2S)-N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-methoxybenzyl)-2-
	{[(3-methylbutyl)sulfonyl]amino}-4-(methylsulfonyl)butanamide
1575	N^{1} -[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]- N^{1} -(3-methoxybenzyl)- N^{2} -[(3-
	methylbutyl)sulfonyl]-L-methioninamide
1577	S-(3-[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]-2-
	{[(3-methylbutyl)sulfonyl]methyl}-3-oxopropyl) ethanethioate
1579	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-N-(3-
	methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]propanamide
1581	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-N-(3-
	methoxybenzyl)-3-[(3-methylbutyl)sulfonyl]propanamide
1583	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-N-(3-
	methoxybenzyl)-3-[(3-methoxyphenyl)sulfonyl]propanamide
1585	N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-
	2-hydroxy-4-(phenylsulfonyl)butanamide
1587	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-N-(3-
	methoxybenzyl)-4-[(3-methylbutyl)sulfonyl]butanamide
1589	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-methoxybenzyl)-4-[(3-
	methylbutyl)sulfonyl]-2-phenoxybutanamide
1591	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-methoxybenzyl)-2-(3-
	methoxyphenoxy)-4-[(3-methylbutyl)sulfonyl]butanamide
1593	$3-\{1-\{[(2R,3S)-3-amino-2-hydroxy-4-phenylbuty1](3-$
	methoxybenzyl)amino]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoic
	acid
1595	methyl $3-\{1-\{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl](3-$
	methoxybenzyl)amino]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoate
1597	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-N-(3-
	methoxybenzyl)-4-(phenylsulfonyl)butanamide
1599	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-N-(3-
	methoxybenzyl)-4-(phenylthio)butanamide
1601	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-N-(3-
	methoxybenzyl)-4-(phenylsulfonyl)butanamide
1603	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-N-(3-
	methoxybenzyl)-4-(phenylthio)butanamide
1605	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-methoxybenzyl)-4-
	(phenylsulfonyl)-2-propoxybutanamide
1607	N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-(benzyloxy)-N-(3-
	methoxybenzyl)-4-(phenylsulfonyl)butanamide

1609	s o o	
	NH	
	O N HO,,	
	H_2N	
1611	H ₂ N	(2S)-2-amino-N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-methoxybenzyl)-5-oxo-5-piperidin-1-ylpentanamide
	HO N O NH ₂	yipemanamide
1613	Ö	
	NO	
	HN OH NH ₂	
1615		(2R)-2-amino-N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-methoxybenzyl)-5-oxo-5-piperidin-1-
	H ₂ N HO O ₂ N	ylpentanamide
	N NH ₂	

1617		
	VN_O	
1 1		
1		
	_	
	HŃ,, O ÔH	
l i	Fil	
	$O \longrightarrow NH_2$	
	o o	.
1619		
	Y	
	H ₂ N	
	но	
	0 N N	
	N. A. January	.
	NH O	
1	Ĭ.,	
1.501	0	1 1 ((1 D) 1 (FF(0 D 2 M 2) 1)
1621	0 🔊 0	methyl ((1R)-1-{[[(2R,3S)-3-amino-4-
		(3,5-difluorophenyl)-2-hydroxybutyl](3-
	HŃ,,,	ethylbenzyl)amino]carbonyl}-3-
		oxoheptyl)carbamate
	N O F	Ononopiyi)curoumato
	OH	
[
	H ₂ N F	
1623		
	0>0	
	HŇ _{//} ,	
]	N/O OH	
1	OH	
ì	H ₂ N	
1625	F. F	
1023		
	NH ₂	
	// ₁ , / INF12	
ļ	J.,	
1	. "ОН	
-	N O O	
1	()	
1		l i
	O H	

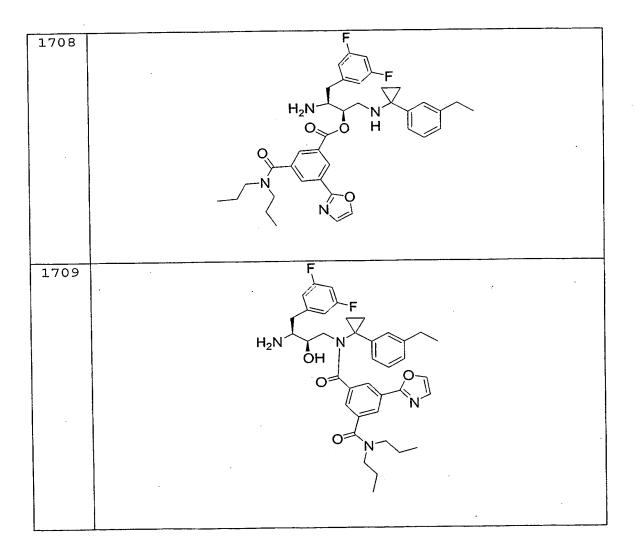
1627	
	F F
	N NH ₂
	O HN O
1629	methyl $((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$
1025	ethylhenzyl)aminolcarbonyl}-3,3-difluoroheptyl)carbamate
1631	methyl $((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$
	ethylbenzyl)aminolcarbonyl}-3-fluoroheptyl)carbamate
1633	methyl $((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$
	ethylhenzyl)aminolcarbonyl}-4-oxooctyl)carbamate
1635	methyl $((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$
	ethylbenzyl)amino]carbonyl}-4-hydroxyoctyl)carbamate
1637	methyl $[(1R)-1-\{[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-methyl [(1R)-1-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-methyl [(1R)-1-(3-mino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-methyl [(1R)-1-(3-mino-4-(3,5-difluorophenyl)-2-hydroxybutyl)$
1.520	ethylbenzyl)amino]carbonyl}-3-(2-butyl-1,3-dioxolan-2-yl)propyl]carbamate
1639	methyl $[(1R)-1-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl\}-3-(2-butyl-1,3-dioxan-2-yl)propyl]carbamate$
1641	methyl $((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$
1641	ethylbenzyl)amino]carbonyl}-4-fluorooctyl)carbamate
1643	methyl $((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-$
1043	ethylbenzyl)amino]carbonyl}-4,4-difluorooctyl)carbamate
1645	F
	$H \stackrel{N}{\longrightarrow} NH_2$
	O N O ŌH
	S ₂₀
1647	F
	F CE
	CF ₃
	H ₂ N N OH
	5
	S HN O
1	0 0 0-

	· · · · · · · · · · · · · · · · · · ·	
1649	0	
	's	
	O OH HAN I NH-	
	O'N''' YOH	
i	$H \longrightarrow N \longrightarrow NH_2$	
	F	
1651	· ·	
1031	0, 5	
	F, H₂N, QH QOSS	
	F H ₂ N OH OO	
	N HN-	
) o	
	F	
	 	
1653		
	F, H ₂ N, OH QO	
	N HN	
	F .	
	CF ₃	
1655	F F	·
	NH_2	
	N O O	
	H III O	
	HNOO	
1657	. 0	
	N N N J	·
	N N N	·
	F Ö O N	
	HO,,	
]	
	FNH ₂ CF ₃	,
L	1 · · · · · <u>'</u> · · · <u>'</u> Z	

1659	N-NH	
		•
	HN _/ ,	
	N O	
	ОН	
	NH ₂	
1661	N-NH	
1001		
	HN,,, S	
)	N '0	
	ОН	
	NIL.	·
	NH ₂	
		·
	F F	
1663	N-NH	
	LINI /	
	HN/, S	·
	_ XN < 0	
	F ₃ C OH	
		·
	NH ₂	·
		·
1		•
1.55	$F \sim F$ (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluon	hamil 2 hydroxybutyll N (3
1665	(2R)- N - $[(2R,3S)$ - 3 -amino- 4 - $(3,5$ -diffued ethylbenzyl)- 2 - $[(methylamino)carbon ethylbenzyl)$	nvllamino\-4-oxooctanamide
1667	At 1(2 P. 2 C) 2 aming 4 (2 5 diffusion)	nenyl)-2-hydroxybutyl]-4-butyl-N ¹ -(3-
1667	ethylbenzyl)- N^2 -[(methylamino)carbon	nvll-D-homoserinamide
1669	Δt^1 [(2P.2S) 3 amino 4-(3.5-diffuoron	nenyl)-2-hydroxybutyl]-3-(2-butyl-1,3-
1009	diovolan $2-vl$ N^{1} (3-ethylhenzyl) N^{2}	[(methylamino)carbonyl]-D-alaninamide
1671	M^{1} [(2R 3S)-3-amino-4-(3 5-diffuoron	nenyl)-2-hydroxybutyl]-3-(2-butyl-1,3-
10/1	dioxan-2-vl)- N^1 -(3-ethylhenzyl)- N^2 -[(1	methylamino)carbonyl]-D-alaninamide
1673	(2R)-N-[(2R,3S)-3-amino-4-(3,5-diffue)]	prophenyl)-2-hydroxybutyll-N-(3-
10/3	ethylbenzyl)-4,4-difluoro-2-{[(methyl	amino)carbonyllamino)octanamide
1675	(2R)-N-[(2R,3S)-3-amino-4-(3,5-diffuence)]	prophenyl)-2-hydroxybutyll-N-(3-
10/3	ethylbenzyl)-4-fluoro-2-{[(methylami	no)carbonyl]amino}octanamide
1677	(2R)-N-[(2R,3S)-3-amino-4-(3,5-diffuential -4)]	prophenyl)-2-hydroxybutyll-N-(3-
10//	ethylbenzyl)-2-{[(methylamino)carbo	nvllamino}-5-oxononanamide
1679	(2R)-N-[(2R,3S)-3-amino-4-(3,5-diffuence)]	prophenyl)-2-hydroxybutyll-N-(3-
10/7	[\211]-11-[\211,50]-5-ammo(5,5-dmu	p (-

	ethylhenzyl)-5-hydrovy 2 [[(methylemine)1 1]	
1681	ethylbenzyl)-5-hydroxy-2-{[(methylamino)carbonyl]amino} nonanamide	
1001	(2R)- N - $[(2R,3S)$ - 3 -amino- 4 - $(3,5$ -difluorophenyl)- 2 -hydroxybutyl]- 4 - $(2$ -butyl, 1,3-dioxolan- 2 -yl)- N - $(3$ -ethylbenzyl)- 2 -	
	\[\langle \langle	
1683	{[(methylamino)carbonyl]amino} butanamide	
1005	(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(2-butyl-	
İ	1,3-dioxan-2-yl)-N-(3-ethylbenzyl)-2-	
1685	{[(methylamino)carbonyl]amino} butanamide	
1003	(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-	
1687	ethylbenzyl)-5-fluoro-2-{[(methylamino)carbonyl]amino} nonanamide	
1007	(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-	
1689	ethylbenzyl)-5,5-difluoro-2-{[(methylamino)carbonyl]amino}nonanamide	
1009	N^1 -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-	
1691	N^1 -(3-ethynylbenzyl)- N^2 -[(methylamino)carbonyl]-D-alaninamide	
1071	N^1 -[(2R,3S)-3-amino-4-(3,5-difluoropheut)-2-hydroxybutyl]-3-(butylsulfonyl)-	
1693	N^2 -[(methylamino)carbonyl]- N^1 -[3-(trifluoromethyl)benzyl]-D-alaninamide	
1055	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)- N^{1} -[1-(3-ethylphenyl)-avelograpsil] N^{2} -[(-4-d)-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-	
1695	N^{1} -[1-(3-ethylphenyl)cyclopropyl]- N^{2} -[(methylamino)carbonyl]-D-alaninamide	
1000	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)- N^{1} -[1-(3-ethynylphenyl)cyclopropyl]- N^{2} -[(methylamino)carbonyl]-D-	
	alaninamide	
1697	N^{1} -[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-	
	N^2 -[(methylamino)carbonyl]- N^1 -{1-[3-(trifluoromethyl)phenyl]cyclopropyl}-D-	
	alaninamide	
1699		
	- ÿ=o o	
	N N NH OH	
	H ₂ N F	
1701		
	5,0 S,0	
	F O O	
	NH ₂ NH ₂	
1703	F	
	NH ₂	
	-\$=0 dHO	
	N N N N N N N N N N N N N N N N N N N	

F	
_ о но NH ₂	· ·
N NH	
//	
F	
O HO NH2	
しまし	•
	-S=0 0



1710	H ₂ N N O N
1711	H ₂ N OH ON
•1712	F ZH CI ZH CH CH CH CH CH CH CH CH CH CH CH CH CH

1713	F NH OH NH HCI STONE HCI
1714	CF ₃ O HN O H ₂ N F
1715	F ₃ C OH N OH H ₂ N F

1716	F NH NH NH NH NH NH NH NH NH NH NH NH NH
1717	H ₂ N OH O O
1718	O NH O O O O O O O O O O O O O O O O O O

1719	O O O O O O O O O O O O O O O O O O O
1720	O O O O O O O O O O O O O O O O O O O
1721	$\begin{array}{c} O \\ N \\ O \\ N \\ \end{array}$ $\begin{array}{c} O \\ N \\ \end{array}$ $\begin{array}{c} O \\ N \\ \end{array}$ $\begin{array}{c} O \\ N \\ \end{array}$ $\begin{array}{c} O \\ N \\ \end{array}$ $\begin{array}{c} O \\ N \\ \end{array}$ $\begin{array}{c} O \\ N \\ \end{array}$

1722	S N O H ₂ N H ₂ N F
1723	
	O N S N O N N N N N N N N N N N N N N N
1724	O-S-OH OH H2N H2N H

1725	N O O S N H HO O O H HO O O H N O O O O O O O O O O O O O O O O
	F
1726	$ \begin{array}{c} O \\ $
1727	OH N OH N P F

REARRANGEMENT EXAMPLES

The following examples illustrate the acyl group migration that takes place with compounds of the invention. These examples are for illustration purposes, and are not intended to limit the scope of the invention.

General Procedure:

A compound of formula (I) OR (X) (5 mg) dissolved in DMSO-d $_6$ (500 μL) and either pH 4 buffer solution (500 μ L, potassium hydrogen phthalate buffer) or pH 7 buffer solution (500 μL , sodium and potassium phosphate buffer) is added. The sample is then heated to or N-acyl to N-terminal 0-acyl by observing the change migration is monitored chemical shift for the aromatic fluorines using $^{19}\mathrm{F-NMR}$. (Fluorine shifts associated with the desired migration were confirmed by spiking with authentic analogue). sample is analyzed by 19F-NMR at approxiamately 0, 1.5, 3, 24, 48, and 144 hours. The amount of O-acyl pro-drug, Nacyl pro-drug, and desired migration product at each time point are assigned by integrating the corresponding NMR signal.

EXAMPLE 1: Specific NMR Example

N-1~-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N~3~,N~3~-dipropyl-N~1~-[3-(trifluoromethyl)benzyl]isophthalamide hydrochloride (PREPARATION 7, 5 mg) is dissolved in DMSO-d₆ (500 μ L) and pH 4 buffer solution (500 μ L, potassium hydrogen phthalate buffer) is added. The sample is then heated to 40°C. The N-acyl to N-terminal N-acyl

migration is monitored by observing the change in chemical shift for the aromatic fluorines using $^{19}F-NMR$. (Fluorine shifts for the desired migration product in the presence of buffer was confirmed by spiking with authentic migration product, $N^1-((1s,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-\{[3-$

(trifluoromethyl)benzyl]amino}propyl)-5-(1,3-oxazol-2- $yl)-N^3$, N^3 -dipropylisophthalamide.) NMR data is collected at 0, 1, 3, 25, 48, 96, and 144 hours. The amount of N-acyl pro-drug and desired migration product present at each time point is assigned by integrating the corresponding NMR signal. No migration to O-acyl prodrug was observed using this method and was confirmed by spiking with authentic compound.

The following examples illustrate the solution acyl group migration of compounds of the formulae (I) and (X) as observed by ^{19}F NMR spectroscopy. Data were collected as described in Example 1, above.

EXAMPLE 2: Rearrangement of (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate (22).

Tables 1-2 provide relative concentrations as a function of time and at varying pH of prodrug 22 and its rearrangement product.

Table 1. Concentrations at 40 °C, pH 7.

pH 7, 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA ¹ product
(hr)	(% present)	(% present)	(% present)
0	100	0	0
0.5	10	0	10
1	0	0	100

HEA = the hydroxyethylamine product of the acyl group migration.

Table 2. Concentrations at 40 °C, pH 4.

	pH 4, 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product	
(hr)	(% present)	(% present)	(% present)	
0	100	0	0	
0.5	93	0	7	
1	87	Ō	13	
3	66	0	27	
6	49	0	42	
24	9	0	78	
48	0	0	86	

EXAMPLE 3: Rearrangement of (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride (17).

Tables 3-4 provide relative concentrations as a function of time and at varying pH of prodrug 17 and its rearrangement product.

Table 3. Concentrations at 40 °C, pH 7.

	pH 7 40°C				
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product		
(hr)	(% present)	(% present)	(% present)		
0	100	0	0		
1.5	3	0	97		
7		0			
24		0			
48		0			
144		0			

Table 4. Concentrations at 40 °C, pH 4.

[pH 4 40°C				
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product		
(hr)	(% present)	(% present)	(% present)		
0	100	0	0		
1.5	53	0	47		
3	33	0	67		
6	.11	0	89		
24	0	0	100		

Tables 5-6 provide relative concentrations as a function of time and at varying pH of prodrug 19 and its rearrangement product.

Table 5. Concentrations at 40 $^{\circ}\text{C}$, pH 7.

pH 7 40°C				
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product	
(hr)	(% present)	(% present)	(% present)	
0	0	100	0	
1	0	97	3	
3	0	91	9	

24	0 .	60	40
48	0	37	63
96	0	19	81

Table 6. Concentrations at 40 °C, pH 4.

pH 4 40°C				
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product	
(hr)	(% present)	(% present)	(% present)	
0	0	100	0	
1	0	100	0	
3	0	100	0	
24	0	97	3	
48	0	95	5	
96	0	92	8	

product

Tables 7-8 provide relative concentrations as a function of time and at varying pH of prodrug 20 and its rearrangement product.

Table 7. Concentrations at 40 $^{\circ}$ C, pH 7.

	pH 7 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product	
(hr)	(% present)	(% present)	(% present)	
0	0	100	0	
1	0	93	7	
3	0	88	12	
24	0	49	51	
48	0	27	73	
96	0	12	88	

Table 8. Concentrations at 40 °C, pH 4.

pH 4 40°C				
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product	
(hr)	(% present)	(% present)	(% present)	

0	0	100	l o
1	0	97	3
3	0	96	4
24	0	93	7
48 96	0	92	8
96	0	88	12

EXAMPLE 6: Rearrangement of (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride (16).

product A

product B

Tables 9-10 provide relative concentrations as a function of time and at varying pH of prodrug **16** and its rearrangement products.

Table 9. Concentrations at 40 °C, pH 7.

pH 7 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug (product A)	HEA product (product B)
(hr)	(% present)	(% present)	(% present)

0	100	o	1 0
1.5	0	52	48
7	0	46	54
24	0	38	62
48	0	29	71
144	0	15	85

Table 10. Concentrations at 40 °C, pH 4.

pH 4 40°C				
TIME	O-acyl pro-drug	N-acyl prodrug (product A)	HEA product (product B)	
(hr)	(% present)	(% present)	(% present)	
0	100	0	0	
1.5	33	13	54	
3	9	15	76	
24	0	12	88	
48	0	12	88	
144	0	9	91	

EXAMPLE 7: Rearrangement of (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride (18).

Tables 11-12 provide relative concentrations as a function of time and at varying pH of prodrug 18 and its rearrangement products.

product B

product A

Table 11. Concentrations at 40 °C, pH 7.

pH 7 40°C				
TIME	O-acyl pro-drug	N-acyl prodrug (product A)	HEA product (product B)	
(hr)	(% present)	(% present)	(% present)	
0	100	0	0	
1.5	0	66	34	
7	0	59	41	
24	0	43	57	
48	0	27	73	
144	0	16	84	

Table 12. Concentrations at 40 °C, pH 4.

	pH 4 40°C				
TIME	O-acyl pro-drug	N-acyl prodrug (product A)	HEA product (product B)		
(hr)	(% present)	(% present)	(% present)		
0	100	0	0		
1.5	32	14	54		
3	8	18	74		
30	0	21	79		
54	0	20	80		
126	0	14	86		

BIOLOGY EXAMPLES

Example A

Enzyme Inhibition Assay

The rearranged compounds of the invention are analyzed for inhibitory activity by use of the MBP-C125 assay. This assay determines the relative inhibition of beta-secretase cleavage of a model APP substrate, MBP-C125SW, by the compounds assayed as compared with an untreated control. A detailed description of the assay parameters can be found, for example, in U.S. Patent No. 5,942,400. Briefly, the substrate is a fusion peptide formed of maltose binding protein (MBP) and the carboxy terminal 125 amino acids of APP-SW, the Swedish mutation.

The beta-secretase enzyme is derived from human brain tissue as described in Sinha et al, 1999, Nature 40:537-540) or recombinantly produced as the full-length enzyme (amino acids 1-501), and can be prepared, for example, from 293 cells expressing the recombinant cDNA, as described in WOOO/47618.

Inhibition of the enzyme is analyzed, for example, by immunoassay of the enzyme's cleavage products. exemplary ELISA uses an anti-MBP capture antibody that is deposited on precoated and blocked 96-well high binding diluted enzyme incubation with followed by reaction supernatant, incubation with a specific reporter antibody, for example, biotinylated anti-SW192 reporter incubation with further and antibody, phosphatase. In the assay, streptavidin/alkaline cleavage of the intact MBP-C125SW fusion protein results in the generation of a truncated amino-terminal fragment, exposing a new SW-192 antibody-positive epitope at the carboxy terminus. Detection is effected by a fluorescent substrate signal on cleavage by the phosphatase. ELISA at 596 the only detects cleavage following Leu substrate's APP-SW 751 mutation site.

Specific Assay Procedure:

Compounds are diluted in a 1:1 dilution series to a curve (two wells per concentration six-point concentration) in one 96-plate row per compound tested. Each of the test compounds is prepared in DMSO to make up The stock solution is a 10 millimolar stock solution. serially diluted in DMSO to obtain a final compound concentration of 200 micromolar at the high point of a 6-(10) microliters of each Ten point dilution curve. dilution is added to each of two wells on row C of a

corresponding V-bottom plate to which 190 microliters of 52 millimolar NaOAc, 7.9% DMSO, pH 4.5 are pre-added. The NaOAc diluted compound plate is spun down to pellet precipitant and 20 microliters/well is transferred to a corresponding flat-bottom plate to which 30 microliters of ice-cold enzyme-substrate mixture (2.5 microliters MBP-C125SW substrate, 0.03 microliters enzyme and 24.5 microliters ice cold 0.09% TX100 per 30 microliters) is added. The final reaction mixture of 200 micromolar compound at the highest curve point is in 5% DMSO, 20 millimolar NaOAc, 0.06% TX100, at pH 4.5.

Warming the plates to 37 degrees C starts the enzyme reaction. After 90 minutes at 37 degrees C, microliters/well cold specimen diluent is added to stop the reaction and 20 microliters/well was transferred to a corresponding anti-MBP antibody coated ELISA plate for capture, containing 80 microliters/well specimen diluent. This reaction is incubated overnight at 4 degrees C and the ELISA is developed the next day after a 2 hour incubation with anti-192SW antibody, followed Streptavidin-AP conjugate and fluorescent substrate. The signal is read on a fluorescent plate reader.

Relative compound inhibition potency is determined by calculating the concentration of compound that showed a fifty percent reduction in detected signal (IC_{50}) compared to the enzyme reaction signal in the control wells with no added compound. In this assay, preferred compounds of the invention exhibit an IC_{50} of less than 50 micromolar.

Example B

<u>Cell Free Inhibition Assay Utilizing a Synthetic APP</u> Substrate

A synthetic APP substrate that can be cleaved by beta-secretase and having N-terminal biotin and made fluorescent by the covalent attachment of Oregon green at the Cys residue is used to assay beta-secretase activity in the presence or absence of the inhibitory compounds of the invention. Useful substrates include the following:

Biotin-SEVNL-DAEFRC[oregon green] KK [SEQ ID

NO: 1]

Biotin-SEVKM-DAEFRC[oregon green]KK [SEQ ID

NO: 2]

Biotin-GLNIKTEEISEISY-EVEFRC[oregon green] KK [SEQ ID NO: 3]

Biotin-ADRGLTTRPGSGLTNIKTEEISEVNL-DAEFRC[oregon green] KK [SEQ ID NO:4]

Biotin-FVNQHLCoxGSHLVEALY-LVCoxGERGFFYTPKAC[oregon green] KK [SEQ ID NO: 5]

The enzyme (0.1 nanomolar) and test compounds (0.001 100 micromolar) are incubated in pre-blocked, affinity, black plates (384 well) at 37 degrees for 30 minutes. The reaction is initiated by addition of 150 millimolar substrate to a final volume of 30 microliter The final assay conditions are: 0.001 - 100per well. micromolar compound inhibitor; 0.1 molar sodium acetate (pH 4.5); 150 nanomolar substrate; 0.1 nanomolar soluble beta-secretase; 0.001% Tween 20, and 2% DMSO. mixture is incubated for 3 hours at 37 degrees C, and the reaction is terminated by the addition of a saturating immunopure streptavidin. of concentration incubation with streptavidin at room temperature for 15 minutes, fluorescence polarization is measured, example, using a LJL Acqurest (Ex485 nm/ Em530 nm). The activity of the beta-secretase enzyme is detected by

changes in the fluorescence polarization that occur when the substrate is cleaved by the enzyme. Incubation in presence orabsence of compound demonstrates specific inhibition of beta-secretase enzymatic cleavage of its synthetic APP substrate. this assay, preferred compounds of the invention exhibit an IC_{50} of less than 50 micromolar.

Example C

Beta-Secretase Inhibition: P26-P4'SW Assay

Synthetic substrates containing the beta-secretase cleavage site of APP are used to assay beta-secretase activity, using the methods described, for example, in published PCT application WO00/47618. The P26-P4'SW substrate is a peptide of the sequence:

(biotin) CGGADRGLTTRPGSGLTNIKTEEISEVNLDAEF [SEQ ID NO: 6]

The P26-P1 standard has the sequence:
(biotin)CGGADRGLTTRPGSGLTNIKTEEISEVNL [SEQ ID NO: 7].

Briefly, the biotin-coupled synthetic substrates are incubated at a concentration of from about 0 to about 200 in this assay. micromolar When testing inhibitory compounds, substrate concentration of a about micromolar is preferred. Test compounds diluted in DMSO are added to the reaction mixture, with a final DMSO concentration of 5%. Controls also contain a final DMSO concentration of 5%. The concentration of beta secretase in the reaction is varied, to give product concentrations with the linear range of the ELISA assay, about 125 to 2000 picomolar, after dilution.

The reaction mixture also includes 20 millimolar sodium acetate, pH 4.5, 0.06% Triton X100, and is

incubated at 37 degrees C for about 1 to 3 hours. Samples are then diluted in assay buffer (for example, 145.4 nanomolar sodium chloride, 9.51 millimolar sodium phosphate, 7.7 millimolar sodium azide, 0.05% Triton X405, 6g/liter bovine serum albumin, pH 7.4) to quench the reaction, then diluted further for immunoassay of the cleavage products.

Cleavage products can be assayed by ELISA. Diluted samples and standards are incubated in assay plates coated with capture antibody, for example, SW192, for about 24 hours at 4 degrees C. After washing in TTBS buffer (150 millimolar sodium chloride, 25 millimolar Tris, 0.05% Tween 20, pH 7.5), the samples are incubated with streptavidin-AP according to the manufacturer's After a one hour incubation at room instructions. temperature, the samples are washed in TTBS and incubated with fluorescent substrate solution A (31.2 g/liter 2mg/liter, рН 30 amino-2-methyl-1-propanol, Reaction with streptavidin-alkaline phosphate permits detection by fluorescence. Compounds that are effective inhibitors of beta-secretase activity demonstrate reduced cleavage of the substrate as compared to a control.

Example D

Assays using Synthetic Oligopeptide-Substrates

Synthetic oligopeptides are prepared that incorporate the known cleavage site of beta-secretase, and optionally detectable tags, such as fluorescent or chromogenic moieties. Examples of such peptides, as well as their production and detection methods are described in U.S. Patent No: 5,942,400, herein incorporated by reference. Cleavage products can be detected using high performance liquid chromatography, or fluorescent or

chromogenic detection methods appropriate to the peptide to be detected, according to methods well known in the art.

By way of example, one such peptide has the sequence SEVNL-DAEF [SEQ ID NO: 8], and the cleavage site is between residues 5 and 6. Another preferred substrate has the sequence ADRGLTTRPGSGLTNIKTEEISEVNL-DAEF [SEQ ID NO: 9], and the cleavage site is between residues 26 and 27.

These synthetic APP substrates are incubated in the presence of beta-secretase under conditions sufficient to result in beta-secretase mediated cleavage of the substrate. Comparison of the cleavage results in the presence of the compound inhibitor to control results provides a measure of the compound's inhibitory activity.

Example E

<u>Inhibition of Beta-Secretase Activity - Cellular</u> Assay

An exemplary assay for the analysis of inhibition of beta-secretase activity utilizes the human embryonic kidney cell line HEKp293 (ATCC Accession No. CRL-1573) with APP751 transfected containing the naturally occurring double mutation Lys651Met52 to Asn651Leu652 (numbered for APP751), commonly called the mutation and shown to overproduce A beta (Citron et al., 1992, Nature 360:672-674), as described in U.S. Patent No. 5,604,102.

The cells are incubated in the presence/absence of the inhibitory compound (diluted in DMSO) at the desired concentration, generally up to 10 micrograms/ml. At the end of the treatment period, conditioned media is analyzed for beta-secretase activity, for example, by

analysis of cleavage fragments. A beta can be analyzed by immunoassay, using specific detection antibodies. The enzymatic activity is measured in the presence and absence of the compound inhibitors to demonstrate specific inhibition of beta-secretase mediated cleavage of APP substrate.

Example F

Inhibition of Beta-Secretase in Animal Models of AD

Various animal models can be used to screen for inhibition of beta-secretase activity. Examples of animal models useful in the invention include, but are not limited to, mouse, guinea pig, dog, and the like. The animals used can be wild type, transgenic, or knockout models. In addition, mammalian models can express mutations in APP, such as APP695-SW and the like described herein. Examples of transgenic non-human mammalian models are described in U.S. Patent Nos. 5,604,102, 5,912,410 and 5,811,633.

PDAPP mice, prepared as described in Games et al., 1995, Nature 373:523-527 are useful to analyze in vivo suppression of A beta release in the presence of putative inhibitory compounds. As described in U.S. Patent No. 6,191,166, 4 month old PDAPP mice are administered compound formulated in vehicle, such as corn oil. The mice are dosed with compound (1-30 mg/ml; preferably 1-10 mg/ml). After time, e.g., 3-10 hours, the animals are sacrificed, and brains removed for analysis.

Transgenic animals are administered an amount of the compound inhibitor formulated in a carrier suitable for the chosen mode of administration. Control animals are untreated, treated with vehicle, or treated with an inactive compound. Administration can be acute, i.e.,

single dose or multiple doses in one day, or can be chronic, i.e., dosing is repeated daily for a period of days. Beginning at time 0, brain tissue or cerebral fluid is obtained from selected animals and analyzed for the presence of APP cleavage peptides, including A beta, for example, by immunoassay using specific antibodies for A beta detection. At the end of the test period, animals are sacrificed and brain tissue or cerebral fluid is analyzed for the presence of A beta and/or beta-amyloid plaques. The tissue is also analyzed for necrosis.

Animals administered the compound inhibitors of the invention are expected to demonstrate reduced A beta in brain tissues or cerebral fluids and reduced beta amyloid plaques in brain tissue, as compared with non-treated controls.

Example G

Inhibition of A Beta Production in Human Patients

Patients suffering from Alzheimer's Disease (AD) demonstrate an increased amount of A beta in the brain. AD patients are administered an amount of the compound inhibitor formulated in a carrier suitable for the chosen mode of administration. Administration is repeated daily for the duration of the test period. Beginning on day 0, cognitive and memory tests are performed, for example, once per month.

Patients administered the compound inhibitors are expected to demonstrate slowing or stabilization of disease progression as analyzed by changes in one or more of the following disease parameters: A beta present in CSF or plasma; brain or hippocampal volume; A beta deposits in the brain; amyloid plaque in the brain; and

scores for cognitive and memory function, as compared with control, non-treated patients.

Example H

Prevention of A Beta Production in Patients at Risk for AD

Patients predisposed or at risk for developing AD are identified either by recognition of a familial inheritance pattern, for example, presence of the Swedish Mutation, and/or by monitoring diagnostic parameters. Patients identified as predisposed or at risk for developing AD are administered an amount of the compound inhibitor formulated in a carrier suitable for the chosen mode of administration. Administration is repeated daily for the duration of the test period. Beginning on day 0, cognitive and memory tests are performed, for example, once per month.

Patients administered the compound inhibitors are expected to demonstrate slowing or stabilization of disease progression as analyzed by changes in one or more of the following disease parameters: A beta present in CSF or plasma; brain or hippocampal volume; amyloid plaque in the brain; and scores for cognitive and memory function, as compared with control, non-treated patients.

The invention has been described with reference to various specific and preferred embodiments and techniques. However, it should be understood that many variations and modifications may be made while remaining within the spirit and scope of the invention.

SCHEME A

$$\begin{array}{c} H_2N \longrightarrow CO_2H \\ R_1 & \longrightarrow PROT \\ R_1 & \longrightarrow PROT \\ R_1 & \longrightarrow PROT \\ R_1 & \times R_2 \\ R_3 & \longrightarrow PROT \\ R_1 & \times R_2 \\ R_3 & \longrightarrow PROT \\ R_1 & \times R_2 \\ R_3 & \longrightarrow PROT \\ R_1 & \times R_2 \\ R_3 & \longrightarrow PROT \\ R_1 & \times R_2 \\ R_3 & \longrightarrow PROT \\ R_1 & \times R_2 \\ R_3 & \longrightarrow PROT \\ R_1 & \times R_2 \\ R_3 & \longrightarrow PROT \\ R_1 & \times R_2 \\ R_3 & \longrightarrow PROT \\ R_1 & \times R_2 \\ R_3 & \longrightarrow PROT \\ R_1 & \times R_2 \\ R_2 & \times R_3 \\ \end{array}$$

SCHEME B

PROT
$$\stackrel{H}{\stackrel{\circ}{R_1}} \stackrel{\circ}{R_2} \stackrel{X_1}{R_3}$$
 $\stackrel{PROT}{\stackrel{\circ}{R_1}} \stackrel{H}{\stackrel{\circ}{R_2}} \stackrel{H}{R_3} \stackrel{QH}{\stackrel{H}{R_1}} \stackrel{H}{R_2} \stackrel{QH}{R_3} \stackrel{H}{R_3} \stackrel{QH}{R_3} \stackrel{H}{R_3} \stackrel{H}{$

SCHEME C

PROT
$$R_3$$

PROT R_3

(V)

(XII)

PROT R_1
 R_1
 R_2
 R_3

(VIII)

PROT R_1
 R_2
 R_3

(XIII)

PROT R_1
 R_2
 R_3

(XIII)

PROT R_1
 R_2
 R_3

(XIII)

SCHEME D

PROT N
$$Z_1$$

PROT N Z_1

F

(A-a)

(II-a)

(XVII)

PROT N Z_2

F

(V-a)

(XIX)

(XVIII)

SCHEME E

(XXI) = $(X_3-O_2)_2$ PO-CH(NH-PROTECTING GROUP)-CO-R₁

The invention has been described with reference to various specific and preferred embodiments and techniques. However, it should be understood that many variations and modifications may be made while remaining within the spirit and scope of the invention.

What is claimed is:

1. A compound of formula:

$$\begin{array}{c|c} & OR_N & R_N' \\ & & N \\ R_1 & R_2 & R_3 \end{array}$$

or a pharmaceutically acceptable salt thereof, wherein one of R_N and $R_N{}^{\prime}$ is hydrogen and the other is $-C(=O)-(CRR')_{0-6}R_{100}, -C(=O)-(CRR')_{1-6}-O-R'_{100}, -C(=O)-(CRR')_{1-6}-S-R'_{100}, -C(=O)-(CRR')_{1-6}-C(=O)-R_{100}, -C(=O)-(CRR')_{1-6}-SO_2-R_{100}, -C(=O)-(CRR')_{1-6}-NR_{100}-R'_{100}, or <math display="block"> \frac{Z}{X} \frac{(CH_2)_{n7}-CHC(O)-CHC(O)}{R_4}$

wherein

 n_6 is 0, 1, 2, or 3; n_7 is 0, 1, 2, or 3;

 R_{4-2} and R_{4-3} are independently H, C_1 - C_3 alkyl, or C_3 - C_6 cycloalkyl;

 $R_{4\text{--}4}$ is alkyl, arylalkyl, alkanoyl, or arylalkanoyl; $R_{4\text{--}6} \text{ is-H or } C_1\text{--}C_6 \text{ alkyl};$

 R_5 is selected from the group consisting of C_3-C_7 cycloalkyl; C1-C6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C1-C4 alkoxy, heterocycloalkyl, C5-C6 heteroaryl, C6-C10 aryl, C_3-C_7 cycloalkyl C_1-C_4 alkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, C_6-C_{10} aryloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C1 - C4 haloalkyl, orOH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C₂-C₄ alkanoyl; aryl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C1-C4 alkyl, C1-C4 alkoxy, or C_1-C_4 haloalkyl; and $-NR_6R_7$; wherein R_6 and R_7 are independently selected from the group consisting of H, C1-C6 alkyl, C2-C6 alkanoyl, phenyl, -SO₂-C₁-C₄ alkyl, phenyl C_1-C_4 alkyl;

R₈ is selected from the group consisting of $-SO_2$ -heteroaryl, $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, $-SO_2-C_1-C_{10} \text{ alkyl, } -C(O)\,\text{NHR}_9, \text{ heterocycloalkyl,}$ $-S-C_1-C_6 \text{ alkyl, } -S-C_2-C_4 \text{ alkanoyl, wherein}$ $R_9 \text{ is aryl } C_1-C_4 \text{ alkyl, } C_1-C_6 \text{ alkyl, or H;}$ $R_{50} \text{ is H or } C_1-C_6 \text{ alkyl;}$

 R_{51} is selected from the group consisting of aryl C_1 - C_4 alkyl; C_1 - C_6 alkyl optionally substituted

with 1, 2, or 3 groups that are independently halogen, cyano, heteroaryl, -NR₆R₇, -C(0)NR₆R₇, cycloalkyl, -C₃-C₄ alkoxy; C3-C7 orheterocycloalkyl optionally substituted with 1 or 2 groups that are independently C1-C4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, aryl C_1-C_4 alkyl, and -SO₂ C₁-C₄ alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C1-C4 alkyl, C_1-C_4 alkoxy, halogen, NH_2 , $NH(C_1-C_6$ alkyl) or $N(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl});$ heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, $NH(C_1-C_6 \quad alkyl)$ $N(C_1-C_6)$ halogen, NH_2 , oralkyl)(C1-C6 alkyl); aryl; heterocycloalkyl; C3-C₈ cycloalkyl; and cycloalkylalkyl; wherein the aryl; heterocycloalkyl, C3-C8 cycloalkyl, and optionally cycloalkylalkyl groups are substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO2, C1-C6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1- C₆ haloalkoxy, hydroxy, C₁-C₆ hydroxyalkyl, C₁-C₆ alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, thioalkoxy C_1 - C_6 alkyl, or C_1 - C_6 alkoxy C_1 - C_6 alkoxy;

R₅₂ is heterocycloalkyl, heteroaryl, aryl, cycloalkyl, $-S(O)_{0-2}-C_1-C_6$ alkyl, CO_2H , $-C(O)_{0-2}H$

groups that are independently alkyl, alkoxy, thioalkoxy, halogen, haloalkyl, haloalkoxy, alkanoyl, NO_2 , CN, alkoxycarbonyl, or aminocarbonyl;

- R₅₃ is absent, -O-, -C(O)-, -NH-, -N(alkyl)-, -NH- $S(O)_{0-2}-, -N(alkyl)-S(O)_{0-2}-, -S(O)_{0-2}-NH-, -S(O)_{0-2}-N(alkyl)-, -NH-C(S)-, or -N(alkyl)-C(S)-;$
- R₅₄ is heteroaryl, aryl, arylalkyl, heterocycloalkyl, CO₂H, -CO₂-alkyl, -C(O)NH(alkyl), -C(O)N(alkyl) (alkyl), -C(O)NH₂, C₁-C₈ alkyl, OH, aryloxy, alkoxy, arylalkoxy, NH₂, NH(alkyl), N(alkyl) (alkyl), or -C₁-C₆ alkyl-CO₂-C₁-C₆ alkyl, each of which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, CO₂H, -CO₂-alkyl, thioalkoxy, halogen, haloalkyl, haloalkoxy, hydroxyalkyl, alkanoyl, NO₂, CN, alkoxycarbonyl, or aminocarbonyl;
- is selected from the group consisting of $-C_1-C_6$ alkylidenyl optionally optionally substituted with 1, 2, or 3 methyl groups; and $-NR_{4-6}-$; or R_4 and R_{4-6} combine to form $-(CH_2)_{n10}-$, wherein n_{10} is 1, 2, 3, or 4;
- Z is selected from the group consisting of a bond; SO_2 ; SO_2 ; SO_3 ; and C(O);
- Y is selected from the group consisting of H; C_1 - C_4 haloalkyl; C_5 - C_6 heterocycloalkyl; C_6 - C_{10} aryl; OH; $-N(Y_1)(Y_2)$; C_1 - C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3 - C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1 - C_3 alkyl, and halogen; alkoxy; aryl optionally

substituted with halogen, alkyl, alkoxy, CN or NO_2 ; arylalkyl optionally substituted with halogen, alkyl, alkoxy, CN or NO_2 ; wherein

- Y₁ and Y₂ are the same or different and are H; C₁-C₁₀ alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C₁-C₄ alkoxy, C₃-C₈ cycloalkyl, and OH; C₂-C₆ alkenyl; C₂-C₆ alkanoyl; phenyl; -SO₂-C₁-C₄ alkyl; phenyl C₁-C₄ alkyl; or C₃-C₈ cycloalkyl C₁-C₄ alkyl; or
- Y₁, Y₂ and the nitrogen to which they are attached form a ring selected from the group consisting of piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy, C₁-C₆ alkyl, or halogen;
- R_1 is $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6 \text{ alkyl})$, or
 - C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, OH, =0, -SH, -C \equiv N, -CF $_3$, -C $_1$ -C $_3$ alkoxy, amino, monoor dialkylamino, -N(R)C(O)R'-, -OC(=O)-amino and -OC(=O)-mono- or dialkylamino, or
 - C_2 - C_6 alkenyl or C_2 - C_6 alkynyl, each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C=N, -CF₃, C_1 - C_3 alkoxy, amino, and mono- or dialkylamino, or
 - aryl, heteroaryl, heterocyclyl, $-C_1-C_6$ alkyl-aryl, $-C_1-C_6$ alkyl-heteroaryl, or $-C_1-C_6$ alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen,

halogen, or

-OH, -SH, -C \equiv N, -NR₁₀₅R'₁₀₅, -CO₂R, -N(R)COR', or -N(R)SO₂R', -C(\equiv O)-(C₁-C₄) alkyl, -SO₂-amino, -SO₂-mono or dialkylamino, -C(\equiv O)-amino, -C(\equiv O)-mono or dialkylamino, -SO₂-(C₁-C₄) alkyl, or -C₁-C₆ alkoxy optionally substituted with 1, 2, or 3 groups which are independently a

- C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C=N, -CF₃, C_1-C_3 alkoxy, amino, - C_1-C_6 alkyl and mono- or dialkylamino, or
- C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, -C $_1$ -C $_3$ alkoxy, amino, mono- or dialkylamino and -C $_1$ -C $_3$ alkyl, or
- C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and
- the heterocyclyl group is optionally further substituted with oxo;

R and R' independently are hydrogen or C_1 - C_{10} alkyl;

 R_2 is selected from the group consisting of H; C_1 - C_6 alkyl, optionally substituted with 1, 2, or 3 substituents that are independently selected from the group consisting of C_1 - C_3 alkyl, halogen, -OH, -SH, -C=N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b}; wherein R_{1-a} and R_{1-b} are -H or C_1 - C_6 alkyl;

- -(CH₂)₀₋₄-aryl; -(CH₂)₀₋₄-heteroaryl; C₂-C₆ alkenyl; C₂-C₆ alkynyl; -CONR_{N-2}R_{N-3}; -SO₂NR_{N-2}R_{N-3}; -CO₂H; and -CO₂-(C₁-C₄ alkyl);
- R_3 is selected from the group consisting of H; $C_1\text{-}C_6$ alkyl, optionally substituted with 1, 2, or 3 substituents independently selected from the group consisting of $C_1\text{-}C_3$ alkyl, halogen, -OH, -SH, -C \equiv N, -CF_3, $C_1\text{-}C_3$ alkoxy, and -NR_1-aR_1-b; -(CH_2)_0-4-aryl; -(CH_2)_0-4-heteroaryl; $C_2\text{-}C_6$ alkenyl; $C_2\text{-}C_6$ alkynyl; -CO-NR_N-2R_N-3; -SO_2-NR_N-2R_N-3; -CO_2H; and CO-O-(C_1-C_4 alkyl); or
- R_2 , R_3 and the carbon to which they are attached form a carbocycle of three thru seven carbon atoms, wherein one carbon atom is optionally replaced by a group selected from-O-, -S-, -SO₂-, or -NR_{N-2}-;
- R_C is selected from the group consisting of C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205} , $-OC=ONR_{235}R_{240}$, $-S(=O)_{0-2}(C_1-C_6 \text{ alkyl})$, -SH, $-NR_{235}C = ONR_{235}R_{240}, \quad -C = ONR_{235}R_{240}, \quad and \quad -S \; (=O) \; _{2}NR_{235}R_{240};$ -(CH_2)₀₋₃-(C_3 - C_8) cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205} , $-CO_2H$, and $-CO_2-(C_1-C_4 \text{ alkyl})$; $-(CR_{245}R_{250})_{0-4}-\text{aryl}$; - $(CR_{245}R_{250})_{0-4}$ -heteroaryl; - $(CR_{245}R_{250})_{0-4}$ heterocycloalkyl; $-(CR_{245}R_{250})_{0-4}$ -aryl-heteroaryl; - $(CR_{245}R_{250})_{0-4}$ -aryl-heterocycloalkyl; - $(CR_{245}R_{250})_{0-4}$ $aryl-aryl; -(CR_{245}R_{250})_{0-4}-heteroaryl-aryl; -(CR_{245}R_{250})_{0-4}$ 4-heteroaryl-heterocycloalkyl; -(CR₂₄₅R₂₅₀)₀₋₄heteroaryl-heteroaryl; - (CR₂₄₅R₂₅₀)₀₋₄heterocycloalkyl-heteroaryl; -(CR245R250)0-4heterocycloalkyl-heterocycloalkyl; - (CR245R250)0-4-

heterocycloalkyl-aryl; $-[C(R_{255})(R_{260})]_{1-3}-CO-N-(R_{255})_2;$ -CH(aryl)₂; -CH(heteroaryl)₂; -CH(heterocycloalkyl)₂; -CH(aryl) (heteroaryl); cyclopentyl, cyclohexyl, or cycloheptyl ring fused to aryl, heteroaryl, or heterocycloalkyl wherein one carbon of the cyclopentyl, cyclohexyl, or cycloheptyl is optionally replaced with NH, NR_{215} , O, or $S(=0)_{0-2}$, and wherein the cyclopentyl, cyclohexyl, or cycloheptyl group can be optionally substituted with 1 or 2 groups that are independently R_{205} or =0; -CO- $NR_{235}R_{240}$; $-SO_2$ -(C_1 - C_4 alkyl); C_2 - C_{10} alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2 - C_{10} alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; $-(CH_2)_{0-1}-CH((CH_2)_{0-6}-OH)-(CH_2)_{0-1}-aryl; -(CH_2)_{0-6}-OH$ $_1$ -CHR $_{C-6}$ -(CH $_2$) $_{0-1}$ -heteroaryl; -CH(-aryl or -heteroaryl)-CO-O(C_1 - C_4 alkyl); -CH(-CH₂-OH)-CH(OH)- $CH_2-CH(-O-CH_2-CH_3)_2$; -H; and -(CH_2)₀₋₆- $C(=NR_{235})(NR_{235}R_{240});$ wherein each aryl is optionally substituted with 1, 2, or 3 R₂₀₀; each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200} ; each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} ; at each occurrence is independently selected R_{200} from the group consisting of C₁-C₆ optionally substituted with 1, 2, or 3 R_{205} groups; OH; -NO₂; halogen; -CO₂H; C \equiv N; -(CH₂)₀₋₄-

- $(CH_2)_{0-4}$ -CO-heteroaryl;

$$\begin{split} &\text{CO-NR}_{220}R_{225}; \ - (\text{CH}_2)_{0-4} - \text{CO-} \left(\text{C}_1 - \text{C}_{12} \ \text{alkyl} \right); \ - (\text{CH}_2)_{0-4} - \text{CO-} \left(\text{C}_2 - \text{C}_{12} \ \text{alkenyl} \right); \ - (\text{CH}_2)_{0-4} - \text{CO-} \left(\text{C}_2 - \text{C}_{12} \ \text{alkynyl} \right); \\ &- (\text{CH}_2)_{0-4} - \text{CO-} \left(\text{C}_3 - \text{C}_7 \ \text{cycloalkyl} \right); \ - \left(\text{CH}_2 \right)_{0-4} - \text{CO-aryl}; \end{aligned}$$

 $-(CH_2)_{0-4}-CO-$

heterocycloalkyl; -(CH₂) $_{0-4}$ -CO₂R₂₁₅; -(CH₂) $_{0-4}$ -SO₂- $NR_{220}R_{225}$; -(CH₂)₀₋₄-SO-(C₁-C₈ alkyl); -(CH₂)₀₋₄-SO₂- $(C_1-C_{12} \text{ alkyl}); -(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl}); (CH_2)_{0-4}-N(H \text{ or } R_{215})-CO_2R_{215};$ $-(CH_2)_{0-4}-N(H \text{ or }$ R_{215}) -CO-N(R_{215})₂; -(CH₂)₀₋₄-N-CS-N(R_{215})₂; -(CH₂)₀₋₄- $N(-H \text{ or } R_{215}) - CO - R_{220}; - (CH_2)_{0-4} - NR_{220}R_{225}; - (CH_2)_{0-4} -(CH_2)_{0-4}-O-P(O)-(OR_{240})_2;$ alkyl); O-CO- (C1-C6 $-(CH_2)_{0-4}-O-CO-N(R_{215})_2;$ $-(CH_2)_{0-4}-O-CS-N(R_{215})_2;$ - $(CH_2)_{0-4}-O-(R_{215})_2$; $-(CH_2)_{0-4}-O-(R_{215})_2-COOH$; $-(CH_2)_{0-4}-O-(R_{215})_2$ $_{4}-S-(R_{215})_{2};$ $-(CH_{2})_{0-4}-O-(C_{1}-C_{6}$ alkyl optionally substituted with 1, 2, 3, or 5 -F); C_3-C_7 cycloalkyl; C_2 - C_6 alkenyl optionally substituted with 1 or 2 R₂₀₅ groups; C₂-C₆ alkynyl optionally substituted with 1 or 2 R_{205} groups; -(CH₂)₀₋₄-N(H or R_{215}) $-SO_2-R_{220}$; and $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl; wherein each aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently $R_{205},\ R_{210}$ or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R₂₀₅ or R₂₁₀; wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R₂₁₀;

wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} , R_{210} , or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ;

 R_{205} at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C \equiv N, -CF₃, C_1 - C_6

alkoxy, NH_2 , $NH(C_1-C_6$ alkyl), and $N-(C_1-C_6$ alkyl)(C_1-C_6 alkyl);

 R_{215} at each occurrence is independently selected from the group consisting of C_1 - C_6 alkyl, - $(CH_2)_{0-2}$ -(aryl), C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 cycloalkyl, and -(CH₂)₀₋₂-(heteroaryl),- $(CH_2)_{0-2}$ - (heterocycloalkyl); wherein the aryl each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210} ; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210} ; wherein each heteroaryl group at occurrence is optionally substituted with 1, 2, or 3 R₂₁₀;

R₂₂₀ and R₂₂₅ at each occurrence are independently selected from the group consisting of -H, -C₁-C₆ alkyl, hydroxy C₁-C₆ alkyl, amino C₁-C₆ alkyl; halo C₁-C₆ alkyl; -C₃-C₇ cycloalkyl, -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl), -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl), -C₂-C₆ alkenyl, -C₂-C₆ alkynyl, -C₁-C₆ alkyl chain with one double bond and one triple

bond, -aryl, -heteroaryl, and -heterocycloalkyl; wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 $R_{\rm 270}$ groups, wherein

 R_{270} at each occurrence is independently $R_{205},\ C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2 - C_6 alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; $C_2\text{-}C_6$ alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; $NR_{235}R_{240}$; OH; C=N; C₃-C₇ cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -CO-(C_1 - C_4 alkyl); $_1SO_2-NR_{235}R_{240}$; $_2CO-NR_{235}R_{240}$; $_2SO_2-(C_1-C_4)$ alkyl); and =0; wherein the heterocycloalkyl each occurrence is optionally group at substituted with 1, 2, or 3 R₂₀₅ groups; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups;

 R_{235} and R_{240} at each occurrence are independently H, or $C_1\text{--}C_6$ alkyl;

 R_{245} and R_{250} at each occurrence are independently selected from the group consisting of H, C_1 - C_4 alkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, $-(CH_2)_{0-4}$ - C_3 - C_7 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, aryl C_1 - C_4 alkyl, heteroaryl C_1 - C_4 alkyl, and phenyl; or

 R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR₂₂₀-;

·*

 R_{255} and R_{260} at each occurrence are independently selected from the group consisting of $H; C_1-C_6$ alkyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; C₂-C₆ alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2 - C_6 alkynyl optionally substituted with 1, 2, or 3 R₂₀₅ groups; $-(CH_2)_{1-2}-S(0)_{0-2}-(C_1-C_6 \text{ alkyl}); -(CH_2)_{0-4}-$ C₃-C₇ cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -(C_1 - C_4 alkyl)-aryl; -(C_1 - C_4 alkyl)-heteroaryl; - (C₁-C₄ alkyl)heterocycloalkyl; -aryl; -heteroaryl; -heterocycloalkyl; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}-aryl;$ $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}-heteroaryl;$ and; $-(CH_2)_{1-4} R_{265}$ -(CH₂)₀₋₄-heterocycloalkyl; wherein R₂₆₅ at each occurrence is independently -O-, -S- or -N(C_1 - C_6 alkyl)-; each aryl or phenyl is optionally substituted 1, 2, or 3 groups that independently R_{205} , R_{210} , or C_1 - C_6 alkyl substituted with 1, 2, or 3 groups that

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200} , each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} ;

are independently R_{205} or R_{210} ;

R₁₀₀ and R'₁₀₀ independently represent aryl, heteroaryl, -aryl-W-aryl, heterocyclyl, -aryl-W-heteroaryl, -aryl-W-heterocyclyl, -heteroaryl-W-aryl, heteroaryl-W-heteroaryl, -heteroaryl-Wheterocyclyl, -heterocyclyl-W-aryl, -heterocyclyl-Wheteroaryl, -heterocyclyl-W-heterocyclyl, -CH[(CH_2)₀- $_{2}$ -O-R₁₅₀] - (CH₂)₀₋₂-aryl, -CH[(CH₂)₀₋₂-O-R₁₅₀]-(CH₂)₀₋₂heterocyclyl -CH [(CH₂) $_{0-2}$ -O-R₁₅₀] - (CH₂) $_{0-2}$ orheteroaryl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, -NO₂, C_1 - C_6 alkyl, halogen, -C \equiv N, -OCF₃, -CF₃, - $(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12})_{0-4}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl}), -(CH_2)_{0-4} {\rm CO-}\left({\rm C_2-C_{12}} \quad \text{ alkynyl}\right), \quad {\rm -}\left({\rm CH_2}\right)_{\rm 0-4} - {\rm CO-}\left({\rm CH_2}\right)_{\rm 0-4} \left({\rm C_3-C_7}\right)$ $-(CH_2)_{0-4}-R_{110}$ $-(CH_2)_{0-4}-R_{120}$ cycloalkyl), $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_8)$ alkyl), $-(CH_2)_{0-4}-SO_{2-}(C_1-C_{12} \text{ alkyl})$, $-(CH_2)_{0-4}-SO_2 (CH_2)_{0-4}-(C_3-C_7 \text{ cycloalkyl}), -(CH_2)_{0-4}-N(R_{150})-CO-O-$ -(CH₂)₀₋₄-N(R₁₅₀)-CO-N(R₁₅₀)₂, -(CH₂)₀₋₄-R₁₅₀, -(CH₂)₀₋₄-N(R₁₅₀)-CO-R₁₀₅, $N(R_{150}) - CS - N(R_{150})_2$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO (C_1-C_6 \text{ alkyl})$, $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}$ $_{4}$ -O-CO-N(R₁₅₀)₂, -(CH₂)₀₋₄-O-CS-N(R₁₅₀)₂, -(CH₂)₀₋₄-O- (R_{150}) , - $(CH_2)_{0-4}$ -O- R_{150} '-COOH, - $(CH_2)_{0-4}$ -S- (R_{150}) , $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105},$ $-(CH_2)_{0-4} C_3 - C_7$ cycloalkyl, (C_2-C_{10}) alkenyl, and (C₂- C_{10}) alkynyl, or

 R_{100} is $C_1\text{-}C_{10}$ alkyl optionally substituted with 1, 2, or 3 $$R_{115}$$ groups, or

 R_{100} is $-(C_1-C_6$ alkyl)-O- C_1-C_6 alkyl) or $-(C_1-C_6$ alkyl)-S- $(C_1-C_6$ alkyl), each of which is optionally substituted with 1, 2, or 3 R_{115} groups, or

 R_{100} is C_3 - C_8 cycloalkyl optionally substituted with 1, 2, or 3 R_{115} groups;

W is $-(CH_2)_{0-4}$ -, -O-, $-S(O)_{0-2}$ -, $-N(R_{135})$ -, -CR(OH)- or -C(O)-;

 R_{102} and R_{102} ' independently are hydrogen, or

 C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, aryl or $-R_{110}$;

- R_{105} and $R^\prime{}_{105}$ independently represent -H, -R $_{110}$, -R $_{120}$, C_3 -C $_7$ cycloalkyl, -(C $_1$ -C $_2$ alkyl)-(C $_3$ -C $_7$ cycloalkyl), -(C $_1$ -C $_6$ alkyl)-O-(C $_1$ -C $_3$ alkyl), C $_2$ -C $_6$ alkenyl, C $_2$ -C $_6$ alkynyl, or C $_1$ -C $_6$ alkyl chain with one double bond and one triple bond, or
 - C_1 - C_6 alkyl optionally substituted with -OH or -NH $_2$; or,
 - C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, or
- R_{105} and R'_{105} together with the atom to which they are attached form a 3 to 7 membered carbocylic ring, where one member is optionally a heteratom selected from -0-, $-S(O)_{0-2}-$, $-N(R_{135})-$, the ring being optionally substituted with 1, 2 or 3 independently selected R_{140} groups;
- R₁₁₅ at each occurrence is independently halogen, -OH, $-CO_2R_{102}$, $-C_1-C_6$ thioalkoxy, $-CO_2$ -phenyl, $-NR_{105}R'_{135}$, $-SO_2-(C_1-C_8 \text{ alkyl})$, $-C(=O)R_{180}$, R_{180} , $-CONR_{105}R'_{105}$, $-SO_2NR_{105}R'_{105}$, $-NH-CO-(C_1-C_6 alkyl)$, -NH-C(=O)-OH, -NH-C(=O)-OR, $-O-C (=O) - (C_1-C_6)$ -NH-C(=0)-O-phenyl, alkyl), -O-C(=O)-amino, -O-C(=0)-monodialkylamino, -O-C(=O)-phenyl, $-O-(C_1-C_6$ alkyl) $-CO_2H$, $-NH-SO_2-(C_1-C_6)$ alkyl), C_1-C_6 alkoxy or $C_1 - C_6$ haloalkoxy;
- R₁₃₅ is C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_7 cycloalkyl, -(CH₂)₀₋₂-(aryl), -(CH₂)₀₋₂-(heteroaryl), or -(CH₂)₀₋₂-(heterocyclyl);
- R_{140} is heterocyclyl optionally substituted with 1, 2, 3, or 4 groups independently selected from C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino,

mono (C_1-C_6) alkylamino, di (C_1-C_6) alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino (C_1-C_6) alkyl, mono (C_1-C_6) alkylamino (C_1-C_6) alkyl, di (C_1-C_6) alkylamino (C_1-C_6) alkyl, and =0;

- R_{145} is C_1 - C_6 alkyl or CF_3 ;
- R_{150} is hydrogen, C_3 - C_7 cycloalkyl, $-(C_1$ - C_2 alkyl)- $(C_3$ - C_7 cycloalkyl), C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkyl with one double bond and one triple bond, $-R_{110}$, $-R_{120}$, or
 - C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH₂, C_1-C_3 alkoxy, R_{110} , and halogen;
- R_{150} ' is C_3-C_7 cycloalkyl, $-(C_1-C_3$ alkyl)- $(C_3-C_7$ cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl with one double bond and one triple bond, $-R_{110}$, R_{120} , or
 - $C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH₂, $C_1\text{-}C_3$ alkoxy, R_{110} , and halogen;
- R_{155} is C_3 - C_7 cycloalkyl, $-(C_1$ - C_2 alkyl)- $(C_3$ - C_7 cycloalkyl), C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkyl with one double bond and one triple bond, $-R_{110}$, $-R_{120}$, or C_1 - C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH₂, C_1 - C_3 alkoxy, and halogen;
- morpholinyl, thiomorpholinyl, selected from is R₁₈₀ piperidinyl, homomorpholinyl, piperazinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl, homothiomorpholinyl S,S-dioxide, pyrrolinyl which optionally is pyrrolidinyl, each of substituted with 1, 2, 3, or 4 groups independently selected from C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen,

hydroxy, cyano, nitro, amino, mono (C_1-C_6) alkylamino, di (C_1-C_6) alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino (C_1-C_6) alkylamino (C_1-C_6) alkyl, di (C_1-C_6) alkylamino (C_1-C_6) alkyl, and =0;

- R_{110} is aryl optionally substituted with 1 or 2 R_{125} groups;
- R₁₂₅ at each occurrence is independently halogen, amino, mono- or dialkylamino, -OH, -C \equiv N, -SO₂-NH₂, -SO₂-NH-C₁-C₆ alkyl, -SO₂-N(C₁-C₆ alkyl)₂, -SO₂-(C₁-C₄ alkyl), -CO-NH₂, -CO-NH-C₁-C₆ alkyl, or -CO-N(C₁-C₆ alkyl)₂, or
 - C₁-C₆ alkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl, each of
 which is optionally substituted with 1, 2, or 3
 groups that are independently selected from C₁ C₃ alkyl, halogen, -OH, -SH, -C≡N, -CF₃, C₁-C₃
 alkoxy, amino, and mono- and dialkylamino, or
 - C_1 - C_6 alkoxy optionally substituted with one, two or three of halogen;
- R_{120} is heteroaryl, which is optionally substituted with 1 or 2 R_{125} groups; and
- R_{130} is heterocyclyl optionally substituted with 1 or 2 $$R_{125}$$ groups.
- 2. A compound according to claim 1 wherein R_{N} is hydrogen.
- 3. A compound according to claim 1 wherein $R_{N}\,!$ is hydrogen.
- 4. A compound according to claim 1 wherein $R_1 \ \text{is -C}_1\text{-C}_6 \ \text{alkyl-aryl, -C}_1\text{-C}_6 \ \text{alkyl-heterocyclyl, where the ring portions of}$

- each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C \equiv N, -NO $_2$, -NR $_{105}$ R' $_{105}$, -CO $_2$ R, -N(R)COR', or -N(R)SO $_2$ R', -C(\equiv O)-(C $_1$ -C $_4$) alkyl, -SO $_2$ -amino, -SO $_2$ -mono or dialkylamino, -C(\equiv O)-amino, -C(\equiv O)-mono or dialkylamino, -SO $_2$ -(C $_1$ -C $_4$) alkyl, or
- $C_1\text{-}C_6$ alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or
- C_3 - C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, - C_1 - C_6 alkyl and mono- or dialkylamino, or
- C_1 - C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, -C $_1$ -C $_3$ alkoxy, amino, mono- or dialkylamino and -C $_1$ -C $_3$ alkyl, or
- C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, amino, C_1 - C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.
- 5. A compound according to claim 1 wherein
- R_2 and R_3 are independently selected from H or $C_1\text{-}C_6$ alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl,

halogen, -OH, -SH, -C \equiv N, -CF $_3$, C $_1$ -C $_3$ alkoxy, and -NR $_1$ - $_a$ R $_1$ - $_b$.

- 6. A compound according to claim 1 wherein
- R_C is $-(CR_{245}R_{250})_{0-4}$ -aryl, or $-(CR_{245}R_{250})_{0-4}$ -heteroaryl, wherein aryl and heteroaryl are optionally substituted with 1, 2, or 3 R_{200} groups.
- 7. A compound according to claim 2 wherein R_{N} ' is

wherein

 R_4 is NH_2 ; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$;

wherein

n₅ is 0, 1, 2, or 3; n₇ is 0, 1, 2, or 3;

 $R_{4\text{--}2}$ and $R_{4\text{--}3}$ are independently H, $C_1\text{--}C_3$ alkyl, or $C_3\text{--}C_6$ cycloalkyl;

 R_{4-4} is alkyl, phenylalkyl, C_2 - C_4 alkanoyl, or phenylalkanoyl;

R₅ is cyclopropyl; cyclobutyl; cyclopentyl; and cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1 - C_6 alkyl, more preferably C_1 - C_2 alkyl, C_1 - C_6 alkoxy, more preferably C_1 - C_2 alkoxy, C_3 , C_4 , C_5 , C_6 alkyl), C_1 - C_6 alkyl), C_1 - C_6 alkyl), C_1 - C_6 alkyl), halogen,

CN, or NO2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF3, Cl, F, methyl, ethyl or cyano; C1-C6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, -NR₆R₇, C₁-C₄ alkoxy, $C_5 - C_6$ heterocycloalkyl, C5-C6 heteroaryl, phenyl, C3-C7 cycloalkyl, -S-C₁-C₄ alkyl, -SO₂-C₁-C₄ alkyl, -CO₂H, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_1 - C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, or C_2 - C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and -NR₆R₇; wherein

- R_6 and R_7 are independently selected from the group consisting of H, $C_1\text{-}C_6$ alkyl, $C_2\text{-}C_6$ alkanoyl, phenyl, $-SO_2\text{-}C_1\text{-}C_4$ alkyl, and phenyl $C_1\text{-}C_4$ alkyl;
- R_8 is selected from the group consisting of $-SO_2$ -heteroaryl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl or halogen; $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, $-C(O)NHR_9$, heterocycloalkyl, $-S-C_2-C_4$ alkanoyl, wherein

 $$R_9$$ is phenyl $C_1\text{-}C_4$ alkyl, $C_1\text{-}C_6$ alkyl, or H; $$R_{50}$$ is H or $C_1\text{-}C_6$ alkyl;

 R_{51} is selected from the group consisting of phenyl C_1 - C_4 alkyl; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, -NR₆R₇, -C(O)NR₆R₇, C₃-C₇ or -C₁-C₄ alkoxy; heterocycloalkyl optionally

substituted with 1 or 2 groups that independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and - SO_2 C_1 - C_4 alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and -SO₂ C_1 - C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2 , $NH(C_1-C_6)$ alkyl) or alkyl) $(C_1-C_6 \text{ alkyl})$; heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, $NH(C_1-C_6 \text{ alkyl})$ or $N(C_1-C_6 \text{ alkyl})(C_1-C_6)$ NH_2 , alkyl); phenyl; cycloalkyl, $C_3 - C_8$ and cycloalkylalkyl, wherein the phenyl; C3-C8 and cycloalkylalkyl groups cycloalkyl, optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C₁-C₆ haloalkoxy, hydroxy, hydroxyalkyl, C₁-C₆ alkoxy C₁-C₆ alkyl, thioalkoxy, C_1 - C_6 thioalkoxy C_1 - C_6 alkyl, or C_1 - C_6 alkoxy C_1 - C_6 alkoxy.

8. A compound according to claim 3 wherein R_{N} is

$$Y^Z_X^C(CH_2)_{n7}$$
-CHC(O)-R₄

wherein

 R_4 is NH_2 ; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$;

wherein

 n_6 is 0, 1, 2, or 3; n_7 is 0, 1, 2, or 3;

 R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

 R_{4-4} is alkyl, phenylalkyl, C_2 - C_4 alkanoyl, or phenylalkanoyl;

cyclopropyl; cyclobutyl; cyclopentyl; and R_5 is cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are $C_1 - C_6$ alkyl, more preferably $C_1 - C_2$ alkyl, $C_1 - C_6$ alkoxy, more preferably C_1 - C_2 alkoxy, CF_3 , OH, NH_2 , $NH(C_1-C_6 \text{ alkyl})$, $N(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl})$, halogen, CN, or NO2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF3, Cl, F, methyl, ethyl or cyano; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, -NR₆R₇, C₁-C₄ alkoxy, $C_5 - C_6$ heterocycloalkyl, C5-C6 heteroaryl, phenyl, cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, -CONR₆R₇, -CO₂-C₁-C₄ alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_1 - C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups independently $C_1\text{-}C_4$ alkyl, $C_1\text{-}C_4$ alkoxy, halogen, or C_2 - C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen,

OH, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkyl; and -NR₆R₇; wherein

 R_6 and R_7 are independently selected from the group consisting of H, C_1 - C_6 alkyl, C_2 - C_6 alkanoyl, phenyl, $-SO_2$ - C_1 - C_4 alkyl, and phenyl C_1 - C_4 alkyl;

 R_8 is selected from the group consisting of $-SO_2$ -heteroaryl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl or halogen;, $-SO_2$ -aryl, $-SO_2$ -heterocycloalkyl, -C(0) NHR $_9$, heterocycloalkyl, -S- C_2 - C_4 alkanoyl, wherein

 $$R_9$$ is phenyl $C_1\!-\!C_4$ alkyl, $C_1\!-\!C_6$ alkyl, or $H\,;$ R_{50} is H or $C_1\!-\!C_6$ alkyl;

 R_{51} is selected from the group consisting of phenyl C_1 - C_4 alkyl; C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(O)NR_6R_7$ $C_3 - C_7$ or-C1-C4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and $-SO_2$ C_1 - C_4 heterocycloalkylalkyl optionally alkyl; substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, and -SO₂ C₁-C₄ alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C₁-C₄ alkyl, C₁-C₄ alkoxy, halogen, NH₂, NH(C_1-C_6 alkyl) or $N(C_1-C_6$ alkyl)(C_1-C_6 heteroarylalkyl optionally substituted with 1, 2, or 3 groups that

are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halogen, NH_2 , $NH(C_1-C_6$ alkyl) or $N(C_1-C_6$ alkyl); phenyl; $C_3 - C_8$ $alkyl)(C_1-C_6$ cycloalkyl, and cycloalkylalkyl, wherein C_3-C_8 cycloalkyl, the phenyl; groups are optionally cycloalkylalkyl substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy.

- 9. A compound according to claim 2 wherein
- $R_{N}{}^{,}$ is-C(=0)-phenyl, where the phenyl ring is optionally substituted with 1 or 2 groups independently selected from
- 10. A compound according to claim 3 wherein
- R_{N} is-C(=0)-phenyl, where the phenyl ring is optionally substituted with 1 or 2 groups independently selected from

11. A compound according to claim 1 selected from the group consisting of:

```
N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -3- (butylsulfonyl) -N^1- (3-ethylbenzyl) -D-
alaninamide dihydrochloride
                     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 [(benzyloxy) carbonyl] -3-
 [(1-propylbutyl)sulfonyl]-D-alaninamide trifluoroacetate
                     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -3-[(1-
propylbutyl) sulfonyl] -D-alaninamide hydrochloride
                     N-[(2R, 3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-[(methylsulfonyl)amino]-
1,3-thiazole-5-carboxamide
                     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -3 - [(1-
propylbutyl) sulfonyl] -L-alaninamide bis(trifluoroacetate)
                     N-[(2R, 3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(butylsulfonyl)-N-(3-
ethylbenzyl) propanamide hydrochloride
                       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
 ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)propanoate
dihydrochloride
                      (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5
 ethylbenzyl) amino] methyl propyl
                                                                                                                                                                                                                                                  3 -
 [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
 dihydrochloride
                      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
 ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                                                                                                                 3 -
  [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
 dihydrochloride
                       (1R, 2S) - 2-amino-3-(3, 5-difluorophenyl) - 1-({ [3-
```

```
(trifluoromethyl)benzyl]amino}methyl)propyl
                                                                                                                                         3 ~
 [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
dihydrochloride
            N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybuty1]-N(u)1(d)-(3-ethylbenzy1)-5-(1,3-oxazol-2-
yl) -N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide hydrochloride
           N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybuty1] -5 - (1,3-oxazo1-2-y1) -N^3,N^3-dipropy1-N^1-[3-y]
 (trifluoromethyl)benzyl]isophthalamide hydrochloride
           N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-(1,3-oxazol-2-yl)-N^3,N^3-dipropyl-N^1-[3-
 (trifluoromethyl)benzyl]isophthalamide
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-({[1-(3-
ethynylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                        3 -
 [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
           N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(butylsulfonyl)-N1-(3-ethylbenzyl)-
N<sup>2</sup>[(methoxy)carbonyl]-D-alaninamide dihydrochloride
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl{propyl 3-[(dipropylamino)carbonyl]-
5-{[(2-hydroxyethyl)amino]sulfonyl}benzoate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-(\{[(2-
isobutyl-1,3-thiazol-5-yl)methyl]amino}methyl)propyl
 [(dipropylamino)carbonyl]-5-ethynylbenzoate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
isopropylbenzyl)amino]methyl}propyl
                                                                                                                                          3 -
 [(dipropylamino)carbonyl]-5-ethynylbenzoate
             (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - am
isopropylbenzyl)amino]methyl}propyl
                                                                                                                                          3 -
 [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}benzoate
```

```
(1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
    ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
    5-(4-methyl-1,3-oxazol-2-yl)benzoate
                       (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[(2-
   isobutyl-1,3-thiazol-5-yl)methyl]amino}methyl)propyl
                                                                                                                                                                                                                           3 -
    [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
                      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
  ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
  5-{[(3-hydroxypropyl)amino]sulfonyl}benzoate hydrochloride
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  propylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                          3 -
   [(dipropylamino)carbonyl]-5-methylbenzoate
                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluo
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                         3 -
  { [butyl (methyl) amino] carbonyl}-5-methylbenzoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethynylbenzyl) amino] methyl } propyl
                                                                                                                                                                                                                         3 -
  [(dipropylamino)carbonyl]-5-ethynylbenzoate
                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - difluorophenyl) - ({[(3 - amino - 3, 5 - (3, 5 - (3, 5) - (3, 5 - (3, 5) - (3, 5 - (3, 5) - (3, 5) - (3, 5) - (3, 5) - (3, 5 - (3, 5) - 
 isobutylisoxazol-5-yl)methyl]amino}methyl)propyl
                                                                                                                                                                                                                        3 -
  [(dipropylamino)carbonyl]-5-ethynylbenzoate
                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(dimethylamino)sulfonyl]-
5-[(dipropylamino)carbonyl]benzoate
                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-(1,3-oxazol-2-yl)benzoate hydrochloride
                   (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-
formyl-2-thienyl)benzyl]amino}methyl)propyl
                                                                                                                                                                                                                       3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
                   (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
iodobenzyl) amino] methyl } propyl
                                                                                                                                                                                        3-bromo-5-
[(dipropylamino)carbonyl]benzoate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]
5-({[(1R)-2-hydroxy-1-methylethyl]amino}sulfonyl)benzoate
            (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
isobutylbenzyl)amino]methyl}propyl
                                                                                                                                            3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
            (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[3-
 (trifluoromethyl) benzyl] amino } methyl) propyl
                                                                                                                                            3 -
 [(dipropylamino)carbonyl]-5-ethynylbenzoate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                      3 - \{ (2R) - 2 - 3 \}
 (methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzoate
hydrochloride
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-({[(1S)-2-hydroxy-1-methylethyl]amino}sulfonyl)benzoate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                             3 -
 { [butyl (propyl) amino] carbonyl}-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl 3-[(dibutylamino)carbonyl]-
 5-methylbenzoate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-
 hydroxyprop-1-yn-1-yl)benzyl]amino}methyl)propyl
  [(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
 5-\{[(2S)-2-(hydroxymethyl)pyrrolidin-1-yl]sulfonyl\}benzoate
              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluo
                                                                                                                                             3 -
 ethylbenzyl)amino]methyl}propyl
  { [butyl (ethyl) amino] carbonyl}-5-methylbenzoate
              (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
  ethynylbenzyl)amino]methyl}propyl
```

```
[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
             (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - am
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                         . 3-
 { [cyclohexyl (methyl) amino] carbonyl}-5-methylbenzoate
             (1R, 2S) - 2 - amino - 1 - ({[3 -
 (cyclopropylamino)benzyl]amino}methyl)-3-(3,5-
difluorophenyl) propyl
                                                                         3-[(dipropylamino)carbonyl]-5-
ethynylbenzoate
             thienyl)benzyl]amino}methyl)propyl
                                                                                                                                           3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[3-
 (trifluoromethyl)benzyl]amino}methyl)propyl
                                                                                                                                           3 -
 [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-(piperazin-1-ylsulfonyl)benzoate dihydrochloride
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
iodophenyl) cyclopropyl] amino } methyl) propyl
                                                                                                                                           3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-1-{[(3-sec-butylbenzyl)amino]methyl}-3-
(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-
methylbenzoate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-(3-methylisoxazol-4-yl)benzoate hydrochloride
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[1-(3-
isobutylisoxazol-5-yl)cyclopropyl]amino}methyl)propyl
                                                                                                                                          3 -
[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[1-(3-
ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                          3 -
[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
```

```
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 2-[(dipropylamino)carbonyl]-
6-methylisonicotinate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                             3 -
{[(cyclopropylmethyl) (propyl)amino]carbonyl}-5-
methylbenzoate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
methoxybenzyl)amino]methyl}propyl
                                                             3 -
[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
ethynylphenyl)cyclopropyl]amino}methyl)propyl
                                                             3 -
[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                          3-(aminosulfonyl)-5-
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-[({3-[(1Z)-
prop-1-en-1-yl]benzyl}amino)methyl]propyl
                                                             3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-(1H-pyrazol-4-yl)benzoate hydrochloride
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
ethylphenyl)-1-methylethyl]amino}methyl)propyl
                                                             3 -
[(dipropylamino)carbonyl]-5-ethynylbenzoate
      (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-
 (trifluoromethyl)benzyl]amino}methyl)propyl
                                                             3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
      (1R, 2S) -1-{[(3-allylbenzyl)amino]methyl}-2-amino-3-
                                3-[(dipropylamino)carbonyl]-5-
 (3,5-difluorophenyl)propyl
methylbenzoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-({[1-(3-
 ethylphenyl)cyclopropyl]amino}methyl)propyl
```

```
[(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[1-(3-
ethylphenyl) -1-methylethyl] amino }methyl) propyl
                                                                                                                                                 3 -
 [(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                 3 -
{ [ethyl (propyl) amino] carbonyl}-5-methylbenzoate
             (1R, 2S) - 2 - amino - 1 - ({ [3 - ]}
(cyclopropylamino)benzyl]amino}methyl)-3-(3,5-
difluorophenyl)propyl
                                                                          3-[(dipropylamino)carbonyl]-5-
methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[1-(3-
ethynylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                3 -
[(dipropylamino)carbonyl]-5-ethynylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[1-(3-
isobutylisoxazol-5-yl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[3-(5-
formyl-4-methyl-2-thienyl)benzyl]amino}methyl)propyl
[(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
isopropylbenzyl)amino]methyl}propyl
[(dipropylamino)carbonyl]nicotinate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-[({3}-
[(methylsulfonyl)amino]benzyl}amino)methyl]propyl
                                                                                                                                                3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
ethylbenzyl)amino]methyl}propyl 3-[(butylamino)carbonyl]-5-
methylbenzoate
             methylbutyl)benzyl]amino}methyl)propyl
                                                                                                                                               3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
```

```
(1R, 2S) -2-amino-1-{[(biphenyl-3-ylmethyl)amino]methyl}-
3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-
methylbenzoate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-({[1-(3-
ethynylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                             3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
            (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorop
ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-({[2-(methylamino)ethyl]amino}sulfonyl)benzoate
hydrochloride
            (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
isobutylisoxazol-5-yl)cyclopropyllamino}methyl)propyl
                                                                                                                                             3 -
 [(dipropylamino)carbonyl]-5-ethynylbenzoate
             (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(diallylamino)carbonyl]-
 5-methylbenzoate
             (1R, 2R) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(2-
 isobutyl-1,3-thiazol-5-yl)cyclopropyl]amino}methyl)propyl 3-
  [(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
 ethylphenyl) -1-methylethyl]amino}methyl)propyl
                                                                                                                                             3 -
  [(dipropylamino)carbonyl]-5-methylbenzoate
              (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                 3-{[(2-
 ethylbenzyl)amino]methyl}propyl
 hydroxyethyl) amino] sulfonyl}-5-
  [(propylamino)carbonyl]benzoate
              (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
  ethylbenzyl)amino]methyl}propyl
                                                                                                                        3-methyl-5-
  { [methyl (propyl) amino] carbonyl}benzoate
              (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
  ethylbenzyl)amino]methyl}propyl
                                                                                      N-(phenylsulfonyl)-3-[(1-
  propylbutyl)sulfonyl]alaninate hydrochloride
              (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
```

```
ethylbenzyl)amino]methyl}propyl 3-[(diethylamino)carbonyl]-
   5-(1,3-oxazol-2-yl)benzoate
                                         (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
   ethylbenzyl)amino]methyl}propyl N-[(benzylamino)carbonyl]-3-
     [(1-propylbutyl)sulfonyl]alaninate trifluoroacetate
                                        (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-pyridin-
   3-ylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
   5-methylbenzoate
                                       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                      5-
   [(dipropylamino)carbonyl]nicotinate 1-oxide
                                        formyl-2-furyl)benzyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                                                                                                                                     3 -
   [(dipropylamino)carbonyl]-5-methylbenzoate
                                       (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]
  5-(1-methyl-1H-imidazol-2-yl)benzoate
                                       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
  ethylbenzyl)amino]methyl}propyl 3-[(diethylamino)carbonyl]-
  5-methylbenzoate
                                       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({ [3 - 3]}) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 - difluorophenyl) - 3 - (5, 5 
   (ethylsulfinyl)benzyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                                                                                                                            . 3 -
   [(dipropylamino)carbonyl]-5-methylbenzoate
                                      (1R, 2S) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 1 - \{ [(3 - 3) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                  3 -
  { [butyl (ethyl) amino] sulfonyl } propanoate
                                      (1R, 2S) -2-amino-1-\{[(3-cyanobenzyl) amino] methyl\}-3-
  (3,5-difluorophenyl)propyl
                                                                                                                                                                                                                3-[(dipropylamino)carbonyl]-5-
methylbenzoate
                                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                      3-[(1-
propylbutyl) sulfonyl] propanoate hydrochloride
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                              3.
ethylbenzyl)amino]methyl}propyl
{ [isobutyl (methyl) amino] carbonyl}-5-methylbenzoate
     (1R.2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-pyridin-
2-ylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl].
5-methylbenzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                    2-[(methylsulfonyl)amino]-
iodobenzyl) amino] methyl } propyl
1,3-oxazole-4-carboxylate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-[({3}-
[methyl (methylsulfonyl) amino] benzyl amino) methyl] propyl
[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-{ [(3-
ethylbenzyl)amino]methyl}propyl N-(3-phenylpropanoyl)-3-[(1-
propylbutyl)sulfonyl]alaninate trifluoroacetate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[3-
(ethylsulfonyl)benzyl]amino}methyl)propyl
                                                              3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                N-[(5-chloro-2-
thienyl)sulfonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
hydrochloride
     (1R, 2S) -1- ({ [3-(5-acetyl-2-
thienyl)benzyl]amino}methyl)-2-amino-3-(3,5-
                                3-[(dipropylamino)carbonyl]-5-
difluorophenyl)propyl
methylbenzoate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                       3-[(sec-
butylamino) carbonyl]-5-methylbenzoate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-{ [(3-
ethylbenzyl)amino]methyl}propyl 3-(1,3-oxazol-2-yl)benzoate
hydrochloride
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-{ [(3-
```

```
ethylbenzyl)amino]methyl}propyl
                                                                                              3-methyl-5-{[methyl(2-
phenylethyl) amino] carbonyl }benzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[3, (3, 5)
dimethylisoxazol-4-yl)benzyl]amino}methyl)propyl
                                                                                                                                                3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(prop-2-
yn-1-yl)amino]carbonyl}benzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                3-
{ [ethyl (methyl) amino] carbonyl}-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
 { [(dimethylamino) carbonyl] oxy}benzyl) amino] methyl }propyl
 [(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                3 -
{ [benzyl (methyl) amino] carbonyl}-5-methylbenzoate
             (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
ethylbenzyl)amino]methyl}propyl
                                                                                                                                 3-{[sec-
butyl(propyl)amino]carbonyl}-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[3-(4-
methyl-2-thienyl)benzyl]amino\methyl)propyl
                                                                                                                                                3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-[({3}-
 [(methoxycarbonyl)(methyl)amino]benzyl}amino)methyl]propyl
3-[(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) - 2 - amino - 1 - ({[3 -
(trifluoromethyl)benzyl]amino}methyl)-3-(2,3,5-
trifluorophenyl)propyl
                                                                          3-[(dipropylamino)carbonyl]-5-
methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                               3 ~
 [(diisobutylamino)carbonyl]-5-methylbenzoate
```

```
(1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                                                                                                                                                 3-methyl-5-{ [methyl (2-
ethylbenzyl)amino]methyl}propyl
pyridin-2-ylethyl)amino]carbonyl}benzoate
                                  (1R, 2S) -2-amino-3-(3-fluoro-5-hydroxyphenyl)-1-{[(3-
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                        3 -
  [(dipropylamino)carbonyl]-5-methylbenzoate hydrochloride
                                  (1R, 2S) -2-amino-3-(3-chloro-5-fluorophenyl)-1-\{[(3-
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                             3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                                  (1R, 2S) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 1 - \{ [(3 - 3) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3
 iodobenzyl) amino] methyl } propyl
                                                                                                                                                                                                                            4-hydroxy-3-(pyrrolidin-1-
ylcarbonyl) benzoate
                                  (1R, 2S) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 1 - \{ [(3 - 3) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                       5-oxo-D-proly1-3-[(1-
propylbutyl)sulfonyl]alaninate hydrochloride
                                   (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-pyridin-
 4-ylbenzyl) amino] methyl propyl 3-[(dipropylamino) carbonyl] -
 5-methylbenzoate
                                   (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-[({3}
  [(dimethylamino)sulfonyl]benzyl}amino)methyl]propyl
                                                                                                                                                                                                                                                                                                                                                                                                        3 -
  [(dipropylamino)carbonyl]-5-methylbenzoate
                                   (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(6-methoxy-
 1,2,3,4-tetrahydronaphthalen-1-yl)amino]methyl}propyl
   [(dipropylamino)carbonyl]-5-methylbenzoate
                                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                        N-(phenylacetyl)-3-[(1-
 propylbutyl) sulfonyl] alaninate
                                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - 3) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) - (3 - 3) 
 ethylbenzyl)amino]methyl}propyl 3-(azepan-1-ylcarbonyl)-5-
 methylbenzoate
                                     (1R, 2S) - 2-amino-3-(3, 5-difluorophenyl) -1-[({3}
   [(methoxycarbonyl)amino]benzyl}amino)methyl]propyl
                                                                                                                                                                                                                                                                                                                                                                                                        3 -
    [(dipropylamino)carbonyl]-5-methylbenzoate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                  5-oxo-L-prolyl-3-[(1-
propylbutyl) sulfonyl] alaninate hydrochloride
                   (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
ethylbenzyl)amino]methyl}propyl 3-[(isobutylamino)carbonyl].
5-methylbenzoate
                  4-[((1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl)oxy]-4-oxo-3-{[(1-
propylbutyl)sulfonyl]methyl}butanoic acid trifluoroacetate
                   (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                        3 -
 [methyl (methylsulfonyl) amino] benzoate
                  N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -5-{[(2-
hydroxyethyl) amino] sulfonyl}-N', N'-dipropylisophthalamide
                  N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-ethynyl-N-[(2-isobutyl-1,3-thiazol-5-
yl)methyl]-N', N'-dipropylisophthalamide
                  N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-ethynyl-N-(3-isopropylbenzyl)-N', N'-
dipropylisophthalamide
                  N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-isopropylbenzyl)-5-(1,3-oxazol-2-yl)-
N', N'-dipropylisophthalamide
                  N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N - (3 - ethylbenzyl) - 5 - { [(2 - hydroxy - 1, 1 - hydroxy - 1, 1 - hydroxy - 1, 1 - hydroxy - 1, 1 - hydroxybutyl] - N - (3 - ethylbenzyl) - 5 - { [(2 - hydroxy - 1, 1 - hydroxybutyl] - N - (3 - ethylbenzyl) - 5 - { [(2 - hydroxy - 1, 1 - hydroxybutyl] - N - (3 - ethylbenzyl) - 5 - { [(2 - hydroxy - 1, 1 - hydroxybutyl] - N - (3 - ethylbenzyl) - 5 - { [(2 - hydroxy - 1, 1 - hydroxybutyl] - N - (3 - ethylbenzyl) - 5 - { [(2 - hydroxy - 1, 1 - hydroxybutyl] - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - 5 - { [(2 - hydroxy - 1, 1 - hydroxybutyl] - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3 - ethylbenzyl) - N - (3
dimethylethyl) amino[sulfonyl]-N', N'-dipropylisophthalamide]
                  N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-5-(4-methyl-1,3-oxazol-2-
yl) -N', N'-dipropylisophthalamide
                  N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-[(2-isobutyl-1,3-thiazol-5-yl)methyl]-5-
 (1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -5-{[(3-
\verb|hydroxypropyl|| amino|| sulfonyl| - N', N' - dipropylisophthalamide|
hydrochloride
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - 5-methyl-N', N'-dipropyl-N-(3-
propylbenzyl) isophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\verb|hydroxybutyl|-N'-butyl-N-(3-ethylbenzyl)-N',5-|
 dimethylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\verb|hydroxybutyl| -5-ethynyl-N-(3-ethynylbenzyl)-N', N'-\\
 dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-5-ethynyl-N-[(3-isobutylisoxazol-5-yl)methyl]-
 N', N'-dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -5 - [(dimethylamino) sulfonyl] -N - (3 - ethylbenzyl) -
  N', N'-dipropylisophthalamide
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  dipropylisophthalamide hydrochloride
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  \label{lem:hydroxybutyl} \textbf{hydroxybutyl} \textbf{-N-[3-(5-formyl-2-thienyl)benzyl]-5-methyl-}
  N', N'-dipropylisophthalamide
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl] -5-bromo-N-(3-iodobenzyl)-N', N'-
   dipropylisophthalamide
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
   \label{eq:hydroxybutyl} \ -N- (3-ethylbenzyl)-5-(\{[(1R)-2-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydroxy-1-hydrox
   methylethyl] aminosulfonyl) - N', N' -dipropylisophthalamide
                N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
   hydroxybutyl] - N - (3-isobutylbenzyl) - 5-methyl - N', N' -
```

```
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-ethynyl-N', N'-dipropyl-N-[3-
(trifluoromethyl)benzyl]isophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -3-{[(2R) -2-
(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzamide
hydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -5-({[(1S)-2-hydroxy-1-
methylethyl]amino}sulfonyl)-N', N'-dipropylisophthalamide
     N-(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N'-butyl-N-(3-ethylbenzyl) -5-methyl-N'-
propylisophthalamide
     hydroxybutyl]-N',N'-dibutyl-N-(3-ethylbenzyl)-5-
methylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[3-(3-hydroxyprop-1-yn-1-yl)benzyl]-5-
methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -5-{[(2S)-2-
(hydroxymethyl)pyrrolidin-1-yl]sulfonyl}-N',N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N' -butyl-N' -ethyl-N- (3-ethylbenzyl) -5-
methylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethynylbenzyl) -5-(1,3-oxazol-2-yl) -N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N' -cyclohexyl - N- (3-ethylbenzyl) - N', 5-
dimethylisophthalamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybuty1] -N-[3-(cyclopropylamino)benzyl]-5-ethynyl-
N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -5-methyl-N', N'-dipropyl-N-[3-(3-
thienyl)benzyl]isophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
(trifluoromethyl)benzyl]isophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N-(3-ethylbenzyl) - 5-(piperazin-1-ylsulfonyl) -
N', N'-dipropylisophthalamide dihydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[1-(3-iodophenyl)cyclopropyl]-5-methyl-
N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-sec-butylbenzyl) -5-methyl-N', N'-
 dipropylisophthalamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -5-(3-methylisoxazol-4-yl)-
 N', N'-dipropylisophthalamide hydrochloride
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 \label{eq:hydroxybutyl} \textbf{hydroxybutyl} \textbf{-N-[1-(3-isobutylisoxazol-5-yl)cyclopropyl]-5-}
 (1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N-[1-(3-ethylphenyl)cyclopropyl]-5-(1,3-
 oxazol-2-yl)-N',N'-dipropylisophthalamide
      N^4 - [(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
 hydroxybutyl] -N^4 - (3-ethylbenzyl) -6-methyl-N^2, N^2 -
 dipropylpyridine-2,4-dicarboxamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 \label{localized-equation}  \mbox{hydroxybuty1]-N-(cyclopropylmethy1)-N-(3-ethylbenzy1)-5-} 
 methyl-N'-propylisophthalamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-methoxybenzyl) -5-(1,3-oxazol-2-yl) -N',N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[1-(3-ethynylphenyl)cyclopropyl]-5-(1,3-
oxazol-2-yl)-N',N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{localization} \verb|hydroxybutyl|-5-(aminosulfonyl)-N-(3-ethylbenzyl)-N',N'-\\
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{lem:hydroxybutyl} \verb| -5-methyl-N- {3-[(1Z)-prop-1-en-1-yl]benzyl}- \\
N', N'-dipropylisophthalamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl} \textbf{hydroxybutyl}] \textbf{-N-} (3-\texttt{ethylbenzyl}) \textbf{-N'}, \textbf{N'} \textbf{-dipropyl-5-} (1\textbf{\textit{H-}}
pyrazol-4-yl)isophthalamide hydrochloride
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[1-(3-ethylphenyl)-1-methylethyl]-5-ethynyl-
N', N'-dipropylisophthalamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-methyl-N',N'-dipropyl-N-[3-
 (trifluoromethyl)benzyl]isophthalamide
      N-(3-allylbenzyl)-N-[(2R,3S)-3-amino-4-(3,5-1)]
difluorophenyl) - 2 - hydroxybutyl] - 5 - methyl - N', N' -
dipropylisophthalamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{lem:hydroxybutyl]-N-[1-(3-ethylphenyl)cyclopropyl]-5-methyl-} \\
 N', N'-dipropylisophthalamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N-[1-(3-ethylphenyl)-1-methylethyl]-5-(1,3-
 oxazol-2-yl)-N',N'-dipropylisophthalamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 propylisophthalamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl} \textbf{hydroxybutyl} \textbf{-N-[3-(cyclopropylamino)benzyl]-5-methyl-N', N'-1}
dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{lem:hydroxybutyl} $$ -5-ethynyl-N-\{1-(3-ethynylphenyl)\,cyclopropyl]-$$
N', N'-dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl} \textbf{-N-} [1-(3-isobutylisoxazol-5-yl)cyclopropyl]-5-
methyl-N', N'-dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N-[3-(5-formyl-4-methyl-2-thienyl)benzyl]-5-
 methyl-N',N'-dipropylisophthalamide
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 \label{eq:hydroxybutyl} \textbf{hydroxybutyl}] \textbf{-N-} (3-\texttt{isopropylbenzyl}) \textbf{-N'}, \textbf{N'-dipropylpyridine-}
 3,5-dicarboxamide
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 \label{lem:hydroxybutyl} \verb| -5-methyl-N- {3-[(methylsulfonyl)amino]benzyl}- \\
  N', N'-dipropylisophthalamide
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl] -N' -butyl-N- (3-ethylbenzyl) -5-
  methylisophthalamide
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  \label{eq:hydroxybutyl} \verb|-5-methyl-N-[3-(3-methylbutyl)benzyl]-N', N'-\\
   dipropylisophthalamide
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
   \label{lem:hydroxybutyl} -N-\ (\mbox{biphenyl-3-ylmethyl}) -5-\mbox{methyl-}N'\ ,N'-\ -1-\mbox{methyl-}N'\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N'-\ ,N
   dipropylisophthalamide
                N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
   hydroxybutyl] -N-[1-(3-ethynylphenyl)cyclopropyl]-5-methyl-
   N', N'-dipropylisophthalamide
                N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
    hydroxybutyl]-N-(3-ethylbenzyl)-5-({[2-
     (methylamino) ethyl] amino sulfonyl) - N', N'-
```

```
dipropylisophthalamide hydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-ethynyl-N-[1-(3-isobutylisoxazol-5-
yl)cyclopropyl]-N',N'-dipropylisophthalamide
     N, N-diallyl-N'-[(2R, 3S)-3-amino-4-(3,5-difluorophenyl)-
2-hydroxybutyl]-N'-(3-ethylbenzyl)-5-methylisophthalamide
     N-[(2R,3R)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[1-(2-isobutyl-1,3-thiazol-5-
yl)cyclopropyl]-5-methyl-N',N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N-[1-(3-ethylphenyl)-1-methylethyl]-5-methyl-
N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -5-{[(2-
hydroxyethyl) amino] sulfonyl}-N'-propylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N - (3 - ethylbenzyl) - N', 5 - dimethyl - N' -
propylisophthalamide
     N^{1} - [(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 - (phenylsulfonyl) -3 - [(1-
propylbutyl)sulfonyl]alaninamide hydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N', N'-diethyl-N-(3-ethylbenzyl)-5-(1,3-oxazol-
2-yl) isophthalamide
     N^{1}-[(2R, 3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^2-[(benzylamino)carbonyl] -N^1-(3-ethylbenzyl)-
3-[(1-propylbutyl)sulfonyl]alaninamide
                                              trifluoroacetate
(salt)
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-methyl-N',N'-dipropyl-N-(3-pyridin-3-
ylbenzyl) isophthalamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl] -N-(3-ethylbenzyl) -N', N'-dipropylpyridine-3,5-
dicarboxamide 1-oxide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{local_equation} \mbox{hydroxybutyl]-$N'-ethyl-$N-[3-(3-formyl-2-furyl)$ benzyl]-5-}
methyl-N'-propylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-5-(1-methyl-1H-imidazol-2-
yl)-N',N'-dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N', N' -diethyl-N-(3-ethylbenzyl) -5-
methylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{lem:hydroxybutyl]-N-[3-(ethylsulfinyl)benzyl]-5-methyl-N', N'-N'-N', N'-N'-N', N'-N'-N', N'-N'-N', N'-N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', N'-N', 
 dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -3-{[butyl(ethyl)amino]sulfonyl}-N-(3-
 ethylbenzyl)propanamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N-(3-cyanobenzyl)-5-methyl-N', N'-
 dipropylisophthalamide
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N-(3-ethylbenzyl)-3-[(1-
 propylbutyl)sulfonyl]propanamide hydrochloride
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N-(3-ethylbenzyl) -N'-isobutyl-N', 5-
  dimethylisophthalamide
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl]-5-methyl-N', N'-dipropyl-N-(3-pyridin-2-
  ylbenzyl) isophthalamide
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl] -N-(3-iodobenzyl) -2-[(methylsulfonyl)amino] -
  1,3-oxazole-4-carboxamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-methyl-N-{3-
[methyl (methylsulfonyl) amino] benzyl}-N', N'-
dipropylisophthalamide
     N^{1}-[(2R, 3S)-3-amino-4-(3, 5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 - (3-phenylpropanoyl) -3-
[(1-propylbutyl)sulfonyl]alaninamide trifluoroacetate (salt)
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-[3-(ethylsulfonyl)benzyl]-5-methyl-N', N'-
dipropylisophthalamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^2 - [(5-chloro-2-thienyl)sulfonyl] -N^1 - (3-
ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
hydrochloride
     N-[3-(5-acetyl-2-thienyl)benzyl]-N-[(2R,3S)-3-amino-4-
(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N' - (sec-butyl) -N- (3-ethylbenzyl) -5-
methylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-(1,3-oxazol-2-yl)benzamide
hydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -N', 5-dimethyl -N'-(2-
phenylethyl) isophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[3-(3,5-dimethylisoxazol-4-yl)benzyl]-5-
methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -N',5-dimethyl-N'-prop-2-yn-
1-ylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl] -N' -ethyl-N- (3-ethylbenzyl) -N',5-
dimethylisophthalamide
            3-[([(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] {3-[(dipropylamino)carbonyl]-5-
methylbenzoyl}amino)methyl]phenyl dimethylcarbamate
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N' -benzyl -N- (3-ethylbenzyl) -N', 5-
dimethylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl} \ -N' - (sec-butyl) - N- (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 5-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - N' - (3-ethylbenzyl) - 3-methyl - (3-ethylbenzyl) - 3-methyl -
propylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -5-methyl-N-[3-(4-methyl-2-thienyl)benzyl] -
N', N'-dipropylisophthalamide
             methyl \{3-[((2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] {3-[(dipropylamino)carbonyl]-5-
methylbenzoyl amino) methyl] phenyl methylcarbamate
             N-[(2R,3S)-3-amino-2-hydroxy-4-(2,3,5-
 trifluorophenyl)butyl]-5-methyl-N',N'-dipropyl-N-[3-
 (trifluoromethyl)benzyl]isophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N-(3-ethylbenzyl) -N'; N'-diisobutyl-5-
 methylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-N-(3-ethylbenzyl)-N',5-dimethyl-N'-(2-pyridin-
 2-vlethyl) isophthalamide
              N-[(2R,3S)-3-amino-4-(3-fluoro-5-hydroxyphenyl)-2-
 hydroxybutyl] -N-(3-methoxybenzyl)-5-methyl-N', N'-
 dipropylisophthalamide hydrochloride
              N^{1}-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-
 hydroxybutyl] -N^1-(3-methoxybenzyl) -N^3, N^3-dipropylbenzene-
 1,3,5-tricarboxamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-4-hydroxy-N-(3-iodobenzyl)-3-(pyrrolidin-1-
ylcarbonyl) benzamide
     5-oxo-D-prolyl-N^1-[(2R,3S)-3-amino-4-(3,5-
difluorophenyl) -2-hydroxybutyl] -N^1-(3-ethylbenzyl) -3-[(1-
propylbutyl) sulfonyl] alaninamide hydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-methyl-N',N'-dipropyl-N-(3-pyridin-4-
ylbenzyl) isophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-{3-[(dimethylamino)sulfonyl]benzyl}-5-
methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-
yl)-5-methyl-N', N'-dipropylisophthalamide
     N^{1} - [(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 - (phenylacetyl) -3- [(1-
propylbutyl) sulfonyl] alaninamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(azepan-1-ylcarbonyl)-N-(3-ethylbenzyl)-5-
methylbenzamide
     methyl \{3-[([(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] {3-[(dipropylamino)carbonyl]-5-
methylbenzoyl}amino)methyl]phenyl}carbamate
     5-oxo-L-prolyl-N^1-[(2R,3S)-3-amino-4-(3,5-
difluorophenyl) -2-hydroxybutyl] -N^1-(3-ethylbenzyl) -3-[(1-
propylbutyl) sulfonyl] alaninamide hydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-N'-isobutyl-5-
methylisophthalamide
     4 - [(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
hydroxybutyl] (3-ethylbenzyl)amino]-4-oxo-3-{[(1-
propylbutyl)sulfonyl]methyl}butanoic acid trifluoroacetate
```

```
(salt)
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-
[methyl (methylsulfonyl) amino] benzamide
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                       3 -
{ [ethyl(isopropyl)amino]carbonyl}-5-methylbenzoate
             (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                                                               3-[(dipropylamino)carbonyl]-5-
 (2-thienyl) propyl
methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                          3-{[(2-
hydroxyethyl) (propyl) amino] sulfonyl } propanoate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                       3 -
 { [isopropyl (methyl) amino] carbonyl}-5-methylbenzoate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                         2-[(methylsulfonyl)amino]-
ethylbenzyl)amino]methyl}propyl
1,3-thiazole-4-carboxylate
              (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                       3 -
 ethylbenzyl)amino]methyl}propyl
 { [allyl(cyclopentyl)amino]carbonyl}-5-methylbenzoate
              (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                             3 - [(3 -
 ethylbenzyl)amino]methyl}propyl
 methylbutyl) sulfonyl] propanoate
              (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-
 methyl-2-thienyl)benzyl]amino}methyl)propyl
                                                                                                                                                        3 -
  [(dipropylamino)carbonyl]-5-methylbenzoate
               (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                                                                                         3-(aminocarbonyl)-5-
  (3-methoxyphenyl)propyl
  [(dipropylamino)carbonyl]benzoate
               (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(1 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
```

```
methylhexyl) amino] methyl propyl 3-[(dipropylamino) carbonyl]-
5-methylbenzoate
             (1R,2S)-2-amino-1-({[1-
(aminocarbonyl) cyclohexyl] amino } methyl) - 3 - (3, 5 -
difluorophenyl)propyl
                                                                              3-[(dipropylamino)carbonyl]-5-
methylbenzoate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{ (2E)-hex-2-
en-1-ylamino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-
methylbenzoate
              (1R, 2S) -2-amino-3-(4-fluorophenyl)-1-\{[(3-
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                        3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                  3-hydroxyisoxazole-5-
carboxylate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-[({3-[(1E)-
hex-1-en-1-yl]benzyl}amino)methyl]propyl
                                                                                                                                                        3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [ (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino -
ethylbenzyl) amino] methyl propyl
                                                                                                                                                       3 -
 [(isopropylamino)carbonyl]-5-methylbenzoate
              (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
 (2-thienyl) propyl
                                                                                                        3-(aminocarbonyl)-5-
 [(dipropylamino)carbonyl]benzoate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
iodobenzyl)amino]methyl}propyl
                                                                                                                        [3-(2-amino-2-
oxoethoxy) phenyl] acetate
              (1R, 2S) -2-amino-3-(3-bromophenyl)-1-{[(3-
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                       3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-{ [(2-
ethylhexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
 5-methylbenzoate
```

```
(1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[3-(6-
methoxypyridin-3-yl)benzyl]amino}methyl)propyl
                                                             3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[3-(2,4-
dimethoxypyrimidin-5-yl)benzyl]amino}methyl)propyl
                                                             3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-(2-ethylbutanoyl)benzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                    3-[(4-hydroxypiperidin-1-
ethylbenzyl)amino]methyl}propyl
yl) carbonyl] -5-methylbenzoate
     (1R, 2S) -2-amino-3-(3-bromophenyl)-1-\{[(3-
methoxybenzyl)amino]methyl}propyl
                                         3-(aminocarbonyl)-5-
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                         4-[2'
iodobenzyl) amino] methyl } propyl
(aminocarbonyl)biphenyl-4-yl]-4-oxobutanoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                     3-[(3-hydroxypiperidin-1-
yl)carbonyl]-5-methylbenzoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-hydroxy-
1-phenylpropyl)amino]methyl}propyl
                                                             3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                        3-{[[2-
ethylbenzyl)amino]methyl}propyl
(dimethylamino)ethyl](ethyl)amino]carbonyl}-5-methylbenzoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 4-methyl-4H,6H-pyrrolo[1,2-
a] [4,1]benzoxazepine-4-carboxylate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl (5-acetyl-2-thienyl)acetate
      (1R, 2S) -2-amino-3-(3, 5-dichlorophenyl)-1-\{[(3-
```

```
methoxybenzyl) amino] methyl } propyl
                                                                                                                                                                                                                                  3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                       3 -
  [(diisopropylamino)carbonyl]-5-methylbenzoate
                              (1R, 2S) - 2 - amino - 3 - (3, 5 - diffluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - diffluorophenyl) - 1 - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorophenyl) - (3, 5 - diffluorop
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                       3 -
  [(methylsulfonyl)amino]benzoate
                              (1R, 2S) -2-amino-3-(4-chlorophenyl)-1-\{[(3-
 methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                 3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 iodobenzyl) amino] methyl }propyl
                                                                                                                                                                                                                       [4-(2-oxopyrrolidin-1-
yl)phenyl]acetate
                            (1R, 2S) -2-amino-3-(3-chloro-5-fluorophenyl)-1-\{[(3-
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                     3 -
 [(dipropylamino)sulfonyl]propanoate
                             (1R, 2S) -2-amino-3-(3-chloro-5-fluorophenyl)-1-\{[(3-
methylbutyl)amino]methyl}propyl
                                                                                                                                                                                                                                 3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-{[(1-methyl-1H-imidazol-4-
yl)sulfonyl]amino}benzoate trihydrochloride
                              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 -
  [(pentylamino)methyl]propyl
                                                                                                                                                                         3-[(dipropylamino)carbonyl]-5-
methylbenzoate
                              (1R, 2S) - 2 - amino - 3 - (4 - fluorophenyl) - 1 - \{ [ (3 - fluorophenyl) - 1 - (3 - fluorophenyl) - (3 - fluorophenyl) - (3 - fluorophenyl) - (3 - fluorophenyl) - (4 - fluorophenyl) - (3 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (3 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluorophenyl) - (4 - fluor
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                               3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                             (1R, 2S) - 2-amino-1-[(benzylamino) methyl] - 3-(3-chloro-5-
fluorophenyl)propyl
                                                                                                                                                                         3-[(dipropylamino)carbonyl]-5-
methylbenzoate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                 3 -
{ [cyclohexyl (ethyl) amino] carbonyl}-5-methylbenzoate
                    (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-({[2-({[(2,4-
difluorophenyl) amino] carbonyl oxy) ethyl] amino methyl) propyl
3-[(dipropylamino)carbonyl]-5-methylbenzoate
                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                                                                              3-{[(2S)-2-
ethylbenzyl)amino]methyl}propyl
 (methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzoate
hydrochloride
                     (1R, 2S) -2-amino-3-(3-fluoro-4-methylphenyl) -1-{[(3-
                                                                                                                                                          3-(aminocarbonyl)-5-
methoxybenzyl)amino]methyl}propyl
  [(dipropylamino)carbonyl]benzoate
                     (1R, 2S) - 2 - amino - 3 - (3 - bromophenyl) - 1 - { [(3 - amino - 3 - (3 - bromophenyl) - 1 - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - brom
                                                                                                                                                           3-(aminocarbonyl)-5-
 methylbutyl)amino]methyl}propyl
  [(dipropylamino)carbonyl]benzoate
                     (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                            2,8-dimethylquinoline-3-
 carboxylate
                     (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(6-
                                                                                                                                                                                                                                  3 -
 hydroxyhexyl) amino] methyl propyl
  [(dipropylamino)carbonyl]-5-methylbenzoate
                     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[(2R)-2-
 hydroxypropyl]amino}methyl)propyl
                                                                                                                                                                                                                                  3 -
  [(dipropylamino)carbonyl]-5-methylbenzoate
                      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
  phenylpropyl 3-[(1-propylbutyl)sulfonyl]propanoate
                      (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                3 - \{ [(2-hydroxy-1, 1-
  ethylbenzyl)amino]methyl}propyl
  dimethylethyl)amino]sulfonyl}benzoate
                      (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(4-
  phenylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
   5-methylbenzoate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
iodobenzyl) amino] methyl } propyl
                                     7 - (1H-imidazol-1-yl)-5,6-
dihydronaphthalene-2-carboxylate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                             3-(acetylamino)-4-
methylbenzoate
      (1R, 2S) - 2 - amino - 1 - ({[2 -
(aminosulfonyl) ethyl] amino methyl) -3 - (3,5-
difluorophenyl)propyl
                                3-[(dipropylamino)carbonyl]-5-
methylbenzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[2-
(ethylthio) ethyl] amino}methyl) propyl
                                                              3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-3-cyclohexyl-1-{[(3-
methoxybenzyl) amino] methyl propyl
                                                              3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-1-{[benzyl(cyanomethyl)amino]methyl}-3-
(3,5-difluorophenyl)propyl
                                3-[(dipropylamino)carbonyl]-5-
methylbenzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(2-
hydroxypropyl) amino] methyl propyl
                                                              3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-1-\{[(3-butoxypropyl)amino]methyl\}-3-
(3,5-difluorophenyl)propyl
                               3-[(dipropylamino)carbonyl]-5-
methylbenzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                      3-{[2-(2-
hydroxyethyl)piperidin-1-yl]carbonyl}-5-methylbenzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                3-(1-hydroxy-2-
propylpentyl)benzoate
     (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(3-chloro-5-
fluorophenyl) propyl
                                           3-(aminocarbonyl)-5-
```

[(dipropylamino)carbonyl]benzoate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 4-
[(methylsulfonyl)amino]butanoate trifluoroacetate
(1R, 2S) -2-amino-1-({[3-(1-benzothien-2-
y1)benzyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-
[(dipropylamino)carbonyl]-5-methylbenzoate
(1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-(benzyloxy)isoxazole-5-
carboxylate
$(1R, 2S)$ -2-amino-1-{[(cyclopropylmethyl)amino]methyl}-3-
(3,5-difluorophenyl) propyl N-[(benzyloxy)carbonyl]-3-[(1-
propylbutyl)sulfonyl]alaninate trifluoroacetate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 5-(1H-pyrazol-1-
yl)pentanoate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}própyl 1-(2-furylmethyl)-5-
oxopyrrolidine-3-carboxylate
$(1R, 2S)$ -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl 2-ethylhexanoate hydrochloride
phenyipiopyi 2-eenyine.tanoace nyaroonioria
(1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(5-
(1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(5-
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(5-hydroxypentyl)amino]methyl}propyl 3-
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(5-hydroxypentyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(5-hydroxypentyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]-5-methylbenzoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]piperidine-1-carboxylate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(5-hydroxypentyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]-5-methylbenzoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(5-hydroxypentyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]-5-methylbenzoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]piperidine-1-carboxylate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(5-hydroxypentyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]-5-methylbenzoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]piperidine-1-carboxylate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 1-1-{[(3-methoxybenzyl)amino]methyl]piperidine-1-carboxylate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(5-hydroxypentyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]-5-methylbenzoate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3- [(dipropylamino)carbonyl]piperidine-1-carboxylate (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-

```
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                              4 -
[(methylsulfonyl)amino]benzoate
     (1R, 2S) -2-amino-3-(3-bromophenyl)-1-{[(3-
methoxybenzyl)amino]methyl}propyl
                                                              3
[(dipropylamino)sulfonyl]propanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
(2-thienyl) propyl 3-[(dipropylamino) sulfonyl] propanoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethoxypropyl) amino] methyl } propyl
                                                              3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(2-
thienyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                   2-hydroxy-4-
(phenylsulfonyl)butanoate hydrochloride
     (1R, 2S) -2-amino-3-(3, 5-dichlorophenyl)-1-\{[(3-
methylbutyl)amino]methyl}propyl
                                          3-(aminocarbonyl)-5-
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
[3-(trifluoromethoxy)phenyl]propyl
                                          3-(aminocarbonyl)-5-
[(dipropylamino)carbonyl]benzoate
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N'-ethyl-N-(3-ethylbenzyl)-N'-isopropyl-5-
methylisophthalamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-N-(3-1)
methoxybenzyl)-5-methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -3-{[(2-
hydroxyethyl) (propyl) amino] sulfonyl \ propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl] -N-(3-ethylbenzyl) -N'-isopropyl-N',5-
dimethylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-2-[(methylsulfonyl)amino]-
1,3-thiazole-4-carboxamide
     N-allyl-N'-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-cyclopentyl-N'-(3-ethylbenzyl)-5-
methylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-3-[(3-
methylbutyl) sulfonyl] propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -5-methyl-N-[3-(5-methyl-2-thienyl)benzyl] -
N', N'-dipropylisophthalamide
     N^{1} - [(2R, 3S) - 3 - amino - 2 - hydroxy - 4 - (3 -
methoxyphenyl) butyl] -N^1 - (3-methoxybenzyl) -N^3, N^3 -
dipropylbenzene-1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -5-methyl-N-(1-methylhexyl)-N',N'-
dipropylisophthalamide
     N-[1-(aminocarbonyl) cyclohexyl] - N-[(2R,3S)-3-amino-4-
(3.5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[(2E)-hex-2-en-1-yl]-5-methyl-N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]
N-(3-methoxybenzyl)-5-methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-hydroxyisoxazole-5-
carboxamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl} $$ -N-\{3-[(1E)-hex-1-en-1-yl]$ benzyl\}-5-methyl-
N', N'-dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -N'-isopropyl-5-
methylisophthalamide
             N^{1}-[(2R, 3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-N^{1}-(3-
methoxybenzyl) -N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
             2-(3-{2-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] (3-iodobenzyl) amino] -2-
oxoethyl } phenoxy) acetamide
            N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N-
 (3-methoxybenzyl)-5-methyl-N', N'-dipropylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(2-ethylhexyl) -5-methyl-N', N' -
dipropylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-[3-(6-methoxypyridin-3-yl)benzyl]-5-methyl-
N', N'-dipropylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[3-(2,4-dimethoxypyrimidin-5-yl)benzyl]-5-
methyl-N', N'-dipropylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-3-(2-ethylbutanoyl)benzamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-[(4-hydroxypiperidin-1-
yl)carbonyl]-5-methylbenzamide
            N^1-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-
N^1-(3-methoxybenzyl)-N^3, N^3-dipropylbenzene-1,3,5-
tricarboxamide
             (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluo
iodobenzyl) amino] methyl } propyl
                                                                                                                                       4-[2'
```

```
(aminocarbonyl)biphenyl-4-yl]-4-oxobutanoate
                   1-(3-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] (3-ethylbenzyl)amino]carbonyl}-5-
methylbenzoyl)-L-prolinamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-[(3-hydroxypiperidin-1-
yl)carbonyl]-5-methylbenzamide
                   N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N - (3 - hydroxy - 1 - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl) - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - 5 - methyl - N', N' - phenylpropyl - N', N' - phenylpropyl - N', N' - phenylpropyl - N', N' - phenylpropyl - N', N' - N', N' - phenylpropyl - N', N' - phenylpropyl - N', N' - phenylpropyl - N', N', N' - phenylpropyl - N', N', N' - phenylpropyl - N', N' - phenylpropyl - N'
dipropylisophthalamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N' - [2 - (dimethylamino) ethyl] - N' - ethyl - N - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N) - (3 - N
 ethylbenzyl)-5-methylisophthalamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -4-methyl-4H,6H-pyrrolo[1,2-methyl-4H,6H-pyrrolo]
 a][4,1]benzoxazepine-4-carboxamide
                    2-(5-acetyl-2-thienyl)-N-[(2R,3S)-3-amino-4-(3,5-
 difluorophenyl) -2-hydroxybutyl] -N-(3-ethylbenzyl) acetamide
                    N^{1}-[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-
 hydroxybutyl] -N^{1} - (3-methoxybenzyl) -N^{3}, N^{3} -dipropylbenzene-
  1,3,5-tricarboxamide
                     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N-(3-ethylbenzyl) -N', N'-diisopropyl-5-
 methylisophthalamide
                     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl]-N-(3-ethylbenzyl)-3-
  [(methylsulfonyl)amino]benzamide
                      N^{1}-[(2R,3S)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-
  N^{1}-(3-methoxybenzyl)-N^{3}, N^{3}-dipropylbenzene-1,3,5-
  tricarboxamide
                      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl]-N-(3-iodobenzyl)-2-[4-(2-oxopyrrolidin-1-
```

```
yl)phenyl]acetamide
     N-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-
hydroxybutyl] -3-[(dipropylamino)sulfonyl]-N-(3-
methoxybenzyl) propanamide
     N^1-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-
hydroxybutyl] -N^1 - (3-methylbutyl) -N^3, N^3 - dipropylbenzene - 1, 3, 5-
tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-{[(1-methyl-1H-imidazol-4-
yl)sulfonyl]amino}benzamide trihydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-methyl-N-pentyl-N', N'-dipropylisophthalamide
     N^1 - [(2R,3S) -3-amino-4-(4-fluorophenyl) -2-hydroxybutyl] -
N^{1}-(3-methoxybenzyl)-N^{3}, N^{3}-dipropylbenzene-1,3,5-
tricarboxamide
     N-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-
hydroxybutyl] - N-benzyl-5-methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N'-cyclohexyl-N'-ethyl-N-(3-ethylbenzyl)-5-
methylisophthalamide
     2-([(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] {3-[(dipropylamino)carbonyl]-5-
methylbenzoyl}amino)ethyl (2,4-difluorophenyl)carbamate
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -3-{[(2S)-2-
(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzamide
hydrochloride
      N^1-[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-
hydroxybutyl] -N^1 - (3-methoxybenzyl) -N^3, N^3-dipropylbenzene-
1,3,5-tricarboxamide
      N^1-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-
N^{1}-(3-methylbutyl)-N^{3}, N^{3}-dipropylbenzene-1,3,5-tricarboxamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -2,8-dimethylquinoline-3-
carboxamide
    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(6-hydroxyhexyl) -5-methyl-N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N-[(2R) - 2-hydroxypropyl] - 5-methyl - N', N' -
dipropylisophthalamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-max)
methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -3-{[(2-hydroxy-1,1-
dimethylethyl)amino]sulfonyl}benzamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-methyl-N-(4-phenylbutyl)-N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-7-(1H-imidazol-1-yl)-N-(3-iodobenzyl)-5,6-
dihydronaphthalene-2-carboxamide
     3-(acetylamino) - N-[(2R, 3S) - 3-amino - 4-(3, 5-
difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-4-
methylbenzamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-cyclohexyl-2-hydroxybutyl]-N-(3-
 methoxybenzyl)-5-methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl] - N - (2 - hydroxypropyl) - 5 - methyl - N', N' -
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N- (3-butoxypropyl) - 5-methyl - N', N' -
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -3-{[2-(2-
hydroxyethyl)piperidin-1-yl]carbonyl}-5-methylbenzamide
                 N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-{3-[(dipropylamino)carbonyl]-5-
methylbenzoyl}-\beta-alaninate
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-(1-hydroxy-2-
propylpentyl) benzamide
     N^{1}-[(2R, 3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-
hydroxybutyl] -N^1-benzyl-N^3, N^3-dipropylbenzene-1,3,5-
tricarboxamide
     N = [(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
hydroxybutyl] -N-(3-ethylbenzyl) -4-
[(methylsulfonyl)amino]butanamide trifluoroacetate (salt)
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[3-(1-benzothien-2-yl)benzyl]-5-methyl-
N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(benzyloxy)-N-(3-ethylbenzyl)isoxazole-5-
carboxamide
     1-(3-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] (3-ethylbenzyl)amino]carbonyl}-5-
methylbenzoyl) -D-prolinamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -5-(1H-pyrazol-1-
```

```
yl)pentanamide
    N-[(2R;3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -1-(2-furylmethyl) -5-
oxopyrrolidine-3-carboxamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-ethyl-<math>N-
(3-methoxybenzyl) hexanamide hydrochloride
     N-[(2R, 3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(5-hydroxypentyl)-5-methyl-N',N'-
dipropylisophthalamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N^{1}-(3-methoxybenzyl)-N^{3}, N^{3}-dipropylpiperidine-
1,3-dicarboxamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N^3, N^3-diethyl-N^1-(3-methoxybenzyl) piperidine-
1,3-dicarboxamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-
(pentafluorophenyl)butyl]-5-bromo-N',N'-dipropyl-N-[3-
(trifluoromethyl)benzyl]isophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-
[(methylsulfonyl)amino]benzamide
     N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-3-
[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-3-
[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethoxypropyl) -5-methyl-N', N'-
dipropylisophthalamide
      N-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-N-
benzyl-5-methyl-N', N'-dipropylisophthalamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-hydroxy-4-
```

```
(phenylsulfonyl) butanamide hydrochloride
              N^{1}-[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-
 hydroxybutyl] -N^1 - (3-methylbutyl) -N^3, N^3 -dipropylbenzene-1,3,5-
 tricarboxamide
              N^{1} - \{ (2R, 3S) - 3 - \text{amino} - 2 - \text{hydroxy} - 4 - [3 - 3] \}
 (trifluoromethoxy) phenyl] butyl\}-N^1-(3-methoxybenzyl)-N^3, N^3-
 dipropylbenzene-1,3,5-tricarboxamide
               (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3, 3-
 dimethylbutyl) amino] methyl propyl
                                                                                                                                                          3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
               (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(3-
bromophenyl) propyl
                                                                                                          3-(aminocarbonyl)-5-
 [(dipropylamino)carbonyl]benzoate
              (1R, 2S) -2-amino-3-(3-chloro-5-fluorophenyl)-1-\{[(3-
methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(1, 3-
diphenylpropyl) amino] methyl } propyl
                                                                                                                                                         3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[(1S)-1-
 (hydroxymethyl)propyl]amino}methyl)propyl
                                                                                                                                                        3.
 [(dipropylamino)carbonyl]benzoate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[(3S)-2-
oxoazepan-3-yl]amino}methyl)propyl
                                                                                                                                                        3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                   5-(cyclohexylamino)-5-
oxopentanoate
             (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
(3-methylphenyl)propyl
                                                                                                        3-(aminocarbonyl)-5-
[(dipropylamino)carbonyl]benzoate
             (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
```

```
N-[(2-
ethylbenzyl)amino]methyl}propyl
propylpentyl) sulfonyl] - \beta-alaninate trifluoroacetate
                                             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl 3-(1,3-thiazol-2-yl)benzoate
 dihydrochloride
                                             (1R, 2S) - 2 - amino - 3 - (2 - furyl) - 1 - \{ [(3 - furyl)] - 1 - \{ (3 - furyl) - 1 - \{ (3 - furyl)] \} 
 methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              3 .
   [(dipropylamino)carbonyl]-5-methylbenzoate
                                              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]] - 1 - [({3 - 2S}) - 2 - amino - 3 - (3, 5 - difluorophenyl)]]
    [methyl (phenyl) amino] propyl amino) methyl] propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             3 -
    [(dipropylamino)carbonyl]-5-methylbenzoate
                                              (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                                                                                                                                                                                                                                                                                                                                              3-(aminocarbonyl)-5-
    (4-methylphenyl)propyl
     [(dipropylamino)carbonyl]benzoate
                                               (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
   ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                              5 - 0x0 - 1 - (2 - 
    thienylmethyl)pyrrolidine-3-carboxylate
                                              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                                                                                                                                                                                                       4-[(butylthio)methyl]-5-
   ethylbenzyl)amino]methyl}propyl
  methyl-2-furoate
                                                (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5
   ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                3-{[(2-
   hydroxyethyl)amino]sulfonyl}benzoate
                                                 (1R.2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [ (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 -
    ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  N - [3 -
      (trifluoromethyl)benzoyl]glycinate
                                                 (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
    methylcyclohexyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              3 .
      [(dipropylamino)carbonyl]-5-methylbenzoate
                                                 (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5
     ethylbenzyl)amino]methyl}propyl 4-(2-oxo-1,3-oxazolidin-3-
    yl)benzoate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl 4-(1H-pyrrol-1-yl)benzoate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(6-methoxy-
 1,2,3,4-tetrahydronaphthalen-1-yl)amino]methyl}propyl
  [(dipropylamino)carbonyl]-5-methylbenzoate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                        1,3,4,5-
 tetrahydrothiopyrano [4,3-b] indole-8-carboxylate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                       4 - 0x0 - 4 - \{ [2 - 2] \}
 (trifluoromethyl) phenyl] amino } butanoate
                      (1R, 2S) - 2 - amino - 3 - (3 - bromophenyl) - 1 - \{ [(3 - amino - 3 - (3 - bromophenyl) - 1 - (3 - amino - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromophenyl) - 3 - (3 - bromoph
methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-methylbenzoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 4,5-dimethyl-2-(1H-pyrrol-1-
yl)thiophene-3-carboxylate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(2, 3-
dihydroxypropyl) amino] methyl } propyl
                                                                                                                                                                                                                                              .3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[(2S)-2-
hydroxypropyl]amino}methyl)propyl
                                                                                                                                                                                                                                               3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1 - (\{(1R) -1) -1 - (\{(1R) -1
methylpropyl]amino}methyl)propyl
                                                                                                                                                                                                                                               3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                          2-chloro-4-
 (methylsulfonyl) benzoate
                     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(2-
hydroxyethyl) amino] methyl propyl
                                                                                                                                                                                                                                              3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
```

```
(1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                                             3 -
(3-methoxyphenyl) propyl
[(dipropylamino)sulfonyl]propanoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
                                                             3 -
ethylbenzyl)amino]methyl}propyl
{methyl[(trifluoromethyl)sulfonyl]amino}benzoate
hydrochloride
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-hydroxy-6-(1-hydroxy-2,2-
dimethylpropyl)pyridine-2-carboxylate
     (1R, 2S) -2-amino-1-{[(1,3-
dicyclohexylpropyl)amino]methyl}-3-(3,5-
difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-
methylbenzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                           2,2'-bithiophene-5-
carboxylate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                             4-(1H-imidazol-1-
ethylbenzyl)amino]methyl}propyl
yl) butanoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                         2,3-dihydroxy-4-[(4-
ethylbenzyl)amino]methyl}propyl
methoxyphenyl)amino]-4-oxobutanoate
     (1R, 2S) -2-amino-1-[(benzylamino) methyl]-3-(4-
                                3-[(dipropylamino)carbonyl]-5-
hydroxyphenyl)propyl
methylbenzoate
      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                          3-(aminocarbonyl)-5-
[3-(trifluoromethyl)phenyl]propyl
 [(dipropylamino)carbonyl]benzoate
      (1R, 2S) -2-amino-1-[(benzylamino) methyl]-3-(2-
                                          3-(aminocarbonyl)-5-
thienyl)propyl
 [(dipropylamino)carbonyl]benzoate
      (1R, 2S) -2-amino-1-({ [2-(aminocarbonyl) -1H-indol-6-
```

```
yl]amino}methyl)-3-(3,5-difluorophenyl)propyl
                                                                                                                                                                                                                                            3 -
    [(dipropylamino)carbonyl]-5-methylbenzoate
                        (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(3-
  bromophenyl) propyl
                                                                                                                            3-[(dipropylamino)carbonyl]-5-
  methylbenzoate
                        (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                               N- [4-
   (trifluoromethyl)benzoyl]glycinate
                       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                   2-(1-oxo-1,3-dihydro-2H-
  isoindol-2-yl)butanoate
                       (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                      N-(3,4-
  dichlorobenzoyl)glycinate
                       (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                      3-chloro-4-
  (methylsulfonyl)thiophene-2-carboxylate
                       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(1 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
ethylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
 5-methylbenzoate
                       (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-[(\{[(5R) -3-
ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}amino)methyl]propyl
 3-[(dipropylamino)carbonyl]-5-methylbenzoate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                     5-methyl-7-
 (trifluoromethyl)pyrazolo[1,5-a]pyrimidine-2-carboxylate
                      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl
                                                                                                                             N-[(methylthio)acetyl]-3-[(1-
propylbutyl) sulfonyl] alaninate hydrochloride
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(2, 3-
dimethylcyclohexyl)amino]methyl}propyl
                                                                                                                                                                                                                                       3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
```

```
(1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                         4,5-dimethoxy-1-
benzothiophene-2-carboxylate
                    (1R, 2S) -2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl] -
1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
 [(dipropylamino)carbonyl]benzoate
                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-[(\{[(5S)-3-(5S)-(5S)-(5S)-(5S)\})]
ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}amino)methyl]propyl
3-[(dipropylamino)carbonyl]-5-methylbenzoate
                   (1R, 2S) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{ [(3 - yl) - 1 - (1, yl) - yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl) - (1, yl)
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                           3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                                            4-(3,5-dioxo-1,2,4-
 ethylbenzyl)amino]methyl}propyl
 triazolidin-4-yl)benzoate
                     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                                                                                                                                                           2-hydroxy-3-[(3-
 phenylpropyl
  methoxyphenyl)sulfonyl]propanoate hydrochloride
                     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(2-
  methylcyclohexyl)amino]methyl}propyl
                                                                                                                                                                                                                                  3 -
   [(dipropylamino)carbonyl]-5-methylbenzoate
                      (1R, 2S) - 2 - amino - 1 - \{ [(2 - \{4 - [(3 - 4)\})] \} \}
  chlorobenzyl) oxy] phenyl } ethyl) amino] methyl } -3 - (3,5-
                                                                                                                      3-[(dipropylamino)carbonyl]-5-
  difluorophenyl)propyl
  methylbenzoate
                      (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
   ethylbenzyl)amino]methyl}propyl
                                                                                                                                                        2-hydroxy-4-oxo-4-(3-
   thienyl)butanoate
                      (1R, 2S) -2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-
   {[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
    [(dipropylamino)carbonyl]benzoate
                       (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                         2-hydroxy-4-oxo-4-[3-
   ethylbenzyl)amino]methyl}propyl
```

```
(trifluoromethyl)phenyl]butanoate
      (1R, 2S) -2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-
 (trifluoromethoxy)phenyl]propyl
                                            3-(aminocarbonyl)-5-
 [(dipropylamino)carbonyl]benzoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-
 (hydroxymethyl) -3 - (methylthio) propyl] amino}methyl) propyl
 [(dipropylamino)carbonyl]-5-methylbenzoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 2-(1H-1,2,3-benzotriazol-1-
yl) hexanoate
      (1R, 2S) -2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-fluoro-4-methylphenyl)]}
methylbutyl)amino]methyl}propyl
                                            3-(aminocarbonyl)-5-
[(dipropylamino)carbonyl]benzoate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                           3-(4,4-dimethyl-2,5-
dioxoimidazolidin-1-yl)-2-{[(1-
propylbutyl) sulfonyl] methyl } propanoate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
{[(trifluoromethyl)sulfonyl]amino}butanoate trifluoroacetate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl (5-methyl-1,3-dioxo-1,3-
dihydro-2H-isoindol-2-yl)acetate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
hydroxypropyl) amino] methyl }propyl
                                                              3.
[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-
(hydroxymethyl)propyl]amino}methyl)propyl
                                                              3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(3,5-
dichlorophenyl)propyl
                                           3-(aminocarbonyl)-5-
[(dipropylamino)carbonyl]benzoate
```

```
(1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                                        3-{[(2-
phenylpropyl
hydroxyethyl) (propyl) amino] sulfonyl } propanoate hydrochloride
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 5-(benzylthio)nicotinate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 1H-pyrazole-5-carboxylate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl 6-chloro-3-methyl-2-oxo-2,3-
dihydro-1,3-benzoxazole-5-carboxylate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
                                           1H-benzimidazole-2-
ethylbenzyl)amino]methyl}propyl
carboxylate
      (1R, 2S)-2-amino-3-cyclohexyl-1-{[(3-
                                          3-(aminocarbonyl)-5-
methoxybenzyl)amino]methyl}propyl
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 6-hydroxy-4,7-dimethoxy-1-
benzofuran-5-carboxylate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(4-
                                                             3 -
methylcyclohexyl)amino]methyl}propyl
 [(dipropylamino)carbonyl]-5-methylbenzoate
      (1R, 2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                           [1,2,4]triazolo[4,3-
ethylbenzyl)amino]methyl}propyl
a]pyridine-6-carboxylate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                         2-hydroxy-4-oxo-4-(2-
 ethylbenzyl)amino]methyl}propyl
 thienyl)butanoate
      (1R, 2S)-2-amino-1-[(benzylamino)methyl]-3-(3,5-
                                3-[(dipropylamino)carbonyl]-5-
 dichlorophenyl)propyl
 methylbenzoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
```

```
ethylbenzyl)amino]methyl}propyl
                                                                                                                          4-(2-hydroxy-5-
   methylphenyl)-4-oxobutanoate
                 (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
   ethylbenzyl)amino]methyl}propyl 3-phenoxybenzoate
                 (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
   ethylbenzyl)amino]methyl}propyl
                                                                                                                                                            4 -
   [(aminocarbonyl)amino]benzoate
                (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[(1S)]-1-
   (hydroxymethyl) -3 - (methylthio) propyl] amino } methyl) propyl
  [(dipropylamino)carbonyl]-5-methylbenzoate
                (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl)]}
  ethylbenzyl)amino]methyl}propyl
                                                                                            7-hydroxy-4-oxochromane-2-
  carboxylate
                (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[(1S) -1-([(1S) -1])\})
  (hydroxymethyl) - 3 - methylbutyl] amino } methyl) propyl
                                                                                                                                                           3 -
  [(dipropylamino)carbonyl]-5-methylbenzoate
               (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[(1R) -1-
  (hydroxymethyl)propyl]amino}methyl)propyl
  [(dipropylamino)carbonyl]benzoate
               (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(1-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-methyl-3-me
 phenylpropyl)amino]methyl}propyl
 [(dipropylamino)carbonyl]-5-methylbenzoate
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3,3-dimethylbutyl) -5-methyl-N', N'-
dipropylisophthalamide
             N^{1}-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-
N^1-benzyl-N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
             N-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-
\label{eq:hydroxybutyl]-5-methyl-N-(3-methylbutyl)-N', N'-} hydroxybutyl]-5-methyl-N-(3-methylbutyl)-N', N'-
dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(1,3-diphenylpropyl)-5-methyl-N',N'-
```

```
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[(1S)-1-(hydroxymethyl)propyl]-N',N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -5-methyl-N-[(3S)-2-oxoazepan-3-yl]-N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N' - cyclohexyl - N - (3 - ethylbenzyl) pentanediamide
     N^1-[(2R,3S)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-
N^{1}-(3-methoxybenzyl)-N^{3}, N^{3}-dipropylbenzene-1,3,5-
tricarboxamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^{1}-(3-ethylbenzyl) -N^{3}-[(2-
propylpentyl)sulfonyl]-\beta-alaninamide trifluoroacetate (salt)
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-(1,3-thiazol-2-
yl)benzamide dihydrochloride
     N-[(2R,3S)-3-amino-4-(2-furyl)-2-hydroxybutyl]-N-(3-1)
methoxybenzyl) - 5-methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - 5 - methyl - N - \{3 - [methyl (phenyl) amino] propyl \} -
N', N'-dipropylisophthalamide
     N^1-[(2R,3S)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-
N^{1}-(3-methoxybenzyl)-N^{3}, N^{3}-dipropylbenzene-1,3,5-
tricarboxamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-5-oxo-1-(2-
thienylmethyl)pyrrolidine-3-carboxamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-4-[(butylthio)methyl]-N-(3-ethylbenzyl)-5-
methyl-2-furamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N-(3-ethylbenzyl) -3-{[(2-
 hydroxyethyl) amino] sulfonyl }benzamide
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-5-methyl-N-(3-methylcyclohexyl)-N', N'-
 dipropylisophthalamide
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-N-(3-ethylbenzyl)-4-(2-oxo-1,3-oxazolidin-3-
 yl)benzamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-N-(3-ethylbenzyl)-4-(1H-pyrrol-1-yl)benzamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-
y1)-5-methyl-N', N'-dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -1,3,4,5-
tetrahydrothiopyrano[4,3-b]indole-8-carboxamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N- (3-ethylbenzyl) -N' - [2-
 (trifluoromethyl)phenyl]succinamide
             N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-5-
methyl-N-(3-methylbutyl)-N', N'-dipropylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-interpretation) -4,5-dimethyl-2-(1H-pyrrol-1-in
yl)thiophene-3-carboxamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(2,3-dihydroxypropyl) -5-methyl-N',N'-
dipropylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl} \ -N-\ [\ (2S)\ -2-hydroxypropyl\ ]\ -5-methyl\ -N'\ ,N'\ -
dipropylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl]-5-methyl-N-[(1R)-1-methylpropyl]-N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-2-chloro-N-(3-ethylbenzyl)-4-
(methylsulfonyl)benzamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(2-hydroxyethyl) -5-methyl-N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-
3-[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-
{methyl[(trifluoromethyl)sulfonyl]amino}benzamide
hydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-hydroxy-6-(1-hydroxy-2,2-
dimethylpropyl)pyridine-2-carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2,2'-bithiophene-5-
carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-(1H-imidazol-1-
yl) butanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -2,3-dihydroxy-N'-(4-
methoxyphenyl) succinamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-
N-benzyl-5-methyl-N', N'-dipropylisophthalamide
    N^{1} - \{(2R, 3S) - 3 - \text{amino} - 2 - \text{hydroxy} - 4 - [3 - 3] \}
```

```
(trifluoromethyl)phenyl]butyl}-N^1-(3-methoxybenzyl)-N^3,N^3-
   dipropylbenzene-1,3,5-tricarboxamide
                N^{1}-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-N^{1}-
  \verb|benzyl-N|^3, N|^3 - \verb|dipropylbenzene-1, 3, 5-tricarboxamide|
               N-[2-(aminocarbonyl)-1H-indol-6-yl]-N-[(2R,3S)-3-amino-
  4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-N',N'-
  dipropylisophthalamide
               N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N-
  benzyl-5-methyl-N',N'-dipropylisophthalamide
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  \label{eq:hydroxybutyll-N-(3-ethylbenzyl)-2-(1-oxo-1,3-dihydro-2H-1)} + 2 - (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1) + (1-oxo-1,3-dihydro-2H-1)
  isoindol-2-yl)butanamide
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-3-chloro-N-(3-ethylbenzyl)-4-
  (methylsulfonyl)thiophene-2-carboxamide
              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 \verb|hydroxybutyl|-N-(1-ethylpropyl)-5-methyl-N',N'-\\
 dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 \label{eq:hydroxybutyl} \textbf{hydroxybutyl} \textbf{-} \textbf{N-} \{ \texttt{[(5R)-3-ethyl-2-oxo-1,3-oxazolidin-5-} \\
\verb|yl]methyl|-5-methyl-N'|, N'|-dipropylisophthalamide|
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-5-methyl-7-
 (trifluoromethyl)pyrazolo[1,5-a]pyrimidine-2-carboxamide
             N^1-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-
methoxybenzyl) - N^2-[(methylthio)acetyl] - 3 - [(1-
propylbutyl)sulfonyl]alaninamide hydrochloride
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl]-N-(2,3-dimethylcyclohexyl)-5-methyl-N',N'-\\
dipropylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4,5-dimethoxy-1-
```

```
benzothiophene-2-carboxamide
                         N^{1}-{ (2R,3S)-3-amino-4-[3-fluoro-5-
 (trifluoromethyl)phenyl]-2-hydroxybutyl}-N^1-(3-methylbutyl)-
N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
                         N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl} \textbf{hydroxybutyl}] \textbf{-N-} \{ \texttt{[(5S)-3-ethyl-2-oxo-1,3-oxazolidin-5-} \} \} \textbf{-N-} \{ \texttt{(5S)-3-ethyl-2-oxo-1,3-oxazolidin-5-} \} \} \textbf{-N-} \} \textbf{-N-} \{ \texttt{(5S)-3-ethyl-2-oxo-1,3-oxazolidin-5-} \} \textbf{-N-} \} \textbf{-N-} \{ \texttt{(5S)-3-ethyl-2-oxo-1,3-oxazolidin-5-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \{ \texttt{(5S)-3-ethyl-2-oxo-1,3-oxazolidin-5-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \{ \texttt{(5S)-3-ethyl-2-oxo-1,3-oxazolidin-5-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \{ \texttt{(5S)-3-ethyl-2-oxo-1,3-oxazolidin-5-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \{ \texttt{(5S)-3-ethyl-2-oxo-1,3-oxazolidin-5-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} \textbf{-N-} \} 
yl]methyl\}-5-methyl-N', N'-dipropylisophthalamide
                          N^{1}-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-
 {\tt hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-}
 1,3,5-tricarboxamide
                          N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 \label{eq:hydroxybutyl} \verb| -4-(3,5-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-triazolidin-4-yl)-N-(3-dioxo-1,2,4-tr
  ethylbenzyl)benzamide
                           N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-
  N-(3-methoxybenzyl)-3-[(3-methoxyphenyl)sulfonyl]propanamide
  hydrochloride
                           N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl] -5-methyl-N-(2-methylcyclohexyl)-N', N'-
   dipropylisophthalamide
                            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
   hydroxybutyl] -N-(2-{4-[(3-chlorobenzyl)oxy]phenyl}ethyl)-5-
   methyl-N', N'-dipropylisophthalamide
                            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
   hydroxybutyl]-N-(3-ethylbenzyl)-2-hydroxy-4-oxo-4-(3-
    thienyl)butanamide
                           N^{1}-{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-
    \label{eq:hydroxybutyl} \texttt{hydroxybutyl} \} - N^1 - (3 - \texttt{methoxybenzyl}) - N^3 , N^3 - \texttt{dipropylbenzene} -
     1,3,5-tricarboxamide
                              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
     hydroxybutyl] -N-(3-ethylbenzyl)-2-hydroxy-4-oxo-4-[3-
       (trifluoromethyl)phenyl]butanamide
                               N^{1} - \{ (2R, 3S) - 3 - \text{amino} - 2 - \text{hydroxy} - 4 - [3 - 3] \}
```

```
(trifluoromethoxy) phenyl] butyl-N^1-(3-methylbutyl)-N^3, N^3-
      dipropylbenzene-1,3,5-tricarboxamide
                                N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
     \label{lem:hydroxybutyl]-N-[1-(hydroxymethyl)-3-(methylthio)propyl]-5-} \\
     methyl - N', N' -dipropylisophthalamide
                                N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
     \label{eq:hydroxybutyl} \verb|-2-(1H-1,2,3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-1-yl)-N-(3-benzotriazol-
     ethylbenzyl) hexanamide
                               N^{1}-[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-
    hydroxybutyl] -N^1-(3-methylbutyl) -N^3, N^3-dipropylbenzene-1,3,5-
    tricarboxamide
                               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
   \label{locality} \verb| hydroxybutyl] -3 - (4,4-dimethyl-2,5-dioxoimidazolidin-1-yl) - N-
     (3-ethylbenzyl)-2-{[(1-
  propylbutyl) sulfonyl] methyl } propanamide
                             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl]-N-(3-ethylbenzyl)-4-
    {[(trifluoromethyl)sulfonyl]amino}butanamide
  trifluoroacetate (salt)
                             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 \label{eq:hydroxybutyl} \ \ -N-(3-ethylbenzyl)-2-(5-methyl-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-dioxo-1,3-d
  dihydro-2H-isoindol-2-yl)acetamide
                            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N- (3-hydroxypropyl) -5-methyl-N', N' -
 dipropylisophthalamide
                            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxymethyl} \  \, \text{hydroxymethyl)} \  \, \text{propyl]} \  \, \text{-S-methyl-} N' \  \, , N' \  \, \text{-}
 dipropylisophthalamide
                           N^{1}-[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-
hydroxybutyl] -N^1-benzyl-N^3, N^3-dipropylbenzene-1, 3, 5-
tricarboxamide
                     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-phenylbutyl]-3-{[(2-mino-2-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-h
hydroxyethyl) (propyl) amino] sulfonyl } - N- (3-
```

```
methoxybenzyl)propanamide hydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-(benzylthio)-N-(3-ethylbenzyl)nicotinamide
     N-[(2R, 3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-1H-pyrazole-5-carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-6-chloro-N-(3-ethylbenzyl)-3-methyl-2-oxo-2,3-
dihydro-1,3-benzoxazole-5-carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-1H-benzimidazole-2-
carboxamide
     N^1-[(2R, 3S)-3-amino-4-cyclohexyl-2-hydroxybutyl]-N^1-(3-
methoxybenzyl) -N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-6-hydroxy-4,7-dimethoxy-1-
benzofuran-5-carboxamide
     N-[(2R, 3S) - 3-amino-4-(3, 5-difluorophenyl)-2-
hydroxybutyl] -5-methyl-N- (4-methylcyclohexyl) -N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)[1,2,4]triazolo[4,3-
a]pyridine-6-carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-hydroxy-4-oxo-4-(2-
thienyl) butanamide
     N-[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-
\verb|hydroxybutyl|-N-benzyl-5-methyl-N'|, N'-dipropylisophthalamide|
     N-[(2R, 3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-(2-hydroxy-5-
methylphenyl) -4-oxobutanamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-phenoxybenzamide
```

```
4-[(aminocarbonyl)amino]-N-[(2R, 3S)-3-amino-4-(3, 5-
difluorophenyl) -2-hydroxybutyl] -N-(3-ethylbenzyl) benzamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[(1S)-1-(hydroxymethyl)-3-
 (methylthio)propyl]-5-methyl-N', N'-dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-7-hydroxy-4-oxochromane-2-
carboxamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[(1S) -1-(hydroxymethyl) -3-methylbutyl] -5-
methyl-N', N'-dipropylisophthalamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[(1R)-1-(hydroxymethyl)propyl]-N', N'-
dipropylisophthalamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-methyl-N-(1-methyl-3-phenylpropyl)-N',N'-
dipropylisophthalamide
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 2-(2,3-dihydro-1-benzofuran-
5-yl)-1,3-thiazole-4-carboxylate
             (1R, 2S) - 2 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - amino - 3 - [3 - (benzyloxy) phenyloxy) phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phe
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                  3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
             (1R, 2S) -2-amino-3-(4-chlorophenyl) -1-\{[(3-
methoxybenzyl) amino] methyl } propyl
                                                                                                                                                  3 -
[(dipropylamino)sulfonyl]propanoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                   3 - 0x0 - 3 -
(pentylamino) propanoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{(3-
ethylbenzyl)amino]methyl}propyl 3-(trifluoromethoxy)benzoate
             (1R, 2S) -2-amino-3-(3-fluoro-4-methylphenyl)-1-\{(3-
```

```
3 -
methoxybenzyl) amino] methyl } propyl
[(dipropylamino)sulfonyl]propanoate
     (1R, 2S) -2-amino-3-(3-chloro-5-fluorophenyl)-1-\{[(3-
                                                              3 -
methylbutyl)amino]methyl}propyl
[(dipropylamino)sulfonyl]propanoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                          3 - (4, 4 - dimethyl - 2, 5 -
ethylbenzyl)amino]methyl}propyl
dioxoimidazolidin-1-yl)-2-{[(1-
propylbutyl) sulfonyl] methyl } propanoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                         4-{[4-
ethylbenzyl)amino]methyl}propyl
(acetylamino)phenyl]amino}-4-oxobutanoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-(1-cyanoethyl)benzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                    4-oxo-4-[(5-phenyl-1,3,4-
ethylbenzyl)amino]methyl}propyl
thiadiazol-2-yl)amino]butanoate
     (1R, 2S) - 2-amino-1-[(benzylamino)methyl]-3-[3-
                                          3-(aminocarbonyl)-5-
(trifluoromethoxy)phenyl]propyl
[(dipropylamino)carbonyl]benzoate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[2-(2-oxo-2-
pyrrolidin-1-ylethoxy)phenyl]amino}methyl)propyl
                                                              3 -
[(dipropylamino)carbonyl]-5-methylbenzoate
      (1R, 2S) -2-amino-3-(4-chlorophenyl)-1-{[(3-
methylbutyl)amino]methyl}propyl
                                          3-(aminocarbonyl)-5-
[(dipropylamino)carbonyl]benzoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl (1,1-dioxidotetrahydro-2-
thienyl)acetate
      (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(4-
                                3-[(dipropylamino)carbonyl]-5-
chlorophenyl) propyl
methylbenzoate
```

```
(1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 
    ethylbenzyl)amino]methyl}propyl 5-hex-1-yn-1-ylnicotinate
                                         (1R, 2S) - 2 - amino - 3 - (3 - bromophenyl) - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - 1 - \{[(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophenyl)] - [(3 - bromophe
  methylbutyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                            3 -
     [(dipropylamino)sulfonyl]propanoate
                                        (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                      3-methoxyisoxazole-5-
  carboxylate
                                       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                         2,3-dimethyl-1H-indole-7-
  carboxylate
                                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                     4-(3-chlorophenyl)-2-
 hydroxy-4-oxobutanoate
                                      (1R, 2S) -2-amino-3-(3-fluoro-4-methoxyphenyl)-1-{[(3-
 methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                            3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                      (1-methyl-1H-indol-3-
yl) (oxo)acetate
                                      (1R, 2S) -2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-
methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-methylbenzoate
                                     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
  (4-methylphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
                                     (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(3-fluoro-4-
methylphenyl)propyl
                                                                                                                                                                                                                                                                                         3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                     [5-(4-methylphenyl)-2H-
tetrazol-2-yl]acetate
                                   (1R, 2S) -2-amino-3-(3, 5-dichlorophenyl)-1-\{[(3-
```

```
methoxybenzyl)amino]methyl}propyl
[(dipropylamino)sulfonyl]propanoate
     (1R, 2S) -2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(2-
                                         3-(aminocarbonyl)-5-
thienyl)propyl
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 5-methyl-3-phenylisoxazole-
4-carboxylate
     (1R, 2S) -2-amino-1-[(benzylamino) methyl] -3-(4-
                               3-[(dipropylamino)carbonyl]-5-
fluorophenyl)propyl
methylbenzoate
     (1R, 2S) - 2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl N-[(methylsulfonyl)acetyl]-
N-pentylglycinate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                         N-(4-
ethylbenzyl)amino]methyl}propyl
methoxybenzoyl)glycinate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                       N-(2,6-
difluorobenzoyl)glycinate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                         4-(1H-indol-3-yl)-4-
oxobutanoate
     (1R, 2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                           4-[(5-benzyl-1,3,4-
thiadiazol-2-yl)amino]-4-oxobutanoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                4-(3-fluoro-4-
methoxyphenyl)-4-oxobutanoate
     ethyl 4-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-({3-
[(dipropylamino)carbonyl]-5-
methylbenzoyl oxy) butyl amino piperidine-1-carboxylate
```

```
(1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                       4-(2-fluorobenzoyl)-1H-
 pyrrole-2-carboxylate
                      (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(4-
 chlorophenyl) propyl
                                                                                                                                                                     3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                      (1R, 2S) - 2-amino-1- { [(3-methylbutyl)amino]methyl}-3-[3-
  (trifluoromethyl)phenyl]propyl
                                                                                                                                                                    3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                      (1R, 2S) - 2 - amino - 3 - (4 - hydroxyphenyl) - 1 - \{ [(3 - amino - 3 - (4 - hydroxyphenyl) - 1 - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino -
methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
 5-methylbenzoate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                          (4-morpholin-4-
ylphenyl) acetate
                      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
 [3-(trifluoromethoxy)phenyl]propyl
 [(dipropylamino)sulfonyl]propanoate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                    4-[benzyl(1-
cyclopropylethyl) amino] -4-oxobutanoate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
methoxybenzyl)amino]methyl}propyl 3-(2,5-dimethylbenzoyl)-5-
methylbenzoate
                     (1R, 2S) - 2-amino - 3-(3, 5-difluorophenyl) - 1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                   4-[(2-methoxy-5-
methylphenyl) amino] -4-oxobutanoate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl (3-hydroxyphenyl)acetate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{(3-
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                               3-[hydroxy(2-
methylphenyl) methyl] -5-methylbenzoate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 5-(ethylthio)nicotinate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 4-[4-(2-furoyl)piperazin-1-
yl]-4-oxobutanoate
     (1R, 2S) -2-amino-1-[(benzylamino) methyl]-3-(3-fluoro-4-
                               3-[(dipropylamino)carbonyl]-5-
methylphenyl)propyl
methylbenzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                           3-oxoisoindoline-1-
carboxylate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-(ethylthio)benzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                     thieno[2,3-b] quinoline-2-
carboxylate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-(4-methyl-1,3-oxazol-2-
yl)benzoate hydrochloride
     (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(4-
                                          3-(aminocarbonyl)-5-
fluorophenyl)propyl
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                          2-[2-
ethylbenzyl)amino]methyl}propyl
furoyl (methyl) amino] benzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                               2-hydroxy-4-(3-
methoxyphenyl)-4-oxobutanoate
      (1R, 2S) -2-amino-1-[(cycloheptylamino) methyl] -3-(3,5-
                                3-[(dipropylamino)carbonyl]-5-
difluorophenyl) propyl
methylbenzoate
    (1R, 2S) -2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(4-
```

WO 2004/022523

```
3-(aminocarbonyl)-5-
methylphenyl)propyl
  [(dipropylamino)carbonyl]benzoate
                                                (1R, 2S) -2-amino-3-(3-fluoro-5-hydroxyphenyl) -1-{[(3-
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            3 -
methoxybenzyl)amino]methyl}propyl
  [(dipropylamino)sulfonyl]propanoate hydrochloride
                                                 (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                                                                                                                                                                                                                                       5-hydroxy-1H-indole-2-
ethylbenzyl)amino]methyl}propyl
carboxylate
                                                 (1R, 2S) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 1 - \{ [(3 - 3) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3
                                                                                                                                                                                                                                                                                                                                         2,2-dimethylchromane-8-
  ethylbenzyl)amino]methyl}propyl
  carboxylate
                                                 (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                                                                                                                                                                                                                                                                   6-benzylpyrazine-2-
  ethylbenzyl)amino]methyl}propyl
  carboxylate 4-oxide
                                                   (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
  methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       {2-
    [(dipropylamino)sulfonyl]ethyl}carbamate
                                                   (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-
      (hydroxymethyl) -2-methylpropyl] amino}methyl) propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           ·3 -
      [(dipropylamino)carbonyl]-5-methylbenzoate
                                                   (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(3-chloro-5-
    fluorophenyl) propyl 3-[(dipropylamino) sulfonyl] propanoate
                                                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
    ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                         4-(4-methoxyphenyl)-4-
     oxobutanoate
                                                   (1R, 2S) -2-amino-1-[(benzylamino) methyl] -3-(4-
                                                                                                                                                                                                                                                                                                                                                                              3-(aminocarbonyl)-5-
     hydroxyphenyl)propyl
       [(dipropylamino)carbonyl]benzoate
                                                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5
      ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                    3-methyl-4-oxo-3,4-
      dihydrophthalazine-1-carboxylate
                                                      (1R, 2S) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 1 - \{ [(3 - 3) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3
```

```
3,4-dihydro-2H-1,5-
ethylbenzyl)amino]methyl}propyl
benzodioxepine-7-carboxylate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl [4-(2,5-dioxopyrrolidin-1-
yl) phenoxy] acetate
     (1R,2S)-2-amino-3-(2-furyl)-1-{[(3-
                                          3-(aminocarbonyl)-5-
methoxybenzyl)amino]methyl}propyl
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
                                           5-methyl-4-oxo-3,4-
ethylbenzyl)amino]methyl}propyl
dihydrothieno[2,3-d]pyrimidine-6-carboxylate
     (1R, 2S) -2-amino-3-(1, 3-benzodioxol-5-yl)-1-\{[(3-
                                         3-(aminocarbonyl)-5-
methylbutyl)amino]methyl}propyl
[(dipropylamino)carbonyl]benzoate
      (1R,2S) -2-amino-3-(3-chloro-5-fluorophenyl) -1-{[(3-
                                          5-(dipropylamino)-5-
methoxybenzyl)amino]methyl}propyl
oxopentanoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 6-fluoro-2-hydroxyquinoline-
4-carboxylate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl 4-oxo-4-(2-thienyl)butanoate
      (1R, 2R) -2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-
                                          3-(aminocarbonyl)-5-
(phenylthio)propyl
[(dipropylamino)carbonyl]benzoate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-(\{[(1R) -1]
 (hydroxymethyl) -2-methylpropyl] amino}methyl)propyl
                                                              3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{(1R, 2S)-1-
 (hydroxymethyl) -2-methylbutyl]amino}methyl)propyl
                                                              3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
```

```
ethylbenzyl)amino]methyl}propyl 2-(phenoxymethyl)benzoate
                              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                 5-[(2,4-
 difluorophenyl) amino] -5-oxopentanoate
                              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 5-[(4,6-dimethylpyrimidin-2-
yl)amino]-5-oxopentanoate
                              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                             3-(3-methoxybenzoyl)-5-
methylbenzoate
                              (1R, 2S) - 2 - \text{amino} - 3 - [3 - (benzyloxy) phenyl] - 1 - \{ [(3 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - 1 - (benzyloxy) phenyl] - (benzyloxy) phenyl] - (benzyloxy) phenyl] - (benzyloxy) phenyl] - (benzyloxy) phenyl] - (benzyloxy) phenyl] - (benzyloxy) phenyl] - (benzyloxy) phenyl] - (benzyloxy) phenyl] - (benzyloxy) phenyl] - (benzyloxy) phenyloxy) phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phenyloxy phen
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                              3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3
 ethylbenzyl)amino]methyl}propyl 4-(3,4-dichlorophenyl)-4-
 oxobutanoate
                              (1R, 2S) - 2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
  [4-(methoxycarbonyl)phenyl]propyl
  [(dipropylamino)carbonyl]-5-methylbenzoate
                              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl 5-[(4-acetylphenyl)amino]-5-
 oxopentanoate
                              (1R, 2S) - 2 - amino - 3 - [4 - (benzyloxy) phenyl] - 1 - { [(3 - 2S) - 2 - 2] }
 methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                  3 -
  [(dipropylamino)carbonyl]-5-methylbenzoate
                              (1R, 2R) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                                                                                                                                                        3-[(dipropylamino)carbonyl]-5-
  (phenylthio)propyl
 methylbenzoate
                              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                            3-({2-
  [(methylamino)carbonyl]phenyl}thio)propanoate
                   (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
```

```
phenylpropyl 3-[(1-propylbutyl)thio]propanoate hydrochloride
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 4-[(4-ethoxyphenyl)amino]-4-
oxobutanoate
     (1R, 2S) -2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-
{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[2-({[(3-
methoxyphenyl)amino]carbonyl}oxy)ethyl]amino}methyl)propyl
3-[(dipropylamino)carbonyl]-5-methylbenzoate
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-2-(2,3-dihydro-1-benzofuran-5-yl)-N-(3-
ethylbenzyl)-1,3-thiazole-4-carboxamide
     N-\{(2R,3S)-3-amino-4-[3-(benzyloxy)phenyl]-2-
hydroxybutyl}-N-(3-methoxybenzyl)-5-methyl-N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-
3-[(dipropylamino) sulfonyl]-N-(3-methoxybenzyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N - (3 - ethylbenzyl) - N' - pentylmalonamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-3-
(trifluoromethoxy) benzamide
     N-[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-
hydroxybutyl] -3 - [(dipropylamino)sulfonyl] -N-(3-
methoxybenzyl)propanamide
     N-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-
hydroxybutyl]-3-[(dipropylamino)sulfonyl]-N-(3-
methylbutyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-N-
 (3-ethylbenzyl)-2-\{[(1-
```

```
propylbutyl) sulfonyl] methyl } propanamide
     N' - [4 - (acetylamino) phenyl] - N - [(2R, 3S) - 3 - amino - 4 - (3, 5 - 3)]
difluorophenyl) -2-hydroxybutyl] -N-(3-ethylbenzyl) succinamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(1-cyanoethyl)-N-(3-ethylbenzyl)benzamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N-(3-ethylbenzyl) - N'-(5-phenyl-1,3,4-
thiadiazol-2-yl) succinamide
     N^{1} - \{ (2R, 3S) - 3 - \text{amino} - 2 - \text{hydroxy} - 4 - [3 - 3] \}
(trifluoromethoxy) phenyl] butyl \} - N^1-benzyl - N^3, N^3-
dipropylbenzene-1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -5-methyl-N-[2-(2-oxo-2-pyrrolidin-1-
ylethoxy) phenyl] -N', N'-dipropylisophthalamide
     N^1-[(2R,3S)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-
N^{1}-(3-methylbutyl)-N^{3}, N^{3}-dipropylbenzene-1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -2-(1,1-dioxidotetrahydro-2-thienyl) -N-(3-
ethylbenzyl)acetamide
     N-[(2R,3S)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-
N-benzyl-5-methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-5-hex-1-yn-1-ylnicotinamide
     N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-3-
[(dipropylamino)sulfonyl]-N-(3-methylbutyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-3-methoxyisoxazole-5-
carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2,3-dimethyl-1H-indole-7-
carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl]-4-(3-chlorophenyl)-N-(3-ethylbenzyl)-2-
hydroxy-4-oxobutanamide
     N^{1}-[(2R,3S)-3-amino-4-(3-fluoro-4-methoxyphenyl)-2-
hydroxybutyl] -N^1-(3-methoxybenzyl) -N^3, N^3-dipropylbenzene-
1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-2-(1-methyl-1H-indol-3-yl)-
2-oxoacetamide
     N-[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-
hydroxybutyl] -5-methyl-N-(3-methylbutyl)-N',N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]
3-[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
     N^{1}-[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-
hydroxybutyl] -N^1-benzyl-N^3, N^3-dipropylbenzene-1, 3, 5-
tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -2-[5-(4-methylphenyl) -2H-
tetrazol-2-vl]acetamide
     N-[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-
hydroxybutyl]-3-[(dipropylamino)sulfonyl]-N-(3-
methoxybenzyl)propanamide
     N^{1} - [(2R, 3S) - 3 - amino - 2 - hydroxy - 4 - (2 - thienyl) butyl] - N^{1} - (3 -
methylbutyl) - N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-5-methyl-3-phenylisoxazole-
4-carboxamide
     N-[(2R,3S)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-
N-benzyl-5-methyl-N', N'-dipropylisophthalamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^{1} - (3-ethylbenzyl) -N^{2} - [(methylsulfonyl)acetyl] -
N^2-pentylglycinamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -4-(1H-indol-3-yl) -4-
oxobutanamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybuty1] - N' - (5-benzyl-1,3,4-thiadiazol-2-yl) - N- (3-benzyl-1,3,4-thiadiazol-2-yl) - N- (3-benzyl-1,3-thiadiazol-2-yl) - N- (3-benzyl-1,3-thiadiazol-2-yl) - N- (3-benzyl-1,3
ethylbenzyl) succinamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -4-(3-fluoro-4-
methoxyphenyl) - 4 - oxobutanamide
             ethyl
                                        4-([(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] {3-[(dipropylamino) carbonyl]-5-
methylbenzoyl amino) piperidine-1-carboxylate
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-(2-fluorobenzoyl)-1H-
pyrrole-2-carboxamide
            N^{1} - [(2R, 3S) - 3 - amino - 4 - (4 - chlorophenyl) - 2 - hydroxybutyl] -
N^1-benzyl-N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
             N^{1} - \{ (2R, 3S) - 3 - \text{amino} - 2 - \text{hydroxy} - 4 - [3 - 3] \}
(trifluoromethyl) phenyl] butyl} - N^{1} - (3-methylbutyl) - N^{3}, N^{3} -
dipropylbenzene-1,3,5-tricarboxamide
             N-[(2R,3S)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)]
5-\text{methyl-}N-(3-\text{methylbutyl})-N',N'-\text{dipropylisophthalamide}
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -2-(4-morpholin-4-
ylphenyl) acetamide
            N-\{(2R,3S)-3-amino-2-hydroxy-4-[3-4]
(trifluoromethoxy) phenyl] butyl}-3-[(dipropylamino) sulfonyl]-
N-(3-methoxybenzyl)propanamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N' -benzyl -N' - (1-cyclopropylethyl) -N- (3-
ethylbenzyl) succinamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl]-3-(2,5-dimethylbenzoyl)-N-(3-methoxybenzyl)-5-
methylbenzamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -N'-(2-methoxy-5-
methylphenyl) succinamide
     N-1(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-(3-hydroxyphenyl)acetamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -3-[hydroxy(2-methylphenyl)methyl]-N-(3-
methoxybenzyl)-5-methylbenzamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-5-(ethylthio)nicotinamide
     N - [(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
hydroxybutyl] -N-(3-ethylbenzyl) -4-[4-(2-furoyl)piperazin-1-
yl]-4-oxobutanamide
     N-[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-
\verb|hydroxybutyl|-N-benzyl-5-methyl-N'|, N'-dipropylisophthalamide|
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-oxoisoindoline-1-
carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -3-(ethylthio)benzamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)thieno[2,3-b]quinoline-2-
carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-(4-methyl-1,3-oxazol-2-
yl)benzamide hydrochloride
     N^{1}-[(2R,3S)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-
N^1-benzyl-N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
     N-(2-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] (3-ethylbenzyl)amino]carbonyl}phenyl)-N-methyl-
```

```
2-furamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-hydroxy-4-(3-
methoxyphenyl) -4-oxobutanamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-cycloheptyl-5-methyl-N', N'-
dipropylisophthalamide
             N^{1} - [(2R,3S)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-
N^{1}-(3-methylbutyl)-N^{3}, N^{3}-dipropylbenzene-1,3,5-tricarboxamide
             N-[(2R,3S)-3-amino-4-(3-fluoro-5-hydroxyphenyl)-2-
hydroxybutyl] -3-[(dipropylamino) sulfonyl] -N-(3-
methoxybenzyl) propanamide hydrochloride
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-5-hydroxy-1H-indole-2-
carboxamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2,2-dimethylchromane-8-
carboxamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-6-benzyl-N-(3-ethylbenzyl)pyrazine-2-
carboxamide 4-oxide
             2 - (\{[(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 - (\{(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - 2 - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluorophenyl) - ((3, 5 - difluoroph
hydroxybutyl] (3-methoxybenzyl) amino] carbonyl}amino) - N, N-
dipropylethanesulfonamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybuty1] - N - [(1R) - 1 - (hydroxymethy1) - 2 - methy1propy1] - 5 -
methyl-N', N'-dipropylisophthalamide
            N-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-
hydroxybutyl] -N-benzyl-3-
[(dipropylamino)sulfonyl]propanamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-(4-methoxyphenyl)-4-
```

```
oxobutanamide
            N^{1}-[(2R,3S)-3-amino-2-hydroxy-4-(4-
hydroxyphenyl) butyl] -N^1-benzyl -N^3, N^3-dipropylbenzene -1, 3, 5-
tricarboxamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-methyl-4-oxo-3,4-
dihydrophthalazine-1-carboxamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3,4-dihydro-2H-1,5-
benzodioxepine-7-carboxamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-2-[4-(2,5-dioxopyrrolidin-1-yl)phenoxy]-N-(3-
ethylbenzyl)acetamide
             N^{1}-[(2R,3S)-3-amino-4-(2-furyl)-2-hydroxybutyl]-N^{1}-(3-
methoxybenzyl) -N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-5-methyl-4-oxo-3,4-
dihydrothieno [2,3-d] pyrimidine-6-carboxamide
             N^{1}-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-
hydroxybutyl] -N^1 - (3-methylbutyl) -N^3, N^3 -dipropylbenzene -1, 3, 5-
tricarboxamide
             N-[(2R,3S)-3-amino-4-(3-chloro-5-fluorophenyl)-2-
hydroxybutyl] - N- (3-methoxybenzyl) - N', N'-
dipropylpentanediamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-6-fluoro-2-hydroxyguinoline-
4-carboxamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-oxo-4-(2-
thienyl) butanamide
             N^3 - \{ [(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 2 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 3 - amin
hydroxybutyl] (3-methoxybenzyl) amino] carbonyl\}-N^1, N^1-dipropyl
```

```
β-alaninamide
                      N^1-[(2R,3R)-3-amino-2-hydroxy-4-(phenylthio)butyl]-N^1-
  (3-methoxybenzyl)-N^3, N^3-dipropylbenzene-1, 3, 5-tricarboxamide
                      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-[(1R)-1-(hydroxymethyl)-2-methylpropyl]-5-
methyl-N', N'-dipropylisophthalamide
                      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N - [(1R, 2S) - 1 - (hydroxymethyl) - 2 - methylbutyl] - 5 - 1 - (hydroxymethyl) - 2 - methylbutyl] - 5 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - 1 - (hydroxymethyl) - 2 - (hydroxymethyl) - 2 - (hydroxymethyl) - 2 - (hydroxymethyl) - 2 - (hydroxyme
methyl-N', N'-dipropylisophthalamide
                      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-(phenoxymethyl)benzamide
                      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N' - (2, 4-difluorophenyl) -N- (3-
ethylbenzyl) pentanediamide
                      N-[(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
hydroxybutyl] -N' - (4,6-dimethylpyrimidin-2-yl) - N- (3-mathylpyrimidin-2-yl) - N- (3-mathylp
ethylbenzyl) pentanediamide
                     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(3-methoxybenzoyl)-N-(3-methoxybenzyl)-5-
methylbenzamide
                     N^{1} - \{ (2R, 3S) - 3 - \text{amino} - 4 - [3 - (\text{benzyloxy}) \text{ phenyl}] - 2 - (\text{benzyloxy}) \}
hydroxybutyl\}-N^1-(3-methoxybenzyl)-N^3, N^3-dipropylbenzene-
1,3,5-tricarboxamide
                     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-4-(3,4-dichlorophenyl)-N-(3-ethylbenzyl)-4-
oxobutanamide
                                                                                                                                                  4 - \{(2S, 3R) - 2 - \text{amino} - 4 - [\{3 - 4\} - \{3\}]\}
                     methyl
[(dipropylamino)carbonyl]-5-methylbenzoyl}(3-
methoxybenzyl)amino]-3-hydroxybutyl}benzoate
                     N' - (4-\text{acetylphenyl}) - N - [(2R, 3S) - 3-\text{amino} - 4 - (3, 5-
difluorophenyl) -2-hydroxybutyl] -N-(3-
ethylbenzyl) pentanediamide
```

```
N-\{(2R,3S)-3-amino-4-[4-(benzyloxy)phenyl]-2-
hydroxybutyl}-N-(3-methoxybenzyl)-5-methyl-N', N'-
dipropylisophthalamide
            N-[(2R,3R)-3-amino-2-hydroxy-4-(phenylthio)butyl]-N-(3-
methoxybenzyl)-5-methyl-N', N'-dipropylisophthalamide
             2-({3-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl](3-ethylbenzyl)amino]-3-oxopropyl}thio)-N-
methylbenzamide
            N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-max)
methoxybenzyl)-3-[(1-propylbutyl)thio]propanamide
hydrochloride
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N' - (4-ethoxyphenyl) -N- (3-ethoxyphenyl)
ethylbenzyl) succinamide
             N^1 - \{ (2R, 3S) - 3 - \text{amino} - 4 - [3 - (\text{benzyloxy}) - 5 - \text{fluorophenyl}] - 2 - (\text{benzyloxy}) \}
hydroxybutyl\}-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-
tricarboxamide
             2-([(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] {3-[(dipropylamino)carbonyl]-5-
methylbenzoyl}amino)ethyl (3-methoxyphenyl)carbamate
              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl)
ethylbenzyl)amino]methyl}propyl 3-(benzyloxy)benzoate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[(1S)-2-(1S)-2-(1S)-(1S)-(1S)-(1S)\})
hydroxy-1-methylethyl]amino}methyl)propyl
                                                                                                                                                       3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
              (1R,2S)-2-amino-3-(pentafluorophenyl)-1-({[3-
 (trifluoromethyl)benzyl]amino}methyl)propyl
 [(dipropylamino)carbonyl]-5-methylbenzoate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                   4-(4-hydroxyphenyl)-4-
 ethylbenzyl)amino]methyl}propyl
 oxobutanoate
               (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
```

```
[3-(trifluoromethyl)phenyl]propyl
                                                                                                                                                                                                                                       3 -
[(dipropylamino)sulfonyl]propanoate
                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                    3-(piperidin-3-
ylsulfonyl)benzoate dihydrochloride
                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl 6-chloro-4-hydroxyquinoline-
2-carboxylate
                    (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
(2-thienyl) propyl 5-(dipropylamino)-5-oxopentanoate
                    (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-4-
methylpentyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl (6-oxo-3-phenylpyridazin-
1(6H) - y1) acetate
                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - d
ethylbenzyl)amino]methyl propyl
                                                                                                                                                                                                                            3-{4-
[(methylsulfonyl)amino]phenyl}propanoate
                     (1R, 2S) -2-amino-3-(4-fluoro-3-methylphenyl)-1-\{[(3-
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                               3-(aminocarbonyl)-5-
 [(dipropylamino)carbonyl]benzoate
                     (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(4-
methylphenyl)propyl
                                                                                                                     3-[(dipropylamino)carbonyl]-5-
methylbenzoate
                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluoropheny
iodobenzyl)amino]methyl}propyl 3-(2-chlorophenoxy)propanoate
                     (1R, 2S) -2-amino-3-(4-fluorophenyl)-1-\{[(3-
methylbutyl)amino]methyl}propyl
                                                                                                                                                               3-(aminocarbonyl)-5-
 [(dipropylamino)carbonyl]benzoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                       N-(4-chlorobenzoyl)-D-
 alaninate
```

```
(1R, 2S) -2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-
  { [(3-methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      3.
   [(dipropylamino)sulfonyl]propanoate hydrochloride
                                              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluo
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                      4-(4-methylphenyl)-4-
oxobutanoate
                                              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amin
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                              4 - 0x0 - 4 - \{ [3 - 4] \}
   (trifluoromethyl) phenyl] amino} butanoate
                                               (1R, 2S) -2-amino-3-(1, 3-benzodioxol-5-yl) -1-{ [(3-
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     3 -
   [(dipropylamino)carbonyl]-5-methylbenzoate
                                              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl (5-pyridin-2-yl-2H-tetrazol-
 2-yl)acetate
                                              (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
   (3-methylphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
                                              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl isoxazole-5-carboxylate
                                               (1R, 2S) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 1 - \{ [(3 - 3) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            (3,5-
dimethoxyphenoxy) acetate
                                              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino -
 ethylbenzyl)amino]methyl}propyl 4-(2,5-dimethyl-1H-pyrrol-1-
yl)-3-hydroxybenzoate
                                              (1R, 2S) - 2 - amino - 3 - (3 - bromophenyl) - 1 - \{ [ (3 - bromophenyl) - 1 - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - bromophenyl) - (3 - 
methoxybenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                     5-(dipropylamino)-5-
oxopentanoate
                                               (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                    4-{[5-(cyclopentylmethyl)-
  1,3,4-thiadiazol-2-yl]amino}-4-oxobutanoate
                                               (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-[3-
```

```
(trifluoromethyl)phenyl]propyl
                                           3-(aminocarbonyl)-5-
 [(dipropylamino)carbonyl]benzoate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                   (3-oxo-1,2-benzisothiazol-
2(3H)-y1) acetate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[1-methyl-5-
(pyrrolidin-1-ylcarbonyl) -1H-pyrrol-3-yl]amino}methyl)propyl
3-[(dipropylamino)carbonyl]-5-methylbenzoate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                    4-(3,4-difluorophenyl)-4-
oxobutanoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                              4-(2-naphthyl)-4-
oxobutanoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                       4,6-diethoxypyridine-2-
carboxylate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl 4-(5-methyl-1H-pyrrol-2-yl)-
4-oxobutanoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                        3-({[2-
(methylamino)ethyl]amino}sulfonyl)benzoate hydrochloride
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
methoxybenzyl)amino]methyl}propyl
                                                 3-methyl-5-(4-
methylbenzoyl)benzoate
     (1R, 2S) -2-amino-3-(1, 3-benzodioxol-5-v1)-1-
[(benzylamino)methyl]propyl
                              3-[(dipropylamino)carbonyl]-5-
methylbenzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                               3-(piperazin-1-
ylsulfonyl)benzoate hydrochloride
```

```
(1R, 2S) -2-amino-1-[({2-[4-
   (aminosulfonyl) phenyl] ethyl amino) methyl] -3 - (3,5-
                                                                                                                                                                                                                                                                                                         3-[(dipropylamino)carbonyl]-5-
difluorophenyl) propyl
methylbenzoate
                                                   (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[2-hydroxy-
1-(hydroxymethyl)ethyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 3 -
  [(dipropylamino)carbonyl]-5-methylbenzoate
                                                   (1R, 2S) - 2 - amino - 3 - (4 - fluoro - 3 - methylphenyl) - 1 - \{ [ (3 - fluoro - 3 - methylphenyl) - 1 - (3 - fluoro - 3 - methylphenyl) - 1 - (3 - fluoro - 3 - methylphenyl) - 1 - (3 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (3 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - 1 - (4 - fluoro - 3 - methylphenyl) - (4 - fluoro - 3 - methylphenyl) - (4 - fluoro - 3 - methylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphe
methylbutyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                                          3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
                                                   (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \} 
ethylbenzyl)amino]methyl}propyl 3-(3-oxo-2,1-benzisothiazol-
1(3H) - yl) propanoate
                                                   (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - d
ethylbenzyl)amino]methyl}propyl (2,6-dihydroxypyrimidin-4-
vl)acetate
                                                   (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
  [3-(trifluoromethyl)phenyl]propyl
                                                                                                                                                                                                                                                                                                                                                                                                         5-(dipropylamino)-5-
oxopentanoate
                                                   (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(4-
hydroxyphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
                                                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-2-
methyl-4-oxobutanoate
                                                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                                                                                     5-oxo-5-[(2-pyridin-2-
ylethyl) amino] pentanoate
                                                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
 ethylbenzyl)amino]methyl}propyl [2-(4-fluorophenyl)-1,3-
benzoxazol-5-yl]acetate
                                                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
  ethylbenzyl)amino]methyl}propyl N-(anilinocarbonyl)glycinate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
   ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                         N-(2,6-
   dimethoxybenzoyl)glycinate
                       (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
   ethylbenzyl)amino]methyl}propyl
                                                                                                                                                        2-(1,3-dithian-2-yl)-3-
   furoate
                       (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                        2-[2-oxo-2-
   (propylamino) ethyl] benzoate
                       (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(3-
  bromophenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
  iodobenzyl)amino]methyl}propyl 3-(2-fluorophenyl)propanoate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                   5-methylthiophene-2-
  carboxylate
                      (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
 iodobenzyl) amino] methyl propyl [4-(benzyloxy) phenyl] acetate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                          [(5,7-
 dimethyl[1,2,4]triazolo[4,3-a]pyrimidin-3-yl)thio]acetate
                     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl) amino] methylpropyl 4-[(1-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-2,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-acetyl-3,3-dihydro-1H-ace
indol-7-yl)amino]-4-oxobutanoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 5-[(3-acetylphenyl)amino]-5-
oxopentanoate
                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \} 
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                         3-(4-chlorophenoxy)-2-
hydroxypropanoate
                   N^3-[(1S,2R)-3-(benzylamino)-1-(3-fluoro-4-
methoxybenzyl) -2-hydroxypropyl] -N^1, N^1-dipropylbenzene-1,3,5-
```

```
tricarboxamide
            (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(3-
                                                                                                        3-(aminocarbonyl)-5-
methylphenyl)propyl
[(dipropylamino)carbonyl]benzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 1H-indole-7-carboxylate
             (1R, 2S) -2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(3-
methylphenyl)propyl
                                                                                                        3-(aminocarbonyl)-5-
[(dipropylamino)carbonyl]benzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                        4-(1,2,3-thiadiazol-4-
yl)benzoate
             (1R, 2S) -2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-
{[(3-methoxybenzyl)amino]methyl}propyl
                                                                                                                                                      3 -
 [(dipropylamino)sulfonyl]propanoate
             (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ (3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - 
ethylbenzyl)amino]methyl propyl
                                                                                                        3 - (4, 4 - dimethyl - 2, 5 -
dioxoimidazolidin-1-yl)-2-{[(1-
propylbutyl) sulfonyl] methyl }propanoate
             (1R, 2S) -2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(4-
methylphenyl)propyl
                                                                              3-[(dipropylamino)carbonyl]-5-
methylbenzoate
             (1R,2S)-2-amino-1-[(benzylamino)methyl]-3-[3-fluoro-5-
 (trifluoromethyl)phenyl]propyl 3-[(dipropylamino)carbonyl]-
5-methylbenzoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl [1-methyl-3-(methylthio)-1H-
indol-2-yl]acetate
             (1R, 2S) -2-amino-3-(3, 5-dichlorophenyl)-1-\{[(3-
methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
 5-methylbenzoate
          (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
```

```
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                        [(2-\{[4-(1,3-oxazol-5-
  yl)phenyl]amino}-2-oxoethyl)thio]acetate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethylbenzyl)amino]methyl}propyl 4-(2-furyl)-4-oxobutanoate
                      (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
  ethylbenzyl)amino]methyl}propyl
                                                                                                                                               3-(3-pyridin-2-yl-1,2,4-
  oxadiazol-5-yl)propanoate
                      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethylbenzyl)amino]methyl}propyl [2-(acetylamino)-1,3-
  thiazol-4-yl]acetate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl [(4-methyl-4H-1,2,4-triazol-
 3-yl)thio](phenyl)acetate
                     (1R, 2S) -2-amino-3-(4-chlorophenyl) -1-\{[(3-
 methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
 5-methylbenzoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                               4-(1,3-benzothiazol-2-
yl)butanoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                4-[(3-chloro-4-
 fluorophenyl) amino] -4-oxobutanoate
                     (1R, 2S) - 2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-
 {[(3-methylbutyl)amino]methyl}propyl
                                                                                                                                                                                                                                  3 -
 [(dipropylamino)carbonyl]-5-methylbenzoate
                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                            [(2-oxo-2,3-
dihydroquinazolin-4-yl)thio]acetate
                   N-[(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
hydroxybutyl]-3-(benzyloxy)-N-(3-ethylbenzyl)benzamide
                   N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-[(1S) -2-hydroxy-1-methylethyl] -5-methyl-
```

```
N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-
(pentafluorophenyl)butyl]-5-methyl-N', N'-dipropyl-N-[3-
(trifluoromethyl)benzyl]isophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-(4-hydroxyphenyl)-4-
oxobutanamide
     N-\{(2R,3S)-3-amino-2-hydroxy-4-[3-
(trifluoromethyl)phenyl]butyl}-3-[(dipropylamino)sulfonyl]-
N-(3-methoxybenzyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-3-(piperidin-3-
ylsulfonyl) benzamide dihydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-6-chloro-N-(3-ethylbenzyl)-4-hydroxyquinoline-
2-carboxamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-N-(3-
methoxybenzyl) - N', N' - dipropylpentanediamide
     N-[(2R,3S)-3-amino-2-hydroxy-5-methylhexyl]-N-(3-
methoxybenzyl)-5-methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-(6-oxo-3-phenylpyridazin-
1(6H)-yl) acetamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N- (3-ethylbenzyl) -3-\{4-
[(methylsulfonyl)amino]phenyl}propanamide
     N^{1}-[(2R,3S)-3-amino-4-(4-fluoro-3-methylphenyl)-2-
hydroxybutyl] -N^1 - (3-methoxybenzyl) -N^3, N^3-dipropylbenzene-
1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-
N-benzyl-5-methyl-N', N'-dipropylisophthalamide
    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl] -3-(2-chlorophenoxy) -N-(3-
iodobenzyl)propanamide
     N^{1}-[(2R,3S)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-
N^{1}-(3-methylbutyl)-N^{3}, N^{3}-dipropylbenzene-1,3,5-tricarboxamide
      N-\{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-
hydroxybutyl}-3-[(dipropylamino)sulfonyl]-N-(3-
methoxybenzyl)propanamide hydrochloride
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-4-(4-methylphenyl)-4-
oxobutanamide
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                     4 - 0x0 - 4 - \{ [3 -
(trifluoromethyl)phenyl]amino}butanoate
     N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-
hydroxybutyl] -N- (3-methoxybenzyl) -5-methyl-N', N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-
3-[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)isoxazole-5-carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -2-(3,5-dimethoxyphenoxy) -N-(3-
ethylbenzyl)acetamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -4-(2,5-dimethyl-1H-pyrrol-1-yl)-N-(3-methyl-1H-pyrrol-1-yl)
ethylbenzyl)-3-hydroxybenzamide
     N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N-
(3-methoxybenzyl) - N', N'-dipropylpentanediamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N' - [5-(cyclopentylmethyl) -1,3,4-thiadiazol-2-
yl]-N-(3-ethylbenzyl)succinamide
     N^{1} - \{ (2R, 3S) - 3 - \text{amino} - 2 - \text{hydroxy} - 4 - [3 - 3] \}
```

```
(trifluoromethyl) phenyl] butyl \} - N^1 - \text{benzyl} - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 - N^3 
  dipropylbenzene-1,3,5-tricarboxamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-N-(3-ethylbenzyl)-2-(3-oxo-1,2-benzisothiazol-
 2(3H)-y1) acetamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -5-methyl-N-[1-methyl-5-(pyrrolidin-1-
ylcarbonyl)-1H-pyrrol-3-yl]-N', N'-dipropylisophthalamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-4-(3,4-difluorophenyl)-N-(3-ethylbenzyl)-4-
 oxobutanamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-4-(2-naphthyl)-4-
 oxobutanamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-4,6-diethoxy-N-(3-ethylbenzyl)pyridine-2-
carboxamide
                   N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybuty1] - N - (3 - ethylbenzy1) - 4 - (5 - methyl - 1H - pyrrol - 2 - y1) - (3 - ethylbenzy1) - 4 - (5 - methyl - 1H - pyrrol - 2 - y1) - (3 - ethylbenzy1) - 4 - (5 - methyl - 1H - pyrrol - 2 - y1) - (3 - ethylbenzy1) - 4 - (5 - methyl - 1H - pyrrol - 2 - y1) - (3 - ethylbenzy1) - 4 - (5 - methyl - 1H - pyrrol - 2 - y1) - (3 - ethylbenzy1) - 4 - (5 - methyl - 1H - pyrrol - 2 - y1) - (3 - ethylbenzy1) - (3 - ethylbenzy1) - 4 - (5 - methyl - 1H - pyrrol - 2 - y1) - (3 - ethylbenzy1) - (3 - ethylbenzy1) - (3 - ethylbenzy1) - (3 - ethylbenzy1) - (3 - ethylbenzy1) - (4 - ethylbenzy1) - (5 - ethylbenzy1) - (3 - ethylbenzy1) - (4 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethylbenzy1) - (5 - ethyl
4-oxobutanamide
                   N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -3-({[2-
 (methylamino)ethyl]amino}sulfonyl)benzamide hydrochloride
                   N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-methoxybenzyl) -3-methyl-5-(4-
methylbenzoyl) benzamide
                   N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-
hydroxybutyl]-N-benzyl-5-methyl-N', N'-dipropylisophthalamide
                   N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -3-(piperazin-1-
ylsulfonyl)benzamide hydrochloride
                   N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl] -N-{2-[4-(aminosulfonyl)phenyl]ethyl}-5-methyl-
N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]-5-methyl-
N', N'-dipropylisophthalamide
     N^{1}-[(2R,3S)-3-amino-4-(4-fluoro-3-methylphenyl)-2-
hydroxybutyl] -N^1 - (3-methylbutyl) -N^3, N^3 - dipropylbenzene-1, 3, 5-
tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-3-(3-oxo-2,1-benzisothiazol-
1(3H) - yl) propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -2-(2,6-dihydroxypyrimidin-4-yl) -N-(3-
ethylbenzyl)acetamide
     N-\{(2R,3S)-3-amino-2-hydroxy-4-[3-max]
(trifluoromethyl) phenyl] butyl} - N - (3 - methoxybenzyl) - N', N' -
dipropylpentanediamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-
N-benzyl-3-[(dipropylamino)sulfonyl]propanamide
     N-[(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
hydroxybutyl]-4-(3,4-difluorophenyl)-N-(3-ethylbenzyl)-2-
methyl-4-oxobutanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-N'-(2-pyridin-2-
ylethyl) pentanediamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -2-[2-(4-fluorophenyl) -1,3-
benzoxazol-5-yl]acetamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^2 - (anilinocarbonyl) -N^1 - (3-
ethylbenzyl)glycinamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl]-2-(1,3-dithian-2-yl)-N-(3-ethylbenzyl)-3-
furamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -2-[2-oxo-2-
(propylamino) ethyl] benzamide
     N-[(2R,3S)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N-
benzyl-3-[(dipropylamino)sulfonyl]propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(2-fluorophenyl)-N-(3-iodobenzyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-5-methylthiophene-2-
carboxamide
     N-[(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
hydroxybutyl] -2-[4-(benzyloxy)phenyl] -N-(3-
iodobenzyl) acetamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -2-[(5,7-dimethyl[1,2,4]triazolo[4,3-
a]pyrimidin-3-yl)thio]-N-(3-ethylbenzyl)acetamide
     N' - (1-acetyl - 2, 3-dihydro - 1H-indol - 7-yl) - N - [(2R, 3S) - 3-yl)]
amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
ethylbenzyl) succinamide
     N' - (3 - \text{acetylphenyl}) - N - [(2R, 3S) - 3 - \text{amino} - 4 - (3, 5 - 3)]
difluorophenyl) -2-hydroxybutyl] -N-(3-
ethylbenzyl)pentanediamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -3-(4-chlorophenoxy) -N-(3-ethylbenzyl) -2-
hydroxypropanamide
     N^3 - [(1S, 2R) - 3 - (benzylamino) - 1 - (3 - fluoro - 4 -
methoxybenzyl) - 2 - hydroxypropyl] - N^1, N^1 - dipropylbenzene - 1, 3, 5 -
tricarboxamide
     N^{1}-[(2R, 3S)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-
N^1-benzyl-N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -1H-indole-7-carboxamide
     N^{1}-[(2R,3S)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-
N^{1}-(3-methylbutyl)-N^{3}, N^{3}-dipropylbenzene-1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-(1,2,3-thiadiazol-4-
yl) benzamide
     N-\{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-
hydroxybutyl}-3-[(dipropylamino)sulfonyl]-N-(3-
methoxybenzyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -3 - (4, 4-dimethyl-2, 5-dioxoimidazolidin-1-yl) -N-
(3-ethylbenzyl)-2-{[(1-
propylbutyl) sulfonyl] methyl } propanamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-
5-methyl-N-(3-methylbutyl)-N', N'-dipropylisophthalamide
     N-\{(2R,3S)-3-amino-4-[3-fluoro-5-
(trifluoromethyl)phenyl]-2-hydroxybutyl}-N-benzyl-5-methyl-
N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-[1-methyl-3-(methylthio)-
1H-indol-2-yl]acetamide
     N-[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-
hydroxybutyl] -5-methyl-N-(3-methylbutyl) -N', N'-
dipropylisophthalamide
     2-({2-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] (3-ethylbenzyl) amino] -2-oxoethyl}thio) -N-[4-oxoethyl]
(1,3-oxazol-5-yl)phenyl]acetamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-(2-furyl)-4-oxobutanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -3-(3-pyridin-2-yl-1,2,4-
```

```
oxadiazol-5-yl)propanamide
                           2-[2-(acetylamino)-1,3-thiazol-4-yl]-N-[(2R,3S)-3-
amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-
ethylbenzyl)acetamide
                           N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl} \ -N- (3-ethylbenzyl)-2-[(4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-methyl-4H-1,4-meth
 triazol-3-yl)thio]-2-phenylacetamide
                            N-[(2R,3S)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-
 5-methyl-N-(3-methylbutyl)-N',N'-dipropylisophthalamide
                             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-4-(1,3-benzothiazol-2-yl)-N-(3-
 ethylbenzyl)butanamide
                             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-N'-(3-chloro-4-fluorophenyl)-N-(3-
  ethylbenzyl) succinamide
                             N-{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-
  hydroxybutyl}-5-methyl-N-(3-methylbutyl)-N', N'-
  dipropylisophthalamide
                              N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl]-N-(3-ethylbenzyl)-2-[(2-oxo-2,3-
   dihydroquinazolin-4-yl)thio]acetamide
                                 (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorop
                                                                                                                                                                                                                                                                       3-methyl-5-(2-
   methoxybenzyl)amino]methyl}propyl
   methylbenzoyl)benzoate
                                 (1R, 2S) - 2 - amino - 3 - (4 - hydroxyphenyl) - 1 - { [(3 - amino - 3 - (4 - hydroxyphenyl) - 1 - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino - 3 - (4 - hydroxyphenyl) - (3 - amino -
                                                                                                                                                                                                                                    5-(dipropylamino)-5-
    methoxybenzyl)amino]methyl}propyl
    oxopentanoate
                                  (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(4-
                                                                                                                                                                                                                                      3-(aminocarbonyl)-5-
     methylphenyl)propyl
      [(dipropylamino)carbonyl]benzoate
                                   (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
     ethylbenzyl)amino]methyl}propyl 4-propoxybenzoate
```

```
(1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                                                                                                                                                                    1-methyl-1H-indole-2-
ethylbenzyl)amino]methyl}propyl
carboxylate
                                   (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                                                                                                               5-chloro-2-(3-methyl-4H-
ethylbenzyl)amino]methyl}propyl
1,2,4-triazol-4-yl)benzoate
                                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-{ [(3-
ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-2-
methoxy-4-oxobutanoate
                                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl [3-(2-thienyl)-1H-pyrazol-1-
vl]acetate
                                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
ethylbenzyl)amino]methyl}propyl 5-anilino-5-oxopentanoate
                                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - (3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - amino - 3 - am
ethylbenzyl)amino]methyl}propyl (2-thioxo-1,3-benzothiazol-
3(2H)-yl) acetate
                                    (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-
                                                                                                                                                                                                                     3-[(dipropylamino)carbonyl]-5-
cyclohexylpropyl
methylbenzoate
                                     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                                                                                                                                                                                                                                                                             3-(aminocarbonyl)-5-
   (4-methoxyphenyl) propyl
   [(dipropylamino)carbonyl]benzoate
                                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                                                                                                                                                                                                                                 (3-hydroxy-4-
 ethylbenzyl)amino]methyl}propyl
 methylphenyl)acetate
                                     (1R,2S)-2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-
 1-{[(3-methylbutyl)amino]methyl}propyl
   [(dipropylamino)carbonyl]-5-methylbenzoate
                                      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                               7-fluoro-4H-imidazo[5,1-
 c] [1,4]benzoxazine-3-carboxylate
```

```
(1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                                                                                                                         4-(3,4-dihydro-2H-1,5-
 ethylbenzyl)amino]methyl}propyl
benzodioxepin-7-yl)-4-oxobutanoate
                                   (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluo
 ethylbenzyl)amino]methyl}propyl 1-benzofuran-3-carboxylate
                                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                                                                                                                                                                                                                                                            3 - [(3, 4 -
  ethylbenzyl)amino]methyl}propyl
  dichlorophenyl) amino] -3-oxopropanoate
                                      (1R, 2S) - 2-amino-1-[(benzylamino)methyl]-3-[3-fluoro-5-
                                                                                                                                                                                                                                                                                          3-(aminocarbonyl)-5-
     (trifluoromethyl)phenyl]propyl
     [(dipropylamino)carbonyl]benzoate
                                      (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[(1R) - 2 - (1R) - 2 - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1R) - (1
   hydroxy-1-methylethyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                                                                                                                                        3 -
     [(dipropylamino)carbonyl]-5-methylbenzoate
                                        (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(3-
                                                                                                                                                                                                                      3-[(dipropylamino)carbonyl]-5-
     methylphenyl)propyl
     methylbenzoate
                                         (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
     ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                    5-oxo-5-(pyridin-3-
     ylamino)pentanoate
                                          (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl)] - (3, 5 - difluorophenyl)]
      ethylbenzyl)amino]methyl}propyl 2-methyl-4-oxo-4H-chromene-
        6-carboxylate
                                           (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                                                                                                             ({2-[(5-methylisoxazol-3-
       ethylbenzyl)amino]methyl}propyl
        yl)amino]-2-oxoethyl}thio)acetate
                                            (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - 1 - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H - 1)]}) - ({[3 - (1H -
         imidazol-1-yl)propyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                                                                                                                                            3 -
           [(dipropylamino)carbonyl]-5-methylbenzoate
                                             (1R, 2S) -2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-
                                                                                                                                                                                                                                                                                                                                                                                                                             3 -
           1-{[(3-methoxybenzyl)amino]methyl}propyl
            [(dipropylamino)sulfonyl]propanoate
```

```
(1R, 2S) -2-amino-3-(4-hydroxyphenyl)-1-{[(3-
                                                                                                                                                   3 -
methylbutyl)amino]methyl}propyl
[(dipropylamino)sulfonyl]propanoate
             (1R, 2S) -2-amino-3-(1, 3-benzodioxol-5-yl)-1-{[(3-
methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-methylbenzoate
             (1R, 2S) -2-amino-1-\{[(3-methylbutyl)amino]methyl\}-3-(2-methylbutyl)
thienyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
              (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                    4 - [(2, 2 -
ethylbenzyl)amino]methyl}propyl
dimethylpropanoyl)amino]-2-hydroxybenzoate
              (1R, 2S) - 2 - amino - 3 - (3 - methoxyphenyl) - 1 - \{ [(3 - methoxyphenyl) - 1 - (3 - methoxyphenyl) - 1 - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - (3 - methoxyphenyl) - 
methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
 5-methylbenzoate
              (1R, 2S) -2-amino-3-(4-fluorophenyl)-1-({[3-
 (trifluoromethyl)benzyl]amino}methyl)propyl
                                                                                                                                       3-{[(3-
 methoxybenzyl)amino]sulfonyl}benzoate
              (1R, 2S) -2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-
  (trifluoromethyl)phenyl]propyl 3-[(dipropylamino)carbonyl]-
 5-methylbenzoate
               (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl 6-(2-furoylamino)hexanoate
               (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
  ethylbenzyl) amino] methylpropyl [(1-phenyl-4,5-dihydro-1H-
  tetrazol-5-yl)thio]acetate
               (1S,2S)-2-amino-3-phenyl-1-({[3-
  (trifluoromethyl)benzyl]amino}methyl)propyl
                                                                                                                                        3 - { [ (3-
  methoxybenzyl)amino|sulfonyl}benzoate
               (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
  ethylbenzyl)amino]methyl}propyl 4-(3,4-dihydro-2H-chromen-6-
  yl)-4-oxobutanoate
                (1R, 2S) -2-amino-3-(3-methoxyphenyl)-1-{[(3-
```

```
3-(aminocarbonyl)-5-
methylbutyl)amino]methyl}propyl
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-
                                             5-(dipropylamino)-5-
methoxybenzyl)amino]methyl}propyl
oxopentanoate
     (1R, 2S) - 2-amino-3-(3,5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl indolizine-2-carboxylate
      (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-[3-
(trifluoromethoxy)phenyl]propyl 3-[(dipropylamino)carbonyl]-
5-methylbenzoate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl nicotinate 1-oxide
      (1R, 2S) -2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-
{ [(3-methylbutyl)amino]methyl}propyl
                                                                 3 -
 [(dipropylamino)sulfonyl]propanoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
iodobenzyl)amino]methyl}propyl [(aminocarbonyl)oxy]acetate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                   2,3-dihydro-1H-
 ethylbenzyl)amino]methyl}propyl
 cyclopenta[b] quinoline-9-carboxylate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                          3-methyl-1H-pyrazole-5-
 ethylbenzyl)amino]methyl}propyl
 carboxylate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl 5-(benzoylamino)pentanoate
       (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                 4 -
 ethylbenzyl)amino]methyl}propyl
 [(methoxymethyl)thio]benzoate
       (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl 3-(1,3-benzothiazol-2-yl)-3-
 methoxypropanoate
       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl)]}
```

```
3 ~
ethylbenzyl)amino]methyl}propyl
{ [(methylamino) carbonyl] amino} - 3 - (3 - thienyl) propanoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                   5-pyridin-2-ylthiophene-2-
carboxylate
     (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-[3-
                                          3-(aminocarbonyl)-5-
(benzyloxy) -5-fluorophenyl propyl
[(dipropylamino)carbonyl]benzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                      (5,6-dimethyl-2,4-dioxo-
ethylbenzyl)amino]methyl}propyl
1,2,3,4-tetrahydropyridin-3-yl)acetate
     (1R, 2S) -2-amino-3-(3-fluoro-4-methoxyphenyl)-1-{[(3-
methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-
5-methylbenzoate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                               2-isobutyl-1,3-
ethylbenzyl)amino]methyl}propyl
dioxoisoindoline-5-carboxylate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 5-(acetylamino)-2-furoate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                         N-[(4-
ethylbenzyl)amino]methyl}propyl
methoxyphenyl) acetyl] glycinate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl isoquinoline-4-carboxylate
      (1R, 2S) -2-amino-3-[3-(benzyloxy)phenyl]-1-{[(3-
                                          3-(aminocarbonyl)-5-
methylbutyl)amino]methyl}propyl
[(dipropylamino)carbonyl]benzoate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                  (4-hydroxy-3-
ethylbenzyl)amino]methyl}propyl
methoxyphenyl)acetate
      (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl [(4-phenyl-4H-1,2,4-triazol-
```

```
3-v1)thio]acetate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl (3,5-dimethoxyphenyl)acetate
             (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(3-
                                                                             3-[(dipropylamino)carbonyl]-5-
methoxyphenyl)propyl
methylbenzoate
              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5
                                                                                                                            (2-ethyl-4H-
ethylbenzyl)amino]methyl}propyl
 [1,2,4]triazolo[1,5-a]benzimidazol-4-yl)acetate
              (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(2-
 furyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
 ethylbenzyl)amino]methyl}propyl 7-chloro-1-benzofuran-2-
 carboxylate
              (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl 2-(1,3-dioxo-1,3-dihydro-2H-
 isoindol-2-yl)propanoate
               (1R, 2S) - 2-amino-3-(3,5-difluorophenyl) - 1-{[(3-
 ethylbenzyl)amino]methyl}propyl 3-(2-oxo-2H-1,3-benzoxazin-
  3(4H)-yl)propanoate
               (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
  ethylbenzyl)amino]methyl}propyl (pyrimidin-2-ylthio)acetate
               (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                   4-{[3-(aminocarbonyl)-
  ethylbenzyl)amino]methyl}propyl
  4,5,6,7-tetrahydro-1-benzothien-2-yl]amino}-4-oxobutanoate
                (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
  ethylbenzyl)amino]methyl}propyl [(5-phenyl-1,3,4-oxadiazol-
   2-yl)thio]acetate
                 (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
   ethylbenzyl)amino]methyl}propyl quinoline-6-carboxylate
                 (1R, 2S) -2-amino-1-[(benzylamino)methyl]-3-(2-
                                                                                                         3-(aminocarbonyl)-5-
    furyl)propyl
```

```
[(dipropylamino)carbonyl]benzoate
             (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5
                                                                                                        4-(2,3-dihydro-1,4-
ethylbenzyl)amino]methyl}propyl
benzodioxin-6-yl)-4-oxobutanoate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                 3 - (1H - indol - 3 - yl) - 1H -
ethylbenzyl)amino]methyl}propyl
pyrazole-5-carboxylate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                          2-hydroxy-4-
{[(methylamino)carbonothioyl]amino}benzoate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 6-chloronicotinate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 4-(3-hydroxyphenyl)-4-
oxobutanoate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl (phthalazin-1-ylthio)acetate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                         [(1-oxidopyridin-2-
yl)thio]acetate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl 3-(acetylamino)-5-fluoro-1H-
 indole-2-carboxylate
              (1S, 2S) -2-amino-3-phenyl-1-({[3-
 (trifluoromethyl)benzyl]amino}methyl)propyl
                                                                                                                                       3-{[(3-
 chlorobenzyl)amino]sulfonyl}benzoate
              (1R, 2S) -2-amino-3-[4-(benzyloxy)phenyl]-1-{[(3-
 methoxybenzyl)amino]methyl}propyl
                                                                                                       3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
              (1R, 2S) -2-amino-3-(1, 3-benzodioxol-5-yl)-1-
  [(benzylamino)methyl]propyl
                                                                                                       3-(aminocarbonyl)-5-
  [(dipropylamino)carbonyl]benzoate
```

```
(1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
                                                                                             4-(3,4-dichlorophenyl)-2-
ethylbenzyl)amino]methyl}propyl
hydroxy-3-methyl-4-oxobutanoate
             (1R, 2S) -2-amino-1-\{[(3-methylbutyl) amino] methyl\}-3-[3-methyl]
                                                                                                                                                            3 -
 (trifluoromethoxy) phenyl] propyl
 [(dipropylamino)sulfonyl]propanoate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                              4 - [(5-methyl-1, 3, 4-
thiadiazol-2-yl)amino]-4-oxobutanoate
              (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl (2-ethyl-1H-benzimidazol-1-
yl)acetate
              (1R, 2S) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1, 3 - benzodioxol - 5 - yl) - 1 - \{[(3 - yl) - 2 - amino - 3 - (1
                                                                                                                                                            3 -
 methoxybenzyl)amino]methyl}propyl
 [(dipropylamino)sulfonyl]propanoate
              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
                                                                                                  3-(2-oxo-1,3-benzoxazol-
 ethylbenzyl)amino]methyl}propyl
 3(2H)-yl)propanoate
              (1R, 2S) -2-amino-3-(3,5-dichlorophenyl)-1-{[(3-
                                                                                                                                                             3 -
 methylbutyl)amino]methyl}propyl
  [(dipropylamino)sulfonyl]propanoate
               (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - (3, 5 - difluorophenyl)]}
                                                                                                     4-[(6-methylpyridin-2-
  ethylbenzyl)amino]methyl}propyl
  yl)amino]-4-oxobutanoate
               4-((1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                           (4R) - 1, 3 -
                                                                                                       3-ethyl
  ethylbenzyl)amino]methyl}propyl)
   oxazolidine-3,4-dicarboxylate
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
   hydroxybutyl] -N-(3-methoxybenzyl) -3-methyl-5-(2-
   methylbenzoyl)benzamide
                N-[(2R,3S)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-
   N-(3-methoxybenzyl)-N',N'-dipropylpentanediamide
```

```
N^{1}-[(2R,3S)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-
N^1-benzyl-N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-propoxybenzamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -1-methyl-1H-indole-2-
carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-5-chloro-N-(3-ethylbenzyl)-2-(3-methyl-4H-
1,2,4-triazol-4-yl)benzamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-4-(3,4-difluorophenyl)-N-(3-ethylbenzyl)-2-
methoxy-4-oxobutanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -2-[3-(2-thienyl)-1H-pyrazol-
1-yl]acetamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -N'-phenylpentanediamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -2-(2-thioxo-1,3-
benzothiazol-3(2H)-yl)acetamide
     N-[(2R,3S)-3-amino-4-cyclohexyl-2-hydroxybutyl]-N-
benzyl-5-methyl-N', N'-dipropylisophthalamide
     N^{1}-[(2R, 3S)-3-amino-2-hydroxy-4-(4-
methoxyphenyl) butyl] -N^1 - (3-methoxybenzyl) -N^3, N^3 -
dipropylbenzene-1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -2-(3-hydroxy-4-
methylphenyl) acetamide
     N-\{(2R,3S)-3-amino-4-[3-fluoro-5-
(trifluoromethyl)phenyl]-2-hydroxybutyl}-5-methyl-N-(3-
methylbutyl) - N', N' - dipropylisophthalamide
```

```
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-7-fluoro-4H-imidazo[5,1-
c] [1,4]benzoxazine-3-carboxamide
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{localization} \verb|hydroxybutyl|-4-(3,4-dihydro-2H-1,5-benzodioxepin-7-yl)-N-|
(3-ethylbenzyl)-4-oxobutanamide
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-1-benzofuran-3-carboxamide
               N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N' - (3, 4-dichlorophenyl) -N-(3-
 ethylbenzyl) malonamide
               N^{1} - \{ (2R, 3S) - 3 - \text{amino} - 4 - [3 - \text{fluoro} - 5 - 6] \}
 (trifluoromethyl) phenyl] - 2 - hydroxybutyl\} - N^1 - benzyl - N^3 , N^3 -
 dipropylbenzene-1,3,5-tricarboxamide
                N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N-[(1R)-2-hydroxy-1-methylethyl]-5-methyl-
 N', N'-dipropylisophthalamide
                N-[(2R,3S)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-
 N-benzyl-5-methyl-N', N'-dipropylisophthalamide
                N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-N-(3-ethylbenzyl)-N'-pyridin-3-
 ylpentanediamide
                 (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
  ethylbenzyl)amino]methyl}propyl 2-methyl-4-oxo-4H-chromene-
  6-carboxylate
                 2-({2-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl] (3-ethylbenzyl) amino] -2-oxoethyl hthio) -N-(5-
  methylisoxazol-3-yl)acetamide
                 N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  dipropylisophthalamide
                  N - \{ (2R, 3S) - 3 - \text{amino} - 4 - [3 - \text{fluoro} - 5 - \text{mino} - 4 - \text{mino} - 4 - \text{mino} - 4 - \text{mino} - 5 - \text{mino} - 4 - \text{mino} - 4 - \text{mino} - 5 - \text{mino} - 4 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 - \text{mino} - 6 -
```

```
(trifluoromethyl)phenyl]-2-hydroxybutyl}-3-
[(dipropylamino)sulfonyl]-N-(3-methoxybenzyl)propanamide
                  N-[(2R,3S)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-
3-[(dipropylamino)sulfonyl]-N-(3-methylbutyl)propanamide
                   N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-
hydroxybutyl] -5-methyl-N-(3-methylbutyl) -N', N'-
dipropylisophthalamide
                  N-[(2R,3S)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-3-
 [(dipropylamino)sulfonyl]-N-(3-methylbutyl)propanamide
                   N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -4-[(2,2-dimethylpropanoyl)amino] -N-(3-
 ethylbenzyl)-2-hydroxybenzamide
                   N-[(2R,3S)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-
 5-methyl-N-(3-methylbutyl)-N', N'-dipropylisophthalamide
                   N-[(2R,3S)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-
 3-{[(3-methoxybenzyl)amino]sulfonyl}-N-[3-
  (trifluoromethyl)benzyl]benzamide
                    N-\{(2R,3S)-3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-hydroxy-4-[3-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2-amino-2
  (trifluoromethyl)phenyl]butyl}-5-methyl-N-(3-methylbutyl)-
 N', N'-dipropylisophthalamide
                    N-\{6-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl](3-ethylbenzyl)amino]-6-oxohexyl}-2-furamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl] -N-(3-ethylbenzyl) -2-[(1-phenyl-4,5-dihydro-1H-
  tetrazol-5-yl)thio]acetamide
                     N-[(2S,3S)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-phenylbutyl]-3-{[(3-mino-2-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-4-hydroxy-
  methoxybenzyl)amino]sulfonyl}-N-[3-
   (trifluoromethyl)benzyl]benzamide
                     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl]-4-(3,4-dihydro-2H-chromen-6-yl)-N-(3-
   ethylbenzyl)-4-oxobutanamide
                     N^{1}-[(2R, 3S)-3-amino-2-hydroxy-4-(3-
```

```
methoxyphenyl)butyl]-N^1-(3-methylbutyl)-N^3, N^3-
dipropylbenzene-1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3-fluoro-4-methylphenyl)-2-
hydroxybutyl] -N-(3-methoxybenzyl) -N', N'-
dipropylpentanediamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) indolizine-2-carboxamide
     N-{ (2R,3S)-3-amino-2-hydroxy-4-[3-
(trifluoromethoxy)phenyl]butyl-N-benzyl-5-methyl-N',N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) nicotinamide 1-oxide
     N-\{(2R,3S)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-
hydroxybutyl}-3-[(dipropylamino)sulfonyl]-N-(3-
methylbutyl)propanamide
     2-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl](3-iodobenzyl)amino]-2-oxoethyl carbamate
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2,3-dihydro-1H-
cyclopenta[b]quinoline-9-carboxamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N-(3-ethylbenzyl) -3-methyl-1H-pyrazole-5-
 carboxamide
      N-\{5-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] (3-ethylbenzyl) amino]-5-oxopentyl}benzamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-N-(3-ethylbenzyl)-4-
 [(methoxymethyl)thio]benzamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -3 - (1,3-benzothiazol-2-yl) -N - (3-ethylbenzyl) -3 -
 methoxypropanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl] -N-(3-ethylbenzyl) -3-
{[(methylamino)carbonyl]amino}-3-(3-thienyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-5-pyridin-2-ylthiophene-2-
carboxamide
     N^{1} - \{(2R, 3S) - 3 - \text{amino} - 4 - [3 - (\text{benzyloxy}) - 5 - \text{fluorophenyl}] - 2 - (\text{benzyloxy}) - 5 - \text{fluorophenyl}\}
hydroxybutyl\}-N^1-benzyl-N^3, N^3-dipropylbenzene-1, 3, 5-
tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-2-(5,6-dimethyl-2,4-dioxo-1,2,3,4-
tetrahydropyridin-3-yl)-N-(3-ethylbenzyl)acetamide
     N-[(2R,3S)-3-amino-4-(3-fluoro-4-methoxyphenyl)-2-
hydroxybutyl]-5-methyl-N-(3-methylbutyl)-N',N'-
dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-isobutyl-1,3-
dioxoisoindoline-5-carboxamide
     5-(acetylamino) -N-[(2R,3S)-3-amino-4-(3,5-
difluorophenyl) -2-hydroxybutyl] -N-(3-ethylbenzyl) -2-furamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 - [(4-
methoxyphenyl)acetyl]glycinamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)isoquinoline-4-carboxamide
      N^{1} - \{ (2R, 3S) - 3 - \text{amino} - 4 - [3 - (\text{benzyloxy}) \text{ phenyl}] - 2 - (\text{benzyloxy}) \}
hydroxybutyl\}-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-
tricarboxamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-(4-hydroxy-3-
methoxyphenyl) acetamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N-(3-ethylbenzyl) - 2-[(4-phenyl-4H-1,2,4-1)]
```

```
triazol-3-yl)thio]acetamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-2-(3,5-dimethoxyphenyl)-N-(3-
ethylbenzyl)acetamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-
N-benzyl-5-methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-(2-ethyl-4H-
[1,2,4]triazolo[1,5-a]benzimidazol-4-yl)acetamide
     N-[(2R,3S)-3-amino-4-(2-furyl)-2-hydroxybutyl]-N-
benzyl-5-methyl-N', N'-dipropylisophthalamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{local-equation} \verb|hydroxybutyl| -7-chloro-N-(3-ethylbenzyl)-1-benzofuran-2-\\
carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)-N-
(3-ethylbenzyl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybuty1]-N-(3-ethylbenzy1)-3-(2-oxo-2H-1,3-benzoxazin-1)
3(4H)-yl)propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-(pyrimidin-2-
ylthio) acetamide
     N'-[3-(aminocarbonyl)-4,5,6,7-tetrahydro-1-benzothien-
2-y1]-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N- (3-ethylbenzyl) succinamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-[(5-phenyl-1,3,4-
oxadiazol-2-yl)thio]acetamide
      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)quinoline-6-carboxamide
    N^{1}-[(2R,3S)-3-amino-4-(2-furyl)-2-hydroxybutyl]-N^{1}-
```

```
benzyl-N^3, N^3-dipropylbenzene-1,3,5-tricarboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-N-(3-
ethylbenzyl)-4-oxobutanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl} \ -N- (3-ethylbenzyl)-3- (1H-indol-3-yl)-1H-
pyrazole-5-carboxamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-2-hydroxy-4-
{ [(methylamino) carbonothioyl] amino} benzamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-6-chloro-N-(3-ethylbenzyl)nicotinamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-4-(3-hydroxyphenyl)-4-
oxobutanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-(phthalazin-1-
ylthio) acetamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
yl)thio]acetamide
     3-(acetylamino)-N-[(2R,3S)-3-amino-4-(3,5-
difluorophenyl) -2-hydroxybutyl] -N-(3-ethylbenzyl) -5-fluoro-
1H-indole-2-carboxamide
     N-[(2S,3S)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(3-
chlorobenzyl) amino] sulfonyl}-N-[3-
 (trifluoromethyl)benzyl]benzamide
     N^{1} - \{ (2R, 3S) - 3 - \text{amino} - 4 - [4 - (\text{benzyloxy}) \text{ phenyl}] - 2 - (\text{benzyloxy}) \}
hydroxybutyl\}-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-
1,3,5-tricarboxamide
     N^{1}-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-
hydroxybutyl] -N^1-benzyl-N^3, N^3-dipropylbenzene-1, 3, 5-
```

```
tricarboxamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -4-(3,4-dichlorophenyl) -N-(3-ethylbenzyl) -2-
hydroxy-3-methyl-4-oxobutanamide
                    N-\{(2R,3S)-3-amino-2-hydroxy-4-[3-4]
 (trifluoromethoxy)phenyl]butyl}-3-[(dipropylamino)sulfonyl]-
N-(3-methylbutyl) propanamide
                    N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl} \ -N-(3-ethylbenzyl)-N'-(5-methyl-1,3,4-
 thiadiazol-2-yl) succinamide
                     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybuty1] -2-(2-ethyl-1H-benzimidazol-1-yl)-N-(3-yl)
 ethylbenzyl)acetamide
                      N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-yl)-2-
 hydroxybutyl] -3-[(dipropylamino)sulfonyl]-N-(3-
 methoxybenzyl)propanamide
                      N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 \label{local_substitution} \verb|hydroxybutyl| -N-(3-ethylbenzyl)-3-(2-oxo-1,3-benzoxazol-
  3(2H)-yl)propanamide
                      N-[(2R,3S)-3-amino-4-(3,5-dichlorophenyl)-2-
  hydroxybutyl] -3-[(dipropylamino)sulfonyl]-N-(3-
  methylbutyl)propanamide
                       N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl] - N - (3 - ethylbenzyl) - N' - (6 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin - 2 - methylpyridin 
  yl) succinamide
                       ethyl (4R)-4-\{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-4-(3,5-difluorophenyl)-4-(3,5-difluorophenyl)-4-(3,5-difluorophenyl)-4-(3,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-difluorophenyl)-4-(4,5-diflu
   2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-1,3-
   oxazolidine-3-carboxylate
                       (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                          3-(butylsulfinyl)-N-
   ethylbenzyl)amino]methyl}propyl
   (methoxycarbonyl)-D-alaninate
                        (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-{[(3-
```

```
ethylbenzyl)amino]methyl}propyl S-butyl-N-(methoxycarbonyl)-
D-cysteinate
                   (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                 N-[(benzyloxy)carbonyl]-3-
 ethylbenzyl)amino]methyl}propyl
  [(4,4,4-trifluorobutyl)sulfonyl]-D-alaninate
                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
 ethylbenzyl)amino]methyl}propyl N-[(benzyloxy)carbonyl]-3-
  [(4,4,4-trifluorobutyl)sulfinyl]-D-alaninate
                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                               N-[(benzyloxy)carbonyl]-S-
  ethylbenzyl)amino]methyl}propyl
   (4,4,4-trifluorobutyl)-D-cysteinate
                     (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
                                                                                                                                                        3-(butylsulfonyl)-N-
  ethylbenzyl)amino]methyl}propyl
   (methoxycarbonyl)-D-alaninate
                     (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
   ethylbenzyl) amino] methyl propyl 3 - (butylsulfonyl) - N - [(2,2,2-1)]
   trifluoroethoxy) carbonyl]-D-alaninate
                      (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                             3-(butylsulfonyl)-N-[(2-
   ethylbenzyl)amino]methyl}propyl
   cyanoethoxy) carbonyl] -D-alaninate
                       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
    ethylbenzyl) amino] methyl propyl 3-(butylsulfonyl) - N-\{[(3R)-(3R)] - (butylsulfonyl) - N-([(3R)-(3R)]) - (butylsulfonyl) - N-([(3R)-(3R)]) - (butylsulfonyl) - N-([(3R)-(3R)]) - (butylsulfonyl) - N-([(3R)-(3R)]) - (butylsulfonyl) - N-([(3R)-(3R)]) - (butylsulfonyl) - N-([(3R)-(3R)]) - (butylsulfonyl) - N-([(3R)-(3R)]) - (butylsulfonyl) - N-([(3R)-(3R)]) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfonyl) - (butylsulfo
    pyrrolidin-3-yloxy]carbonyl}-D-alaninate
                       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - (3, 5 - difluorophenyl)]}
                                                                                                                                  3-(butylsulfonyl)-N-{[(3S)-
    ethylbenzyl)amino]methyl}propyl
    tetrahydrofuran-3-yloxy]carbonyl}-D-alaninate
                        (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                                                                                                  N-\{[2-
     ethylbenzyl)amino]methyl}propyl
      (acetylamino)ethoxy]carbonyl}-3-(butylsulfonyl)-D-alaninate
                         (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                                              3-(butylsulfonyl)-N-
      ethylbenzyl)amino]methyl}propyl
      [(pyridin-3-ylmethoxy)carbonyl]-D-alaninate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                3-(butylsulfonyl)-N-
ethylbenzyl)amino]methyl}propyl
[(pyridin-4-ylmethoxy)carbonyl]-D-alaninate
            (1R, 2S) -2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-
difluorophenyl)propyl 3-(butylsulfonyl)-N-(methoxycarbonyl)-
D-alaninate
            (1R,2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-
                                                                                       3-(butylsulfonyl)-N-[(2-
difluorophenyl)propyl
cyanoethoxy) carbonyl] -D-alaninate
            (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3
                                                                                 N-[(benzyloxy)carbonyl]-3-
methylbutyl)amino]methyl}propyl
 (butylsulfonyl) -D-alaninate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                 3-(butylsulfonyl)-N-
 methylbutyl)amino]methyl}propyl
 (methoxycarbonyl) -D-alaninate
             (1R,2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-
                                                               N-[(2-cyanoethoxy)carbonyl]-3-[(1-
 difluorophenyl)propyl
 propylbutyl) sulfonyl] -D-alaninate
             (1R,2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-
 difluorophenyl)propyl N-{[2-(acetylamino)ethoxy]carbonyl}-3-
  [(1-propylbutyl)sulfonyl]-D-alaninate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                   N-(methoxycarbonyl)-3-[(1-
  methylbutyl)amino]methyl}propyl
 propylbutyl) sulfonyl] -D-alaninate
              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
                                                                                   N-[(benzyloxy)carbonyl]-3-
  methylbutyl) amino] methyl propyl
  [(1-propylbutyl)sulfonyl]-D-alaninate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                          N-\{[2-(diethylamino)-2-
  ethylbenzyl)amino]methyl}propyl
  oxoethoxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]-D-alaninate
              (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                    N-(methoxycarbonyl)-3-[(1-
  ethylbenzyl)amino]methyl}propyl
  propylbutyl) sulfonyl] -D-alaninate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                     N-(isopropoxycarbonyl)-3-
ethylbenzyl)amino]methyl}propyl
[(1-propylbutyl)sulfonyl]-D-alaninate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                            N-
ethylbenzyl)amino]methyl}propyl
[(cyclopropylmethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-
D-alaninate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                     N-[(allyloxy)carbonyl]-3-
ethylbenzyl)amino]methyl}propyl
[(1-propylbutyl)sulfonyl]-D-alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl N-[(2-cyanoethoxy)carbonyl]-
3-[(1-propylbutyl)sulfonyl]-D-alaninate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                        N-\{[2-
ethylbenzyl)amino]methyl}propyl
(acetylamino)ethoxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]-D-
alaninate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
N-[(pyridin-3-ylmethoxy)carbonyl]-D-alaninate
      (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
N-[(pyridin-4-ylmethoxy)carbonyl]-D-alaninate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
 {[(benzyloxy)carbonyl]amino}-4-(methylsulfonyl)butanoate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                   N-[(benzyloxy)carbonyl]-3-
 ethylbenzyl)amino]methyl}propyl
 (butylsulfonyl) -D-alaninate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                    N-[(benzyloxy)carbonyl]-3-
 (butylsulfonyl) -L-alaninate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[(1R)-2-
```

```
hydroxy-1-phenylethyl]amino}methyl)propyl
                                                                 N∸
[(benzyloxy) carbonyl] -3-[(1-propylbutyl)sulfonyl]-D-
alaninate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[(1R)-2-
                                                                 N-
methoxy-1-phenylethyl]amino}methyl)propyl
[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-
alaninate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[(1S) -2-
methoxy-1-phenylethyl]amino}methyl)propyl
                                                                  N-
[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-
alaninate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
                                                                  N-
ethylphenyl)cyclopropyl]amino}methyl)propyl
[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-
alaninate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
N-[(prop-2-yn-1-yloxy)carbonyl]-D-alaninate
      (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                             N-[(2-
ethylbenzyl)amino]methyl}propyl
methoxyethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-
alaninate
      (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                      N-(\{[(3R)-1-
acetylpyrrolidin-3-yl]oxy}carbonyl)-3-[(1-
propylbutyl) sulfonyl] -D-alaninate
      (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
 N-{[(3S)-tetrahydrofuran-3-yloxy]carbonyl}-D-alaninate
      (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
 N-\{[(3S)-\text{tetrahydrofuran-}3-\text{yloxy}]\text{ carbonyl}\}-L-\text{alaninate}
       (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl)]}
```

```
N-[(benzyloxy)carbonyl]-3-
ethylbenzyl)amino]methyl}propyl
 [(1-propylbutyl)sulfonyl]-D-alaninate
                  (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluo
                                                                                                                               N-[(benzyloxy)carbonyl]-3-
ethylbenzyl)amino]methyl}propyl
 [(1-propylbutyl)sulfonyl]-L-alaninate
                   (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[1-(3-
 ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                                                                                         N-
  [(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                 N-[(benzyloxy)carbonyl]-3-
  ethylbenzyl)amino]methyl}propyl
  [(1-propylbutyl)sulfonyl]alaninate
                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - 3) - 2 - 2] }
  methylbutyl) amino] methyl propyl N-[(benzyloxy) carbonyl] -3-
   [(1-propylbutyl)sulfonyl]alaninate
                     (1R, 2S) -2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-
                                                                                                                   N-[(benzyloxy)carbonyl]-3-[(1-
   difluorophenyl)propyl
   propylbutyl)sulfonyl]alaninate
                     (1R, 2S) -2-amino-1-\{[(cyclopropylmethyl) amino] methyl\}-3-
                                                                                                                  N-[(benzyloxy)carbonyl]-3-[(1-
    (3,5-difluorophenyl)propyl
   propylbutyl) sulfonyl] alaninate
                      (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                   N-[(benzyloxy)carbonyl]-3-
    ethylphenyl)amino]methyl}propyl
     [(1-propylbutyl)sulfonyl]alaninate
                       (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-[({2-[3-
     (trifluoromethyl)phenyl]ethyl}amino)methyl]propyl
     [(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
                       (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
     ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
     N-[(pyridin-3-ylmethoxy)carbonyl]alaninate
                        (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl)]}
      ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
      N-\{[(3S)-tetrahydrofuran-3-yloxy] carbonyl\}alaninate
```

```
(1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
ethylbenzyl) amino] methyl propyl 3-[(1-propylbutyl) sulfonyl]-
N-\{[(3R)-tetrahydrofuran-3-yloxy]carbonyl\}alaninate
                           (1R, 2S) -2-amino-1-\{[(3-methoxybenzyl) amino] methyl\}-3-
                                                                                                                         3-[(1-propylbutyl)sulfonyl]-N-{[(3S)-
phenylpropyl
tetrahydrofuran-3-yloxy]carbonyl}alaninate
                           (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                                                                                                                                             N-(\{[(3R)-1-
 ethylbenzyl)amino]methyl}propyl
 acetylpyrrolidin-3-yl]oxy}carbonyl)-3-[(1-
 propylbutyl) sulfonyl]alaninate
                           (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluo
  ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
 N-\{[(3R)-pyrrolidin-3-yloxy] carbonyl\}alaninate
                             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-{[(3-
                                                                                                                                                                                                                                                             N-(\{[(3R)-1-
   ethylbenzyl)amino]methyl}propyl
 benzylpyrrolidin-3-yl]oxy}carbonyl)-3-[(1-
   propylbutyl) sulfonyl] alaninate
                             (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
   ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                    N-(\{[(3R)-1,1-
   dioxidotetrahydro-3-thienyl]oxy}carbonyl)-3-[(1-
   propylbutyl) sulfonyl] alaninate
                              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
   ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
    N-\{[(3R)-\text{tetrahydro-}3-\text{thienyloxy}]\text{ carbonyl}\}alaninate
                               (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
    ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                                                  N-
      [(cyclopentyloxy)carbonyl]-3-[(1-
    propylbutyl) sulfonyl] alaninate
                                (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
     ethylbenzyl)amino]methyl}propyl N-[(cyclohexyloxy)carbonyl]-
      3-[(1-propylbutyl) sulfonyl] alaninate
                                (1R,2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-
                                                                                                                                                                   3-[(1-propylbutyl)sulfonyl]-N-
      difluorophenyl)propyl
```

```
[(tetrahydro-2H-pyran-4-yloxy)carbonyl]alaninate
                           (1R, 2S) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 1 - \{ [(3 - 3) - 2 - \text{amino} - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3, 5 - \text{difluorophenyl}) - 3 - (3
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                         N- ({ [1-
 (methylsulfonyl)piperidin-4-yl]oxy}carbonyl)-3-[(1-
propylbutyl) sulfonyl] alaninate
                           (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl N-{[(1-acetylpiperidin-4-
yl)oxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]alaninate
                           (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl) amino] methyl Propyl N-(\{[(2R)-5-oxopyrrolidin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-2-indin-
yl]methoxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
                           (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl) amino] methyl P = N - (\{ (2S) - 5 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 2 - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrrolidin - 0xopyrr
yl]methoxy{carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
                           (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                                              N-[(2-
methoxyethoxy) carbonyl] -3-[(1-propylbutyl) sulfonyl] alaninate
                            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                     N-[(benzyloxy)carbonyl]-3-
  (butylsulfonyl) alaninate
                            (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                                                                                                                                                N-[(benzyloxy)carbonyl]-3-[(1-
 phenylpropyl
 propylbutyl) sulfonyl]alaninate
                          N-\{(1S,2R)-1-\text{benzyl}-2-\text{hydroxy}-3-[(3-1)]
 methoxybenzyl)amino]propyl}-2-hydroxy-4-
  (phenylsulfonyl) butanamide hydrochloride
                            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                                                                           N^2 - [(benzyloxy) carbonyl] -
 ethylbenzyl)amino]methyl}propyl
 N^5, N^5-dipropyl-L-glutaminate
                            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                       N^2-[(benzyloxy)carbonyl]-
 N5, N5-dipropyl-D-glutaminate
```

```
(1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                     3-(butylsulfonyl)-N-(3,3,3-
ethylbenzyl)amino]methyl}propyl
trifluoropropanoyl)-D-alaninate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                            3-(butylsulfonyl)-N-
ethylbenzyl)amino]methyl}propyl
(trifluoroacetyl)-D-alaninate
     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl)]
ethylbenzyl)amino]methyl}propyl N-acetyl-3-(butylsulfonyl)-
D-alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                           3-(butylsulfonyl)-N-
isonicotinoyl-D-alaninate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                            3-(butylsulfonyl)-N-
ethylbenzyl)amino]methyl}propyl
(cyclopropylcarbonyl) -D-alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl β-alanyl-3-(butylsulfonyl)-
D-alaninate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl glycyl-3-(butylsulfonyl)-D-
alaninate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
                                           N, N-dimethylqlycyl-3-
ethylbenzyl)amino]methyl}propyl
(butylsulfonyl) -D-alaninate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                        N, N-dimethyl-\beta-alanyl-3-
ethylbenzyl)amino]methyl}propyl
(butylsulfonyl) -D-alaninate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                             3-(butylsulfonyl)-N-
(methoxyacetyl) -D-alaninate
      (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                             3-(butylsulfonyl)-N-
```

WO 2004/022523

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
                                                                                                                              3-(butylsulfonyl)-N-[(2,4-
ethylbenzyl)amino]methyl}propyl
dimethyl-1,3-thiazol-5-yl)carbonyl]-D-alaninate
                   (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                      3-(butylsulfonyl)-N-{[3-
ethylbenzyl)amino]methyl}propyl
 (trifluoromethyl)-1H-pyrazol-4-yl]carbonyl}-D-alaninate
                   (1R, 2S) - 2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                      3 - (butylsulfonyl) - N - [(3 -
ethylbenzyl)amino]methyl}propyl
methyl-1H-pyrazol-5-yl)carbonyl]-D-alaninate
                   (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                      3-(butylsulfonyl)-N-(1H-
ethylbenzyl)amino]methyl}propyl
imidazol-4-ylcarbonyl) -D-alaninate
                   (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                  (2R) - 5-hydroxy-2-
 [(methoxycarbonyl)amino]nonanoate
                   (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-{[(3-
 ethylbenzyl)amino]methyl propyl
                                                                                                                                      3-(butylsulfonyl)-N-[(6-
hydroxypyridin-3-yl)carbonyl]-D-alaninate
                   (1R,2S)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-
                                                                                                            3-(butylsulfonyl)-N-(pyridin-3-
 difluorophenyl)propyl
ylcarbonyl) -D-alaninate
                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
 methylbutyl)amino]methyl}propyl N-acetyl-3-(butylsulfonyl)-
 D-alaninate
                    (1R, 2S) -2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-
                                                                                                                 N-(cyclopropylcarbonyl)-3-[(1-
 difluorophenyl)propyl
 propylbutyl) sulfonyl] -D-alaninate
                    (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-{[(3-
                                                                                                                                                                         N-acetyl-3-[(1-
 methylbutyl) amino] methyl propyl
 propylbutyl) sulfonyl]-D-alaninate
                     (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorop
                                                                                                                                              N-isonicotinoyl-3-[(1-
  ethylbenzyl)amino]methyl}propyl
 propylbutyl) sulfonyl] -D-alaninate
```

```
(1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                         N-[(5-bromopyridin-3-
ethylbenzyl)amino]methyl}propyl
yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
           (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorop
ethylbenzyl)amino]methyl}propyl
                                                                                    N-[(5-chloropyridin-3-
yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
           (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                             N-(3-fluorobenzoyl)-3-[(1-
ethylbenzyl)amino]methyl}propyl
propylbutyl)sulfonyl]-D-alaninate
            (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
                                                                                      N-[(5-methylpyridin-3-
ethylbenzyl)amino]methyl}propyl
yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                         N-phenylglycyl-3-[(1-
propylbutyl)sulfonyl]-D-alaninate
            (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
N-{[3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl}-D-
alaninate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl N-[(3-methyl-1H-pyrazol-5-
yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
N-(1,3-thiazol-4-ylcarbonyl)-D-alaninate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl N-[(1-acetylpiperidin-4-
yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
 ethylbenzyl)amino]methyl}propyl N-[4-(acetylamino)butanoyl]-
 3-[(1-propylbutyl)sulfonyl]-D-alaninate
            (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                   N-acetyl-O-alanyl-3-[(1-
 ethylbenzyl)amino]methyl}propyl
```

```
propylbutyl) sulfonyl] -D-alaninate
             (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
                                                                                            N-(chloroacetyl)-3-[(1-
ethylbenzyl)amino]methyl}propyl
propylbutyl)sulfonyl]-D-alaninate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                          N-(methoxyacetyl)-3-[(1-
ethylbenzyl)amino]methyl}propyl
propylbutyl) sulfonyl]-D-alaninate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                        N-(3-methoxypropanoyl)-3-
ethylbenzyl)amino]methyl}propyl
 [(1-propylbutyl)sulfonyl]-D-alaninate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl) amino] methyl Propyl N-(2,2-dimethylpropanoyl)-3-
 [(1-propylbutyl)sulfonyl]-D-alaninate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                      N-isobutyryl-3-[(1-
 ethylbenzyl)amino]methyl}propyl
 propylbutyl)sulfonyl]-D-alaninate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                              N-butyryl-3-[(1-
 propylbutyl)sulfonyl]-D-alaninate
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl
                                                                                                                N-acetyl-3-[(1-
 propylbutyl)sulfonyl]-D-alaninate
               (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
 ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                       3 - [(1 -
 propylbutyl)sulfonyl]-N-(pyridin-3-ylcarbonyl)-D-alaninate
               (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
  ethylphenyl)cyclopropyl]amino}methyl)propyl N-isonicotinoyl-
  3-[(1-propylbutyl)sulfonyl]-D-alaninate
               (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
  ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                         N - (3 -
  hydroxybenzoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
               (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluo
```

```
ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
N-(pyridin-3-ylcarbonyl)-D-alaninate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl N-(3-hydroxybenzoyl)-3-[(1-
propylbutyl) sulfonyl] -D-alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl N-(cyclopropylcarbonyl)-3-
[(1-propylbutyl)sulfonyl]-D-alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                           N-propionyl-3-[(1-
ethylbenzyl)amino]methyl}propyl
propylbutyl)sulfonyl]-D-alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                         3-(butylsulfonyl)-N-
(pyridin-3-ylcarbonyl) alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[1-(3-
ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                        N-(3-
hydroxybenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
ethylphenyl)cyclopropyl]amino}methyl)propyl N-isonicotinoyl-
3-[(1-propylbutyl)sulfonyl]alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
                                           N-[(6-0x0-1,4,5,6-
ethylbenzyl)amino]methyl}propyl
tetrahydropyridazin-3-yl)carbonyl]-3-[(1-
propylbutyl) sulfonyl]alaninate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                         5-oxo-D-prolyl-3-[(1-
propylbutyl)sulfonyl]alaninate hydrochloride
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                         5-oxo-L-prolyl-3-[(1-
propylbutyl) sulfonyl] alaninate
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                    N-[3-(4-oxo-2-thioxo-1,3-
thiazolidin-3-yl)propanoyl]-3-[(1-
```

```
propylbutyl) sulfonyl] alaninate
                         (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                     N-(piperidin-4-ylcarbonyl)-
 3-[(1-propylbutyl)sulfonyl]alaninate
                         (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                   N-[(2,4-dimethyl-1,3-
 thiazol-5-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
                         (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl) amino] methyl propyl
                                                                                                                                                                                                                                  N-\{[2-methyl-4-
 (trifluoromethyl)-1,3-thiazol-5-yl]carbonyl}-3-[(1-
propylbutyl) sulfonyl] alaninate
                         (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl N-[(3,5-dimethylisoxazol-4-
yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
                         (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl) amino] methyl propyl
                                                                                                                                                                    N-[(3-methyl-1H-pyrazol-5-
 yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
                         (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
 N-(1H-pyrazol-4-ylcarbonyl)alaninate
                         (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-{ [(3-
                                                                                                                                                                                                                       N-(1H-imidazol-5-
 ethylbenzyl)amino]methyl}propyl
 ylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
                         (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                   N-(1H-imidazol-4-ylacetyl)-
 ethylbenzyl)amino]methyl}propyl
 3-[(1-propylbutyl)sulfonyl]alaninate
                         (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
 N-(pyrazin-2-ylcarbonyl)alaninate
                          (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - d
 ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                                          N-(2,6-
 dihydroxyisonicotinoyl) - 3 - [(1-propylbutyl) sulfonyl] alaninate
```

```
(1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                                                                        N-[(6-hydroxypyridin-3-
ethylbenzyl)amino]methyl}propyl
yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
                          (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                             N-[(6-chloropyridin-3-
yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
                          (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-{[(3-
                                                                                                                                                                                              N-isonicotinoyl-3-[(1-
ethylbenzyl)amino]methyl}propyl
propylbutyl) sulfonyl] alaninate
                          (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
 ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
N-(pyridin-3-ylcarbonyl)alaninate
                           (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
 ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
 N-(pyridin-2-ylcarbonyl)alaninate
                           (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
  ethylbenzyl)amino]methyl}propyl N-(1H-indol-6-ylcarbonyl)-3-
   [(1-propylbutyl)sulfonyl]alaninate hydrochloride
                           (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
  ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
  N-(3,4,5-trimethoxybenzoyl) alaninate
                            (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                           N-(2-methylbenzoyl)-3-[(1-methylbenzoyl)]
  ethylbenzyl)amino]methyl}propyl
  propylbutyl) sulfonyl]alaninate
                            (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
                                                                                                                                                                      N-(3-hydroxybenzoyl)-3-[(1-
  ethylbenzyl) amino] methyl propyl
  propylbutyl)sulfonyl]alaninate
                             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                           N-(3-methylbenzoyl)-3-[(1-methylbenzoyl)]
   ethylbenzyl) amino] methyl propyl
   propylbutyl)sulfonyl]alaninate
                             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
   ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                 N-(3-ethylbenzoyl)-3-[(1-
   propylbutyl) sulfonyl] alaninate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl) amino] methyl } propyl
                                    N-(3-chlorobenzoyl)-3-[(1-
propylbutyl) sulfonyl] alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
N-[4-(trifluoromethyl)benzoyl]alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methyl}propyl N-(4-methoxybenzoyl)-3-[(1-
propylbutyl) sulfonyl] alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
N-[4-(trifluoromethyl)benzoyl]alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                    N-(cyclohexylcarbonyl)-3-
[(1-propylbutyl)sulfonyl]alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                               N-benzoyl-3-[(1-
propylbutyl) sulfonyl] alaninate
     (1R, 2S) -2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-
difluorophenyl)propyl
                                               N-benzoyl-3-[(1-
propylbutyl) sulfonyl] alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl) amino] methyl propyl
                                       N-(phenylacetyl) -3-[(1-
propylbutyl) sulfonyl] alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-\{[(3-
ethylbenzyl)amino]methylpropyl N-(3-phenylpropanoyl)-3-[(1-
propylbutyl) sulfonyl] alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                      3-(benzoylamino)-2-{[(1-
propylbutyl) sulfonyl]methyl}propanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl
                                  N-(cyclopropylacetyl)-3-[(1-
propylbutyl) sulfonyl] alaninate
```

```
(1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                            N-[(methylsulfonyl)acetyl]-3-[(1-
phenylpropyl
propylbutyl)sulfonyl]alaninate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                N-[(methylthio)acetyl]-3-[(1-
phenylpropyl
propylbutyl) sulfonyl] alaninate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                     N-[4-(methylamino)-4-oxobutanoyl]-3-[(1-
phenylpropyl
propylbutyl)sulfonyl]alaninate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                              N-(methylsulfonyl)glycyl-3-[(1-
phenylpropyl
propylbutyl) sulfonyl] alaninate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl) amino] methyl}-3-
phenylpropyl N-acetyl-3-(phenylsulfonyl)alaninate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl) amino] methyl}-3-
phenylpropyl (2S) -2-[(4-methoxy-4-oxobutanoyl)amino]-5-oxo-
5-piperidin-1-ylpentanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                 (2R)-2-{[(benzyloxy)carbonyl]amino}-5-oxo-5-
phenylpropyl
piperidin-1-ylpentanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
               (2R) -2-[(3-ethoxy-3-oxopropanoyl)amino]-5-oxo-
phenylpropyl
5-piperidin-1-ylpentanoate
      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                N^2-(4-methoxy-4-oxobutanoyl)-N^5, N^5-dipropyl-D-
phenylpropyl
glutaminate
      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl (2R)-2-[(4-methoxy-4-oxobutanoyl)amino]-5-oxo-
5-piperidin-1-ylpentanoate
      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl (2R)-2-[(5-methoxy-5-oxopentanoyl)amino]-5-oxo-
 5-piperidin-1-ylpentanoate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                                                                                                                                                            2-(acetyloxy)-3-
ethylbenzyl)amino]methyl}propyl
 (butylsulfonyl) propanoate
                              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
 ethylbenzyl)amino]methyl\}propyl S-butyl-D-cysteinate
                              (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                                                                                                                                3-(butylsulfinyl)-D-
 ethylbenzyl) amino] methyl } propyl
 alaninate
                               (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                                                                                                     3-(butylsulfonyl)-D-
 ethylbenzyl)amino]methyl}propyl
   alaninate
                                 (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3, 5 - difluorophenyl) - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 - (3 - amino - 3 
                                                                                                                                                                                                                                                      3-(butylsulfonyl)-L-
   ethylbenzyl)amino]methyl}propyl
   alaninate
                                  (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                                                                                                                                       3-(butylsulfonyl)-D-
   methylbutyl) amino] methyl }propyl
     alaninate
                                   (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
     ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                                                           3-[(1-
    propylbutyl) sulfonyl] -D-alaninate
                                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
      ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
       L-alaninate
                                     (1R, 2S) -2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-
                                                                                                                                                                                              3-[(1-propylbutyl)sulfonyl]-D-
       difluorophenyl)propyl
       alaninate
                                      (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)]}
       methylbutyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
        D-alaninate
                                        (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)]}
         ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
         D-alaninate
```

```
(1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                          3-[(1-
ethylbenzyl)amino]methyl}propyl
propylbutyl) sulfonyl] alaninate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                      N-(phenoxyacetyl)-3-[(1-
propylbutyl) sulfonyl] alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                               N-{[(5-chloro-2-
ethylbenzyl)amino]methyl}propyl
thienyl)thio]peroxy}-3-[(1-propylbutyl)sulfonyl]alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                     N-(phenylsulfonyl)-3-[(1-
ethylbenzyl)amino]methyl}propyl
propylbutyl) sulfonyl] alaninate
     (1R, 2S) - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - ...)] \}
ethylbenzyl)amino]methyl}-2-(methylamino)propyl
[(benzylamino)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
     4-{[(1R,2S)-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}-2-(methylamino)propyl]oxy}-4-oxo-
3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid
     4-[((1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-
3-phenylpropyl)oxy]-3-{[(3-methylbutyl)sulfonyl]methyl}-4-
oxobutanoic acid
     1-((1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-
                                                         2-{[(3-
                                4-methyl
3-phenylpropyl)
methylbutyl) sulfonyl] methyl } succinate
      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                4-amino-2-{[(3-methylbutyl)sulfonyl]methyl}-4-
phenylpropyl
oxobutanoate
      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                        4-(methylamino)-2-{[(3-
phenylpropyl
methylbutyl) sulfonyl] methyl}-4-oxobutanoate
      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                      4-(dimethylamino)-2-{[(3-
phenylpropyl
methylbutyl) sulfonyl] methyl } -4-oxobutanoate
```

```
(1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - amino - 3 - (3, 5 - difluorophenyl)] - (3 - 
                                                                                                                                                         3-(4,4-dimethyl-2,5-
ethylbenzyl)amino]methyl}propyl
dioxoimidazolidin-1-yl)-2-{[(1-
propylbutyl)sulfonyl]methyl}propanoate
                    (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluo
                                                                                                                                                          3-(4,4-dimethyl-2,5-
 ethylbenzyl)amino]methyl}propyl
 dioxoimidazolidin-1-yl)-2-{[(1-
 propylbutyl) sulfonyl] methyl } propanoate
                     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                                        3-(4,4-dimethyl-2,5-
  ethylbenzyl)amino]methyl}propyl
  dioxoimidazolidin-1-yl)-2-{[(1-
  propylbutyl) sulfonyl] methyl}propanoate
                      (1R, 2R) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                                                                                                                                            3-(ethylsulfonyl)-2-
  phenylpropyl
   {[(isobutylsulfonyl)amino]methyl}propanoate
                      (1R, 2S) -2-amino-1-\{[(3-methoxybenzyl) amino] methyl\}-3-
                                                                                                                                                                            3-(ethylthio)-2-
   phenylpropyl
    {[(isobutylsulfonyl)amino]methyl}propanoate
                       (1R,2S)-2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-\cdots
                                                                         (2S) - 2 - \{ [(3-methylbutyl) sulfonyl] amino} - 4 -
    phenylpropyl
     (methylsulfonyl)butanoate
                       (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
    phenylpropyl N-[(3-methylbutyl)sulfonyl]-L-methioninate
                        (1R, 2S) -2-amino-1-\{[(3-methoxybenzyl) amino] methyl\}-3-
                                                                                                                                                       3-(acetylthio)-2-{[(3-
     phenylpropyl
     methylbutyl)sulfonyl]methyl}propanoate
                         (1R, 2S) -2-amino-1-\{[(3-methoxybenzyl) amino] methyl\}-3-
     phenylpropyl 2-hydroxy-3-[(1-propylbutyl)sulfonyl]propanoate
                          (1R, 2S) -2-amino-1-\{[(3-methoxybenzyl) amino] methyl\}-3-
      phenylpropyl 2-hydroxy-3-[(3-methylbutyl)sulfonyl]propanoate
                          (1R, 2S) -2-amino-1-\{[(3-methoxybenzyl) amino] methyl\}-3-
                                                                                                                                                                               2-hydroxy-3-[(3-
       phenylpropyl
       methoxyphenyl)sulfonyl]propanoate
```

```
(1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                   2-hydroxy-4-
(phenylsulfonyl)butanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl 2-hydroxy-4-[(3-methylbutyl)sulfonyl]butanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl 4-[(3-methylbutyl)sulfonyl]-2-phenoxybutanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                                   2-(3-methoxyphenoxy)-4-[(3-
phenylpropyl
methylbutyl) sulfonyl] butanoate
     3 - \{1 - \{[((1R, 2S) - 2 - amino - 1 - \{[(3 -
methoxybenzyl)amino]methyl}-3-phenylpropyl)oxy]carbonyl}-3-
[(3-methylbutyl)sulfonyl]propoxy}benzoic acid
                               3 - \{1 - \{[((1R, 2S) - 2 - amino - 1 - \{[(3 -
     methyl
methoxybenzyl)amino]methyl}-3-phenylpropyl)oxy]carbonyl}-3-
[(3-methylbutyl)sulfonyl]propoxy}benzoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl 2-hydroxy-4-(phenylsulfonyl)butanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl 2-hydroxy-4-(phenylthio)butanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl 2-methoxy-4-(phenylsulfonyl)butanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl 2-methoxy-4-(phenylthio)butanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl 4-(phenylsulfonyl)-2-propoxybutanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl 2-(benzyloxy)-4-(phenylsulfonyl)butanoate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl N-[(benzyloxy)carbonyl]methioninate
     (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
phenylpropyl (2S)-2-amino-5-oxo-5-piperidin-1-ylpentanoate
```

```
(1R, 2S) -2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-
                                       (2S)-2-[(2-methoxy-2-oxoethyl)amino]-5-oxo-5-
phenylpropyl
piperidin-1-ylpentanoate
            (1R, 2S) -2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-
phenylpropyl (2R)-2-amino-5-oxo-5-piperidin-1-ylpentanoate
             (1R,2S) -2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-
                                           (2R)-2-[(2-ethoxy-2-oxoethyl)amino]-5-oxo-5-
phenylpropyl
piperidin-1-ylpentanoate
             (1R, 2S) -2-amino-1-\{[(3-methoxybenzyl)amino]methyl\}-3-
                                           (2R)-2-[(4-ethoxy-4-oxobutyl)amino]-5-oxo-5-
 phenylpropyl
 piperidin-1-ylpentanoate
              (1R, 2S) - 2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                          (2R) - 2 -
 ethylbenzyl)amino]methyl}propyl
  [(methoxycarbonyl)amino]-4-oxooctanoate
               (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - (3, 5 - difluorophenyl)]}
  ethylbenzyl)amino]methyl}propyl 4-butyl-N-(methoxycarbonyl)-
  D-homoserinate
               (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                        3-(2-butyl-1,3-dioxolan-2-
   ethylbenzyl)amino]methyl}propyl
   y1)-N- (methoxycarbonyl)-D-alaninate
               (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - 3) - 2]}
   ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-
   N-(methoxycarbonyl)-D-alaninate
                (1R,2S) -2-amino-3-(3,5-difluorophenyl)-1-\{[(3-
                                                                                                          (2R)-4,4-difluoro-2-
   ethylbenzyl)amino]methyl}propyl
    [(methoxycarbonyl)amino]octanoate
                (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluo
                                                                                                                     (2R) -4-fluoro-2-
    ethylbenzyl)amino]methyl}propyl
     [(methoxycarbonyl)amino]octanoate
                 (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                            (2R) - 2 -
     ethylbenzyl)amino]methyl}propyl
      [(methoxycarbonyl)amino]-5-oxononanoate
```

```
(1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - 1 - {[(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)] - [(3 - amino - 3 - (3, 5 - difluorophenyl)
                                                                                                                                                                                                                                                                               (2R) -5-hydroxy-2-
ethylbenzyl)amino]methyl}propyl
 [(methoxycarbonyl)amino]nonanoate
                               (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                                            (2R) - 4 - (2 - butyl - 1, 3 -
dioxolan-2-yl)-2-[(methoxycarbonyl)amino]butanoate
                               (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl (2R)-4-(2-butyl-1,3-dioxan-
2-yl)-2-[(methoxycarbonyl)amino]butanoate
                               (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                                                                                                                                    (2R)-5-fluoro-2-
 ethylbenzyl)amino]methyl}propyl
  [(methoxycarbonyl)amino]nonanoate
                               (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                                                                                                                                        (2R)-5,5-difluoro-2-
ethylbenzyl)amino]methyl}propyl
  [(methoxycarbonyl)amino]nonanoate
                               (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
                                                                                                                                                                                                                                                           3-(butylsulfonyl)-N-
 ethynylbenzyl)amino]methyl}propyl
  (methoxycarbonyl) -D-alaninate
                                (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-
                                                                                                                                                                                                                                                                                                                                                                            3 –
   (trifluoromethyl)benzyl]amino}methyl)propyl
   (butylsulfonyl) - N- (methoxycarbonyl) - D-alaninate
                                 (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[1 - (3 - 3)]}) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (4, 5 - difluorophenyl) - 3 - (
 ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                                                                                            3 -
   (butylsulfonyl) - N- (methoxycarbonyl) - D-alaninate
                                  (1R,2S) -2-amino-3-(3,5-difluorophenyl)-1-(\{[1-(3-
  ethynylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                                                                                             3 –
   (butylsulfonyl) - N- (methoxycarbonyl) - D-alaninate
                                  (trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl
                                                                                                                                                                                                                                                                                                                                                                             3 -
   (butylsulfonyl)-N-(methoxycarbonyl)-D-alaninate
                                  (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - { [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
   ethynylbenzyl) amino] methyl propyl 3- (butylsulfonyl) - N- [(3-
  methyl-1H-pyrazol-5-yl)carbonyl]-D-alaninate
```

```
(1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - ({[3 - 3]}) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 - difluorophenyl) - 3 - (3, 5 -
(trifluoromethyl)benzyl]amino}methyl)propyl
                                                                                                                                                3 -
(butylsulfonyl) - N-[(3-methyl-1H-pyrazol-5-yl)carbonyl] - D-
alaninate
            (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[1-(3-
ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                3 -
(butylsulfonyl) - N-[(3-methyl-1H-pyrazol-5-yl)carbonyl] - D-
alaninate
             (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
ethynylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                 3 -
 (butylsulfonyl) - N-[(3-methyl-1H-pyrazol-5-yl)carbonyl] - D-
 alaninate
             (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-[({1-[3-
 (trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl
  (butylsulfonyl) - N-[(3-methyl-1H-pyrazol-5-yl)carbonyl] - D-
 alaninate
             (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                                      (2R) - 2 -
  ethylbenzyl)amino]methyl}propyl
  {[(methylamino)carbonyl]amino}-4-oxooctanoate
               (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                              4-butyl-N-
  ethylbenzyl)amino]methyl}propyl
  [(methylamino)carbonyl]-D-homoserinate
               (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                       3-(2-butyl-1,3-dioxolan-2-
  ethylbenzyl)amino]methyl}propyl
  yl)-N-[(methylamino)carbonyl]-D-alaninate
               (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
   ethylbenzyl) amino] methyl propyl 3-(2-butyl-1,3-dioxan-2-yl)-
   N-[(methylamino)carbonyl]-D-alaninate
                (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                       (2R)-4,4-difluoro-2-
   ethylbenzyl)amino]methyl}propyl
    {[(methylamino)carbonyl]amino}octanoate
                (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-
                                                                                                                 (2R) -4-fluoro-2-
    ethylbenzyl)amino]methyl}propyl
```

```
{[(methylamino)carbonyl]amino}octanoate
                        (1R, 2S) - 2-amino-3-(3, 5-difluorophenyl) -1-{[(3-
                                                                                                                                                                                                                                                                             (2R) - 2 -
ethylbenzyl)amino]methyl}propyl
{[(methylamino)carbonyl]amino}-5-oxononanoate
                        (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5
                                                                                                                                                                                                                          (2R) -5-hydroxy-2-
ethylbenzyl)amino]methyl}propyl
{[(methylamino)carbonyl]amino}nonanoate
                        (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                           (2R) - 4 - (2 - butyl - 1, 3 -
dioxolan-2-yl)-2-{[(methylamino)carbonyl]amino}butanoate
                        (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{[(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3
ethylbenzyl)amino]methyl}propyl (2R)-4-(2-butyl-1,3-dioxan-
2-yl)-2-{[(methylamino)carbonyl]amino}butanoate
                         (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                                                (2R)-5-fluoro-2-
 {[(methylamino)carbonyl]amino}nonanoate
                         (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                                                                                                                           (2R) -5,5-difluoro-2-
ethylbenzyl)amino]methyl}propyl
 { [(methylamino)carbonyl]amino}nonanoate
                         (1R, 2S) - 2 - amino - 3 - (3, 5 - difluorophenyl) - 1 - \{ [(3 - amino - 3 - (3, 5 - difluorophenyl) - 1 - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - difluorophenyl) - (3, 5 - diflu
ethynylbenzyl)amino]methyl}propyl
                                                                                                                                                                                                         3-(butylsulfonyl)-N-
 [(methylamino)carbonyl]-D-alaninate
                         (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-({[3-
 (trifluoromethyl)benzyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                      3 -
  (butylsulfonyl) - N- [(methylamino)carbonyl] - D-alaninate
                          (1R, 2S) -2-amino-3-(3, 5-difluorophenyl) -1-({[1-(3-
 ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                      3 -
  (butylsulfonyl) -N-[(methylamino)carbonyl]-D-alaninate
                          (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-(\{[1-(3-
 ethynylphenyl)cyclopropyl]amino}methyl)propyl
                                                                                                                                                                                                                                                                                                      3 ~
  (butylsulfonyl) - N- [(methylamino)carbonyl] - D-alaninate
```

```
(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl
(butylsulfonyl) - N- [(methylamino)carbonyl] - D-alaninate
     (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
ethynylbenzyl)amino]methyl}propyl - 3-(butylsulfonyl)-N-[(4-
methyl-1H-pyrazol-1-yl)carbonyl]-D-alaninate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-
                                                             3 -
(trifluoromethyl)benzyl]amino}methyl)propyl
(butylsulfonyl) -N-[(4-methyl-1H-pyrazol-1-yl)carbonyl]-D-
alaninate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
ethylphenyl)cyclopropyl]amino}methyl)propyl
                                                             3 ~
(butylsulfonyl) -N-[(4-methyl-1H-pyrazol-1-yl)carbonyl]-D-
alaninate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-
ethynylphenyl)cyclopropyl]amino}methyl)propyl
                                                             3 -
(butylsulfonyl) -N-[(4-methyl-1H-pyrazol-1-yl)carbonyl]-D-
alaninate
     (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-
(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl
(butylsulfonyl) - N - [(4-methyl-1H-pyrazol-1-yl)carbonyl] - D -
alaninate
                            [(1R)-1-\{[(2R,3S)-3-amino-4-(3,5-
     benzvl
difluorophenyl) -2-hydroxybutyl] (3-
ethylbenzyl)amino]carbonyl}-3-
(methylsulfonyl)propyl]carbamate
     (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-
N-[(tetrahydro-2H-pyran-4-yloxy)carbonyl]alaninate
     S-(3-[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl](3-
methoxybenzyl)amino]-2-{[(3-methylbutyl)sulfonyl]methyl}-3-
oxopropyl) ethanethioate
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-
methoxybenzyl)-2-(3-methoxyphenoxy)-4-[(3-
```

```
methylbutyl) sulfonyl] butanamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-N^2-(3,3,3-
trifluoropropanoyl)-D-alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-N^2-
(trifluoroacetyl) -D-alaninamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-
N-(3-methoxybenzyl)-4-(phenylthio)butanamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
\label{eq:hydroxybutyl]-3-(butylsulfonyl)-N^2-(cyclopropylcarbonyl)-N^1-} \\
(3-ethylbenzyl)-D-alaninamide
     \beta-alanyl-N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-D-
alaninamide
     qlycyl-N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-D-
alaninamide
      (1R, 2S) -2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-
                  (2R) -2-[(4-ethoxy-4-oxobutyl)amino]-5-oxo-5-
phenylpropyl
piperidin-1-ylpentanoate
     N, N-dimethyl-\beta-alanyl-N^{1}-[(2R, 3S)-3-amino-4-(3,5-
difluorophenyl) -2-hydroxybutyl] -3-(butylsulfonyl) -N^1-(3-
ethylbenzyl) -D-alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-N^2-
(methoxyacetyl) -D-alaninamide
      (1R, 2S) -2-amino-3-(3,5-difluorophenyl)-1-{[(3-
ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-
N-(methoxycarbonyl)-D-alaninate
     N^2-acetyl-N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -3 - (butylsulfonyl) -N^1 - (3-methylbutyl) -D-
```

```
alaninamide
                  N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N^1-cyclopropyl-N^2-(cyclopropylcarbonyl)-3-[(1-
propylbutyl) sulfonyl]-D-alaninamide
                  N^2-acetyl-N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hvdroxybutyl] - N^1 - (3 - methylbutyl) - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 3 - [(1 - methylbutyl)] - 
propylbutyl) sulfonyl] -D-alaninamide
                   N-phenylglycyl-N^{1}-[(2R, 3S)-3-amino-4-(3,5-
difluorophenyl) -2-hydroxybutyl] -N^1-(3-ethylbenzyl) -3-[(1-
propylbutyl)sulfonyl]-D-alaninamide
                   N-acetyl-\beta-alanyl-N^{1}-[(2R, 3S)-3-amino-4-(3,5-
difluorophenyl) -2-hydroxybutyl] -N^1-(3-ethylbenzyl) -3-[(1-
propylbutyl)sulfonyl]-D-alaninamide
                    N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^2 - (chloroacetyl) -N^1 - (3-ethylbenzyl) -3 - [(1-
propylbutyl) sulfonyl] -D-alaninamide
                    N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - N^1 - (3-ethylbenzyl) - N^2 - (methoxyacetyl) - 3 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methoxyacetyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methylbenzyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methylbenzyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methylbenzyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methylbenzyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methylbenzyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methylbenzyl) - N^2 - [(1-ethylbenzyl) - N^2 - (methylbenzyl) - N^2 - [(1-ethylbenzyl) - (methylbenzyl) - N^2 - [(1-ethylbe
propylbutyl)sulfonyl]-D-alaninamide
                    N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 - (3-methoxypropanoyl) -3-
  [(1-propylbutyl)sulfonyl]-D-alaninamide
                    N^{1} - [(2R, 3S) - 3 - amino - 4 - (3, 5 - difluor ophenyl) - 2 -
 hydroxybutyl] - N^2 - (2, 2-dimethylpropanoyl) - N^1 - (3-ethylbenzyl) -
 3-[(1-propylbutyl)sulfonyl]-D-alaninamide
                     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 - isobutyryl - 3 - [(1-
 propylbutyl)sulfonyl]-D-alaninamide
                     N^2-acetyl-N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -N^1 - (3-ethylbenzyl) -3-[(1-
 propylbutyl)sulfonyl]-D-alaninamide
                     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl] -N^2 - (cyclopropylcarbonyl) -N^2 - (3-ethylbenzyl) -3-
[(1-propylbutyl)sulfonyl]-D-alaninamide
           N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2-propionyl - 3 - [(1-
propylbutyl) sulfonyl] -D-alaninamide
            5- \text{oxo-D-prolyl-} N^1 - [(2R, 3S) - 3 - \text{amino-} 4 - (3, 5 - 3)]
difluoropheny1)-2-hydroxybuty1]-N^1-(3-ethylbenzy1)-3-[(1-
propylbutyl) sulfonyl] alaninamide hydrochloride
            5-oxo-L-prolyl-N^1-[(2R,3S)-3-amino-4-(3,5-
difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-
propylbutyl) sulfonyl] alaninamide
            N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 - [3-(4-oxo-2-thioxo-1,3-
thiazolidin-3-yl)propanoyl]-3-[(1-
propylbutyl) sulfonyl] alaninamide
            N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybuty1] - N^1 - (3 - ethylbenzy1) - N^2 - (1H-imidazol - 4 - ylacety1) -
3-[(1-propylbutyl)sulfonyl]alaninamide
            N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 - (phenylacetyl) -3 - [(1-
propylbutyl) sulfonyl] alaninamide
            N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2-(3-phenylpropanoyl)-3-
 [(1-propylbutyl)sulfonyl]alaninamide
            N-(3-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] (3-ethylbenzyl)amino]-3-oxo-2-{[(1-
propylbutyl) sulfonyl] methyl propyl) benzamide
            N^1-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N^2-
 (cyclopropylacetyl) - N^1 - (3-methoxybenzyl) - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzyl)] - 3 - [(1-methoxybenzy
propylbutyl) sulfonyl] alaninamide
            N^1-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-
methoxybenzyl) -N^2-[(methylsulfonyl)acetyl] -3-[(1-
propylbutyl) sulfonyl] alaninamide
```

```
N^1-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-
methoxybenzyl) -N^2-[(methylthio)acetyl] -3-[(1-
propylbutyl) sulfonyl] alaninamide
     N-(methylsulfonyl)glycyl-N^1-[(2R, 3S)-3-amino-2-hydroxy-
4-phenylbutyl] -N^1-(3-methoxybenzyl) -3-[(1-
propylbutyl) sulfonyl] alaninamide
     N^2-acetyl-N^1-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-
N^{1}-(3-methoxybenzyl)-3-(phenylsulfonyl)alaninamide
     2-[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] (3-ethylbenzyl)amino]-1-
[(butylsulfonyl)methyl]-2-oxoethyl acetate
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] - S-butyl - N^1- (3-ethylbenzyl) - D-cysteinamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -3 - (butylsulfinyl) - N^1 - (3-ethylbenzyl) -D-
alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-D-
alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -3- (butylsulfonyl) -N^1- (3-ethylbenzyl) -L-
alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -3-(butylsulfonyl) -N^1-(3-methylbutyl) -D-
alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^{1} - [1 - (3 - ethylphenyl) cyclopropyl] -3 - [(1 -
propylbutyl) sulfonyl] -D-alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -3-[(1-
propylbutyl) sulfonyl] -L-alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
```

```
hydroxybutyl] - N^1 - cyclopropyl - 3 - [(1-propylbutyl)sulfonyl] - D-
alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-methylbutyl) -3 - [(1-
propylbutyl) sulfonyl] -D-alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -3-[(1-
propylbutyl) sulfonyl] -D-alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -3-[(1-
propylbutyl) sulfonyl] alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 - (phenoxyacetyl) -3 - [(1-
propylbutyl) sulfonyl] alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^2 - \{ [(5-\text{chloro}-2-\text{thienyl}) \text{thio}] \text{ peroxy} \} - N^1 - (3-\text{thienyl}) \}
ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N^1 - (3-ethylbenzyl) -N^2 - (phenylsulfonyl) -3 - [(1-
propylbutyl) sulfonyl] alaninamide
     N^2 - [(benzylamino) carbonyl] - N^1 - [(2R, 3S) - 4 - (3, 5 -
difluorophenyl) -2-hydroxy-3-(methylamino) butyl] -N^1-(3-
ethylbenzyl) -3-[(1-propylbutyl)sulfonyl]alaninamide
     4-[[(2R,3S)-4-(3,5-difluorophenyl)-2-hydroxy-3-
(methylamino)butyl](3-ethylbenzyl)amino]-4-oxo-3-{[(1-
propylbutyl) sulfonyl] methyl butanoic acid
     4-[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl](3-
methoxybenzyl) amino] -3-{[(3-methylbutyl) sulfonyl] methyl}-4-
oxobutanoic acid
               4-[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl](3-
methoxybenzyl) amino] -3-{[(3-methylbutyl) sulfonyl] methyl}-4-
oxobutanoate
```

```
N^{1}-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N^{1}-(3-
methoxybenzyl)-2-{[(3-
methylbutyl)sulfonyl]methyl}succinamide
            N^{1}-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N^{1}-(3-
methoxybenzyl)-N^4-methyl-2-{[(3-
methylbutyl)sulfonyl]methyl}succinamide
            N^{1}-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N^{1}-(3-
methoxybenzyl)-N^4, N^4-dimethyl-2-{[(3-
methylbutyl)sulfonyl]methyl}succinamide
            N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -3 - (4,4-dimethyl-2,5-dioxoimidazolidin-1-yl) -N-
 (3-ethylbenzyl)-2-{[(1-
 propylbutyl)sulfonyl]methyl}propanamide
             N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -3 - (4,4-dimethyl-2,5-dioxoimidazolidin-1-yl) -N-
  (3-ethylbenzyl)-2-{[(1-
 propylbutyl)sulfonyl]methyl}propanamide
              (1R, 2S) -2-amino-3-(3, 5-difluorophenyl)-1-\{[(3-
                                                                                                      3-(4,4-dimethyl-2,5-
  ethylbenzyl)amino]methyl}propyl
  dioxoimidazolidin-1-yl)-2-{[(1-
 propylbutyl) sulfonyl] methyl propanoate
              N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-3-
  (\texttt{ethylsulfonyl}) - 2 - \{ \texttt{[(isobutylsulfonyl)amino]methyl} \} - N - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 - 1) - (3 -
  methoxybenzyl)propanamide
              N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-3-
   (ethylthio) -2-{ [(isobutylsulfonyl)amino]methyl}-N-(3-
  methoxybenzyl)propanamide
               (2S) -N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-
  methoxybenzyl) -2-{[(3-methylbutyl)sulfonyl]amino}-4-
    (methylsulfonyl)butanamide
               N^1-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-
   methoxybenzyl) - N^2 - [(3-methylbutyl)sulfonyl] - L-methioninamide
               S-(3-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl](3-
```

```
methoxybenzyl)amino]-2-{[(3-methylbutyl)sulfonyl]methyl}-3-
oxopropyl) ethanethioate
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-
N-(3-methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]propanamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-
N-(3-methoxybenzyl)-3-[(3-methylbutyl)sulfonyl]propanamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-
N-(3-methoxybenzyl)-3-[(3-methoxyphenyl)sulfonyl]propanamide
     N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-hydroxy-4-
(phenylsulfonyl) butanamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-
N-(3-methoxybenzyl)-4-[(3-methylbutyl)sulfonyl]butanamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-max)
methoxybenzyl)-4-[(3-methylbutyl)sulfonyl]-2-
phenoxybutanamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-
methoxybenzyl)-2-(3-methoxyphenoxy)-4-[(3-
methylbutyl) sulfonyl] butanamide
     3-\{1-\{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl](3-
methoxybenzyl)amino]carbonyl}-3-[(3-
methylbutyl)sulfonyl]propoxy}benzoic acid
                          3 - \{1 - \{[(2R, 3S) - 3 - \text{amino} - 2 - \text{hydroxy} - 4 - 4 - 4\}\}
     methyl
phenylbutyl] (3-methoxybenzyl) amino] carbonyl } -3-[(3-
methylbutyl) sulfonyl] propoxy}benzoate
     N-[(2R, 3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-
N-(3-methoxybenzyl)-4-(phenylsulfonyl)butanamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-
N-(3-methoxybenzyl)-4-(phenylthio)butanamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-
N-(3-methoxybenzyl)-4-(phenylsulfonyl)butanamide
     N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-
```

```
N-(3-methoxybenzyl)-4-(phenylthio)butanamide
                      N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(3-max)
methoxybenzyl)-4-(phenylsulfonyl)-2-propoxybutanamide
                      N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbuty1]-2-
 (benzyloxy) - N- (3-methoxybenzyl) -4- (phenylsulfonyl) butanamide
                       (2S) -2-amino-N-[(2R,3S)-3-amino-2-hydroxy-4-
phenylbutyl]-N-(3-methoxybenzyl)-5-oxo-5-piperidin-1-
vlpentanamide
                       (2R) - 2 - \text{amino} - N - [(2R, 3S) - 3 - \text{amino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{hydroxy} - 4 - \text{mino} - 2 - \text{h
phenylbutyl]-N-(3-methoxybenzyl)-5-oxo-5-piperidin-1-
ylpentanamide
                                                                                                                        ((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-
                      methyl
difluorophenyl)-2-hydroxybutyl](3-
ethylbenzyl)amino]carbonyl}-3-oxoheptyl)carbamate
                                                                                                                        ((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-amino-4)]\}
                       methyl
difluorophenyl) -2-hydroxybutyl] (3-
 ethylbenzyl)amino]carbonyl}-3,3-difluoroheptyl)carbamate
                                                                                                                         ((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-
                       methyl
 difluorophenyl)-2-hydroxybutyl](3-
 ethylbenzyl)amino]carbonyl}-3-fluoroheptyl)carbamate
                                                                                                                         ((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-
                       methyl
 difluorophenyl)-2-hydroxybutyl](3-
 ethylbenzyl)amino]carbonyl}-4-oxooctyl)carbamate
                                                                                                                         ((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-
                       methyl
  difluorophenyl)-2-hydroxybutyl](3-
  ethylbenzyl)amino]carbonyl}-4-hydroxyoctyl)carbamate
                                                                                                                         [(1R)-1-\{[(2R,3S)-3-amino-4-(3,5-
                       methyl
  difluorophenyl) -2-hydroxybutyl] (3-
  ethylbenzyl)amino]carbonyl}-3-(2-butyl-1,3-dioxolan-2-
  yl)propyl]carbamate
                                                                                                                          [(1R)-1-\{[(2R,3S)-3-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(
                        methyl
  difluorophenyl) -2-hydroxybutyl] (3-
```

```
ethylbenzyl)amino]carbonyl}-3-(2-butyl-1,3-dioxan-2-
yl)propyl]carbamate
                                                                  ((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(3,5-amino-4-(
           methyl
difluorophenyl)-2-hydroxybutyl](3-
ethylbenzyl)amino]carbonyl}-4-fluorooctyl)carbamate
                                                                  ((1R)-1-\{[(2R,3S)-3-amino-4-(3,5-
            methyl
difluorophenyl)-2-hydroxybutyl](3-
ethylbenzyl)amino]carbonyl}-4,4-difluorooctyl)carbamate
             (2R) -N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-N-(3-ethylbenzyl)-2-
 { [(methylamino)carbonyl]amino}-4-oxooctanamide
            N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-4-butyl-N^1-(3-ethylbenzyl)-N^2-
 [(methylamino)carbonyl]-D-homoserinamide
            N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -3-(2-butyl-1,3-dioxolan-2-yl) -N^1-(3-
 ethylbenzyl) -N^2-[(methylamino)carbonyl]-D-alaninamide
            N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-3-(2-butyl-1,3-dioxan-2-yl)-N^1-(3-
 ethylbenzyl) -N^2-[(methylamino)carbonyl]-D-alaninamide
              (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl]-N-(3-ethylbenzyl)-4,4-difluoro-2-
  {[(methylamino)carbonyl]amino}octanamide
              (2R) - N - [(2R, 3S) - 3 - amino - 4 - (3, 5 - difluorophenyl) - 2 -
 hydroxybutyl]-N-(3-ethylbenzyl)-4-fluoro-2-
  { [(methylamino)carbonyl]amino}octanamide
              (2R) -N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl]-N-(3-ethylbenzyl)-2-
  { [(methylamino)carbonyl]amino}-5-oxononanamide
               (2R) -N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
  hydroxybutyl]-N-(3-ethylbenzyl)-5-hydroxy-2-
  { [(methylamino)carbonyl]amino}nonanamide
```

```
(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -4-(2-butyl-1,3-dioxolan-2-yl)-N-(3-bydroxybutyl]
ethylbenzyl)-2-{[(methylamino)carbonyl]amino}butanamide
     (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-4-(2-butyl-1,3-dioxan-2-yl)-N-(3-ethylbenzyl)-
2-{[(methylamino)carbonyl]amino}butanamide
     (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl) -5-fluoro-2-
{[(methylamino)carbonyl]amino}nonanamide
      (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -N-(3-ethylbenzyl)-5,5-difluoro-2-
{[(methylamino)carbonyl]amino}nonanamide
     N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethynylbenzyl)-N^2-
 [(methylamino)carbonyl]-D-alaninamide
      N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl] -3-(butylsulfonyl) -N^2-[(methylamino)carbonyl]-
 N^1-[3-(trifluoromethyl)benzyl]-D-alaninamide
      N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -3-(butylsulfonyl) -N^1-[1-(3-
 ethylphenyl) cyclopropyl] -N^2-[(methylamino)carbonyl]-D-
 alaninamide
      N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -3-(butylsulfonyl) -N^1-[1-(3-
 ethynylphenyl) cyclopropyl] -N^2-[(methylamino)carbonyl]-D-
 alaninamide, and
       N^{1}-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 hydroxybutyl] -3 - (butylsulfonyl) -N^2 - [(methylamino) carbonyl] -
 N^1 - \{1 - [3 - (trifluoromethyl) phenyl] cyclopropyl\} - D-alaninamide.
```

12. A method of generating a compound of formula (Y):

$$R_N$$
 H
 R_1
 R_2
 R_3
 R_3
 R_4
 R_5

comprising exposing a compound according to claim 1 to aqueous media, wherein $R_1,\ R_2,\ R_3,\ R_N$ and R_C are as defined in claim 1.

- 13. The method of claim 12 wherein the generation of the compound of formula (Y) occurs in vitro.
- 14. The method of claim 12 wherein the generation of the compound of formula (Y) occurs in vivo.
- 15. The method of claim 12 wherein the aqueous media has a pH of about 2 to about 10.
- 16. The method of claim 3 wherein the aqueous media has a pH of about 3 to about 7.
- 17. Α method for the treatment orprevention Alzheimer's disease, mild cognitive impairment Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, other degenerative dementias, dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's dementia disease, associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease comprising administering therapeutically a

effective amount of a compound or salt according to Claim 1, to a patient in need thereof.

- 18. Α method for the treatment or prevention Alzheimer's disease, mild cognitive impairment Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, other degenerative dementias, dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease comprising administration of a therapeutically effective amount of a compound or salt according to Claim 1, to a patient in need thereof.
- 19. The use of a compound or salt according to claim 1 for the manufacture of a medicament.
- 20. The use of a compound or salt according to claim 1 for the manufacture of a medicament for use in the treatment or prevention of Alzheimer's disease, mild cognitive impairment Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, other degenerative dementias, dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease.
- 21. A compound according to claim 1 selected frrom the group consisting of:

	CF ₃ —SO ₂ N O H ₂ N F	H ₂ N H N N N N N N N N N N N N N N N N N N
HQ NH F3C S O2	0 NH H NH H NH N NH N NH N F CF ₃	F H ₂ N H N H O N H ₂ N
F H ₂ N N O O O O O		F H ₂ N H N H N H N H N H N H N H N H N H N H
F H ₂ N N H O N O N	H ₂ N NH	HO, HO F CF3

F HN HO N N N N N N N N N N N N N N N N N	HO O N	H ₂ N H ₀ CF ₃
F H ₂ N N HO O N O	N O O N N N N N N N N N N N N N N N N N	H ₂ N O H O
H ₂ N NH ₂	·	
OHO NHO FF	CI ON H OH N N F F	H ₂ N NH ₂

F N N N N N N N N N N N N N N N N N N N	F F N O O O O O O O O O O O O O O O O O	H ₂ N OH O S = O
H ₂ N OH OH OH OH OH OH OH OH OH OH OH OH OH		
H ₂ N OH OH OH OH OH OH OH OH OH OH OH OH OH	NH NH NH NH NH NH NH NH NH NH NH NH NH N	
NH NH NH NH NH NH NH NH NH NH NH NH NH N	F O O O O O O O O O O O O O O O O O O O	F D D D D D D D D D D D D D D D D D D D

F N OH OH OH OH OH	H ₂ N OH OH OH OH OH OH OH OH OH OH OH OH OH	H ₂ N OH OH OH OH OH OH OH OH OH OH OH OH OH
SO ₂ H _N N H ₂ N N F	O H N N H N N H N N H N N H N N H N N H N N H N N H N N H N N N H N N N H N	F H ₂ N OH O O S=O O O O O O O O O O O O O O O O O
H ₂ N OH O N	SO ₂ O O O O O O O O O O O O O O O O O O O	SO ₂ H _N O O O O O O O O O O O O O O O O O O O
HO NH2 OHO NH2 NH2	H ₂ N OH	H ₂ N OH OO

O O O O O O O O O O O O O O O O O O O	SO ₂ NH O NH O NH O NH F F	SO ₂ H N O
F H ₂ N OH O	H ₂ N N	H ₂ N O O O O O O O O O O O O O O O O O O O
H ₂ N OH N O N O N O N O N O N O N O N O N O	OH Z F	F F N O N N N N N N N N N N N N N N N N
H ₂ N OH N ON N ON N ON N ON N ON N ON N ON	F O O O O O O O O O O O O O O O O O O O	F N N H N N H N N H N N H N N H N N H N N H N N H N N H N N H N

F H ₂ N OH NH NH	F H ₂ N OH NH NH N O NS	H ₂ N OH NH NH NH NH NH NH NH NH NH NH NH NH NH
H ₂ N O N O N O O O O O O O O O O O O O O O	F F N OH N N N N N N N N N N N N N N N N N	O S NH N O OH N P F F F
O O NH CI H ₂ N N F	0 0 H Z H H22 H	H ₂ N OH H N N O O O O
F OH ON ON NH CF3 NH NN		O S S S S S S S S S S S S S S S S S S S

F H ₂ N OH OH OH OH OH OH OH OH OH OH OH OH OH	O H NH O H N NH O NH NH NH NH NH NH NH NH NH NH NH NH NH	F H ₂ N OH O N H O O
O O H N F F F	O O H N F F	H ₂ N
OO OH NH NH NH NH NH NH NH NH NH NH NH NH NH	O NH OH H ₂ N N	F H ₂ N HO N N N N N N N N N N N N N N N N N N
H ₂ N F	O H N O H N F F	O O O O O O O O O O O O O O O O O O O

SO ₂ OH OH OH OH F	N H S	CF3 OOH N N H S F F
F H ₂ N OH NH NH NH NH NH NH NH NH NH NH NH NH NH	H ₂ N OH HN NH O	H ₂ N OH O N N N N N N N N N N N N N N N N N
F H ₂ N OH N OH N N H	N N O OH NH2	NH ₂ NH ₂
F HO NH ₂ ONH ONH ONH ONH ONH ONH ONH ON	NH ₂ NH ₂ NH ₂ NH ₀	O F O OH N NH ₂

F NH ₂	NH ₂ NH ₂ NH ₂ NH ₀ NH ₀ NH ₀ NH ₀	H ₂ N HCI
F OMe OMe OMe OMe HO HN O O O = S	HN O OH NH2	NH ₂ OH NH ₂ OH O O=S
O F-NH N-NH2	$\begin{array}{c c} F & F \\ H_2N & HN & O \\ O & O = S \\ O & O & O \end{array}$	F N O O S S O O O S S O O O S S O O O S S O O O O S O
F ₃ C F	F OCH ₃ HN O O O=S=O OH OH OH OH OH OH OH OH OH	F ₃ C

H ₂ N O O = S O F	F NH ₂ OH N OO OO OO OO OO OO OO OO OO OO OO OO O	SO ₂ NH O O OH NH ₂
H ₂ N HO NH O ₂ S O OH	H ₂ N HO NH O ₂ S O HN	H ₂ N HO NH SO ₂ O
O O O O O O O O O O O O O O O O O O O	H ₂ N H O O	O OH NH2
O O O O O O O O O O O O O O O O O O O	ON ON ON ON ON ON ON ON ON ON ON ON ON O	O N O N O N O N O N O N O N O N O N O N

S O O NH O NH O NHO,, NH O NHO,, NHO, NHO	HN O OH NH ₂	HN" O OH NH2
H ₂ N NH O	O O O HN/// O OHE O O O O O O O O O O O O O O O O O	F F NH ₂
N NH2 NH2	H N O O O O O O O O O O O O O O O O O O	F F CF ₃ OH OO OO OO OO
O O O O O O O O O O O O O O O O O O O	F H ₂ N OH OO'S N HN O	F H ₂ N OH OO S N HN O

F F NH ₂ OH OS O	P O O N O S O C F 3	N-NH O HN,, OS O OH NH ₂
N-NH O HN,,, S O O O O NH ₂	F ₃ C OH NH ₂	F ₃ C NH NH NH NH NH NH NH NH NH NH NH NH NH
NH ₂ F O S=O OHO N N N N N N N N N N N N N N N N N N	O HO NH ₂ S=O O N N N N N N N N N N N N N N N N N N	S=0 OHO F
O S S O O N O F N O H ₂ N O H		

$$\begin{array}{c} O \\ O \\ O \\ O \\ H_2N \\ \end{array}$$

$$\begin{array}{c} O \\ O \\ H_2N \\ \end{array}$$

$$\begin{array}{c} O \\ O \\ H_2N \\ \end{array}$$

$$\begin{array}{c} O \\ O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c} O \\ V \\ \end{array}$$

$$\begin{array}{c}$$

22. A pharmaceutical composition comprising a compound according to any one of claims 1-11 or 21, in combination with a physiologically acceptable carrier or excipient.

·					
		·		· ;	

(19) World Intellectual Property Organization

International Bureau



(43) International Publication Date 18 March 2004 (18.03.2004)

PCT

(10) International Publication Number WO 2004/022523 A3

- (51) International Patent Classification7: C07D 263/32, C07C 321/14, 311/09, 317/50, 237/06, 233/65, 237/22, A61P 25/28, A61K 31/4164, 31/24, 31/166, 31/18, 31/221
- (21) International Application Number:

PCT/US2003/028116

(22) International Filing Date:

8 September 2003 (08.09.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/408,783

6 September 2002 (06.09.2002)

- (71) Applicants (for all designated States except US): ELAN PHARMACEUTICALS, INC. [US/US]; 800 Gateway Blvd., South San Francisco, CA 94080 (US). PHAR-MACIA & UPJOHN [US/US]; 301 Henrietta Street, Kalamazoo, MI 49007 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): FOBIAN, Yvette, M. [US/US]; 580 Eagles Nest Court, Wildwood, MO 63011 (US). FRESKOS, John, N. [US/US]; 7572 York Drive, Clayton, MO 63105 (US). JAGODZINSKA, Barbara [US/US]: 1485 Kentfield Avenue, Redwood City, CA 94061 (US).

- (74) Agent: SARUSSI, Steven, J.; McDonnell Boehnen Hulbert & Berghoff, 300 South Wacker Drive, Suite 3200. Chicago, IL 60606 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ. DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, $MX,\,MZ,\,NI,\,NO,\,NZ,\,OM,\,PG,\,PH,\,PL,\,PT,\,RO,\,RU,\,SC,$ SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

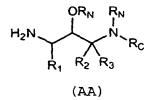
Published:

with international search report

(88) Date of publication of the international search report: 10 September 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 1, 3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES



$$H_2N$$
 R_1
 R_2
 R_3
 R_3

$$R_{N} \rightarrow 0$$
 $H_{2}N \rightarrow R_{1}$
 $R_{1} \rightarrow R_{2}$
 R_{3}
 $R_{2} \rightarrow R_{3}$

ORN RN

H₂N R₂ R₃

(AA)

(I)

(X)

(57) Abstract: The present invention relates to compounds of formula (AA), (I) and (X), useful in treating Alzheimer's disease and other similar diseases. These compounds include inhibitors of the beta-secretase enzyme that are useful in the treatment of the diseases characterized by deposition of A beta peptide in a mammal. The compounds of the invention

INTERNATIONAL SEARCH REPORT

Internation pplication No PCT/US 03/28116

CLASSIFICATION OF SUBJECT MATTER C 7 'C07D263/32 C07C C07C237/06 C07C321/14 C07C317/50 C07C311/09 A61K31/4164 A61K31/24 C07C237/22 A61P25/28 C07C233/65 A61K31/166 A&1K31/221 A61K31/18 According to International Patent Classification (IPC) or to both national classification and IPC **B. FIELDS SEARCHED** Minimum documentation searched (classification system followed by classification symbols) CO7C A61P IPC 7 CO7D A61K Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, BEILSTEIN Data, CHEM ABS Data C. DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. Category 1 - 11X VAZQUEZ M L ET AL: "INHIBITORS OF HIV-1 PROTEASE CONTAINING THE NOVEL AND POTENT (R)-(HYDROXYETHYL)SULFONAMIDE ISOSTERE" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 38, no. 4, 17 February 1995 (1995-02-17), pages 581-584. XP000999296 ISSN: 0022-2623 Page 582, compound 7; 1-11 X EP 0 223 437 A (SQUIBB & SONS INC) 27 May 1987 (1987-05-27) Page 7, compound of general formula II; Patent family members are listed in annex. Further documents are listed in the continuation of box C. Special categories of cited documents: *T* later document published after the international filing date or priority date and not in conflict with the application but "A" document defining the general state of the art which is not cited to understand the principle or theory underlying the considered to be of particular relevance invention "E" earlier document but published on or after the international "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such docu-O' document referring to an oral disclosure, use, exhibition or ments, such combination being obvious to a person skilled other means in the art. document published prior to the international filing date but later than the priority date claimed *&* document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 16 February 2004 04/03/2004 Name and mailing address of the ISA Authorized officer European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31–70) 340–2040, Tx. 31 651 epo nl, Fax: (+31–70) 340–3016 Sen, A

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International polication No
PCT/US 03/28116

	PC1/US 03/28116
T	
Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
WO 96 22287 A (SEARLE & CO; FRESKOS JOHN N (US); GETMAN DANIEL P (US); TALLEY JOH) 25 July 1996 (1996-07-25) Page 39, Example 1; pages 73-77, Examples 26-28; page 83, Example 34; page 86, Example 37; page 88, Example 38; page 101, Example 48;	1–11
WO 95 06030 A (MUELLER RICHARD A ;VAZQUEZ MICHAEL L (US); MONSANTO CO (US); SEARL) 2 March 1995 (1995-03-02) Page 133, Example 18A; Claim 8;	1-11
WO 03 006423 A (CHRUSCIEL ROBERT ALAN; BROWN DAVID L (US); HOM ROY (US); JOHN VARG) 23 January 2003 (2003-01-23) Claim 1;	1-11
WO 02 02512 A (UPJOHN CO ;ELAN PHARM INC (US)) 10 January 2002 (2002-01-10) Chart D;	1-11
DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. BRN 8790682 XP002269044 abstract & HADDEN C.E. ET AL.: J.HETERCYCL.CHEM., vol. 37, no. 6, 2000, pages 1623-1628,	1-11
DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. BRN 5463420 XP002269045 abstract & TUCKER,T.J. ET AL.: J. MED. CHEM., vol. 35, no. 14, 1992, pages 2525-2533,	1-11
WO 02 02505 A (ELAN PHARM INC) 10 January 2002 (2002-01-10) claims 1-85	1-22
	(US); GETMAN DANIEL P (US); TALLEY JOH) 25 July 1996 (1996-07-25) Page 39, Example 1; pages 73-77, Examples 26-28; page 83, Example 34; page 86, Example 37; page 88, Example 38; page 101, Example 48; WO 95 06030 A (MUELLER RICHARD A; VAZQUEZ MICHAEL L (US); MONSANTO CO (US); SEARL) 2 March 1995 (1995-03-02) Page 133, Example 18A; Claim 8; WO 03 006423 A (CHRUSCIEL ROBERT ALAN; BROWN DAVID L (US); HOM ROY (US); JOHN VARG) 23 January 2003 (2003-01-23) Claim 1; WO 02 02512 A (UPJOHN CO; ELAN PHARM INC (US)) 10 January 2002 (2002-01-10) Chart D; DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. BRN 8790682 XP002269044 abstract & HADDEN C.E. ET AL.: J.HETERCYCL.CHEM., vol. 37, no. 6, 2000, pages 1623-1628, DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. BRN 5463420 XP002269045 abstract & TUCKER, T.J. ET AL.: J. MED. CHEM., vol. 35, no. 14, 1992, pages 2525-2533, WO 02 02505 A (ELAN PHARM INC) 10 January 2002 (2002-01-10)

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International populication No PCT/US 03/28116

CICantin		PCT/US 03/28116			
C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT Category Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No.					
	ondurent, with indication, withere appropriate, of the relevant passages		Relevant to claim No.		
A	TAMAMURA, H ET AL.: "Efficient stereoselective synthesis of peptidomimetics containing hydroxyethylamine dipeptide isosteres utilizing the aza-Payne rearrangement and O, N-acyl transfer reactions" JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANS. 1, 2002, pages 577-580, XP002269043 the whole document		1-22		
		•			
	•				
			•		
			•		
			·		
	ø				
			•		
	D (continuation of second sheet) (July 1992)		_		

Form PCT/ISA/210 (continuation of second sheet) (July 1992)